US007964580B2

(12) **United States Patent**
**Sofia et al.**

(10) **Patent No.: US 7,964,580 B2**
(45) **Date of Patent: Jun. 21, 2011**

(54) **NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS**

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( * ) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 370 days.

(21) Appl. No.: **12/053,015**

(22) Filed: **Mar. 21, 2008**

(65) **Prior Publication Data**

US 2010/0016251 A1 Jan. 21, 2010

(51) **Int. Cl.**
***A01N 43/04*** (2006.01)
***A61K 31/70*** (2006.01)

(52) **U.S. Cl.** ..... **514/51**; 514/43; 514/49; 514/50; 536/25.3; 536/28.1; 536/28.4

(58) **Field of Classification Search** ..... None
See application file for complete search history.

(56) **References Cited**

U.S. PATENT DOCUMENTS

| | | | |
|---|---|---|---|
| 3,798,209 | A | 3/1974 | Witkowski |
| 3,852,267 | A | 12/1974 | Meyer |
| RE29,835 | E | 11/1978 | Witkowski |
| 4,814,477 | A | 3/1989 | Wijnberg |
| 4,957,924 | A | 9/1990 | Beauchamp |
| 5,026,687 | A | 6/1991 | Yarchoan |
| 5,118,820 | A | 6/1992 | Hertel |
| 5,149,794 | A | 9/1992 | Yatvin |
| 5,157,027 | A | 10/1992 | Biller |
| 5,192,549 | A | 3/1993 | Barenolz |
| 5,194,654 | A | 3/1993 | Hostetler |
| 5,223,263 | A | 6/1993 | Hostetler |
| 5,256,641 | A | 10/1993 | Yatvin |
| 5,256,798 | A | 10/1993 | Chou |
| 5,372,808 | A | 12/1994 | Blatt |
| 5,376,380 | A | 12/1994 | Kikuchi |
| 5,405,598 | A | 4/1995 | Schinazi |
| 5,411,947 | A | 5/1995 | Hostetler |
| 5,420,266 | A | 5/1995 | Britton |
| 5,426,183 | A | 6/1995 | Kjell |
| 5,453,499 | A | 9/1995 | Chou |

(Continued)

FOREIGN PATENT DOCUMENTS

| | | |
|---|---|---|
| CN | 101108870 | 1/2008 |

(Continued)

OTHER PUBLICATIONS

Abraham et al. J. Med. Chem. (1996), vol. 39, pp. 4569-4575.*

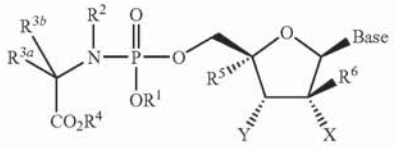
(Continued)

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(57) **ABSTRACT**

Disclosed herein are phosphoramidate prodrugs of nucleoside derivatives for the treatment of viral infections in mammals, which is a compound, its stereoisomer, salt (acid or basic addition salt), hydrate, solvate, or crystalline form thereof, represented by the following structure:

I




Also disclosed are methods of treatment, uses, and processes for preparing each of which utilize the compound represented by formula I.

**14 Claims, No Drawings**

U.S. PATENT DOCUMENTS


| | | | |
|---|---|---|---|
| 5,462,724 | A | 10/1995 | Schinazi |
| 5,463,092 | A | 10/1995 | Hostetler |
| 5,496,546 | A | 3/1996 | Wang |
| 5,538,865 | A | 7/1996 | Reyes |
| 5,543,389 | A | 8/1996 | Yatvin |
| 5,543,390 | A | 8/1996 | Yatvin |
| 5,543,391 | A | 8/1996 | Yatvin |
| 5,554,728 | A | 9/1996 | Basava |
| 5,610,054 | A | 3/1997 | Draper |
| 5,633,358 | A | 5/1997 | Gruetzke |
| 5,633,388 | A | 5/1997 | Diana |
| 5,676,942 | A | 10/1997 | Testa |
| 5,703,058 | A | 12/1997 | Schinazi |
| 5,711,944 | A | 1/1998 | Gilbert |
| 5,725,859 | A | 3/1998 | Omer |
| 5,738,845 | A | 4/1998 | Imakawa |
| 5,738,846 | A | 4/1998 | Greenwald |
| 5,747,646 | A | 5/1998 | Hakimi |
| 5,767,097 | A | 6/1998 | Tam |
| 5,792,834 | A | 8/1998 | Hakimi |
| 5,830,455 | A | 11/1998 | Valtuena |
| 5,830,905 | A | 11/1998 | Diana |
| 5,834,594 | A | 11/1998 | Hakimi |
| 5,837,257 | A | 11/1998 | Tsai |
| 5,846,964 | A | 12/1998 | Ozeki |
| 5,849,696 | A | 12/1998 | Chretien |
| 5,869,253 | A | 2/1999 | Draper |
| 5,891,874 | A | 4/1999 | Colacino |
| 5,905,070 | A | 5/1999 | Schinazi |
| 5,908,621 | A | 6/1999 | Glue |
| 5,922,757 | A | 7/1999 | Chojkier |
| 5,928,636 | A | 7/1999 | Alber |
| 5,942,223 | A | 8/1999 | Bazer |
| 5,980,884 | A | 11/1999 | Blatt |
| 5,990,276 | A | 11/1999 | Zhang |
| 6,004,933 | A | 12/1999 | Spruce |
| 6,034,134 | A | 3/2000 | Gold |
| 6,043,077 | A | 3/2000 | Barber |
| 6,056,961 | A | 5/2000 | Lavie |
| 6,060,080 | A | 5/2000 | Kikuchi |
| 6,090,932 | A | 7/2000 | McGee |
| 6,130,326 | A | 10/2000 | Ramasamy |
| 6,132,763 | A | 10/2000 | Fisher |
| 6,156,501 | A | 12/2000 | McGall |
| 6,180,134 | B1 | 1/2001 | Zalipsky |
| 6,232,300 | B1 | 5/2001 | Schinazi |
| 6,239,159 | B1 | 5/2001 | Brown |
| 6,348,587 | B1 | 2/2002 | Schinazi |
| 6,372,883 | B1 | 4/2002 | Attwood |
| 6,391,859 | B1 | 5/2002 | Schinazi |
| 6,410,531 | B1 | 6/2002 | Llinas-Brunet |
| 6,420,380 | B2 | 7/2002 | Llinas-Brunet |
| 6,455,513 | B1 | 9/2002 | McGuigan |
| 6,455,690 | B1 | 9/2002 | Tam |
| 6,475,985 | B1 | 11/2002 | Wagner |
| 6,479,463 | B1 | 11/2002 | Wang |
| 6,495,677 | B1 | 12/2002 | Ramasamy |
| 6,509,320 | B1 | 1/2003 | Wang |
| 6,534,523 | B1 | 3/2003 | Llinas-Brunet |
| 6,552,183 | B1 | 4/2003 | Ramasamy |
| 6,555,677 | B2 | 4/2003 | Petrillo |
| 6,573,248 | B2 | 6/2003 | Ramasamy |
| 6,642,206 | B2 | 11/2003 | Ramasamy |
| 6,660,721 | B2 | 12/2003 | Devos |
| 6,677,314 | B2 | 1/2004 | Klecker |
| 6,677,315 | B2 | 1/2004 | Klecker |
| 6,680,303 | B2 | 1/2004 | Schinazi |
| 6,682,715 | B2 | 1/2004 | Klecker |
| 6,683,045 | B2 | 1/2004 | Klecker |
| 6,703,374 | B1 | 3/2004 | Klecker et al. |
| 6,753,309 | B2 | 6/2004 | Klecker |
| 6,777,395 | B2 | 8/2004 | Bhat |
| 6,784,166 | B2 | 8/2004 | Devos |
| 6,787,305 | B1 | 9/2004 | Li |
| 6,787,526 | B1 | 9/2004 | Bryant |
| 6,815,542 | B2 | 11/2004 | Hong |
| 6,846,810 | B2 | 1/2005 | Martin |
| 6,897,201 | B2 | 5/2005 | Boyer |
| 6,908,924 | B2 | 6/2005 | Watanabe |
| 6,911,424 | B2 | 6/2005 | Schinazi |
| 6,914,054 | B2 | 7/2005 | Sommadossi |
| 6,962,991 | B2 | 11/2005 | Dempcy |
| 7,018,985 | B1 | 3/2006 | Boyer |
| 7,018,989 | B2 | 3/2006 | McGuigan |
| 7,081,449 | B2 | 7/2006 | Pietrzkowski |
| 7,105,499 | B2 | 9/2006 | Carroll |
| 7,148,206 | B2 | 12/2006 | Sommadossi |
| 7,163,929 | B2 | 1/2007 | Sommadossi |
| 7,217,523 | B2 | 5/2007 | Wagner |
| 7,268,119 | B2 | 9/2007 | Cook |
| 7,307,065 | B2 | 12/2007 | Schinazi |
| 7,365,057 | B2 | 4/2008 | LaColla |
| 7,429,572 | B2 | 9/2008 | Clark |
| 7,601,820 | B2 | 10/2009 | Wang |
| 2001/0034440 | A1 | 10/2001 | Shepard |
| 2002/0058635 | A1 | 5/2002 | Averett |
| 2002/0198173 | A1 | 12/2002 | Schinazi |
| 2003/0050229 | A1 | 3/2003 | Sommadossi |
| 2003/0060400 | A1 | 3/2003 | LaColla |
| 2003/0120071 | A1 | 6/2003 | McGuigan |
| 2003/0144502 | A1 | 7/2003 | Pietrzkowski |
| 2003/0153744 | A1 | 8/2003 | Mekouar |
| 2003/0187018 | A1 | 10/2003 | Llinas-Brunet |
| 2004/0006007 | A1 | 1/2004 | Gosselin |
| 2004/0014108 | A1 | 1/2004 | Eldrup |
| 2004/0023240 | A1 | 2/2004 | Marliere |
| 2004/0023901 | A1 | 2/2004 | Cook |
| 2004/0059104 | A1 | 3/2004 | Cook |
| 2004/0063622 | A1 | 4/2004 | Sommadossi |
| 2004/0067901 | A1 | 4/2004 | Bhat |
| 2004/0072788 | A1 | 4/2004 | Bhat |
| 2004/0097461 | A1 | 5/2004 | Sommadossi |
| 2004/0097462 | A1 | 5/2004 | Sommadossi |
| 2004/0101535 | A1 | 5/2004 | Sommadossi |
| 2004/0102414 | A1 | 5/2004 | Sommadossi |
| 2004/0110717 | A1 | 6/2004 | Carroll |
| 2004/0167140 | A1 | 8/2004 | Schinazi |
| 2004/0191824 | A1 | 9/2004 | Dempcy |
| 2004/0214844 | A1 | 10/2004 | Otto |
| 2004/0229839 | A1 | 11/2004 | Babu |
| 2004/0229840 | A1 | 11/2004 | Bhat |
| 2004/0248892 | A1 | 12/2004 | Wang |
| 2004/0254141 | A1 | 12/2004 | Schinazi |
| 2004/0259934 | A1 | 12/2004 | Olsen |
| 2004/0265969 | A1 | 12/2004 | Li |
| 2004/0266996 | A1 | 12/2004 | Rabi |
| 2005/0009737 | A1 | 1/2005 | Clark |
| 2005/0020825 | A1 | 1/2005 | Storer |
| 2005/0026853 | A1 | 2/2005 | Mekouar |
| 2005/0031588 | A1 | 2/2005 | Sommadossi |
| 2005/0075309 | A1 | 4/2005 | Storer |
| 2005/0080034 | A1 | 4/2005 | Standring |
| 2005/0090660 | A1 | 4/2005 | Watanabe |
| 2005/0124532 | A1 | 6/2005 | Sommadossi |
| 2005/0130931 | A1 | 6/2005 | Boyer |
| 2005/0137161 | A1 | 6/2005 | Sommadossi |
| 2005/0148534 | A1 | 7/2005 | Castellino |
| 2005/0154056 | A1 | 7/2005 | Yang |
| 2005/0164960 | A1 | 7/2005 | Olsen |
| 2005/0215513 | A1 | 9/2005 | Boojamra |
| 2005/0227947 | A1 | 10/2005 | Chan |
| 2005/0261237 | A1 | 11/2005 | Boojamra |
| 2005/0267018 | A1 | 12/2005 | Blatt |
| 2006/0003951 | A1 | 1/2006 | Mekouar |
| 2006/0014943 | A1 | 1/2006 | Dempcy |
| 2006/0035866 | A1 | 2/2006 | Cannizzaro |
| 2006/0040890 | A1 | 2/2006 | Martin |
| 2006/0040927 | A1 | 2/2006 | Blake |
| 2006/0040944 | A1 | 2/2006 | Gosselin |
| 2006/0079478 | A1 | 4/2006 | Boojamra |
| 2006/0110727 | A9 | 5/2006 | McGall |
| 2006/0122146 | A1 | 6/2006 | Chun |
| 2006/0122154 | A1 | 6/2006 | Olsen |
| 2006/0142238 | A1 | 6/2006 | McGuigan |
| 2006/0166964 | A1 | 7/2006 | Hudyma |
| 2006/0194749 | A1 | 8/2006 | Keicher |
| 2006/0199783 | A1 | 9/2006 | Wang |

| | | | |
|---|---|---|---|
| 2006/0241064 | A1 | 10/2006 | Roberts |
| 2006/0276511 | A1 | 12/2006 | Serrano-Wu |
| 2006/0293306 | A1 | 12/2006 | Beaulieu |
| 2007/0015905 | A1 | 1/2007 | LaColla |
| 2007/0037735 | A1 | 2/2007 | Gosselin |
| 2007/0037773 | A1 | 2/2007 | Sommadossi |
| 2007/0042939 | A1 | 2/2007 | LaColla |
| 2007/0042988 | A1 | 2/2007 | Klumpp |
| 2007/0042990 | A1 | 2/2007 | Gosselin |
| 2007/0049754 | A1 | 3/2007 | Boojamra |
| 2007/0060498 | A1 | 3/2007 | Gosselin |
| 2007/0060541 | A1 | 3/2007 | Gosselin |
| 2007/0087960 | A1 | 4/2007 | Storer |
| 2007/0197463 | A1 | 8/2007 | Chun |
| 2007/0225249 | A1 | 9/2007 | Shi |
| 2007/0265222 | A1 | 11/2007 | MacCoss |
| 2007/0275912 | A1 | 11/2007 | Bhat |
| 2007/0275947 | A1 | 11/2007 | Bergstrom |
| 2009/0137521 | A1 | 5/2009 | Hamilton |
| 2009/0176732 | A1 | 7/2009 | Beigelman |
| 2009/0233879 | A1 | 9/2009 | Reddy |
| 2009/0280084 | A1 | 11/2009 | Schinazi |
| 2009/0306007 | A1 | 12/2009 | Wagner |
| 2010/0029008 | A1 | 2/2010 | Rojas Stutz et al. |
| 2010/0035835 | A1 | 2/2010 | Narjes |
| 2010/0137576 | A1 | 6/2010 | Stec |
| 2010/0173863 | A1 | 7/2010 | Schinazi |
| 2010/0227801 | A1 | 9/2010 | Hopkins |

FOREIGN PATENT DOCUMENTS

| | | | |
|---|---|---|---|
| DE | 19914474 | | 10/1999 |
| EP | 180276 | | 5/1986 |
| EP | 350287 | | 1/1990 |
| EP | 1828217 | A2 | 9/2007 |
| EP | 1881001 | | 1/2008 |
| EP | 2097430 | A1 | 9/2009 |
| EP | 2124555 | A2 | 12/2009 |
| EP | 2207786 | | 7/2010 |
| JP | 5238939 | | 9/1993 |
| WO | WO 8902733 | | 4/1989 |
| WO | WO90/00555 | | 1/1990 |
| WO | WO 9116920 | | 11/1991 |
| WO | WO91/19721 | | 12/1991 |
| WO | WO 9118914 | | 12/1991 |
| WO | WO 9300910 | | 1/1993 |
| WO | WO 9426273 | | 11/1994 |
| WO | WO 9513090 | | 5/1995 |
| WO | WO95/24185 | | 9/1995 |
| WO | WO 9615132 | | 5/1996 |
| WO | WO96/32403 | | 10/1996 |
| WO | WO 97/12033 | | 4/1997 |
| WO | WO 9736554 | | 10/1997 |
| WO | WO98/16184 | | 4/1998 |
| WO | WO 9817679 | | 4/1998 |
| WO | WO 9822496 | | 5/1998 |
| WO | WO 9907734 | | 2/1999 |
| WO | WO 9915194 | | 4/1999 |
| WO | WO 9932139 | | 7/1999 |
| WO | WO 9932140 | | 7/1999 |
| WO | WO99/43691 | | 9/1999 |
| WO | WO 9959621 | | 11/1999 |
| WO | WO 9964016 | | 12/1999 |
| WO | WO00/09531 | | 2/2000 |
| WO | WO 0006529 | | 2/2000 |
| WO | WO 0009531 | | 2/2000 |
| WO | WO 0037110 | | 6/2000 |
| WO | WO 0109121 | | 2/2001 |
| WO | WO 0132153 | | 5/2001 |
| WO | WO01/60315 | | 8/2001 |
| WO | WO 0160315 | | 8/2001 |
| WO | WO01/79246 | | 10/2001 |
| WO | WO01/90121 | | 11/2001 |
| WO | WO 0181359 | | 11/2001 |
| WO | WO01/91737 | | 12/2001 |
| WO | WO01/92282 | | 12/2001 |
| WO | WO01/96353 | | 12/2001 |
| WO | WO 0208187 | | 1/2002 |
| WO | WO 0208198 | | 1/2002 |
| WO | WO 0208251 | | 1/2002 |
| WO | WO 0208256 | | 1/2002 |
| WO | WO02/18404 | | 3/2002 |
| WO | WO02/32920 | | 4/2002 |
| WO | WO 0232414 | | 4/2002 |
| WO | WO02/48165 | | 6/2002 |
| WO | WO 0248116 | | 6/2002 |
| WO | WO 0248157 | | 6/2002 |
| WO | WO 0248172 | | 6/2002 |
| WO | WO02/057287 | | 7/2002 |
| WO | WO02/057425 | | 7/2002 |
| WO | WO 02060926 | | 8/2002 |
| WO | WO02/100415 | | 12/2002 |
| WO | WO03/000713 | | 1/2003 |
| WO | WO 03006490 | | 1/2003 |
| WO | WO 03010141 | | 2/2003 |
| WO | WO 03024461 | | 3/2003 |
| WO | WO03/026589 | | 4/2003 |
| WO | WO 03026589 | | 4/2003 |
| WO | WO 03037895 | | 5/2003 |
| WO | WO 03051899 | | 6/2003 |
| WO | WO 03/053989 | | 7/2003 |
| WO | WO 03061576 | | 7/2003 |
| WO | WO 03062256 | | 7/2003 |
| WO | WO03/068244 | | 8/2003 |
| WO | WO 03064456 | | 8/2003 |
| WO | WO03/105770 | | 12/2003 |
| WO | WO03/106477 | | 12/2003 |
| WO | WO04/000858 | | 12/2003 |
| WO | WO 03101993 | | 12/2003 |
| WO | WO 03104250 | | 12/2003 |
| WO | WO2004/003000 | | 1/2004 |
| WO | WO2004/003138 | | 1/2004 |
| WO | WO2004/007512 | | 1/2004 |
| WO | WO2004/009020 | | 1/2004 |
| WO | WO 2004002422 | | 1/2004 |
| WO | WO 2004002940 | | 1/2004 |
| WO | WO 2004002944 | | 1/2004 |
| WO | WO 2004002977 | | 1/2004 |
| WO | WO 2004002999 | | 1/2004 |
| WO | WO 2004009610 | | 1/2004 |
| WO | WO2004/011478 | | 2/2004 |
| WO | WO 2004014313 | | 2/2004 |
| WO | WO 2004014852 | | 2/2004 |
| WO | WO 2004035571 | | 4/2004 |
| WO | WO 2004041201 | | 5/2004 |
| WO | WO2004/046331 | | 6/2004 |
| WO | WO 2004065367 | | 8/2004 |
| WO | WO 2004080466 | | 9/2004 |
| WO | WO2004/096286 | | 11/2004 |
| WO | WO 2004094452 | | 11/2004 |
| WO | WO 2004096210 | | 11/2004 |
| WO | WO 2004096234 | | 11/2004 |
| WO | WO 2004096235 | | 11/2004 |
| WO | WO2004/106356 | | 12/2004 |
| WO | WO2005/002626 | | 1/2005 |
| WO | WO2005/003147 | | 1/2005 |
| WO | WO2005/007810 | | 1/2005 |
| WO | WO 2005007810 | | 1/2005 |
| WO | WO2005/009418 | | 2/2005 |
| WO | WO2005/012327 | | 2/2005 |
| WO | WO2005012327 | | 2/2005 |
| WO | WO2005/020884 | | 3/2005 |
| WO | WO2005/021568 | | 3/2005 |
| WO | WO 2005028502 | | 3/2005 |
| WO | WO 2005037214 | | 4/2005 |
| WO | WO 2005067900 | | 7/2005 |
| WO | WO 2005072361 | | 8/2005 |
| WO | WO 2005082144 | | 9/2005 |
| WO | WO2005087788 | | 9/2005 |
| WO | WO 2005095403 | | 10/2005 |
| WO | WO 2005103045 | | 11/2005 |
| WO | WO 2005123087 | | 12/2005 |
| WO | WO2006/000922 | | 1/2006 |
| WO | WO2006/012078 | | 2/2006 |
| WO | WO2006/012440 | | 2/2006 |
| WO | WO 2006020082 | | 2/2006 |
| WO | WO2006/029081 | | 3/2006 |
| WO | WO2006/031725 | | 3/2006 |
| WO | WO 2006031725 | | 3/2006 |

| | | | |
|---|---|---|---|
| WO | WO2006/037028 | | 4/2006 |
| WO | WO 2006035061 | | 4/2006 |
| WO | WO2006/063149 | | 6/2006 |
| WO | WO2006/065335 | | 6/2006 |
| WO | WO2006063149 | | 6/2006 |
| WO | WO 2006063717 | A2 | 6/2006 |
| WO | WO 2006065590 | | 6/2006 |
| WO | WO 2006093801 | | 9/2006 |
| WO | WO 2006100310 | | 9/2006 |
| WO | WO 2006120251 | | 11/2006 |
| WO | WO 2006120252 | | 11/2006 |
| WO | WO2006121820 | | 11/2006 |
| WO | WO 2007002602 | | 1/2007 |
| WO | WO2007/020193 | | 2/2007 |
| WO | WO 2007014920 | | 2/2007 |
| WO | WO 2007014921 | | 2/2007 |
| WO | WO 2007014922 | | 2/2007 |
| WO | WO 2007014925 | | 2/2007 |
| WO | WO 2007014926 | | 2/2007 |
| WO | WO 2007015824 | | 2/2007 |
| WO | WO 2007027248 | | 3/2007 |
| WO | WO 2007039142 | | 4/2007 |
| WO | WO 2007039145 | | 4/2007 |
| WO | WO 2007065829 | | 6/2007 |
| WO | WO 2007070556 | | 6/2007 |
| WO | WO 2007076034 | | 7/2007 |
| WO | WO2007/095269 | | 8/2007 |
| WO | WO 2007088148 | | 8/2007 |
| WO | WO 2007092000 | | 8/2007 |
| WO | WO 2007093901 | | 8/2007 |
| WO | WO2007095269 | | 8/2007 |
| WO | WO 2008010921 | | 1/2008 |
| WO | WO 2008045419 | | 4/2008 |
| WO | WO 2008048128 | A1 | 4/2008 |
| WO | WO2008062206 | | 5/2008 |
| WO | WO2008/082601 | | 7/2008 |
| WO | WO2008079206 | | 7/2008 |
| WO | WO 2008085508 | | 7/2008 |
| WO | WO2008142055 | | 11/2008 |
| WO | WO 2009052287 | A1 | 4/2009 |
| WO | WO 2009115893 | A2 | 9/2009 |
| WO | WO 2009120878 | A2 | 10/2009 |
| WO | WO 2009129120 | A2 | 10/2009 |
| WO | WO 2009152095 | | 12/2009 |
| WO | WO 2010042834 | A1 | 4/2010 |
| WO | WO 2010075554 | | 7/2010 |
| WO | WO 2010080878 | A1 | 7/2010 |

## OTHER PUBLICATIONS

Pogam et al., "No Evidence of R7128 Drug Resistance After Up to 4 Weeks Treatment of GT1, 2 and 3 Hepatitis C Virus Infected Individuals", from 44th Annual Meeting of European Association for the Study of the Liver (EASL), Copenhagen, Denmark Apr. 22-Apr. 26, 2009.

Sofia et al., "β-D2'-Deoxy-2'-C-methyluridine Phosphoramidates: Potent and Selective Inhibitors of HCV RNA Replication", Postser #P-259, presented at the 14th International Symposium on Hepatitis C Virus and Related Viruses, Glasgow, Scotland, UK, Sep. 9-13, 2007.

Sofia, "β-D-2'-Deoxy-2'-fluoro-2'-C-methyluridine Phosphoramidates: Potent and Selective Inhibitors of HCV RNA Replication", 2nd International Workshop on HCV—Resistance and New Compounds, Oct. 31, 2007.

Sofia et al., "β-D-2'-Deoxy-2'-fluoro-2'-C-methyluridine Phosphoramidates: Potent and Selective Inhibitors of HCV RNA Replication", 2nd International Workshop on HCV, Poster #7, Oct. 31, 2007.

Sofia et al., "R7128, A Potent and Selective Nucleoside Inhibitor of HCV NS5B Polymerase: An Overview of Clinical Efficacy and Progress Toward Second Generation Inhibitors", From HCV Drug Discovery 2008, Chicago, IL, Apr. 28, 2008.

Response filed Oct. 25, 2010 at the EPO for European patent application No. EP08732818.3.

Abraham, et al. "Synthesis, Biological Activity and Decomposition Studies of Amino Acid Phosphomonoester Amidates of Acyclovir" Nucleosides, Nucleotides and Nucleic Acids, 1997, 16(10), 2079-2092.

Abraham, et al. "Synthesis and Biological Activity of Aromatic Amino Acid Phosphoramidates of 5-Fluoro-2'-deoxyuridine and 1-β-Arabinofuranosylcytosine: Evidence of Phosphoramidase Activity" Journal of Medicinal Chemistry, 1996, 39, 4569-4575.

Balzarini, et al. "Mechanism of anti-HIV action of masked alaninyl d4t-MP derivatives" PNAS, 1996, 93, 7295-7299.

Chang, et al. "Amino Acid Phosphoramidate Monoesters of 3'-Azido-3'-deoxythymidine: Relationship between Antiviral Potency and Intracellular Metabolism" Journal of Medicinal Chemistry, 2001, 44, 223-231.

Chen, et al. "In Vivo Pharmacokinetics and Metabolism of Anti-Human Immunodeficiency Virus Agent D4t-5'-[P-Bromophenyl Methoxyalaninyl Phosphate] (Sampidine) in Mice" Drug Metabolism and Disposition, 2001, 29(7), 1035-1041.

Chen, et al. "Metabolism of Stavudine-5'-[P-Bromophenyl Methoxyalaninyl Phosphate], Stampidine, in Mice, Dogs, and Cats" Drug Metabolism and Disposition, 2002, 30(12) 1523-1531.

Chou, et al. "Evidence that Human Histidine Triad Nucleotide Binding Protein 3 (Hint3) is a Distinct Branch of the Histidine Triad (HIT) Super family" Journal of Molecular Biology, 2007, 373, 978-989.

Chou, et al. "Phosphoramidate Pronucleotides: A Comparison of the Phosphoramidase Substrate Specificity of Human and *Escherichia coli* Histidine Triad Nucleotide Binding Proteins" Molecular Pharmaceutics, 2007, 4(2), 208-217.

Cihlar, et al. "Design and Profiling of GS-9148, a Novel Nucleotide Analog Active against Nucleoside-Resistant Variants of Human Immunodeficiency Virus Type 1, and Its Orally Bioavailable Phosphonoamidate Prodrug, GS-9131" Antimicrobial Agents and Chemotherapy, 2008, 52(2), 655-665.

Congiatu, et al. "Molecular Modeling Studies on the Binding of Some Protides to the Putative Human Phosphoramidase Hint1" Nucleosides, Nucleotides and Nucleic Acids, 2007, 26(8), 1121-1124.

Congiatu, et al. "Naphthyl Phosphoramidate Derivatives of BVdU as Potential Anticancer Agents: Design, Synthesis and Biological Evaluation" Nucleosides, Nucleotides, and Nucleic Acids, 2005, 24(5-7), 485-489.

Curley, et al. "Synthesis and anti-HIV evaluation of some phosphoramidate derivatives of AZT: studies on the effect of chain elongation on biological activity" Antiviral research, 1990, 14, 345-356.

D'Cruz, et al. "Stampidine: a selective oculo-genital microbicide" Journal of Antimicrobial Chemotherapy, 2005, 56, 10-19.

Drontle, et al. "Designing a Pronucleotide Stratagem: Lessons from Amino Acid Phosphoramidates of Anticancer and Antiviral Pyrimidines" MiniReviews in Medicinal Chemistry, 2004, 4, 409-419.

Egron, et al. "S-Acyl-2-thioethyl Phosphoramidate Diester Derivatives as Mononucleotide Prodrugs" Journal of Medicinal Chemistry, 2003, 46, 4564-4571.

Howes, et al. "The Regiospecific One-Pot Phosphorylation of Either the 5'- or 2'-Hydroxyl in 3'-Deoxycytidines Without Protection: Critical Role of the Base" Nucleosides, Nucleotides, and Nucleic Acids, 2003, 22 (5-8), 687-689.

Iyer, et al. "Synthesis, in Vitro Anti-Breast Cancer Activity, and Intracellular Decomposition of Amino Acid Methyl Ester and Alkyl Amide Phosphoramidate Monoesters of 3'-Azido-3'-deoxythymidine (AZT)" Journal of Medicinal Chemistry 2000, 43, 2266-2274.

Kim, et al. "Monitoring the Intracellular Metabolism of Nucleoside Phosphoramidate Pronucleotides by $^{31}$P NMR" Nucleosides, Nucleotides and Nucleic Acid, 2004, 23(1) 483-493.

Lehsten, et al. "An Improved Procedure for the Synthesis of Nucleoside Phosphoramidates" Organic Process Research and Development, 2002, 6, 819-822.

McGuigan, et al. "Aryl phosphate derivatives of AZT retain activity against HIV1 in cell lines which are resistant to the action of AZT" Antiviral Research,1992, 17, 311-321.

McGuigan, et al. "Application of Phosphoramidate ProTide Technology Significantly Improves Antiviral Potency of Carbocyclic Adenosine Derivatives" Journal of Medicinal Chemistry, 2006, 49, 7215-7726.

McGuigan, et al. "Application of Phosphoramidate Pronucleotides Technology to Abacavir Leads to a Significant Enhancement of Antiviral Potency" Journal of Medicinal Chemistry, 2005, 48, 3504-3515.
McGuigan, et al. "Aryl Phosphoramidate Derivatives of d4T Have Improved Anti-HIV Efficacy in Tissue Culture and May Act by the Generation of a Novel Intracellular Metabolite" Journal of Medicinal Chemistry, 1996, 39, 1748-1753.
McGuigan, et al. "Synthesis and anti-HIV activity of some novel chain-extended phosphoramidate derivatives of d4T (stavudine): esterase hydrolysis as a rapid predictive test for antiviral potency" Antiviral Chemistry and Chemotherapy, 1998, 9, 109-115.
McGuigan, et al. "Synthesis and evaluation of some novel phosphoramidate derivatives of 3'-azido-3'-deoxythymidine (AZT) as anti-HIV compounds" Antiviral Chemistry and Chemotherapy, 1990, 1(2), 107-113.
McIntee, et al. "Probing the Mechanism of Action and Decomposition of Amino Acid Phosphomonoester Amidates of Antiviral Nucleoside Prodrugs" Journal of Medicinal Chemistry, 1997, 40, 3323-3331.
Perrone, et al. "First Example of Phosphoramidate Approach Applied to a 4'Substituted Purine Nucleoside (4'-Azidoadenosine): Conversion of an Inactive Nucleoside to a Submicromolar Compound versus Hepatitis C Virus" Journal of Medicinal Chemistry, 2007 50, 5463-5470.
Perrone, et al. "Application of the Phosphoramidate ProTide Approach to 4'-Azidouridine Confers Sub-micromolar Potency versus Hepatitis C Virus on an Inactive Nucleoside" J. Med. Chem. 2007, 50(8), 1840-1849.
Saboulard, et al. "Characterization of the Activation Pathway of Phosphoramidate Triester Prodrugs of Stavudine and Zidovudine" American Society for Pharmacology and Experimental Therapeutics, 1999, 56, 693-704.
Schultz, et al. "Prodrugs of Biologically Active Phosphate Esters" Bioorganic and Medicinal Chemistry, 2003, 11, 885-898.
Siccardi, et al. "Stereoselective and Concentration-Dependent Polarized Epithelial Permeability of a Series of Phosphoramidate Triester Prodrugs of d4T: An in Vitro Study in Caco-2 and Madin-Darby Canine Kidney Cell Monolayers" The Journal of Pharmacology and Experimental Therapeutics, 2003, 307(3), 1112-1119.
Siccardi, et al. "Stereospecific chemical and enzymatic stability of phosphoramidate triester prodrugs of d4T in vitro" European Journal of Pharmaceutical Sciences, 2004, 22, 25-31.
Siddiqui, et al. "Design and Synthesis of Lipophilic Phosphoramidate d4T-MP Prodrugs Expressing High Potency Against HIV in Cell Culture: Structural Determinants for in Vitro Activity and QSAR" Journal of Medicinal Chemistry, 1999, 42, 4122-4128.
Siddiqui, et al. "Enhancing the Aqueous Solubility of d4T-based Phosphoramidate Prodrugs" Bioorganic and Medicinal Chemistry Letters, 2000, 10, 381-384.
Song, et al. "Pharmacokinetics of Amino Acid Phosphoramidate Monoesters of Zidovudine in Rats" Antimicrobial Agents and Chemotherapy, 2002, 46(5), 1357-1363.
Uckun, et al. "In Vivo Pharmacokinetics and Toxicity Profile of the Anti-HIV Agent Stampidine in Dogs and Feline Immunodeficiency Virus-infected Cats" Arzneim.-Forsch./Drug Research 2006, 56(2a), 176-192.
Valette, et al. "Decomposition Pathways and in Vitro HIV Inhibitory Effects of IsoddA Pronucleotides: Toward a Rational Approach for Intracellular Delivery of Nucleoside 5'-Monophosphates" Journal of Medicinal Chemistry, 1996, 39, 1981-1990.
Venkatachalam, et al. "Synthesis and metabolism of naphthyl substituted phosphoramidate derivatives of stavudine" Bioorganic and Medicinal Chemistry, 2006, 14, 5161-5177.
Venkatachalam, et. Al Rational Drug Design of Multifunctional Phosphoramidate Substituted Nucleoside Analogs Current Pharmaceutical Design, 2004, 10 (15), 1713-1726.
Wagner, et al. "Antiviral Nucleoside Drug Delivery via Amino Acid Phosphoramidates', Nucleosides, Nucleotides and Nucleic Acids" Nucleosides, Nucleotides and nucleic Acids, 1999, 18(4), 913-918.
Wu, et al. "Synthesis and Biological Activity of a Gemcitabine Phosphoramidate Prodrug" Journal of Medicinal Chemistry, 2007, 50, 3743-3746.
Gunic, "6-Hydrazinopurine 2'-methyl ribonucleosides and their 5'monophosphate prodrugs as potent hepatitis C virus inhibitors" Bioorg. & Med. Chem.Letters, vol. 17, No. 9, pp. 2456-2458, Feb. 14, 2007.
International Search Report of International PCT application No. PCT/US2008/058183 mailed Mar. 31, 2010.
International Preliminary Examination Report of International PCT application No. PCT/US2008/058183 issued Apr. 7, 2010.
Baschang et al., Angewandte Chemie, 85:1, 44-45, 1973.
Broeders et al., J. Am. Chem. Soc., 112:21, 7475-7482, 1990.
Engels et al., Chem. Ber., 110:6, 2019-2027, 1977.
Lopez Aparicio et al., "Branched-Chain Sugars, Part VII, Synthesis of Saccharinic Acid Derivatives" Carbohydrate Research, 129, 99-109, 1984.
Nelson et al., J. Am. Chem. Soc., 109:13, 4058-4064, 1987.
Written Opinion of PCT/US2004/012472 mailed Dec. 30, 2004.
International Preliminary Examination Report of PCT/US2004/012472 issued Dec. 1, 2005.
Written Opinion of PCT/US2005/025916 mailed Jun. 15, 2006.
International Preliminary Examination Report of PCT/US2005/025916 issued Jan. 23, 2007.
Supplemental European Search Report of European patent appln No. EP 05775359.2 dated Sep. 15, 2010.
Written Opinion of PCT/US2005/032406 mailed May 8, 2008.
International Preliminary Examination Report of PCT/US2005/032406 issued Mar. 10, 2009.
Written Opinion of PCT/EP2006/069060 mailed Jan. 30, 2007.
International Preliminary Examination Report of PCT/EP2006/069060 mailed Nov. 5, 2008.
Written Opinion of PCT/US2008/058183 mailed Mar. 31, 2010.
International Search Report of PCT/US2009/046619 (WO/2009/152095) mailed Sep. 23, 2010.
Partial International Search Report of PCT/US2009/069475 (WO/2010/075554) mailed Mar. 5, 2010.
Final International Report and Written Opinion of PCT/US2009/069475 mailed May 10, 2010.
Invitation to Pay Additional Fees & Partial International Search Report of PCT/US2010/035641 mailed Jul. 23, 2010.
International Search Report of PCT/US2010/035641 mailed Sep. 28, 2010.
Written Opinion of PCT/US2010/035641 mailed Sep. 28, 2010.
Gromova et al., Biochem. Biophys. Acta , 1971, 240, 1-11.
Harris et al., Antiviral Chemistry & Chemotherapy, 2002, 12, 293-300.
Juodka et al., J. Carbohydrates, Nucleosides, Nucleotides, 1979, 6(4), 333-357.
Juodka et al., J. Carbohydrates, Nucleosides, Nucleotides, 1981, 8(1), 19-39.
Juodka et al., J. Carbohydrates, Nucleosides, Nucleotides, 1981, 8(6), 519-535.
Lackey et al., Biochemical Pharmacology, 2001, 61, 179-189.
McIntee et al., Biorg. & Med. Chem. Lett., 2001, 11, 2803-2805.
Remy et al., J. Org. Chem., 1962, 27, 2491-2500.
Smirnov et al., FEBS Letters , 1975, 51(1), 211-214.
Yuodka et al., Translated from Bioorganicheskaya Khimiya, 1976, 2(11), 1513-1519.
U.S. Appl. No. 60/392,350, filed Jun. 28, 2002, Storer.
U.S. Appl. No. 60/392,351, filed Jun. 28, 2002, Gosselin.
Asif, Pharmacokinetics of the Antiviral Agent B-D-2'-Deoxy-2'-Fluoro-2'-C-Methylcytidine in Rhesus Monkeys, Antimicrobial Agents and Chemotherapy, vol. 51, No. 8, 2877-2882, Aug. 2007.
Banker, G.S., "Modern Pharmaceutics, 3ed.", Marcel Dekker, New York, 1996, pp. 451 and 596.
Battaglia, A., "Combination Therapy with Interferon and Ribavirin in the Treatment of Chronic Hepatitis C Infection," The Annals of Pharmacotherapy, vol. 34, No. 4, pp. 487-494 (Apr. 2000).
Berenguer, M., "Hepatitis C virus in the transplant setting," Antiviral Therapy. Second International Conference on Therapies for Viral Hepatitis, vol. 3, Supplement 3, pp. 125-136 (1998).
Clark, J., "Design, Synthesis, and Antiviral Activity of 2'-Deoxy-2'-fluoro-2'-C-methylcytidine, a Potent Inhibitor of Hepatitis C Virus Replication," Journal of Medicinal Chemistry, vol. 48, No. 17, pp. 5504-5508 (2005).

Davis, G. L., "Current Therapy for Chronic Hepatitis C," Gastroenterology 118: S104-S114, 2000.
Eldrup, A., "Structure Activity Relationship of 2' Modified Nucleosides for Inhibition of Hepatitis C Virus," (Oral Session V: Hepatitis C Virus, Flavaviruses), 16th International Conference on Antiviral Research, Abstract No. 119, p. A75 (Apr. 27-May 1, 2003, Savannah, GA).
Eldrup, A., "Structure-Activity Relationship of Purine Ribonucleosides for Inhibition of Hepatitis C Virus RNA-Dependent RNA Polymerase," J. Med. Chem., vol. 47, No. 9, pp. 2283-2295 (2004).
Farquhar, D., "Synthesis and Biological Evaluation of Neutral Derivatives of 5-Fluoro-2'-deoxyuridine 5'-Phosphate," J. Med. Chem., vol. 26, No. 8, pp. 1153-1158 (Aug. 1983).
Farquhar, D., "Synthesis of Biological Evaluation of 9-[5'-(2-Oxo-1,3,2-oxazaphosphorinan-2-yl)-ϕ-D-arabinosyl]adenine and 9-[5'-(2-Oxo-1,3,2-dioxaphosphorinan-2-yl)-ϕ-D-arabinosyl]adenine: Potential Neutral Precursors of 9-[ϕ-D-Arabinofuranosyl]adenine 5'-Monophosphate," J. Med. Chem., vol. 28, No. 9, pp. 1358-1361 (Sep. 1985).
Freed, J., "Evidence for acyloxymethyl esters of pyrimidine 5'-deoxyribonucleotides as extracellular sources of active 5'-deoxyribonucleotides in cultured cells," Biochemical Pharmacology, vol. 38, No. 19, pp. 3193-3198 (Oct. 1, 1989).
Hertel, "Synthesis of 2-Deoxy-2,2-difluoro-D-ribose and 2-Deoxy-2,2-difluoro-D-ribofuranosyl Nucleosides," J. Org. Chem. vol. 53, pp. 2406-2409, (1988).
Hostetler, K., "Synthesis and Antiretroviral Activity of Phospholipid Analogs of Azidothymidine and Other Antiviral Nucleosides," J. Biol. Chem., vol. 265, No. 11, pp. 6112-6117 (Apr. 15, 1990).
Hunston, R., "Synthesis and Biological Properties of Some Cyclic Phosphotriesters Derived from 2'-Deoxy-5-fluorouridine," J. Med. Chem., vol. 27, No. 4, pp. 440-444 (Apr. 1984).
International Search Report of International Application No. PCT/US05/25916 mailed Jun. 15, 2006.
Jones, R., "Minireview: Nucleotide Prodrugs," Antiviral Research, vol. 27, pp. 1-17 (1995).
Khamnei, S., "Neighboring Group Catalysis in the Design of Nucleotide Prodrugs," J. Med. Chem., vol. 39, No. 20, pp. 4109-4115 (1996).
Kotra, L.P., "Structure-Activity Relationships of 2'-Deoxy-2',2'-difluoro-L-erythro-pentofuranosyl Nucleosides," J. Med. Chem., vol. 40, pp. 3635-3644, (1997).
Kryuchkov, A., "Influence of Solvent on the Strength of Cyclic Oxygen-Containing Phosphorus Acids," Bulletin of the Academy of Sciences of the USSR. Division of Chemical Science, vol. 36, No. 6, Part 1, pp. 1145-1148 (1987).
Li, N.S. and Piccirilli, J., "Synthesis of the Phosphoramidite Derivative of 2'-Deoxy-2'-C-β-methylcytidine", J. Org. Chem., 2003, 68, 6799-6802.
Ma, "Characterization of the Metabolic Activation of Hepatitis C Virus Nucleoside Inhibitor B-D-2'-Deoxy-2-Fluro-2'-C-Methylcytidine (PSI-6130) and Identification of a Novel Active 5'-Triphosphate Species," The Journal of Biological Chemistry, vol. 282, No. 41, 29812-29820, Oct. 12, 2007.
Mitchell, A., "Bioreversible Protection for the Phospho Group: Bioactivation of the Di(4-acyloxybenzyl) and Mono(4-acyloxybenzyl) Phosphoesters of Methylphosphonate and Phosphonoacetate," Journal of the Chemical Society, Perkin Transactions 1, No. 18, pp. 2345-2353 (Sep. 21, 1992).
Olsen, D., "2'-Modified Nucleoside Analogs as Inhibitors of Hepatitis C RNA Replication," (Oral Session V: Hepatitis C Virus, Flaviviruses), 16th International Conference on Antiviral Research, Abstract No. 121, p. A76 (Apr. 27-May 1, 2003, Savannah, GA)).
Otto, "Evaluation of Nucleoside Analogs in the Hepatitis C Virus Replicon System," Framing the Knowledge of Therapeutics for Viral Hepatitis Ed. By RF Schinazi and ER Schiff., 247-261, 2006.
Piantadosi, C., "Synthesis and Evaluation of Novel Ether Lipid Nucleoside Conjugates for Anti-HIV-1 Activity,", J. Med. Chem., vol. 34, No. 4, pp. 1408-1414 (1991).
Pierra, C., "Synthesis and Pharmacokinetics of Valopicitabine (NM283), an Efficient Prodrug of the Potent Anti-HCV Agent 2'-C-Methylcytidine," J. Med. Chem. 2006 49(22):6614-6620.
Starrett, Jr., J., "Synthesis, Oral Bioavailability Determination, and in Vitro Evaluation of Prodrugs of the Antiviral Agent 9-[2-(Phosphonomethoxy)ethyl]adenine (PMEA)," J. Med. Chem., vol. 37, No. 12, pp. 1857-1864 (1994).
Stuyver, "Inhibition of hepatitis C replicon RNA synthesis by B-D-2'-deoxy-2'-fluoro-2'-C-methlcytidine: a specific inhibitor of hepatitis C virus replication," Antiviral Chemistry & Chemotherapy 2006, 17:79-87, 2006.
Stuyver, L., "Dynamics of Subgenomic Hepatitis C Virus Replicon RNA Levels in Huh-7 Cells after Exposure to Nucleoside Antimetabolites," Journal of Virology, vol. 77, No. 19, pp. 10689-10694 (Oct. 2003).
Stuyver, L., "Ribonucleoside Analogue that Blocks Replication of Bovine Viral Diarrhea and Hepatitis C Viruses in Culture," Antimicrob. Agents Chemother., vol. 47, No. 1, pp. 244-254 (Jan. 2003).
Wolff, Mandred E. "Burger's Medicinal Chemistry, 5ed, Part I", John Wiley & Sons, 1995, pp. 975-977.
Zon, G., "4 Cyclophosphoamide Analogues," Progress in Medicinal Chemistry, vol. 19, pp. 205-246 (1982).
Bhat, B., "Synthesis and Pharmacokinetic Properties of Nucleoside Analogues as Possible Inhibitors of HCV RNA Replication," (Oral Session V: Hepatitis C Virus, Flaviviruses), 16th International Conference on Antiviral Research, Abstract No. 120, p. A75 (Apr. 27-May 1, 2003, Savannah, GA).
Chu, M., Bioorganic & Medicinal Chemistry Letters, vol. 9, 1949-1952, 1999.
Chu, M., Tetrahedron Letters, vol. 37, No. 40, 7229-7232, 1996.
De Lombaert, S., J. Med. Chem., vol. 37, No. 4, 498-511, 1994.
Edmundson, J. Chem. Res. Synop., 1989, 5:122-123.
Goekjian, Journal of Organic Chemistry, 1999, 64 (12) 4238-4246.
Hernandez, Journal of Organic Chemistry, 2004, 69 (24), 8437-8444.
Hostetler, K., Antimicrob. Agents Chemother., vol. 36, No. 9, 2025-2029, 1992.
Kucera, L., AIDS Research and Human Retroviruses, vol. 6, No. 4, 491-501, 1990.
Meier, C., Bioorganic & Medicinal Chemistry Letters, vol. 7, No. 2, 99-104, 1997.
Neidlein, R., Heterocycles, vol. 35, No. 2, 1185-1203, 1993.
Nifantyev, E., Phosphorus, Sulfur, and Silicon and the Related Elements, vol. 113, 1-13, 1996.
Novak, J.J.K., Collection of Czechoslovak Chemical Communications, vol. 39, 869-882, 1974.
Novak, J.J.K., Collection of Czechoslovak Chemical Communications, vol. 36, 3670-3677, 1971.
Oishi, Tetrahedron Letters, 1993, 34 (22), 3573-3576.
Shih, Y., Bull. Inst. Chem., Academia Sinica, 41:9-16, 1994.
Stella, "Prodrugs as Therapeutics", Expert Opinion Ther. Patents, 2004, 14:3, 277-280.
Sun Xiao-Ling and Wu Yu-Lin, "Study on the Chirality of Sulfur in Ethyl (2S, 3R,4R)-4,5-O-Isopropylidene-2,3-sulfinyl-2,3,4,5-tetrahydroxy-pentanoate", Acta Chimica Sinica, 1997, vol. 55, 600-604.
Sun Xiao-Ling and Wu Yu-Lin, "The Synthesis of (2s,3R)-Sphingosine from D-Mannitol", Acta Chimica Sinica, 1996, vol. 54, 826-832.
International Search Report for International Application No. PCT/US2004/012472 (WO2005/003147) mailed Dec. 30, 2004.
International Search Report for International Application No. PCT/US2005/025916 (WO2006/012440) mailed Jun. 15, 2006.
International Search Report for International Application No. PCT/EP2006/069060 (WO2007/065829) mailed Jan. 30, 2007.
International Search Report for International Application No. PCT/US2005/032406 (WO2006/031725) mailed May 8, 2008.
Bartenschlager, J. Virol., 1993, 67, 3835-3844.
Bartenschlager, J. Virol., 1994, 68, 5045-5055.
Bazan and Fletterick ,Virology, 1989, 171, 637-639.
Beaulieu, P. L., Current Opinion in Investigational Drugs, 2004, 5, 838-850.
Behrens, EMBO, 1996, 15, 12-22.
Calisher, J. Gen. Virol, 1989, 70, 37-43.
Carrol, S., Infectious Disorders—Drug Targets, 2006, 6, 17-29.
Eckart, Biochem. Biophys. Res. Comm. 1993, 192, 399-406.

Failla, J. Virol., 1994, 68, 3753-3760.
Fields Virology, Editors: Fields, B. N., Knipe, D. M., and Howley, P. M., Lippincott—Raven Publishers, Philadelphia, PA, 1996, Chapter 31, 931-959.
Gorbalenya, Nature, 1988, 333, 22.
Gorbalenya, Nucleic Acid Res.,1989, 17, 3889-3897.
Grakoui, J. Virol. 1993, 67, 2832-2843.
Grakoui, Proc. Natl. Acad Sci. USA 1993, 90, 10583-10587.
Griffith, R.C., Annual Reports in Medicinal Chemistry, 2004, 39, 223-237.
Halstead, S. B., Rev. Infect. Dis., 1984, 6, 251-264.
Halstead, S. B., Science, 1988, 239, 476-481.
Hijikata, J. Virol. 1993, 67, 4665-4675.
Jin and Peterson, Arch. Biochem. Biophys., 1995, 323, 47-53.
Kim et al., Biochem. Biophys. Res. Comm., 1995, 215, 160-166.
Koonin, E.V. and Dolja, V.V., Crir. Rev. Biochem. Molec. Biol. 1993, 28, 375-430.
Lochmann, J. Virol., 1997, 71, 8416-8428.
Meyers, G. and Thiel, H.J., Advances in Virus Research, 1996, 47, 53-118.
Moennig V., Adv. Vir. Res. 1992, 41, 53-98.
Monath, T. P., New Eng. J. Med, 1988, 319, 641-643.
Ni, Z-J., Current Opinion in Drug Discovery and Development, 2004, 7, 446-459.
Tan, S.-L., Nature Rev. Drug Discov., 2002, 1, 867-881.
Tome, J. Virol., 1993, 67, 4017-4026.
Walker, M.P., Exp. Opin. Investigational Drugs, 2003, 12, 1269-1280.
Warrener and Collett, J. Virol. 1995, 69, 1720-1726.
Wiskerchen and Collett, Virology, 1991, 184, 341-350.
Wu, J., Current Drug Targets—Infectious Disorders, 2003, 3, 207-219.
Xu et al., J. Virol., 1997, 71:5312-5322.
Yuan, Biochem. Biophys. Res. Comm. 1997, 232, 231-235.
Zhong, J. Virol., 1998, 72, 9365-9369.
Aquaro, et al. Antimicrobial Agents and Chemotherapy, 2000, 1, 173-177.
Chapman, et al. Nucleotides, Nucleosides and Nucleic Acids, 2001, 20(4-7), 1085-1090.
Chapman, et al. Nuecleotides, Nucleosides and Nucleic Acids, 2001, 20(4-7), 621-628.
Eisenberg, et al. Nucleosides, Nucleotides & Nucleic Acids, 2001, 20(4-7), 1091-1098.
Lee, et al Antimicrobial Agents and Chemotherapy, 2005, 49(5), 1898-1906.
McGuigan, et al. Antiviral Chemistry and Chemotherapy 1998, 9, 473-479.
Murakami et al. Antimicrobial Agents and Chemotherapy (Feb. 2008), 52 (2), 458-464.
Murakami, et al. Antiviral Chemistry & Chemotherapy, 2007, 51(2), 503-509.
Ray, et al. Antimicrobial Agents and Chemotherapy, 2008, 52(2), 648-654.
Stuyver, L.J. et al. Antiviral Chemistry & Chemotherapy, 2004, 48(2), 651-654.
U.S. Appl. No. 10/828,753 Non-Final Rejection mailed Mar. 30, 2007.
U.S. Appl. No. 10/828,753 Final Rejection mailed Feb. 26, 2008.
U.S. Appl. No. 11/225,425 Non-Final Rejection mailed Nov. 13, 2008.
U.S. Appl. No. 11/225,425 Non-Final Rejection mailed Jul. 7, 2009.
U.S. Appl. No. 11/225,425 pending claims filed Oct. 2, 2009.
U.S. Appl. No. 11/225,425 Final Rejection mailed Feb. 18, 2010.
U.S. Appl. No. 11/353,597 Non-Final Rejection mailed Oct. 2, 2007.
U.S. Appl. No. 11/353,597 Non-Final Rejection mailed Jul. 17, 2008.
U.S. Appl. No. 11/353,597 Final Rejection mailed Dec. 2, 2008.
U.S. Appl. No. 11/635,898 Non-Final Rejection mailed Jul. 28, 2009.
U.S. Appl. No. 11/635,898 pending claims filed Dec. 24, 2009.
U.S. Appl. No. 11/854,218 pending claims filed Sep. 12, 2007.
U.S. Appl. No. 11/854,218 Non-Final Rejection mailed Oct. 1, 2009.
U.S. Appl. No. 12/142,536 pending claims filed Jun. 19, 2008.
U.S. Appl. No. 12/142,536 Non-Final Rejection mailed Oct. 2, 2009.
U.S. Appl. No. 12/142,554 Non-Final Rejection mailed Oct. 1, 2009.
U.S. Appl. No. 12/142,554 pending claims filed Dec. 17, 2009.
U.S. Appl. No. 12/240,342 pending claims filed Sep. 29, 2008.
U.S. Appl. No. 12/240,342 Non-Final Rejection mailed Oct. 1, 2009.
U.S. Appl. No. 12/479,075, filed Jun. 5, 2009.
U.S. Appl. No. 12/553,483 Non-Final Rejection mailed Dec. 17, 2009.
U.S. Appl. No. 12/553,483 pending claims filed Feb. 22, 2010.
U.S. Appl. No. 12/645,710, filed Dec. 23, 2009.
U.S. Appl. No. 12/645,765, filed Dec. 23, 2009.
U.S. Appl. No. 12/645,821, filed Dec. 23, 2009.

* cited by examiner

# NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

## FIELD OF INVENTION

The present invention pertains to nucleoside phosphoramidates and their use as agents for treating viral diseases. These compounds are inhibitors of RNA-dependent RNA viral replication and are useful as inhibitors of HCV NS5B polymerase, as inhibitors of HCV replication and for treatment of hepatitis C infection in mammals. The invention provides novel chemical compounds, and the use of these compounds alone or in combination with other antiviral agents for treating HCV infection.

## BACKGROUND

Hepatitis C virus (HCV) infection is a major health problem that leads to chronic liver disease, such as cirrhosis and hepatocellular carcinoma, in a substantial number of infected individuals, estimated to be 2-15% of the world's population. There are an estimated 4.5 million infected people in the United States alone, according to the U.S. Center for Disease Control. According to the World Health Organization, there are more than 200 million infected individuals worldwide, with at least 3 to 4 million people being infected each year. Once infected, about 20% of people clear the vims, but the rest can harbor HCV the rest of their lives. Ten to twenty percent of chronically infected individuals eventually develop liver-destroying cirrhosis or cancer. The viral disease is transmitted parenterally by contaminated blood and blood products, contaminated needles, or sexually and vertically from infected mothers or carrier mothers to their offspring. Current treatments for HCV infection, which are restricted to immunotherapy with recombinant interferon-α alone or in combination with the nucleoside analog ribavirin, are of limited clinical benefit. Moreover, there is no established vaccine for HCV. Consequently, there is an urgent need for improved therapeutic agents that effectively combat chronic HCV infection.

The HCV virion is an enveloped positive-strand RNA virus with a single oligoribonucleotide genomic sequence of about 9600 bases which encodes a polyprotein of about 3,010 amino acids. The protein products of the HCV gene consist of the structural proteins C, E1, and E2, and the non-structural proteins NS2, NS3, NS4A and NS4B, and NS5A and NS5B. The nonstructural (NS) proteins are believed to provide the catalytic machinery for viral replication. The NS3 protease releases NS5B, the RNA-dependent RNA polymerase from the polyprotein chain. HCV NS5B polymerase is required for the synthesis of a double-stranded RNA from a single-stranded viral RNA that serves as a template in the replication cycle of HCV. Therefore, NS5B polymerase is considered to be an essential component in the HCV replication complex (K. Ishi, et al. *Heptology,* 1999, 29: 1227-1235; V. Lohmann, et al., *Virology,* 1998, 249: 108-118). Inhibition of HCV NS5B polymerase prevents formation of the double-stranded HCV RNA and therefore constitutes an attractive approach to the development of HCV-specific antiviral therapies.

HCV belongs to a much larger family of viruses that share many common features.

Flaviviridae Viruses

The Flaviviridae family of viruses comprises at least three distinct genera: *pestiviruses*, which cause disease in cattle and pigs; *flavivruses*, which are the primary cause of diseases such as dengue fever and yellow fever; and *hepaciviruses*, whose sole member is HCV. The *flavivirus* genus includes more than 68 members separated into groups on the basis of serological relatedness (Calisher et al., *J. Gen. Virol,* 1993, 70,37-43). Clinical symptoms vary and include fever, encephalitis and hemorrhagic fever (*Fields Virology*, Editors: Fields, B. N., Knipe, D. M., and Howley, P. M., Lippincott-Raven Publishers, Philadelphia, Pa., 1996, Chapter 31, 931-959). Flaviviruses of global concern that are associated with human disease include the Dengue Hemorrhagic Fever viruses (DHF), yellow fever virus, shock syndrome and Japanese encephalitis virus (Halstead, S. B., *Rev. Infect. Dis.,* 1984, 6, 251-264; Halstead, S. B., *Science,* 239:476-481, 1988; Monath, T. P., *New Eng. J. Med,* 1988, 319, 64 1-643).



The pestivirus genus includes bovine viral diarrhea virus (BVDV), classical swine fever virus (CSFV, also called hog cholera virus) and border disease virus (BDV) of sheep (Moennig, V. et al. *Adv. Vir. Res.* 1992, 41, 53-98). Pestivirus infections of domesticated livestock (cattle, pigs and sheep) cause significant economic losses worldwide. BVDV causes mucosal disease in cattle and is of significant economic importance to the livestock industry (Meyers, G. and Thiel, H. J., Advances in Virus Research, 1996, 47, 53-118; Moennig V., et al, Adv. Vir. Res. 1992, 41, 53-98). Human *pestiviruses* have not been as extensively characterized as the animal *pestiviruses*. However, serological surveys indicate considerable pestivirus exposure in humans.

Pestiviruses and *hepaciviruses* are closely related virus groups within the Flaviviridae family. Other closely related viruses in this family include the GB virus A, GB virus A-like agents, GB virus-B and GB virus-C (also called hepatitis G virus, HGV). The hepacivirus group (hepatitis C virus; HCV) consists of a number of closely related but genotypically distinguishable viruses that infect humans. There are at least 6 HCV genotypes and more than 50 subtypes. Due to the similarities between *pestiviruses* and *hepaciviruses*, combined with the poor ability of *hepaciviruses* to grow efficiently in cell culture, bovine viral diarrhea virus (BVDV) is often used as a surrogate to study the HCV virus.

The genetic organization of *pestiviruses* and *hepaciviruses* is very similar. These positive stranded RNA viruses possess a single large open reading frame (ORF) encoding all the viral proteins necessary for virus replication. These proteins are expressed as a polyprotein that is co- and post-translationally processed by both cellular and virus-encoded proteinases to yield the mature viral proteins. The viral proteins responsible for the replication of the viral genome RNA are located within approximately the carboxy-terminal. Two-thirds of the ORF are termed nonstructural (NS) proteins. The genetic organization and polyprotein processing of the nonstructural protein portion of the ORF for *pestiviruses* and *hepaciviruses* is very similar. For both the *pestiviruses* and *hepaciviruses*, the mature nonstructural (NS) proteins, in sequential order from the amino-terminus of the nonstructural protein coding region to the carboxy-terminus of the ORF, consist of p7, NS2, NS3, NS4A, NS4B, NS5A, and NS5B.

The NS proteins of *pestiviruses* and *hepaciviruses* share sequence domains that are characteristic of specific protein functions. For example, the NS3 proteins of viruses in both groups possess amino acid sequence motifs characteristic of serine proteinases and of helicases (Gorbalenya et al., *Nature,* 1988, 333, 22; Bazan and Fletterick *Virology,* 1989,171,637-639; Gorbalenya et al., *Nucleic Acid Res.,* 1989, 17, 3889-3897). Similarly, the NS5B proteins of *pestiviruses* and *hepaciviruses* have the motifs characteristic of RNA-directed RNA polymerases (Koonin, E. V. and Dolja, V. V., *Crir. Rev. Biochem. Molec. Biol.* 1993, 28, 375-430).

The actual roles and functions of the NS proteins of *pestiviruses* and *hepaciviruses* in the lifecycle of the viruses are

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Exhibit 1005 Page 8 of 255

directly analogous. In both cases, the NS3 serine proteinase is responsible for all proteolytic processing of polyprotein precursors downstream of its position in the ORF (Wiskerchen and Collett, *Virology,* 1991, 184, 341-350; Bartenschlager et al., *J. Virol.* 1993, 67, 3835-3844; Eckart et al. *Biochem. Biophys. Res. Comm.* 1993,192, 399406; Grakoui et al., *J. Virol.* 1993, 67, 2832-2843; Grakoui et al., *Proc. Natl. Acad. Sci. USA* 1993, 90, 10583-10587; Hijikata et al., *J. Virol.* 1993, 67,4665-4675; Tome et al., *J. Virol.,* 1993, 67, 4017-4026). The NS4A protein, in both cases, acts as a cofactor with the NS3 serine protease (Bartenschlager et al., *J. Virol.* 1994, 68, 5045-5055;, Failla et al., *J. Virol.* 1994, 68, 3753-3760; Xu et al., *J. Virol.,* 1997, 71:53 12-5322). The NS3 protein of both viruses also functions as a helicase (Kim et al., *Biochem. Biophys. Res Comm.,* 1995, 215, 160-166; Jin and Peterson, *Arch. Biochem. Biophys.,* 1995, 323, 47-53; Warrener and Collett, *J. Virol.* 1995, 69,1720-1726). Finally, the NS5B proteins of *pestiviruses* and *hepaciviruses* have the predicted RNA-directed RNA polymerases activity (Behrens et al., EMBO, 1996, 15, 12-22; Lechmann et al., *J. Virol.,* 1997, 71, 8416-8428; Yuan et al., *Biochem. Biophys. Res. Comm.* 1997, 232, 231-235; Hagedorn, PCT WO 97/12033; Zhong et al, *J. Virol.,* 1998, 72, 9365-9369).

Currently, there are limited treatment options for individuals infected with hepatitis C virus. The current approved therapeutic option is the use of immunotherapy with recombinant interferon-α alone or in combination with the nucleoside analog ribavirin. This therapy is limited in its clinical effectiveness and only 50% of treated patients respond to therapy. Therefore, there is significant need for more effective and novel therapies to address the unmet medical need posed by HCV infection.

A number of potential molecular targets for drug development of direct acting antivirals as anti-HCV therapeutics have now been identified including, but not limited to, the NS2-NS3 autoprotease, the N3 protease, the N3 helicase and the NS5B polymerase. The RNA-dependent RNA polymerase is absolutely essential for replication of the single-stranded, positive sense, RNA genome and this enzyme has elicited significant interest among medicinal chemists.

Inhibitors of HCV NS5B as potential therapies for HCV infection have been reviewed: Tan, S.-L., et al., *Nature. Rev. Drug Discov.,* 2002, 1, 867-881; Walker, M. P. et al., Exp. Opin. Investigational Drugs 2003, 12, 1269-12807 Ni, Z-J., et al., *Current Opinion in Drug Discovery and Development,* 2004, 7, 446-459; Beaulieu, P. L., et al., Current Opinion in Investigational Drugs, 2004, 5, 838-850; Wu, J., et al., *Current Drug Targets-Infectious Disorders,* 2003, 3, 207-219; Griffith, R. C., et al, *Annual Reports in Medicinal Chemistry,* 2004, 39, 223-237; Carrol, S., et al., *Infectious Disorders-Drug Targets,* 2006, 6, 17-29. The potential for the emergence of resistant HCV strains and the need to identify agents with broad genotype coverage supports the need for continuing efforts to identify novel and more effective nucleosides as HCV NS5B inhibitors.

Nucleoside inhibitors of NS5B polymerase can act either as a non-natural substrate that results in chain termination or as a competitive inhibitor which competes with nucleotide binding to the polymerase. To function as a chain terminator the nucleoside analog must be taken up by the cell and converted in vivo to a triphosphate to compete for the polymerase nucleotide binding site. This conversion to the triphosphate is commonly mediated by cellular kinases which imparts additional structural requirements on a potential nucleoside polymerase inhibitor. Unfortunately, this limits the direct evaluation of nucleosides as inhibitors of HCV replication to cell-based assays capable of in situ phosphorylation.

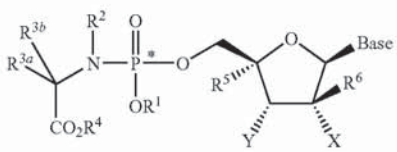
In some cases, the biological activity of a nucleoside is hampered by its poor substrate characteristics for one or more of the kinases needed to convert it to the active triphosphate form. Formation of the monophosphate by a nucleoside kinase is generally viewed as the rate limiting step of the three phosphorylation events. To circumvent the need for the initial phosphorylation step in the metabolism of a nucleoside to the active triphosphate analog, the preparation of stable phosphate prodrugs has been reported. Nucleoside phosphoramidate prodrugs have been shown to be precursors of the active nucleoside triphosphate and to inhibit viral replication when administered to viral infected whole cells (McGuigan, C., et al., *J. Med. Chem.* 1996, 39, 1748-1753; Valette, G., et al., *J. Med. Chem.,* 1996, 39, 1981-1990; Balzarini, J., et al., *Proc. National Acad Sci USA,* 1996, 93, 7295-7299; Siddiqui, A. Q., et al., *J Med. Chem.,* 1999, 42, 4122-4128; Eisenberg, E. J., et al., *Nucleosides, Nucleotides and Nucleic Acids,* 2001, 20, 1091-1098; Lee, W. A., et al , *Antimicorbial Agents and Chemotherapy,* 2005, 49, 1898); US 2006/0241064; and WO 2007/095269.

Also limiting the utility of nucleosides as viable therapeutic agents is their sometimes poor physicochemical and pharmacokinetic properties. These poor properties can limit the intestinal absorption of an agent and limit uptake into the target tissue or cell. To improve on their properties prodrugs of nucleosides have been employed. It has been demonstrated that preparation of nucleoside phosphoramidates improves the systemic absorption of a nucleoside and furthermore, the phosphoramidate moiety of these "pronucleotides" is masked with neutral lipophilic groups to obtain a suitable partition coefficient to optimize uptake and transport into the cell dramatically enhancing the intracellular concentration of the nucleoside monophosphate analog relative to administering the parent nucleoside alone. Enzyme-mediated hydrolysis of the phosphate ester moiety produces a nucleoside monophosphate wherein the rate limiting initial phosphorylation is unnecessary.

## SUMMARY OF THE INVENTION

The present invention is directed toward novel phosphoramidate prodrugs of nucleoside derivatives for the treatment of viral infections in mammals, which is a compound, its stereoisomers, salts (acid or basic addition salts), hydrates, solvates, or crystalline forms thereof, represented by the following structure:

I




wherein

(a) $R^1$ is hydrogen, n-alkyl, branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, $—N(R^{1'})_2$, $C_{1-6}$ acylamino, $—NHSO_2C_{1-6}$ alkyl, $—SO_2N(R^{1'})_2$, $COR^{1''}$, and $—SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is $—OR^1$ or $—N(R^{1'})_2$);

Atea Pharmaceuticals, Inc.
Exhibit 1005 Page 9 of 255

(b) $R^2$ is hydrogen, $C_{1-10}$ alkyl, $R^{3a}$ or $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and $R^1$, $R^{3a}$, and $R^{3b}$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, $—(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, $—CH_2SH$, $—(CH_2)_2S(O)(Me$, $—(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $—(CH_2)_cCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are $(CH_2)_r$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $—N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—C_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $—CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or $—N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $—(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a purine base, $R^5$ cannot be H;

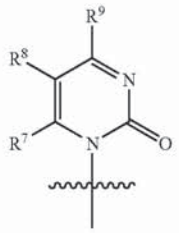
(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, halogen, $NH_2$, or $N_3$;

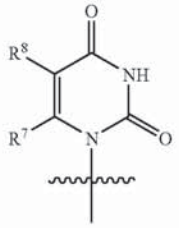
(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(CO_{1-4}$alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:

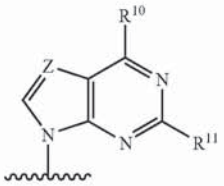
a



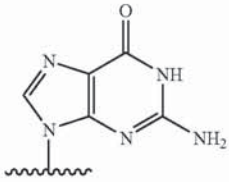
b



c



d



wherein

Z is N or $CR^{12}$;

$R^7$, $R^8$,$R^9$,$R^{10}$, and $R^{11}$ are independently H, F, Cl, Br, I, OH, OR', SH, SR', $NH_2$, NHR', $NR'_2$, lower alkyl of $C_1$-$C_6$ halogenated (F, Cl, Br, I) lower alkyl of $C_1$-$C_6$, lower alkenyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkenyl of $C_2$-$C_6$, lower alkynyl of $C_2$-$C_6$ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of $C_2$-$C_6$, lower alkoxy of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkoxy of $C_1$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$,

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted $C_{1-20}$ alkyl, an optionally substituted $C_{1-10}$ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of $C_2$-$C_6$, an optionally substituted lower alkenyl of $C_2$-$C_6$, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, $C(O)(C_{1-20}$ alkyl), $C(O)(C_{1-10}$ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

$R^{12}$ is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', $NH_2$, NHR', $NR'_2$, $NO_2$ lower alkyl of $C_1$-$C_6$, halogenated (F,

Cl, Br, I) lower alkyl of $C_1$-$C_6$, lower alkenyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkenyl of $C_2$-$C_6$, lower alkynyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkynyl of $C_2$-$C_6$, lower alkoxy of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkoxy of $C_1$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, $CONHR'$, $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$; with the proviso that when base is represented by the structure c with $R^{11}$ being hydrogen, $R^{12}$ is not a: (i) —C≡C—H, (ii) —C=$CH_2$, or (iii) —$NO_2$.

## DEFINITIONS

The phrase "a" or "an" entity as used herein refers to one or more of that entity; for example, a compound refers to one or more compounds or at least one compound. As such, the terms "a" (or "an"), "one or more", and "at least one" can be used interchangeably herein.

The phrase "as defined herein above" refers to the first definition provided in the Summary of the Invention.

The terms "optional" or "optionally" as used herein means that a subsequently described event or circumstance may but need not occur, and that the description includes instances where the event or circumstance occurs and instances in which it does not. For example, "optional bond" means that the bond may or may not be present, and that the description includes single, double, or triple bonds.

The term "independently" is used herein to indicate that a variable is applied in any one instance without regard to the presence or absence of a variable having that same or a different definition within the same compound. Thus, in a compound in which R appears twice and is defined as "independently carbon or nitrogen", both R's can be carbon, both R's can be nitrogen, or one R' can be carbon and the other nitrogen.

The term "alkenyl" refers to an unsubstituted hydrocarbon chain radical having from 2 to 10 carbon atoms having one or two olefinic double bonds, preferably one olefinic double bond. The term "$C_{2\text{-}N}$ alkenyl" refers to an alkenyl comprising 2 to N carbon atoms, where N is an integer having the following values: 3, 4, 5, 6, 7, 8, 9, or 10. The term "$C_{2\text{-}10}$ alkenyl" refers to an alkenyl comprising 2 to 10 carbon atoms. The term "$C_{2\text{-}4}$ alkenyl" refers to an alkenyl comprising 2 to 4 carbon atoms. Examples include, but are not limited to, vinyl, 1-propenyl, 2-propenyl(allyl) or 2-butenyl(crotyl).

The term "halogenated alkenyl" refers to an alkenyl comprising at least one of F, Cl, Br, and I.

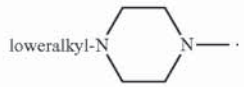
The term "alkyl" refers to an unbranched or branched chain, saturated, monovalent hydrocarbon residue containing 1 to 30 carbon atoms. The term "$C_{1\text{-}M}$ alkyl" refers to an alkyl comprising 1 to M carbon atoms, where M is an integer having the following values, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, or 30. The term "$C_{1\text{-}4}$ alkyl" refers to an alkyl containing 1 to 4 carbon atoms. The term "lower alkyl" denotes a straight or branched chain hydrocarbon residue comprising 1 to 6 carbon atoms. "$C_{1\text{-}20}$ alkyl" as used herein refers to an alkyl comprising 1 to 20 carbon atoms. "$C_{1\text{-}10}$ alkyl" as used herein refers to an alkyl comprising 1 to 10 carbons. Examples of alkyl groups include, but are not limited to, lower alkyl groups include methyl, ethyl, propyl, i-propyl, n-butyl, i-butyl, t-butyl or pentyl, isopentyl, neopentyl, hexyl, heptyl, and octyl. The term (ar)alkyl or (heteroaryl)alkyl indicate the alkyl group is optionally substituted by an aryl or a heteroaryl group respectively.

The term "cycloalkyl" refers to an unsubstituted or substituted carbocycle, in which the carbocycle contains 3 to 10 carbon atoms; preferably 3 to 8 carbon atoms; more preferably 3 to 6 carbon atoms (i.e., lower cycloalkyls). Examples of cycloalkyl groups include, but are not limited to, cyclopropyl, 2-methyl-cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

The term "cycloalkyl alkyl" refers to an additionally unsubstituted or substituted alkyl substituted by a lower cycloalkyl. Examples of cycloalkyl alkyls include, but are not limited to, any one of methyl, ethyl, propyl, i-propyl, n-butyl, i-butyl, t-butyl or pentyl, isopentyl, neopentyl, hexyl, heptyl, and octyl that is substituted with cyclopropyl, 2-methyl-cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

The term "cycloheteroalkyl" refers to an unsubstituted or substituted heterocycle, in which the heterocycle contains 2 to 9 carbon atoms; preferably 2 to 7 carbon atoms; more preferably 2 to 5 carbon atoms. Examples of cycloheteroalkyls include, but are not limited to, aziridin-2-yl, N—$C_{1\text{-}3}$-alkyl-aziridin-2-yl, azetidinyl, N—$C_{1\text{-}3}$-alkyl-azetidin-m'-yl, pyrrolidin-m'-yl, N—$C_{1\text{-}3}$-alkyl-pyrrolidin-m'-yl, piperidin-m'-yl, and N—$C_{1\text{-}3}$-alkyl-piperidin-m'-yl, where m' is 2, 3, or 4 depending on the cycloheteroalkyl. Specific examples of N—$C_{1\text{-}3}$-alkyl-cycloheteroalkyls include, but are not limited to, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-2-yl, N-methyl-piperidin-3-yl, and N-methyl-piperidin-4-yl. In the instance of $R^4$, the point of attachment between the cycloheteroalkyl ring carbon and the oxygen occurs at any one of m'

The term "heterocycle" refers to an unsubstituted or substituted heterocycle containing carbon, hydrogen, and at least one of N, O, and S, where the C and N can be trivalent or tetravalent, i.e., $sp^2$- or $sp^3$-hybridized. Examples of heterocycles include, but are not limited to, aziridine, azetidine, pyrrolidine, piperidine, imidazole, oxazole, piperazine, etc. In the instance of piperazine, as related to $R^{10}$ for $NR'_2$, the corresponding opposite nitrogen atom of the piperazinyl is substituted by a lower alkyl represented by the following structure:




Preferably, the opposite nitrogen of the piperazinyl is substituted by a methyl group.

The term "halogenated alkyl" (or "haloalkyl") refers to an unbranched or branched chain alkyl comprising at least one of F, Cl, Br, and I. The term "$C_{1\text{-}M}$ haloalkyl" refers to an alkyl comprising 1 to M carbon atoms that comprises at least one of A, Cl, Br, and I, where M is an integer having the following values: 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, or 30. "$C_{1\text{-}3}$ haloalkyl" refers to a haloalkyl comprising 1 to 3 carbons and at least one of F, Cl, Br, and I. The term "halogenated lower alkyl" (or "lower haloalkyl") refers to a haloalkyl comprising 1 to 6 carbon atoms and at least one of F, Cl, Br, and I. Examples include, but are not limited to, fluoromethyl, chloromethyl, bromomethyl, iodomethyl, difluoromethyl, dichloromethyl, dibromomethyl, diiodomethyl, trifluoromethyl, trichloromethyl, tribromomethyl, triiodomethyl, 1-fluoroethyl, 1-chloroethyl, 1-bromoethyl, 1-iodoethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2-dichloroethyl, 2,2-dibromomethyl, 2-2-diiodomethyl, 3-fluoropropyl, 3-chloropropyl, 3-bromopropyl, 2,2,2-trifluoroethyl or 1,1,2,2,2-pentafluoroethyl.

The tern "alkynyl" refers to an unbranched or branched hydrocarbon chain radical having from 2 to 10 carbon atoms, preferably 2 to 5 carbon atoms, and having one triple bond. The term "$C_{2-N}$ alkynyl" refers to an alkynyl comprising 2 to N carbon atoms, where N is an integer having the following values: 3, 4, 5, 6, 7, 8, 9, or 10. The term "C $C_{2-4}$ alkynyl" refers to an alkynyl comprising 2 to 4 carbon atoms. The term "$C_{2-10}$ alkynyl" refers to an alkynyl comprising 2 to 10 carbons. Examples include, but are limited to, ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl or 3-butynyl.

The term "halogenated alkynyl" refers to an unbranched or branched hydrocarbon chain radical having from 2 to 10 carbon atoms, preferably 2 to 5 carbon atoms, and having one triple bond and at least one of F, Cl, Or, and I.

The term "cycloalkyl" refers to a saturated carbocyclic ring comprising 3 to 8 carbon atoms, i.e. cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl. The term "$C_{3-7}$ cycloalkyl" as used herein refers to a cycloalkyl comprising 3 to 7 carbons in the carbocyclic ring.

The term "alkoxy" refers to an —O-alkyl group or an —O-cycloalkyl group, wherein alkyl and cycloalkyl are as defined above. Examples of —O-alkyl groups include, but are not limited to, methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butyloxy, i-butyloxy, t-butyloxy. "Lower alkoxy" as used herein denotes an alkoxy group with a "lower alkyl" group as previously defined. "$C_{1-10}$ alkoxy" refers to an-O-alkyl wherein alkyl is $C_{1-10}$. Examples of —O-cycloalkyl groups include, but are not limited to, —O-c-propyl, —O-c-butyl, —O-c-pentyl, and —O-c-hexyl.

The term "halogenated alkoxy" refers to an —O-alkyl group in which the alkyl group comprises at least one of F, Cl, Br, and I.

The term "halogenated lower alkoxy" refers to an —O-(lower alkyl) group in which the lower alkyl group comprises at least one of F, Cl, Br, and I.

The term "amino acid" includes naturally occurring and synthetic α, β γ or δ amino acids, and includes but is not limited to, amino acids found in proteins, i.e. glycine, alanine, valine, leucine, isoleucine, methionine, phenylalanine, tryptophan, proline, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartate, glutamate, lysine, arginine and histidine. In a preferred embodiment, the amino acid is in the L-configuration. Alternatively, the amino acid can be a derivative of alanyl, valinyl, leucinyl, isoleucinyl, prolinyl phenylalaninyl, tryptophanyl, methioninyl, glycinyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutaminyl, aspartoyl, glutaroyl, lysinyl, argininyl, histidinyl, β-alanyl, β-valinyl, β-leucinyl, β-isoleucinyl β-prolinyl, β-phenylalaninyl, β-tryptophanyl, β-methioninyl, β-glycinyl, β-serinyl, β-threoninyl, β-cysteinyl, β-tyrosinyl, β-asparaginyl, β-glutaminyl, β-aspartoyl, β-glutaroyl, β-lysinyl, β-argininyl or β-histidinyl. When the term amino acid is used, it is considered to be a specific and independent disclosure of each of the esters of α, β γ or δ glycine, alanine, valine, leucine, isoleucine, methionine, phenylalanine, tryptophan, proline, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartate, glutamate, lysine, arginine and histidine in the D and L-configurations

The term "aminoacyl" includes N,N-unsubstituted, N,N-monosubstituted, and N,N-disubstituted derivatives of naturally occurring and synthetic α, β γ or δ amino acyls, where the amino acyls are derived from amino acids. The amino-nitrogen can be substituted or unsubstituted. When the amino-nitrogen is substituted, the nitrogen is either mono- or di-substituted, where the substituent bound to the amino-nitrogen is a lower alkyl or an alkaryl. In the instance of its use for Y, the expression "O(aminoacyl)" is used. It is understood that the C3' carbon of the ribose is bound to the oxygen "O", which is then bound to the carbonyl carbon of the aminoacyl.

The terms "alkylamino" or "arylamino" refer to an amino group that has one or two alkyl or aryl substituents, respectively.

The term "protected," as used herein and unless otherwise defined, refers to a group that is added to an oxygen, nitrogen, or phosphorus atom to prevent its further reaction or for other purposes. A wide variety of oxygen and nitrogen protecting groups are known to those skilled in the art of organic synthesis. Non-limiting examples include: C(O)-alkyl, C(O)Ph, C(O)aryl, $CH_3$, $CH_2$-alkyl, $CH_2$-alkenyl, $CH_2$Ph, $CH_2$-aryl, $CH_2$O-alkyl, $CH_2$O-aryl, $SO_2$-alkyl, $SO_2$-aryl, tert-butyldimethylsilyl, tert-butyldiphenylsilyl, and 1,3-(1,1,3,3-tetraisopropyldisiloxanylidene).

The term "aryl," as used herein, and unless otherwise specified, refers to substituted or unsubstituted phenyl (Ph), biphenyl, or naphthyl, preferably the term aryl refers to substituted or unsubstituted phenyl. The aryl group can be substituted with one or more moieties selected from among hydroxyl, F, Cl, Br, I, amino, alkylamino, arylamino, alkoxy, aryloxy, nitro, cyano, sulfonic acid, sulfate, phosphonic acid, phosphate, and phosphonate, either unprotected, or protected as necessary, as known to those skilled in the art, for example, as taught in T. W. Greene and P. G. M. Wuts, "Protective Groups in Organic Synthesis," 3rd ed., John Wiley & Sons, 1999.

The terms "alkaryl" or "alkylaryl" refer to an alkyl group with an aryl substituent, such as benzyl. The terms "aralkyl" or "arylalkyl" refer to an aryl group with an alkyl substituent.

The term "di(lower alkyl)amino-lower alkyl" refers to a lower alkyl substituted by an amino group that is itself substituted by two lower alkyl groups. Examples include, but are not limited to, $(CH_3)_2NCH_2$, $(CH_3)_2NCH_2CH_2$, $(CH_3)_2NCH_2CH_2CH_2$, etc. The examples above show lower alkyls substituted at the terminus carbon atom with an N,N-dimethyl-amino substituent. These are intended as examples only and are not intended to limit the meaning of the term "di(lower alkyl)amino-lower alkyl" so as to require the same. It is contemplated that the lower alkyl chain can be substituted with an N,N-di(lower alkyl)-amino at any point along the chain, e.g., $CH_3CH$(N-(lower alkyl)$_2$)$CH_2CH_2$.

The term "halo," as used herein, includes chloro, bromo, iodo and fluoro.

The term "acyl" refers to a substituent containing a carbonyl moiety and a non-carbonyl moiety. The carbonyl moiety contains a double-bond between the carbonyl carbon and a heteroatom, where the heteroatom is selected from among O, N and S. When the heteroatom is N, the N is substituted by a lower alkyl. The non-carbonyl moiety is selected from straight, branched, and cyclic alkyl, which includes, but is not limited to, a straight, branched, or cyclic $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or lower alkyl; alkoxyalkyl, including methoxymethyl; aralkyl, including benzyl; aryloxyalkyl, such as phenoxymethyl; or aryl, including phenyl optionally substituted with halogen (F, Cl, Br, I), hydroxyl, $C_1$ to $C_4$ alkyl, or $C_1$ to $C_4$ alkoxy, sulfonate esters, such as alkyl or aralkyl sulphonyl, including methanesulfonyl, the mono, di or triphosphate ester, trityl or monomethoxytrityl, substituted benzyl, trialkylsilyl (e.g. dimethyl-t-butylsilyl) or diphenylmethylsilyl. When at least one aryl group is present in the non-carbonyl moiety, it is preferred that the aryl group comprises a phenyl group.

The term "lower acyl" refers to an acyl group in which the non-carbonyl moiety is lower alkyl.

The term "purine" or "pyrimidine" base includes, but is not limited to, adenine, $N^6$-alkylpurines, $N^6$-acylpurines (wherein acyl is C(O)(alkyl, aryl, alkylaryl, or arylalkyl),

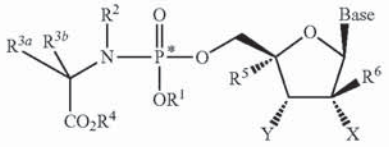
$N^6$-benzylpurine, $N^6$-halopurine, $N^6$-vinylpurine, $N^6$-acetylenic purine, $N^6$-acyl purine, $N^6$-hydroxyalkyl purine, $N^6$-allcylaminopurine, $N^6$-thioallcyl purine, $N^2$-alkylpurines, $N^2$-alkyl-6-thiopurines, thymine, cytosine, 5-fluorocytosine, 5-methylcytosine, 6-azapyrimidine, including 6-azacytosine, 2- and/or 4-mercaptopyrmidine, uracil, 5-halouracil, including 5-fluorouracil, $C^5$-alkylpyrimidines, $C^5$-benzylpyrimidines, $C^5$-halopyrimidines, $C^5$-vinylpyrimidine, $C^5$-acetylenic pyrimidine, $C^5$-acyl pyrimidine, $C^5$-hydroxyalkyl purine, $C^5$-amidopyrimidine, $C^5$-cyanopyrimidine, $C^5$-iodopyrimidine, $C^6$-lodo-pyrimidine, $C^5$—Br-vinyl pyrimidine, $C^6$—Br-vinyl pyrimidine, $C^5$-nitropyrimidine, $C^5$-amino-pyrimidine, $N^2$-alkylpurines, $N^2$-alkyl-6-thiopurines, 5-azacytidinyl, 5-azauracilyl, triazolopyridinyl, imidazolopyridinyl, pyrrolopyrimidinyl, and pyrazolopyrimidinyl. Purine bases include, but are not limited to, guanine, adenine, hypoxanthine, 2,6-diaminopurine, and 6-chloropurine. Functional oxygen and nitrogen groups on the base can be protected as necessary or desired. Suitable protecting groups are well known to those skilled in the art, and include trimethylsilyl, dimethylhexylsilyl, t-butyldimethylsilyl, and 1-butyldiphenylsilyl, trityl, alkyl groups, and acyl groups such as acetyl and propionyl, methanesulfonyl, and p-toluenesulfonyl.

The term "tautomerism" and "tautomers" have their accepted plain meanings.

The term "P*" means that the phosphorous atom is chiral and that it has a corresponding Cahn-Ingold-Prelog designation of "R" or "S" which have their accepted plain meanings. It is contemplated that compounds of the formula I are racemic because the chirality at phosphorous. Applicants contemplate use of the racemate and/or the resolved enantiomers. In some instances, an asterisk does not appear next to the phosphoroamidate phosphorous atom. In these instances, it is understood that the phosphorous atom is chiral and that one of ordinary skill understands this to be so unless the substituents bound to the phosphorous exclude the possibility of chirality at phosphorous, such as in $P(O)Cl_3$.

## DETAILED DESCRIPTION OF THE INVENTION

An aspect of the invention is directed to a compound, its salts, hydrates, solvates, crystalline forms, and the like represented by formula I:




wherein

(a) $R^1$ is hydrogen, n-alkyl; branched alkyl, cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, $—N(R^{1'})_2$, $C_{1-6}$ acylamino, $—NHSO_2C_{1-6}$ alkyl, $—SO_2N(R^{1'})_2$, $COR^{1''}$, and $—SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or $—N(R^{1'})_2$);

(b) $R^2$ is hydrogen, $C_{1-10}$ alkyl, $R^{3a}$ or $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and $R^1$, $R^{3a}$, and $R^{3b}$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, $—(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, $—CH_2SH$, $—(CH_2)_2S(O)_dMe$, $—(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $—(CH_2)_cCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are $(CH_2)_r$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $—N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $C_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $—CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or $—N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

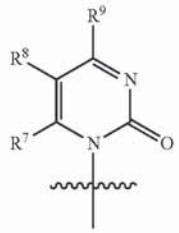
(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $—(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a purine base, $R^5$ cannot be H;

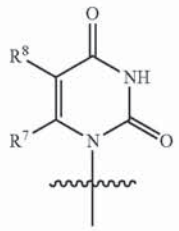
(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

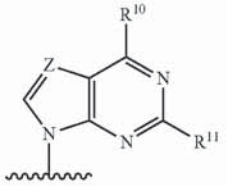
(g) X is H, OH, F, OMe, halogen, $NH_2$, or $N_3$;

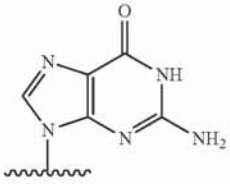
(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_2$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:

a 

b 

c 

d 

wherein

Z is N or $CR^{12}$;

$R^7$, $R^8$,$R^9$, $R^{10}$, and $R^{11}$ are independently H, F, Cl, Br, I, OH, OR', SH, SR', $NH_2$, NHR', $NR'_2$, lower alkyl of $C_1$-$C_6$ halogenated (F, Cl, Br, I) lower alkyl of $C_1$-$C_6$, lower alkenyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkenyl of $C_2$-$C_6$, lower alkynyl of $C_2$-$C_6$ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of $C_2$-$C_6$, lower alkoxy of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkoxy of $C_1$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$,

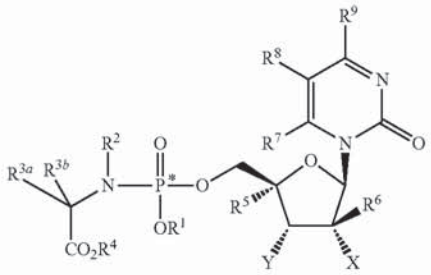
wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted $C_{1-20}$ alkyl, an optionally substituted $C_{1-10}$ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of $C_2$-$C_6$, an optionally substituted lower alkenyl of $C_2$-$C_6$, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)($C_{1-20}$ alkyl), C(O)($C_{1-10}$ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

$R^{12}$ is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', $NH_2$, NHR', $NR'_2$, $NO_2$ lower alkyl of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkyl of $C_1$-$C_6$, lower alkenyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkenyl of $C_2$-$C_6$, lower alkynyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkynyl of $C_2$-$C_6$, lower alkoxy of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkoxy of $C_1$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$; with the proviso that when base is represented by the structure c with $R^{11}$ being hydrogen, $R^{12}$ is not a: (i) —C≡C—H, (ii) —C═$CH_2$, or (iii) —$NO_2$.

As can be appreciated from the structure represented by formula I above, there are myriad ways to express the several embodiments and aspects of each embodiment of the present invention. As seen below, the inventors have disclosed certain embodiments directed to the compound of formula I, each having several aspects, based on the identity of the modified purine or pyrimidine base. This is not intended to be an explicit or implicit admission that the three embodiments are independent or distinct nor should it be interpreted as such. Rather, it is intended to convey information so that the full breadth of the present invention can be understood. Furthermore, the following embodiments, and aspects thereof, are not meant to be limiting on the full breadth of the invention as recited by the structure of formula I.

A first embodiment of the invention is directed to a compound represented by formula I-1:

I-1



wherein

(a) $R^1$ is hydrogen, n-alkyl; branched alkyl, cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, —$N(R^{1'})_2$, $C_{1-6}$ acylamino, —$NHSO_2C_{1-6}$ alkyl, —$SO_2N(R^{1'})_2$, $COR^{1''}$, and —$SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or —$N(R^{1'})_2$);

(b) $R^2$ is hydrogen, $C_{1-10}$ alkyl, $R^{3a}$ or $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and $R^1$, $R^{3a}$, and $R^{3b}$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, —$(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, —$CH_2SH$, —$(CH_2)_2S(O)_dMe$, —$(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, —$(CH_2)_cCOR^3$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are $(CH_2)_r$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or —$N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH$

$(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $—CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or $—N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)-amino, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $—(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a purine base, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

(i) $R^7$, $R^8$,$R^9$ are independently H, F, Cl, Br, I, OH, OR', SH, SR', $NH_2$, NHR', NR'$_2$, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of $C_2$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl.

A first aspect of the first embodiment is directed to a compound represented by formula I-1

wherein

(a) $R^1$ is hydrogen, n-alkyl or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are independently (i) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $—N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)H_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), or $CH_2SH$ and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, CN, $CH_3$, vinyl, $OCH_3$, $OCH_2CH_3$, $CH_2OH$, $CH_2$(halo), such as $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, vinyl, $N_3$, CN, Cl, Br, F, I, $O(C_{1-6}$ acyl), $O(C_{1-4}$ alkyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-4}$ haloalkyl, O(aminoacyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{1-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{1-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, or $N(C_{1-4}$ acyl)$_2$;

(i) $R^7$, $R^8R^9$ are independently H, F, Cl, Br, I, OH, OR', SH, SR', $NH_2$, NHR', NR'$_2$, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of $C_2$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl.

A second aspect of the first embodiment is directed to a compound represented by formula I-1

wherein

(a) $R^1$ is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a $C_{1-3}$ alkyl, a $C_{1-3}$ alkoxy, F, Cl, Br, I, nitro, cyano, and a $C_{1-3}$ haloalkyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are independently (i) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5 n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $—N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl,

—$CH_2CH_2SCH_3$. $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CF_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)H_3$, $CH_2$((4'-OH)-Ph), or $CH_2SH$ and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2$(halo), such as $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$, $NH_2$, $NHCH_3$, NH(vinyl), NH(acetyl), $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$, or O(aminoacyl);

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2H$, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$; and

(j) $R^9$ is selected from among OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, OC(O)(C1-20 alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, NHC(O)(C 1-20 alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A third aspect of the first embodiment is directed to a compound represented by formula I-1

wherein

(a) $R^1$ is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a $CH_3$, $OCH_3$, F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is 1-3;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl or $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2$(halo), such as $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, $NH_2$, or $N_3$;

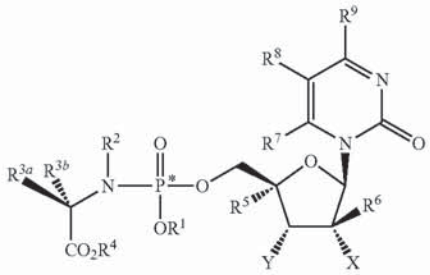
(h) Y is OH, H, $CH_3$, vinyl, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$, $NH_2$, $NHCH_3$, NH(vinyl), NH(acetyl), $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$, or O(aminoacyl);

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2H$, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl'; and

(j) $R^9$ is selected from among OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, OC(O)(C1-20 alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, NHC(O)(C1-20 alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A fourth aspect of the first embodiment is directed to a compound represented by formula I-2

I-2



wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a $CH_3$, $OCH_3$, F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is 1-3;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl or $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2C_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, CH((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen,

including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$, $NH_2$, $NHCH_3$, NH(vinyl), NH(acetyl), $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$, or O(aminoacyl);

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2H$, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl; and

(j) $R^9$ is selected from among OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, OC(O))C1-20 alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, NHC(O)(C1-20 alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and and $N(C(O)(CH_2)_sCH_3$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, there s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A fifth aspect of the first embodiment is directed to a compound represented by formula I-2

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chlorophenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$, or O(aminoacyl);

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2H$, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl; and

(j) $R^9$ is selected from among OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20}$ alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20}$ alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A sixth aspect of the first embodiment is directed to a compound represented by formula I-2

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, OMe, CN, $CH_2F$, F, Cl, Br, or I;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, or $N_3$, $OCH_3$, $OC(O)CH_3$, or O(aminoacyl);

(i) $R^7$ and $R^8$ are independently H, F, Br, $SCH_3$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$; and

(j) $R^9$ is selected from among OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20}$ alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20}$ alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A seventh aspect of the first embodiment is directed to a compound represented by formula I-2

wherein

(a) $R^1$ is hydrogen,n methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2C(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl.

(e) $R^5$ is H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, or $N_3$;

(h) Y is OH, $OCH_3$, $OC(O)CH_3$, or O(aminoacyl);

(i) $R^7$ and $R^8$ are independently H, F, Br, $SCH_3$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br or I and q is 1 to 3, vinyl, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$; and

(j) $R^9$ is selected from among OH, $OCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $OC(O(C_{1-20}$ alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20}$ alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from among 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

An eighth aspect of the first embodiment is directed to a compound represented by formula I-2

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, or $N_3$;

(h) Y is OH, $OCH_3$, $OC(O)CH_3$, or O(aminoacyl);

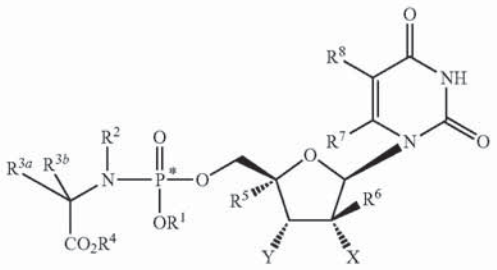
(i) $R^7$ and $R^8$ are independently H, F, Br, $SCH_3$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$;

(j) $R^9$ is selected from among OH, $OCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20}$ alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20}$ alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from among 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A second embodiment of the invention is directed to a compound represented by formula I in which the base is a structure represented by formula b above, wherein $R^1$, $R^2$, $R^{3a}$, $R^{3b}$, $R^4$, $R^5$, $R^6$, X, Y, $R^7$, and $R^8$ are defined in the Summary of the Invention section above.

A first aspect of the second embodiment is directed to a compound represented by formula I-3

I-3



wherein

(a) $R^1$ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, $—N(R^{1'})_2$, $C_{1-6}$ acylamino, $—NHSO_2C_{1-6}$ alkyl, $—SO_2N(R^{1'})_2$, $COR^{1''}$, and $—SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or $—N(R^{1'})_2$);

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, $—(CH)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, $—CH_2SH$, $—(CH_2)_2S(O)_dMe$, $—(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $—(CH_2)_eCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are $(CH_2)_r$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $—N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2((4'\text{-}OH)\text{-}Ph)$, $CH_2SH$, or lower cycloalkyl, or (viii) $R^{3a}$ is $CH_3$, $—CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2((4'\text{-}OH)\text{-}Ph)$, $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or $—N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $—(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a purine base, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{204}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, or $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl, $NH_2$, $NH(C_{1-4}$ alkyl), $N(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, or $N(C_{1-4}$ acyl)$_2$;

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, OR', SH, SR', $NH_2$, NHR', $NR'_2$, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of $C_2$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl.

The second aspect of the second embodiment is directed to a compound represented by formula I-3

wherein

(a) $R^1$ is hydrogen, n-alkyl or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are independently (i) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $—N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl,

—$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph)) $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), or $CH_2SH$ and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, CN, $CH_3$, vinyl, $OCH_3$, $OCH_2CH_3$, $CH_2OH$, $CH_2$(halo), such as $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, vinyl, $N_3$, CN, Cl, Br, F, I, $O(C_{1-6}$ acyl), $O(C_{1-4}$ alkyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, OR', SH, SR', $NH_2$, NHR', NR'$_2$, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of $C_2$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl.

The third aspect of the second embodiment is directed to a compound represented by formula I-3

wherein

(a) $R^1$ is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a $C_{1-3}$ alkyl, a $C_{1-3}$ alkoxy, F, Cl, Br, I, nitro, cyano, and a $C_{1-3}$ haloalkyl;

(b) $R^2$ is hydrogen, $CH_3$, $R^{3a}$ or $R^{3b}$ and $R^2$ together are $(CH_2)_3$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and $R^1$, $R^{3a}$, and $R^{3b}$ are as defined herein;

(c) $R^{3a}$ and $R^{3b}$ are independently (i) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3 f is 3 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or —$N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2$(halo), such as $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I;

(f) $R^6$is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, halogen, $NH_2$, or $N_3$

(h) Y is OH, H, $CH_3$, vinyl, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$, $NH_2$, $NHCH_3$, NH(vinyl), NH(acetyl), $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$;

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2H$, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$.

The fourth aspect of the second embodiment is directed to a compound represented by formula I-3

wherein

(a) $R^1$ is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a $CH_3$, $OCH_3$, F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is 1-3;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl or $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN $CH_3$, $OCH_3$, $CH_2OH$, $CH_2$(halo), such as $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I;

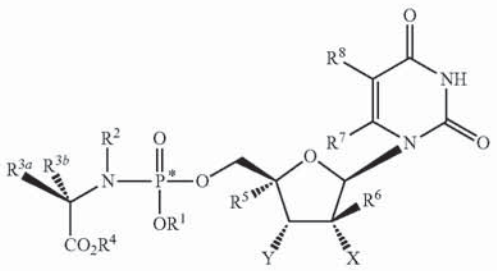
(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$, $NH_2$, $NHCH_3$, NH(vinyl), NH(acetyl), $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$;

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2H$, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl.

The fifth aspect of the second embodiment is directed to a compound represented by formula I-4



I-4

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a $CH_3$, $OCH_3$, F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is 1-3;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl or $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$, $NH_2$, $NHCH_3$, NH(vinyl), NH(acetyl), $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$;

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2H$, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl,

The sixth aspect of the second embodiment is directed to a compound represented by formula I-4

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$;

(i) $R^7$ and $R^8$ are independently H, F, Cl, Br, I, OH, $OCH_3$, SH, $SCH_3$, $NH_2$, $NHCH_3$, $N(CH_3)_2$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2H$, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R' is a $C_{1-20}$ alkyl; a $C_{1-20}$ cycloalkyl; a $C_2$-$C_6$ alkenyl, a $C_2$-$C_6$ alkynyl.

The seventh aspect of the second embodiment is directed to a compound represented by formula I-4

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2C(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, OMe, CN, $CH_2F$, F, Cl, Br, or I;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, $NH_2$ or $N_3$, OCH3, or $OC(O)CH_3$;

(i) $R^7$ and $R^8$ are independently H, F, Br, $SCH_3$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$;

The eighth aspect of the second embodiment is directed to a compound represented by formula I-4

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chlorophenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^7$ and $R^8$ are independently H, F, Br, $SCH_3$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$.

The ninth aspect of the second embodiment is directed to a compound represented by formula I-4

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, or $N_3$;

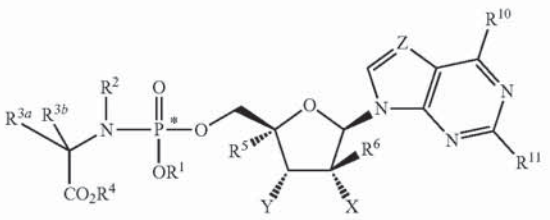
(h) Y is OH, $OCH_3$, or $OC(O)CH_3$;

(i) $R^7$ and $R^8$ are independently H, F, Br, $SCH_3$, $CH_3$, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, $CO_2CH_3$, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$.

A third embodiment of the invention is directed to a compound represented by formula I in which the base is a structure represented by formula c above, wherein $R^1$, $R^2$, $R^{3a}$, $R^{3b}$, $R^4$, $R^5$, $R^6$, X, Y, Z, $R^{10}$, $R^{11}$, and $R^{12}$ are defined in the Summary of the Invention section above; with the proviso that $R^{11}$ is not H.

A first aspect of the third embodiment is directed to a compound represented by formula I-5

I-5



wherein

(a) $R^1$ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, $—N(R^{1'})_2$, $C_{1-6}$ acylamino, $—NHSO_2C_{1-6}$ alkyl, $—SO_2N(R^{1'})_2$, $COR^{1''}$, and $—SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or $—N(R^{1'})_2$);

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, $—(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, $—CH_2SH$, $—(CH_2)_2S(O)_dMe$, $—(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $—(CH_2)_cCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are $(CH_2)_r$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $—N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is from H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $—CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or $—N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $—(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a purine base, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2$ ($C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2$ ($C_{1-4}$ acyl), $OS(O)_2$ ($C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Cl, Br, I, OH, OR', SH, SR', $NH_2$, NHR', NR'$_2$, lower alkyl of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkyl of $C_1$-$C_6$, lower alkenyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkenyl of $C_2$-$C_6$, lower alkynyl of $C_2$-$C_6$ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of $C_2$-$C_6$, lower alkoxy of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkoxy of $C_1$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH=CHCO$_2$H, or CH=CHCO$_2$R', with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted $C_{1-20}$ alkyl, an optionally substituted $C_{1-10}$ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of $C_2$-$C_6$, an optionally substituted lower alkenyl of $C_2$-$C_6$, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)($C_{1-20}$

alkyl), C(O)($C_{1-10}$ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OH OR', SH, SR', $NH_2$, NHR', $NR'_2$, $NO_2$ lower alkyl of $C_1$-$C_6$ halogenated (F, Cl, Br, I) lower alkyl of $C_1$-$C_6$, lower alkenyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkenyl of $C_2$-$C_6$, lower alkynyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkynyl of $C_2$-$C_6$, lower alkoxy of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkoxy of $C_1$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A second aspect of the third embodiment is directed to a compound represented by formula I-5

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$C_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H.

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A third aspect of the third embodiment is directed to a compound represented by formula I-5

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_2F$, F, Cl, Br, or I; with the proviso that X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, $NH_2$ or $N_3$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A fourth aspect of the third embodiment is directed to a compound represented by formula 1-5

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^i$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-aziridin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lover alkyl;

(c) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^3$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms, and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A fifth aspect of the third embodiment is directed to a compound represented by formula I-5

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-aziridin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NMR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

A sixth aspect of the third embodiment is directed to a compound represented by formula I-5

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-aziridin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H.

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$,

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$, and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

A seventh aspect of the third embodiment is directed to a compound represented by formula I-5

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$, is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-aziridin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_2F$, F, Cl, Br, or I, with the proviso that X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, $NH_2$ or $N_3$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

An eighth aspect of the third embodiment is directed to a compound represented by formula I-5

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-aziridin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is CH, or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$ each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

A ninth aspect of the third embodiment is directed to a compound represented by formula I-5

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, or N-methyl-pyrrolidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$;

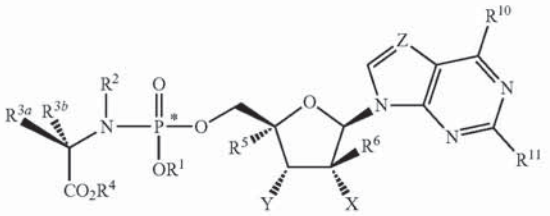
wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A tenth aspect of the third embodiment is directed to a compound represented by formula I-6

I-6



wherein

(a) $R^1$ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, $—N(R^{1'})_2$, $C_{1-6}$ acylamino, $—NHSO_2C_{1-6}$ alkyl, $—SO_2N(R^{1'})_2$, $COR^{1''}$, and $—SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or $—N(R^{1'})_2$);

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, $—(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, $—CH_2SH$, $—CH_2)_2S(O)_dMe$, $—(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $—(CH_2)_cCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are $(CH_2)_f$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $—N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, $—CH_2CH_3$, $CH(CH_3)_2$. $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or $—N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $—(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OR, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a purine base, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2$ ($C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2$ ($C_{1-4}$ acyl), $OS(O)_2$ ($C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2$ ($C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

An eleventh aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $C_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A twelfth aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_2F$, F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, $NH_2$ or $N_3$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is a H, halogen (including F, Cl, Br, I), OR' $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A thirteenth aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(Q)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A fourteenth aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$, with the proviso that when $R^{10}$ is OH and $R^{11}$ is not $NH_2$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A fifteenth aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$ is hydrogen, n-alkyl, branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, —N($R^{1'}$)$_2$, $C_{1-6}$ acylamino, —$NHSO_2C_{1-6}$ alkyl, —$SO_2N(R^{1'})_2$, $COR^{1''}$, and —$SO_2C_{1-6}$ alkyl, ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or —N($R^{1'}$)$_2$);

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, —$(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, —$CH_2SH$, —$(CH_2)_2S(O)_dMe$, —$(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, —$(CH_2)_cCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl,

$C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are $(CH_2)_f$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3''}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or —$N(R^{3'})_2$); (vi) $R^{3b}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, —$CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or —$N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., —$(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and $R^5$ is a purine base, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$ alkyl), $C(O))O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{2-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O_2)(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$, and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl Of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

An sixteenth aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$,

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

A seventeenth aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ s H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_2F$, F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, $NH_2$ or $N_3$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$; $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

An eighteenth aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cycloiexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

A nineteenth aspect of the third embodiment is directed to a compound represented by formula I-6

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is $NH_2$ and $R^{11}$ are independently H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

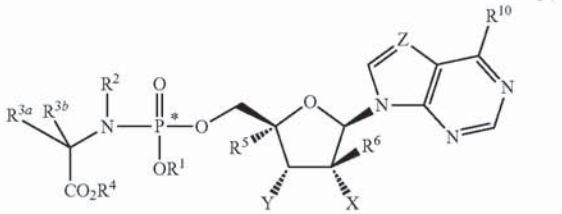
(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$.

A fourth embodiment of the invention is directed to a compound represented by formula I in which the base is a structure represented by formula c above, where $R^{11}$ is H and $R^2$, $R^{3a}$, $R^{3b}$, $R^4$, $R^5$, $R^6$, X, and Y are defined in the Summary of the Invention section above.

A first aspect of the fourth embodiment is directed to a compound represented by formula I-7

I-7



wherein

(a) $R^1$ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naplithyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, —$N(R^{1'})_2$, $C_{1-6}$ acylamino, —$NHSO_2C_{1-6}$ alkyl, —$SO_2N(R^{1'})_2$, $COR^{1'}$, and —$SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or —$N(R^{1'})_2$);

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, —$(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, —$CH_2SH$, —$(CH_2)_2S(O)_dMe$, —$(CH_2)_3NHC(═NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, —$(CH_2)_cCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are$(CH_2)_f$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or —$N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CHCOOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, —$CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2$ SH, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alklyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or —$N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{1-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $—(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a purine base, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2p-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl) $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl) $SO_2(C_{1-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl) $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(CO_{2-4}$ alkenyl), $O(_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl) $SO(C_{1-4}$ alkyl), $SO(C_{1-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

(i) $R^{10}$ is H, F, Cl, Br, I, OH, OR', SH, SR', $NH_2$, NHR', NR'$_2$, lower alkyl of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkyl of $C_1$-$C_6$, lower alkenyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkenyl of $C_2$-$C_6$, lower alkynyl of $C_2$-$C_6$, such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of $C_2$-$C_6$ lower alkoxy of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkoxy of $C_1$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH=CHCO$_2$H, or CH=OCHCO$_2$R',

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted $C_{1-20}$ alkyl, an optionally substituted $C_{1-10}$ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of $C_2$-$C_6$, an optionally substituted lower alkenyl of $C_2$-$C_6$, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, $C(O)(C_{1-20}$ alkyl), $C(O)(C_{1-10}$ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a-heterocycle comprising at least two carbon aloms; and

(j) Z is N or $CR^{12}$, and

$R^{12}$ is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', $NH_2$, NHR', NR'$_2$, $NO_2$ lower alkyl of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkyl of $C_1$-$C_6$, lower alkenyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkenyl of $C_2$-$C_6$, lower alkynyl of $C_2$-$C_6$, halogenated (F, Cl, Br, I) lower alkynyl of $C_2$-$C_6$, lower alkoxy of $C_1$-$C_6$, halogenated (F, Cl, Br, I) lower alkoxy of $C_1$-$C_6$, $CO_2H$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH=CHCO$_2$H, or CH=CHCO$_2$R'; with the proviso that $R^{12}$ is not a: (i) —C≡C—H, (ii) —C=CH$_2$, or (iii) —NO$_2$.

A second aspect of the fourth embodiment is directed to a com pound represented by formula I-7

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromno-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H.

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$;

(i) $R^{10}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH=CHCO$_2$H, or CH=CHCO$_2$R',

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH=CHCO$_2$H, or CH=CHCO$_2$R'; with the proviso that $R^{12}$ is not a: (i) —C≡C—H, (ii) —C=CH$_2$, or (iii) —NO$_2$.

A third aspect of the third embodiment is directed to a compound represented by formula I-7

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, ptolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_2F$, F, Cl, Br, or I; with the proviso that X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, $NH_2$ or $N_3$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH=CHCO$_2$H, or CH=CHCO$_2$R',

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'$_2$, each R'

comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$; with the proviso that $R^{12}$ is not a: (i) —C≡C—H, (ii) —C═$CH_2$, or (iii) —$NO_2$.

A fourth aspect of the fourth embodiment is directed to a compound represented by formula I-7

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ and $R^{11}$ H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$,

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of $RN'_2$, each R' comprise at least one C atom that are joined to form a heterocycic comppnsing at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$; with the proviso that $R^{12}$ is not a: (i) —C≡C—H, (ii) —C═$CH_2$, or (iii) —$NO_2$.

A fflth aspect of the fourth embodiment is directed to a compound represented by formula I-7

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl.

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methlyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or N;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$,

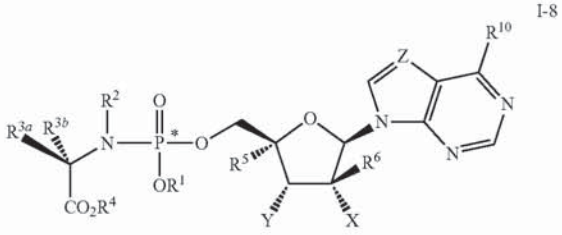
wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$.

A sixth aspect of the fourth embodiment is directed to a compound represented by formula I-8

I-8



wherein

(a) $R^1$ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not linmited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, —$N(R^{1'})_2$, $C_{1-6}$ acylamino, —$NHSO_2C_{1-6}$ alkyl, —$SO_2N(R^{1'})_2$, $COR^{1''}$, and —$SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or —$N(R^{1'})_2$);

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, —$(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, —$CH_2SH$, —$(CH_2)_2S(O)_dMe$, —$(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, —$(CH_2)_cCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are$(CH_2)_f$so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or —$N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, —$CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$ is —OR' or —$N(R^{3'})_2$),

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkylamino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl,

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lover alkyl, i.e., —$(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$), $CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3))_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be $N_3$ and when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a purine base, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN,

(g) X is H, OH, F, OMe, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-4}$ acyl)$_2$;

(i) $R^{10}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$,

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$; with the proviso that when base is represented by the structure c with $R^{11}$ being hydrogen, $R^{12}$ is not a: (i) —C≡C—H, (ii) —C═$CH_2$, or (iii) —$NO_2$.

A seventh aspect of the fourth embodiment is directed to a compound represented by formula I-8

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phetiyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CHR)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, -$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperdin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$;

(i) $R^{10}$ is H, F, Br, I, OH, OR', $NH_2$, NHR' NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$,

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CH_4CO_2H$, or CH═$CHCO_2R'$; with the proviso that $R^{12}$ is not a: (i) —C≡C—H, (ii) —C═$CH_2$, or (iii) —$NO_2$.

An eighth aspect of the fourth embodiment is directed to a compound represented by formula I-8

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalklyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidiii-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_2F$, F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, $NH_2$ or $N_3$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', NR'$_2$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R$;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of NR'$_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', NR'$_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', CONR'$_2$, CH═$CHCO_2H$, or CH═$CHCO_2R'$; with the proviso that $R^{12}$ is not a: (i) —C≡C—H, (ii) —C═$CH_2$, or (iii) —$NO_2$.

A ninth aspect of the fourth embodiment is directed to a compound represented by formula I-8

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, pehloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_3$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl,. N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl,

(e) $R^5$ is H, with the provisos that when X is OH, R is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$,

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising, at least two carbon atoms; and

(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$; with the proviso that $R^{12}$ is not a: (i) —C≡C—H, (ii) —C═$CH_2$, or (iii) —$NO_2$.

A tenth aspect of the fourth embodiment is directed to a compound represented by formula I-8

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chlorophenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

(i) $R^{10}$ is H, F, Br, I, OH, OR', $NH_2$, NHR', $NR'_2$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$,

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O) (lower alkyl) or alternatively, in the instance of $NR'_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

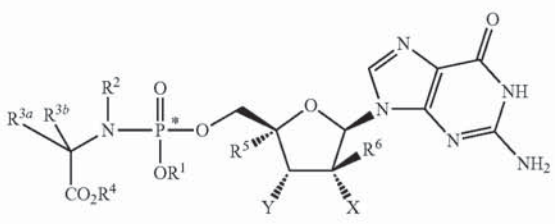
(j) Z is N or $CR^{12}$; and

$R^{12}$ is an H, halogen (including F, Cl, Br, I), OR', $NH_2$, NHR', $NR'_2$, $NO_2$, lower alkyl of $C_1$-$C_6$, $CO_2R'$, $CONH_2$, CONHR', $CONR'_2$, $CH{=}CHCO_2H$, or $CH{=}CHCO_2R'$; with the proviso that $R^{12}$ is not a: (i) —C≡C—H, (ii) —C═$CH_2$, or (iii) —$NO_2$.

A fifth embodiment of the invention is directed to a compound represented by formula I in which the base is a structure represented by formula d above, wherein $R^1$, $R^2$, $R^{3a}$, $R^{3b}$, $R^4$, $R^5$, $R^6$, X, and Y are defined in the Summary of the Invention section above.

The first aspect of the fiflh embodiment is directed to a compound represented by formula I-9

I-9



wherein

(a) $R^1$ is hydrogen, n-alkyl; branched alkyl; cycloalkyl, or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxy, F, Cl, Br, I, nitro, cyano, $C_{1-6}$ haloalkyl, —$N(R^{1'})_2$, $C_{1-6}$ acylamino, —$NHSO_2C_{1-6}$ alkyl, —$SO_2N(R^{1'})_2$, $COR^{1''}$, and —$SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl. which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{1''}$ is —OR' or —$N(R^{1'})_2$);

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ and $R^{3b}$ are (i) independently selected from hydrogen, $C_{1-10}$ alkyl, cycloalkyl, —$(CH_2)_c(NR^{3'})_2$, $C_{1-6}$ hydroxyalkyl, —$CH_2SH$, —$(CH_2)_2S(O)_dMe$, —$(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, —$(CH_2)_cCOR^{3''}$, aryl and aryl $C_{1-3}$ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, $C_{1-10}$ alkyl, $C_{1-6}$ alkoxy, halogen, nitro and cyano; (ii) $R^{3a}$ and $R^{3b}$ both are $C_{1-6}$ alkyl; (iii) $R^{3a}$ and $R^{3b}$ together are $(CH_2)_f$ so as to form a spiro ring; (iv) $R^{3a}$ is hydrogen and $R^{3b}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) $R^{3b}$ is hydrogen and $R^{3a}$ and $R^2$ together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or $C_{1-6}$ alkyl and $R^{3''}$ is —OR' or $N(R^{3'})_2$); (vi) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_{12}SH$, or lower cycloalkyl; or (viii) $R^{3a}$ is $CH_3$, —$CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH(_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4—-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, $C_{1-20}$ alkyl, $C_{1-10}$ alkyl, or $C_{1-6}$ alkyl, $R^{3''}$is —OR' or —$N(R^{3'})_2$);

(d) $R^4$ is hydrogen, $C_{1-10}$ alkyl, $C_{1-10}$ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, $C_{1-10}$ haloalkyl, $C_{3-10}$ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) $R^5$ is H, a lower alkyl, CN, vinyl, O-lower alkyl), hydroxyl lower alkyl, i.e., —$(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl ($CH_2OH$),$CH_2F$, $N_3$, $CH_2CN$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and $R^6$ is H, $R^5$ cannot be Niand when X is OH, $R^6$ is $CH_3$ or $CH_2F$ and B is a punine base, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, OMe, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{2-4}$ alkynyl, vinyl, $N_3$, CN, Cl, Br, F, I, $NO_2$, $OC(O)O(C_{1-4}$alkyl), $OC(O)O(C_{2-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), $OC_{1-10}$ haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), $NH_2$, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by $N_3$, CN, one to three halogen (Cl, Br, F, I), $NO_2$, $C(O)O(C_{1-4}$

alkyl), C(O)O($C_{1-4}$ alkyl), C(O)O($C_{2-4}$ alkynyl), C(O)O($C_{2-4}$ alkenyl), O($C_{1-4}$ acyl), O($C_{1-4}$ alkyl), O($C_{2-4}$ alkenyl), S($C_{1-4}$ acyl), S($C_{1-4}$ alkyl), S($C_{2-4}$ alkynyl), S($C_{2-4}$ alkenyl), SO($C_{1-4}$ acyl), SO($C_{1-4}$ alkyl), SO($C_{2-4}$ alkynyl), SO($C_{2-4}$ alkenyl), $SO_2$($C_{1-4}$ acyl), $SO_2$($C_{1-4}$ alkyl), $SO_2$($C_{2-4}$ alkynyl), $SO_2$($C_{2-4}$ alkenyl), $OS(O)_2$($C_{1-4}$ acyl), $OS(O)_2$($C_{1-4}$ alkyl), $OS(O)_2$($C_{2-4}$ alkenyl), $NH_2$, NH($C_{1-4}$ alkyl), NH($C_{2-4}$ alkenyl), NH($C_{2-4}$ alkynyl), NH($C_{1-4}$ acyl), N($C_{1-4}$ alkyl)$_2$, N($C_{1-4}$ acyl)$_2$.

A second aspect of the fifth embodiment is directed to a compound represented by fomiula I-9

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH )-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H.

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, halogen, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$;

A third aspect of the fiflh embodiment is directed to a compound represented by formula I-9

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-pipendin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_2F$, F, Cl, Br, or I; with the proviso that X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H, OH, $CH_3$, F, Cl, Br, I, $NH_2$, $N_3$, $OCH_3$, or $OC(O)CH_3$;

A fourth aspect of the fifili embodiment is directed to a compound represented by formula I-9

wherein

(a) $R^1$ is hydro(gen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-metliyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

A fiflh aspect of the filth embodiment is directed to a compound represented by formula I-9

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-hloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, Nn-metlyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

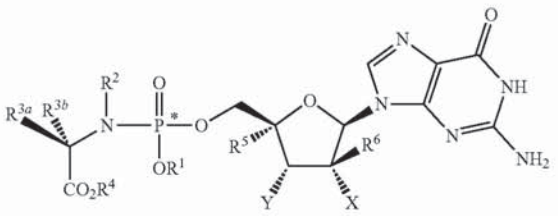
(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

A sixth aspect of the fifth embodiment is directed to a compound represented by formula I-10

I-10



wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, or a substituted or unsubstituted phenyl, where the substitutent of the substituted phenyl is at least one of a $CH_3$, $OCH_3$, F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is 1-3;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl or $R^{3a}$ is $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, —$CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, —$CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl and $R^{3b}$ is H;

(d) $R^4$ is hydrogen, $CH_3$, Et. $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl,

N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, $N_3$, $CH_2CN$, $CH_2N_3$, $CH_2NH_2$, $CH_2NHCH_3$, $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, Cl, Br, I, $NH_2$, or $N_3$;

(h) Y is OH, H, $CH_3$, vinyl, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$, $NH_2$, $NHCH_3$, NH(vinyl), NH(acetyl), $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$;

A seventh aspect of the fifth embodiment is directed to a compound represented by formula I-10

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chlorplphenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, $CH_2$-indol-3-yl, $—CH_2CH_2SCH_3$, $CH_2CO_2H$, $CH_2C(O)NH_2$, $CH_2CH_2COOH$, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $—CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2$-imidazol-4-yl, $CH_2OH$, $CH(OH)CH_3$, $CH_2$((4'-OH)-Ph), $CH_2SH$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopenlyl, cyclohexyl, N-methiyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, CN, $CH_3$, $OCH_3$, $CH_2OH$, $CH_2F$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, F, or CN;

(g) X is H, OH, F, $OCH_3$, Cl, Br, I, $NH_2$, or $N_3$; and

(h) Y is OH, H, $CH_3$, vinyl, $NH_2$, $N_3$, CN, Cl, Br, F, I, $OC(O)CH_3$, $OCH_3$.

An eighth aspect of the fifth embodiment is directed to a compound represented by formula I-10

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, ptotyl, p-bromo-phenyl, p-chloro-pihenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen or $CH_3$;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cyloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-metbyl-pyrroliditi4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amiiio-lower alkyl;

(e) $R^5$ is H, CN, $CH_2F$, F, Cl, Br, or I, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, F, $OCH_3$, F, Cl, Br, I, $NH_2$ or $N_3$;

(h) Y is H. OH, $CH_3$, F, Cl, Br, I, $NH_2$ or $N_3$, $OCH_3$, or $OC(O)CH_3$;

A ninth aspect of the fifth embodiphent is directed to a compound represented by formula I-10

wherein

(a) $R^1$ is hydrogen, methyl, ethyl, n-propyl, i-propyl, phenyl, tolyl, p-bromno-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-metthyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-metlyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$;

A tenth aspect of the fifth embodiment is directed to a compound represented by formula I-10

wherein

(a) $R^1$ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) $R^2$ is hydrogen;

(c) $R^{3a}$ is H and $R^{3b}$ is H, $CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, $CH_2Ph$, or lower cycloalkyl;

(d) $R^4$ is hydrogen, $CH_3$, Et, $^i$Pr, $^n$Pr, $^n$Bu, 2-butyl, $^t$Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) $R^5$ is H, with the provisos that when X is OH, $R^6$ is $CH_3$ or $CH_2F$, $R^5$ cannot be H;

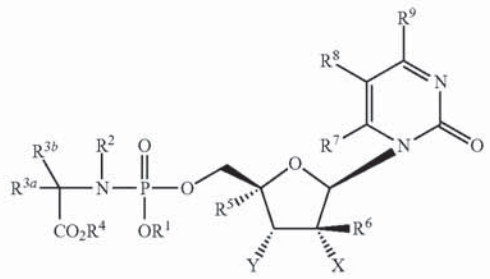
(f) $R^6$ is H, $CH_3$, $CH_2F$, $CHF_2$, $CF_3$, or F;

(g) X is H, OH, $OCH_3$, F, $NH_2$ or $N_3$;

(h) Y is OH, $NH_2$, $OCH_3$, or $OC(O)CH_3$.

The following tables contain numeric identifiers associated with various substituent designators that should be viewed in light of the accompanying structure. These structures are contemplated species of the various aspects of the disclosed embodiments and are not intended to be limiting on full breadth of the contemplated compound represented by the structure of formula I. However, it is contemplated that any one of the exemplified nucleoside bases can be used in combination with any one of contemplated species that specify a particular combination of $R^1$, $R^2$ $R^{3a}$, $R^{3b}$, $R^4$, $R^5$, $R^6$, X, and Y. In each of the presented tables, the phosplioramidate substituent containing the substituents $R^{3a}$ and $R^{3b}$ are depicted without reference to stereochemical structure (cf. structures I-1, I-3, I-5, I-7, and I-9 above). It is contemplated that the compounds recited below embody compounds in which $R^{3a}$ projects toward the viewer while $R^{3b}$ projects away from the viewer (cf. structures I-2, I-4, I-6, I-8, and I-10). Moreover, it is contemplated that the compounds recited below also embody compounds in which $R^{3a}$ projects away from the viewer while $R^{3b}$ projects towards the viewer. Not meant to be limiting, however, it is contemplated that preferred compounds are those in which $R^{3a}$ projects towards the viewer and $R^{3b}$ projects away from the viewer such that the natural L-amino acid (S)-configuration is presented. Additionally, the inventors recognize that the phosphorus atom of the phosphoramidate moiety is another source of chirality. Although the structures below do not specifically depict chirality at phosphorus, the inventors recognize that stereochemical configurations are possible such that in a staggered (or zig-zag) line structure the oxo-substitutent projects towards the viewer while the $OR^1$ substitutent projects away from the viewer, and vice versa, i.e., where the Cahn-Ingold-Prelog stereochemical designation of phosphorous is either R or S. Therefore, the structures below include all possible stereochemical configurations possible for phosphorus.

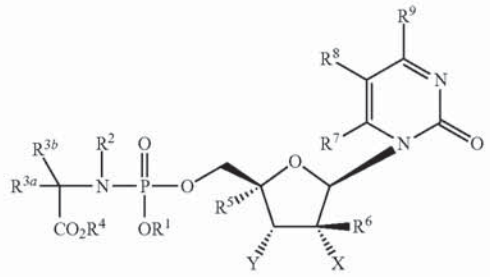
TABLE II



II

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| II-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-2-1 | Et | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-2-8 | Et | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-4-6 | $^t$Bu | H | H | $CH_2$-indo1-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-5-1 | Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-5-8 | Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-6-1 | p-Me-Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-6-2 | p-Me-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-6-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-6-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-6-5 | p-Me-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-6-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-6-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-6-8 | p-Me-Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-7-1 | p-F-Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-7-2 | p-F-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-7-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-7-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-7-6 | p-F-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-7-7 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-7-8 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-7-20 | p-F-Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-8-1 | p-Cl-Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-8-2 | p-Cl-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-8-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-8-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-8-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-8-6 | p-Cl-Ph | H | H | $CH_2$-indo1-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |

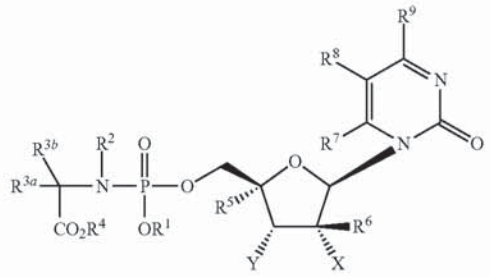
TABLE II-continued



II

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| II-8-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-8-8 | p-Cl-Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-9-1 | p-Br-Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-9-2 | p-Br-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-9-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-9-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-9-6 | p-Br-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-9-7 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-9-8 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-9-20 | p-Br-Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-10-1 | p-I-Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-10-2 | p-I-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-10-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-10-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-10-5 | p-I-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-10-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-10-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-10-8 | p-I-Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-11-1 | $CH_3$ | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-11-8 | $CH_3$ | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-12-1 | Et | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-12-2 | Et | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-12-5 | Et | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-12-8 | Et | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-13-1 | $^i$Pr | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-13-8 | $^i$Pr | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-14-1 | $^t$Bu | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-14.6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-14-8 | $^t$Bu | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-15-1 | Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| H-15-2 | Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-15-8 | Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-16-1 | p-Me-Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-16-2 | p-Me-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-16-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-16-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |

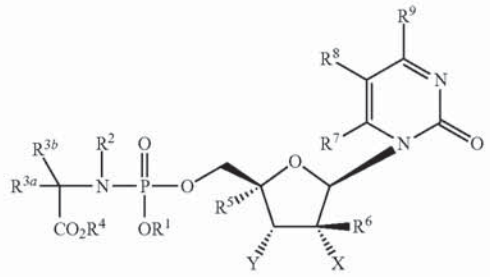
TABLE II-continued



II

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| II-16-5 | p-Me-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-16-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-16-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-16-8 | p-Me-Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-17-1 | p-F-Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-17-2 | p-F-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-17-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-17-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-17-5 | p-F-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-17-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-17-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-17-8 | p-F-Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-18-1 | p-Cl-Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-18-2 | p-Cl-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-18-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-18-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-18-5 | p-Cl-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-18-6 | p-CI-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-18-7 | p-CI-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-18-8 | p-Cl-Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-19-1 | p-Br-Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-19-2 | p-Br-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-19-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-19-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-19-5 | p-Br-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-19-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-19-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-19-8 | p-Br-Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-20-1 | p-I-Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-20-2 | p-I-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-20-3 | p-1-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-20-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-20-5 | p-I-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-20-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-20-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-20-8 | p-I-Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-21-8 | CHs | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-22-1 | Et | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-22-8 | Et | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |

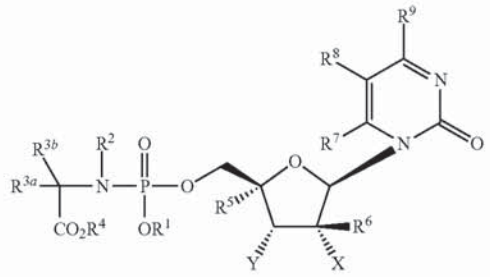
TABLE II-continued



II

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| II-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-25-1 | Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-25-8 | Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-26-1 | p-Me-Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-26-2 | p-Me-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-26-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-26-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-26-5 | p-Me-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-26-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-26-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-26-8 | p-Me-Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-27-1 | p-F-Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-27-2 | p-F-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-27-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-27-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-27-5 | p-F-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-27-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-27-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-27-8 | p-F-Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-28-1 | p-Cl-Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-28-2 | p-Cl-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-28-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-28-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-28-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-28-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-28-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-28-8 | p-Cl-Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-29-1 | p-Br-Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-29-2 | p-Br-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-29-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-29-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-29-5 | p-Br-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-29-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-29-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-29-8 | p-Br-Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-30-1 | p-I-Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-30-2 | p-I-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-30-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-30-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-30-5 | p-I-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-30-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-30-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-30-8 | p-I-Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |

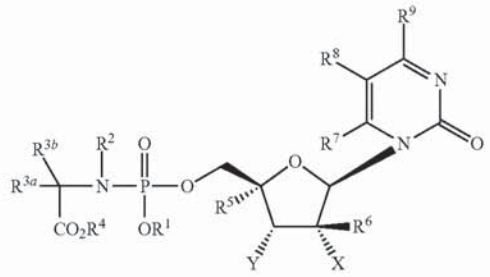
TABLE II-continued



II

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| II-32-1 | Et | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-32-8 | Et | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-35-1 | Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-35-8 | Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-36-1 | p-Me-Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-36-2 | p-Me-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-36-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-36-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-36-5 | p-Me-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-36-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-36-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-36-8 | p-Me-Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-37-1 | p-F-Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-37-2 | p-F-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-37-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-37-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-37-5 | p-F-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-37-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-37-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-37-8 | p-F-Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-38-1 | p-Cl-Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-38-2 | p-Cl-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-38-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-38-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-38-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-38-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-38-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-38-8 | p-Cl-Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-39-1 | p-Br-Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-39-2 | p-Br-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-39-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-39-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-39-5 | p-Br-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-39-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |

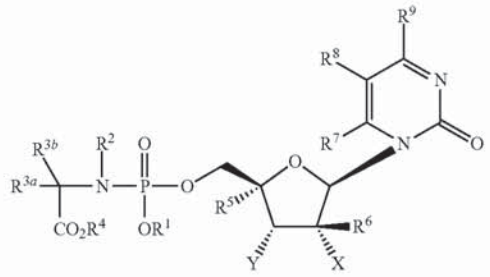
TABLE II-continued



II

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| II-39-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-39-8 | p-Br-Ph | * | H | * | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-40-1 | p-I-Ph | H | H | H | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-40-2 | p-I-Ph | H | H | $CH_3$ | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-40-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-40-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-40-5 | p-I-Ph | H | H | $CH_2Ph$ | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-40-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-40-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-40-8 | p-I-Ph | * | H | * | "Bu | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-41-1 | $CH_3$ | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-41-8 | $CH_3$ | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-42-1 | Et | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-42-2 | Et | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-42-8 | Et | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-43-1 | $^i$Pr | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-43-8 | $^i$Pr | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-44-1 | $^t$Bu | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-44-8 | $^t$Bu | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-45-1 | Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-45-2 | Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-45-8 | Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-46-1 | p-Me-Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-46-2 | p-Me-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-46-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-46-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-46-5 | p-Me-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-46-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-46-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-46-8 | p-Me-Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-47-1 | p-F-Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-47-2 | p-F-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-47-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-47-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |

TABLE II-continued

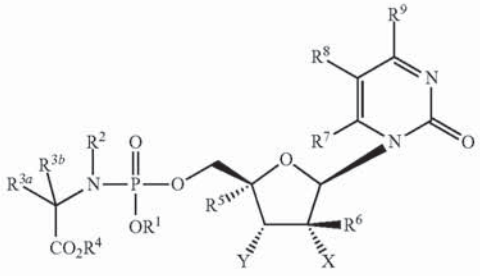


II

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| II-47-5 | p-F-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-47-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-47-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-47-8 | p-F-Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-48-1 | p-Cl-Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-48-2 | p-Cl-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-48-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-48-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-48-5 | p-Cl-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-48-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-48-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-48-8 | p-Cl-Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-49-1 | p-Br-Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-49-2 | p-Br-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-49-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-49-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-49-5 | p-Br-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-49-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-49-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-49-8 | p-Br-Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-50-1 | p-I-Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-50-2 | p-I-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-50-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-50-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-50-5 | p-I-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-50-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-50-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |
| II-50-8 | p-I-Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H | $NH_2$ |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

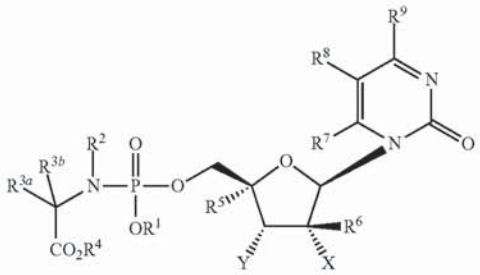
III



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| III-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-2-1 | Et | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |

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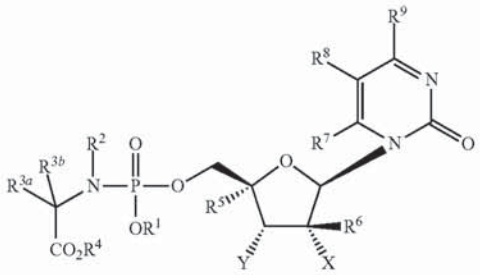
III



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| III-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-2-8 | Et | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-5-1 | Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-5-8 | Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |

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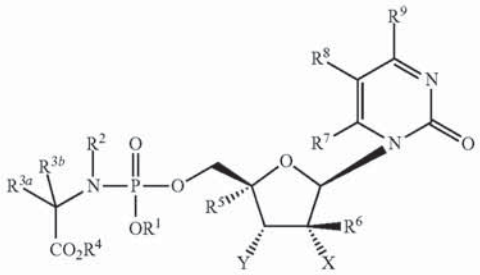
III



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| III-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-11-1 | $CH_3$ | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-11-8 | $CH_3$ | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-12-1 | Et | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-12-2 | Et | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-12-5 | Et | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-12-8 | Et | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-13-1 | $^i$Pr | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-13-8 | $^i$Pr | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-14-1 | $^t$Bu | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-14-8 | $^t$Bu | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-15-1 | Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-15-2 | Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-15-8 | Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-16-1 | p-Me—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-16-8 | p-Me—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-17-1 | p-F—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-17-8 | p-F—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-18-1 | p-Cl—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |

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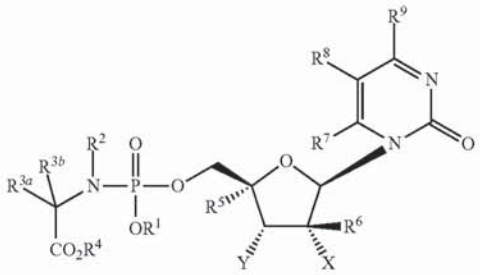
III



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| III-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-18-8 | p-Cl—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-19-1 | p-Br—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-19-8 | p-Br—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-20-1 | p-I—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-20-8 | p-I—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-22-1 | Et | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-22-6 | Ft | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-22-8 | Et | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-25-1 | Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-25-8 | Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |

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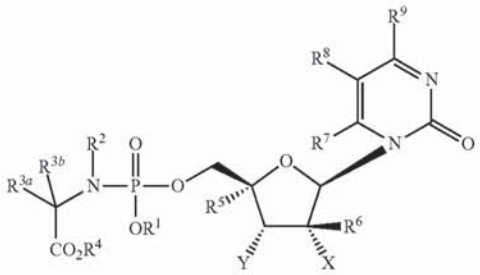
III



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| III-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-32-1 | Et | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-32-8 | Et | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |

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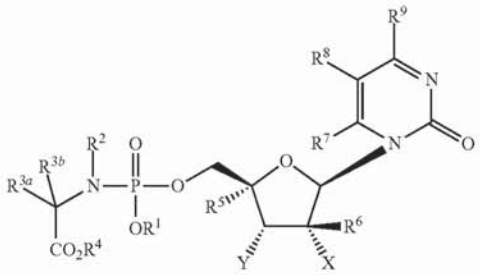
III



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| III-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-35-1 | Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-35-8 | Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III.41-1 | $CH_3$ | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |

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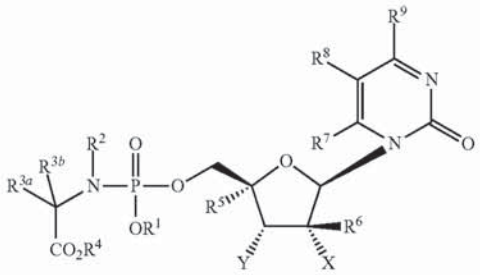
III



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| III-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-41-8 | $CH_3$ | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-42-1 | Et | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-42-2 | Et | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-42-8 | Et | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-43-1 | $^i$Pr | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-43-8 | $^i$Pr | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-44-1 | $^t$Bu | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-44-8 | $^t$Bu | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-45-1 | Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-45-2 | Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-45-8 | Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-46-1 | p-Me—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-46-8 | p-Me—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-47-1 | p-F—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-47-8 | p-F—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-48-1 | p-Cl—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-48-8 | p-Cl—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-49-1 | p-Br—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |

-continued

III

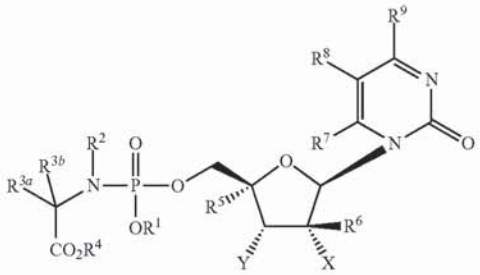


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| III-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-49-8 | p-Br—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-50-1 | p-I—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| III-50-8 | p-I—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H | $NH_2$ |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE IV

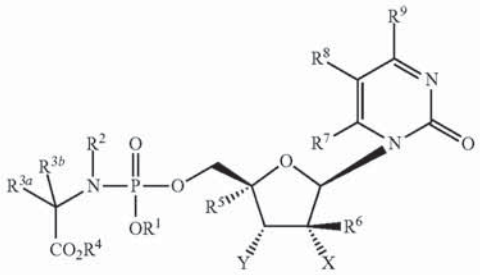
IV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| IV-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-2-1 | Et | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-2-8 | Et | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| IV-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| IV-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| IV-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| IV-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |

TABLE IV-continued

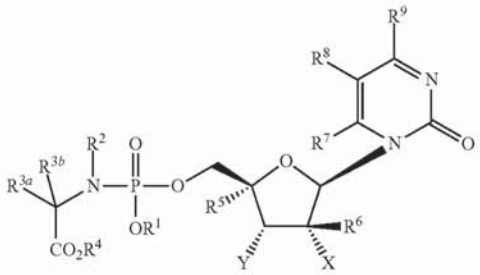
IV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| IV-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| IV-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| IV-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H | $NH_2$ |
| IV-5-1 | Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-5-8 | Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H | $NH_2$ |
| IV-11-1 | $CH_3$ | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-11-8 | $CH_3$ | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-12-1 | Et | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-12-2 | Et | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |

TABLE IV-continued

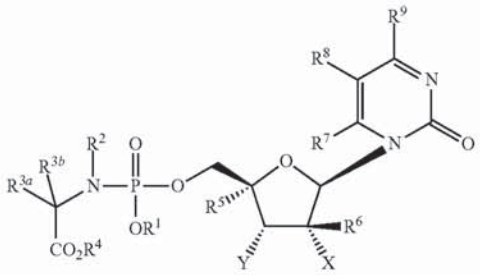
IV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| IV-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-12-8 | Et | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-13-1 | $^i$Pr | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-13-8 | $^i$Pr | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-14-1 | $^t$Bu | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-14-8 | $^t$Bu | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-15-1 | Ph | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-15-2 | Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-15-8 | Ph | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-16-1 | p-Me—Ph | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-16-8 | p-Me—Ph | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-17-1 | p-F—Ph | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-17-8 | p-F—Ph | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-18-1 | p-Cl—Ph | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-18-8 | p-Cl—Ph | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-19-1 | p-Br—Ph | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-19-8 | p-Br—Ph | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-20-1 | p-I—Ph | H | H | H | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |

TABLE IV-continued

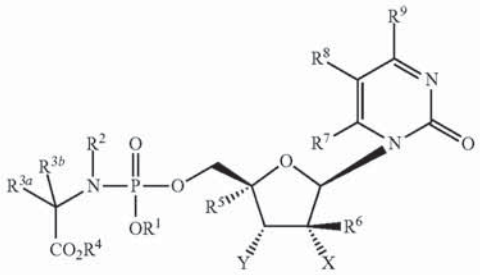
IV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| IV-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-20-8 | p-I—Ph | * | H | * | Et | H | F | F | OH | H | H | $NH_2$ |
| IV-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-22-1 | Et | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-22-8 | Et | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-25-1 | Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-25-8 | Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |

TABLE IV-continued

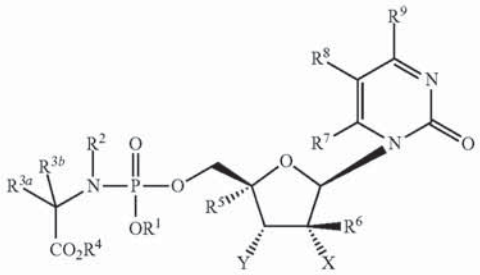
IV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| IV-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H | $NH_2$ |
| IV-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-32-1 | Et | H | H | H | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-32-8 | Et | * | H | * | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-35-1 | Ph | H | H | H | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-35-8 | Ph | * | H | * | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |
| IV-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | F | F | OH | H | H | $NH_2$ |

TABLE IV-continued

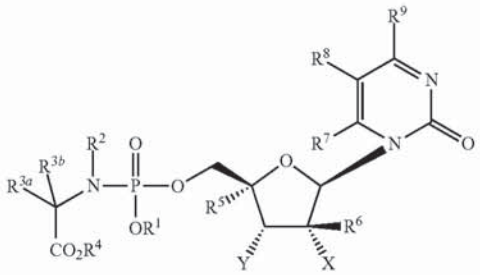
IV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| IV-36-2 | p-Me—Ph | H | H | $CH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-36-8 | p-Me—Ph | * | H | * | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-37-1 | p-F—Ph | H | H | H | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-37-2 | p-F—Ph | H | H | $CH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-37-5 | p-F—Ph | H | H | $CH_2Ph$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-37-8 | p-F—Ph | * | H | * | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-38-1 | p-Cl—Ph | H | H | H | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-38-2 | p-Cl—Ph | H | H | $CH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-38-8 | p-Cl—Ph | * | H | * | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-39-1 | p-Br—Ph | H | H | H | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-39-2 | p-Br—Ph | H | H | $CH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-39-8 | p-Br—Ph | * | H | * | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-40-1 | p-I—Ph | H | H | H | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-40-2 | p-I—Ph | H | H | $CH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-40-5 | p-I—Ph | H | H | $CH_2Ph$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-40-8 | p-I—Ph | * | H | * | nBu | H | F | F | OH | H | H | $NH_2$ |
| IV-41-1 | $CH_3$ | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-41-8 | $CH_3$ | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-42-1 | Et | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-42-2 | Et | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-42-8 | Et | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-43-1 | iPr | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-43-2 | iPr | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-43-3 | iPr | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-43-4 | iPr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-43-5 | iPr | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-43-6 | iPr | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-43-7 | iPr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-43-8 | iPr | H | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |

TABLE IV-continued

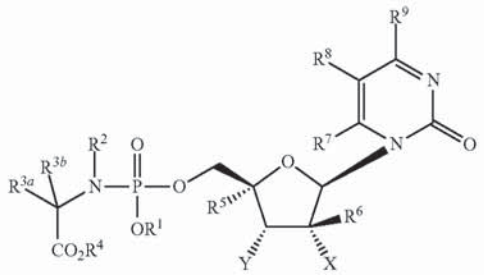
IV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| IV-44-1 | tBu | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-44-2 | tBu | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-44-3 | tBu | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-44-4 | tBu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-44-5 | tBu | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-44-6 | tBu | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-44-7 | tBu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-44-8 | tBu | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-45-1 | Ph | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-45-8 | Ph | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-46-1 | p-Me—Ph | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-46-8 | p-Me—Ph | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-47-1 | p-F—Ph | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-47-8 | p-F—Ph | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-48-1 | p-Cl—Ph | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-48-8 | p-Cl—Ph | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-49-1 | p-Br—Ph | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-49-8 | p-Br—Ph | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-50-1 | p-I—Ph | H | H | H | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H | $NH_2$ |
| IV-50-8 | p-I—Ph | * | H | * | Bz | H | F | F | OH | H | H | $NH_2$ |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

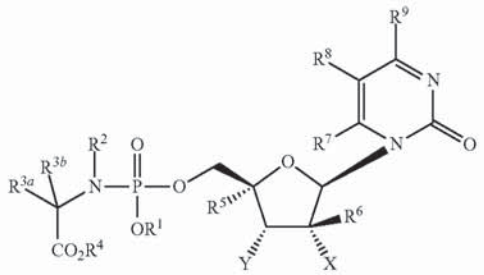
TABLE V-1



V

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| V-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-2-1 | Et | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-2-8 | Et | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-5-1 | Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-5-8 | Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |

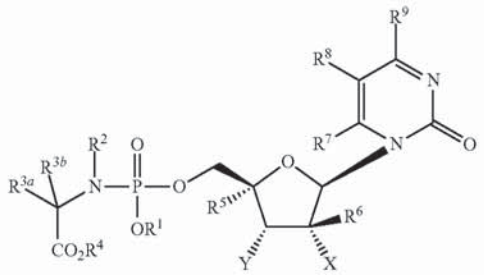
TABLE V-1-continued



V

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| V-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H | $NH_2$ |
| V-11-1 | $CH_3$ | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-11-8 | $CH_3$ | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-12-1 | Et | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-12-2 | Et | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-12-5 | Et | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-12-8 | Et | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-13-1 | $^i$Pr | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-13-8 | $^i$Pr | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-14-1 | $^t$Bu | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-14-8 | $^t$Bu | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-15-1 | Ph | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-15-2 | Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-15-8 | Ph | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-16-1 | p-Me—Ph | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |

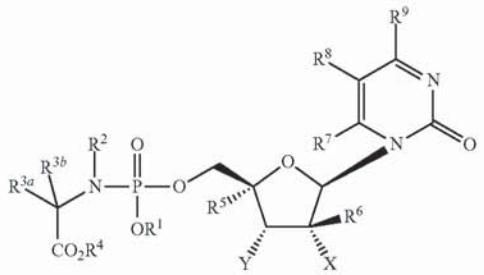
TABLE V-1-continued



V

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| V-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-16-8 | p-Me—Ph | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-17-1 | p-F—Ph | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-17-8 | p-F—Ph | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-18-1 | p-Cl—Ph | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-18-8 | p-Cl—Ph | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-19-1 | p-Br—Ph | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-19-8 | p-Br—Ph | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-20-1 | p-I—Ph | H | H | H | Et | H | H | F | OH | H | H | $NH_2$ |
| V-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H | $NH_2$ |
| V-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H | $NH_2$ |
| V-20-8 | p-I—Ph | * | H | * | Et | H | H | F | OH | H | H | $NH_2$ |
| V-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-22-1 | Et | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-22-8 | Et | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |

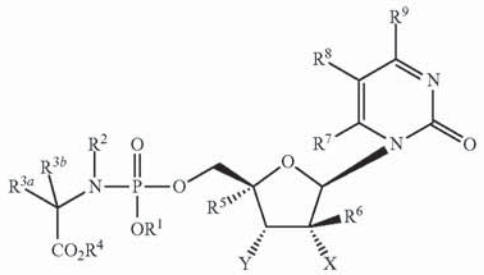
TABLE V-1-continued



V

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| V-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-25-1 | Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-25-8 | Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H | $NH_2$ |
| V-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |

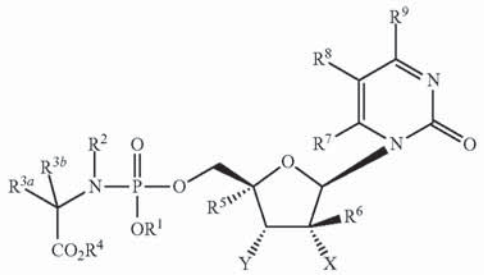
TABLE V-1-continued



V

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| V-32-1 | Et | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-32-8 | Et | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-35-1 | Ph | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-35-8 | Ph | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |

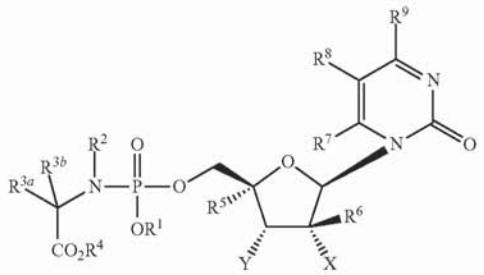
TABLE V-1-continued



V

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| V-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | H | F | OH | H | H | $NH_2$ |
| V-41-1 | $CH_3$ | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-41-8 | $CH_3$ | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-42-1 | Et | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-42-2 | Et | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-42-8 | Et | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-43-1 | $^i$Pr | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-43-8 | $^i$Pr | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-44-1 | $^t$Bu | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-44-8 | $^t$Bu | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-45-1 | Ph | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-45-2 | Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-45-8 | Ph | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-46-1 | p-Me—Ph | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-46-8 | p-Me—Ph | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-47-1 | p-F—Ph | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |

TABLE V-1-continued

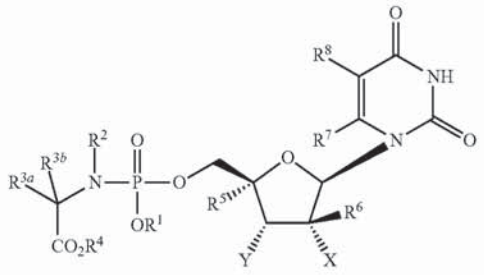


V

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| V-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-47-8 | p-F—Ph | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-48-1 | p-Cl—Ph | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-48-8 | p-Cl—Ph | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-49-1 | p-Br—Ph | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-49-8 | p-Br—Ph | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-50-1 | p-I—Ph | H | H | H | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H | $NH_2$ |
| V-50-8 | p-I—Ph | * | H | * | Bz | H | H | F | OH | H | H | $NH_2$ |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

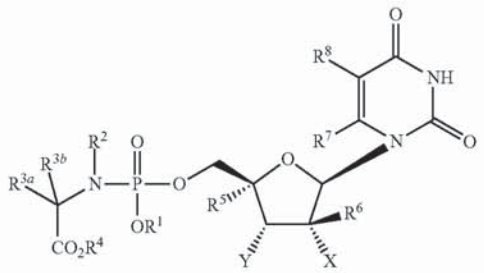
TABLE VI-1



VI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VI-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-2-1 | Et | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |

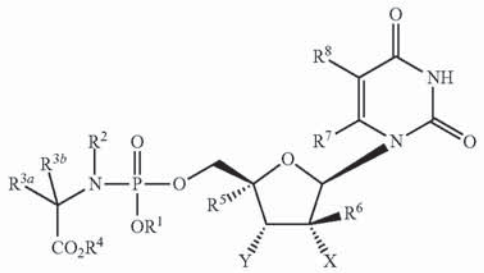
TABLE VI-1-continued



VI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VI-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-2-8 | Et | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-5-1 | Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-5-8 | Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H |

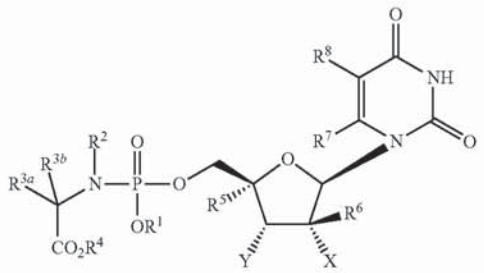
TABLE VI-1-continued



VI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VI-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H |
| VI-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H |
| VI-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H |
| VI-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H |
| VI-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H |
| VI-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H |
| VI-11-1 | $CH_3$ | H | H | H | Et | H | F | H | OH | H | H |
| VI-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-11-8 | $CH_3$ | * | H | * | Et | H | F | H | OH | H | H |
| VI-12-1 | Et | H | H | H | Et | H | F | H | OH | H | H |
| VI-12-2 | Et | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-12-8 | Et | * | H | * | Et | H | F | H | OH | H | H |
| VI-13-1 | $^i$Pr | H | H | H | Et | H | F | H | OH | H | H |
| VI-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-13-8 | $^i$Pr | * | H | * | Et | H | F | H | OH | H | H |
| VI-14-1 | $^t$Bu | H | H | H | Et | H | F | H | OH | H | H |
| VI-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-14-8 | $^t$Bu | * | H | * | Et | H | F | H | OH | H | H |
| VI-15-1 | Ph | H | H | H | Et | H | F | H | OH | H | H |
| VI-15-2 | Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-15-8 | Ph | * | H | * | Et | H | F | H | OH | H | H |
| VI-16-1 | p-Me—Ph | H | H | H | Et | H | F | H | OH | H | H |
| VI-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-16-8 | p-Me—Ph | * | H | * | Et | H | F | H | OH | H | H |
| VI-17-1 | p-F—Ph | H | H | H | Et | H | F | H | OH | H | H |
| VI-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |

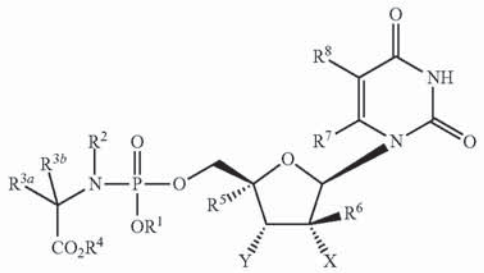
TABLE VI-1-continued



VI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VI-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-17-8 | p-F—Ph | * | H | * | Et | H | F | H | OH | H | H |
| VI-18-1 | p-Cl—Ph | H | H | H | Et | H | F | H | OH | H | H |
| VI-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-I8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-18-8 | p-Cl—Ph | * | H | * | Et | H | F | H | OH | H | H |
| VI-19-1 | p-Br—Ph | H | H | H | Et | H | F | H | OH | H | H |
| VI-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-19-8 | p-Br—Ph | * | H | * | Et | H | F | H | OH | H | H |
| VI-20-1 | p-I—Ph | H | H | H | Et | H | F | H | OH | H | H |
| VI-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H |
| VI-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H |
| VI-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H |
| VI-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H |
| VI-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H |
| VI-20-8 | p-I—Ph | * | H | * | Et | H | F | H | OH | H | H |
| VI-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-22-1 | Et | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-22-8 | Et | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-25-1 | Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |

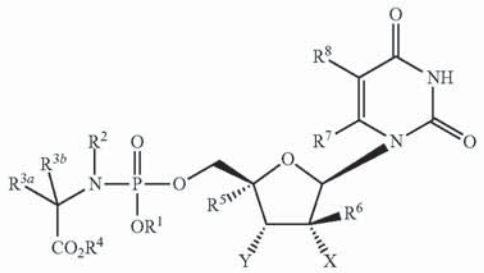
TABLE VI-1-continued



VI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VI-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-25-8 | Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H |
| VI-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H |
| VI-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H |
| VI-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H |
| VI-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H |
| VI-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H |
| VI-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-32-1 | Et | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-32-8 | Et | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |

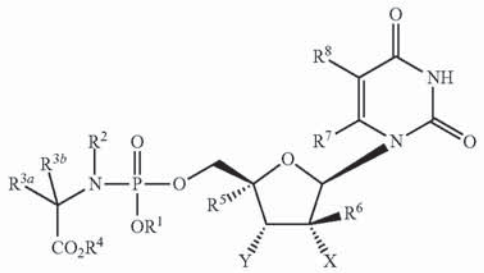
TABLE VI-1-continued



VI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VI-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-35-1 | Ph | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-35-8 | * | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | F | H | OH | H | H |
| VI-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | F | H | OH | H | H |
| VI-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H |
| VI-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H |
| VI-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H |
| VI-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H |
| VI-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | F | H | OH | H | H |

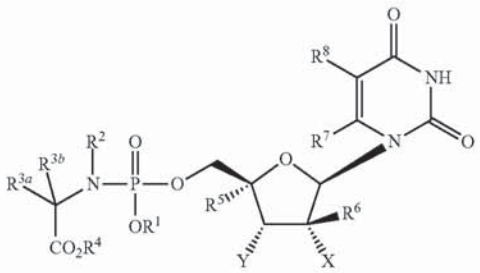
TABLE VI-1-continued



VI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VI-41-1 | $CH_3$ | H | H | H | Bz | H | F | H | OH | H | H |
| VI-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-41-8 | $CH_3$ | * | H | * | Bz | H | F | H | OH | H | H |
| VI-42-1 | Et | H | H | H | Bz | H | F | H | OH | H | H |
| VI-42-2 | Et | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-42-8 | Et | * | H | * | Bz | H | F | H | OH | H | H |
| VI-43-1 | $^i$Pr | H | H | H | Bz | H | F | H | OH | H | H |
| VI-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-43-8 | $^i$Pr | * | H | * | Bz | H | F | H | OH | H | H |
| VI-44-1 | $^t$Bu | H | H | H | Bz | H | F | H | OH | H | H |
| VI-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-44-8 | $^t$Bu | * | H | * | Bz | H | F | H | OH | H | H |
| VI-45-1 | Ph | H | H | H | Bz | H | F | H | OH | H | H |
| VI-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-45-8 | Ph | * | H | * | Bz | H | F | H | OH | H | H |
| VI-46-1 | p-Me—Ph | H | H | H | Bz | H | F | H | OH | H | H |
| VI-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-46-8 | p-Me—Ph | * | H | * | Bz | H | F | H | OH | H | H |
| VI-47-1 | p-F—Ph | H | H | H | Bz | H | F | H | OH | H | H |
| VI-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-47-8 | p-F—Ph | * | H | * | Bz | H | F | H | OH | H | H |
| VI-48-1 | p-Cl—Ph | H | H | H | Bz | H | F | H | OH | H | H |
| VI-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |

TABLE VI-1-continued

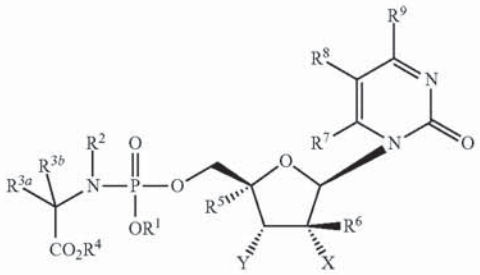


VI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VI-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-48-8 | p-Cl—Ph | * | H | * | Bz | H | F | H | OH | H | H |
| VI-49-1 | p-Br—Ph | H | H | H | Bz | H | F | H | OH | H | H |
| VI-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-49-8 | p-Br—Ph | * | H | * | Bz | H | F | H | OH | H | H |
| VI-50-1 | p-I—Ph | H | H | H | Bz | H | F | H | OH | H | H |
| VI-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H |
| VI-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H |
| VI-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H |
| VI-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H |
| VI-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H |
| VI-50-8 | p-I—Ph | * | H | * | Bz | H | F | H | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

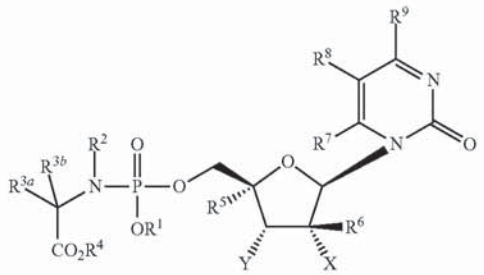
Table VII- 1



VII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| VII-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-2-1 | Et | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-2-8 | Et | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |

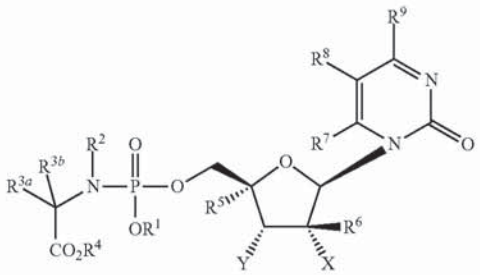
Table VII- 1-continued



VII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| VII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-5-1 | Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-5-8 | Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | F | H | OH | H | H | $NH_2$ |
| VII-11-1 | $CH_3$ | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |

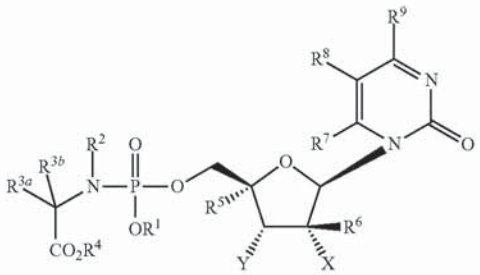
Table VII- 1-continued



VII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| VII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-11-8 | $CH_3$ | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-12-1 | Et | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-12-2 | Et | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-12-8 | Et | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-13-1 | $^i$Pr | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-13-8 | $^i$Pr | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-14-1 | $^t$Bu | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-14-8 | $^t$Bu | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-15-1 | Ph | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-15-2 | Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-15-8 | Ph | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-16-1 | p-Me—Ph | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-16-8 | p-Me—Ph | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-17-1 | p-F—Ph | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-17-8 | p-F—Ph | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-18-1 | p-Cl—Ph | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-18-8 | p-Cl—Ph | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-19-1 | p-Br—Ph | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |

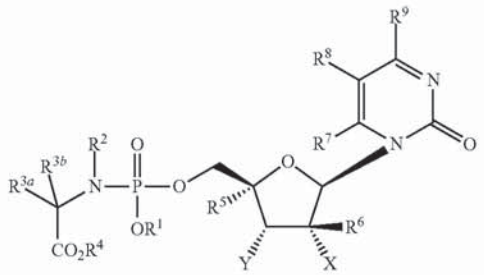
Table VII- 1-continued



VII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| VII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-19-8 | p-Br—Ph | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-20-1 | p-I—Ph | H | H | H | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-20-8 | p-I—Ph | * | H | * | Et | H | F | H | OH | H | H | $NH_2$ |
| VII-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-22-1 | Et | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-22-8 | Et | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-25-1 | Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-25-8 | Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |

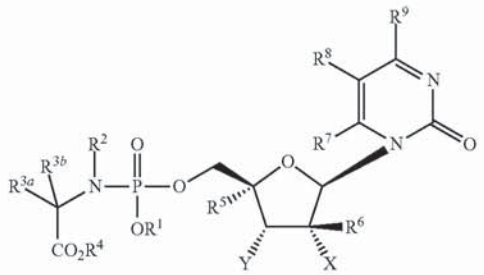
Table VII- 1-continued



VII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| VII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | F | H | OH | H | H | $NH_2$ |
| VII-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-32-1 | Et | H | H | H | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-32-8 | Et | * | H | * | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-35-1 | Ph | H | H | H | $^n$Bu | H | F | H | OH | H | H | $NH_2$ |

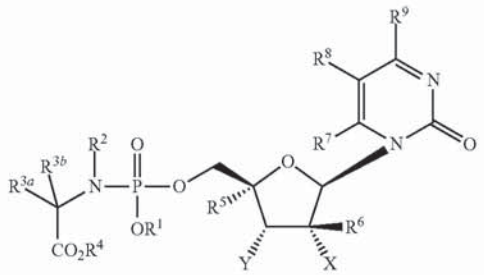
Table VII- 1-continued



VII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| VII-35-2 | Ph | H | H | $CH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-35-3 | Ph | H | H | $CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-35-5 | Ph | H | H | $CH_2Ph$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-35-8 | Ph | * | H | * | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-36-1 | p-Me—Ph | H | H | H | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-36-2 | p-Me—Ph | H | H | $CH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-36-8 | p-Me—Ph | * | H | * | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-37-1 | p-F—Ph | H | H | H | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-37-2 | p-F—Ph | H | H | $CH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-37-5 | p-F—Ph | H | H | $CH_2Ph$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-37-8 | p-F—Ph | * | H | * | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-38-1 | p-Cl—Ph | H | H | H | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-38-2 | p-Cl—Ph | H | H | $CH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-38-8 | p-Cl—Ph | * | H | * | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-39-1 | p-Br—Ph | H | H | H | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-39-2 | p-Br—Ph | H | H | $CH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-39-8 | p-Br—Ph | * | H | * | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-40-1 | p-I—Ph | H | H | H | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-40-2 | p-I—Ph | H | H | $CH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-40-8 | p-I—Ph | * | H | * | "Bu | H | F | H | OH | H | H | $NH_2$ |
| VII-41-1 | $CH_3$ | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-41-8 | $CH_3$ | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-42-1 | Et | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-42-2 | Et | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-42-8 | Et | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |

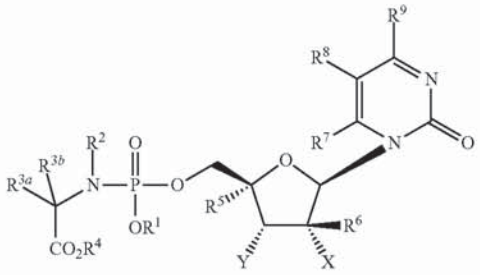
Table VII- 1-continued



VII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| VII-43-1 | $^i$Pr | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-43-8 | $^i$Pr | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-44-1 | $^t$Bu | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-44-8 | $^t$Bu | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-45-1 | Ph | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-45-8 | Ph | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-46-1 | p-Me—Ph | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-46-8 | p-Me—Ph | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-47-1 | p-F—Ph | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-47-8 | p-F—Ph | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-48-1 | p-Cl—Ph | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-48-8 | p-Cl—Ph | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-49-1 | p-Br—Ph | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-49-8 | p-Br—Ph | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-50-1 | p-I—Ph | H | H | H | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH | H | H | $NH_2$ |

Table VII- 1-continued

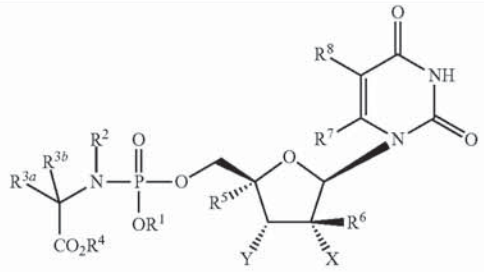


VII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ | $R^9$ |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| VII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH | H | H | $NH_2$ |
| VII-50-8 | p-I—Ph | * | H | * | Bz | H | F | H | OH | H | H | $NH_2$ |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

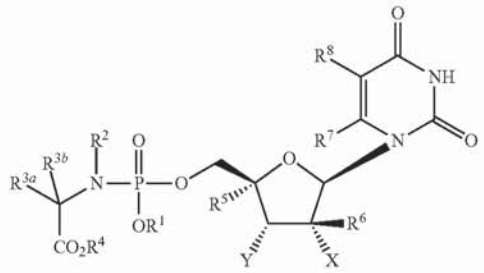
TABLE VIII-1



VIII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VIII-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-2-1 | Et | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-2-8 | Et | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-3-4 | $^i$Pr | .H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-5-1 | Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |

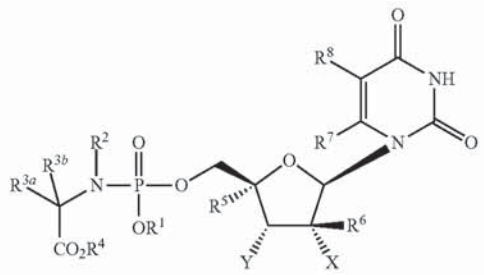
TABLE VIII-1-continued



VIII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VIII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-5-8 | Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | $CH_3$ | OH | OH | H | H |
| VIII-11-1 | $CH_3$ | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-11-8 | $CH_3$ | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-12-1 | Et | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-12-2 | Et | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-12-5 | Et | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-12-8 | Et | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-13-1 | $^i$Pr | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |

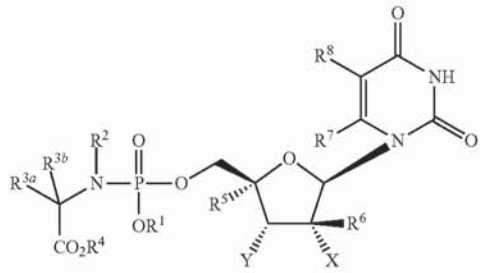
TABLE VIII-1-continued



VIII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VIII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-13-8 | $^i$Pr | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-14-1 | $^t$Bu | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-14-8 | $^t$Bu | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-15-1 | Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-15-2 | Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-15-8 | Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-16-1 | p-Me—Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-16-8 | p-Me—Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-17-1 | p-F—Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-17-8 | p-F—Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-18-1 | p-Cl—Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-18-8 | p-Cl—Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-19-1 | p-Br—Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-19-S | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-19-8 | p-Br—Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-20-1 | p-I—Ph | H | H | H | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-20-8 | p-I—Ph | * | H | * | Et | H | $CH_3$ | OH | OH | H | H |
| VIII-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |

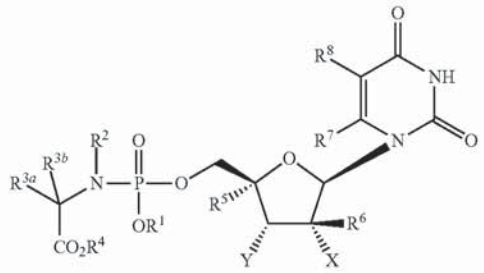
TABLE VIII-1-continued



VIII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VIII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-22-1 | Et | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-22-8 | Et | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-25-1 | Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-25-8 | Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |

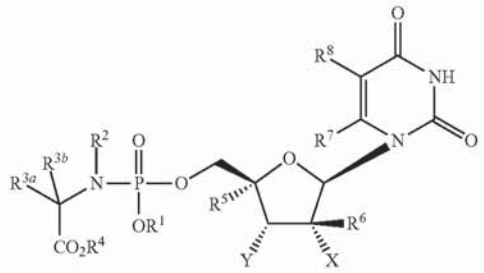
TABLE VIII-1-continued



VIII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VIII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | $CH_3$ | OH | OH | H | H |
| VIII-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-32-1 | Et | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-32-8 | Et | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIH-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-35-1 | Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIH-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-35-8 | Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |

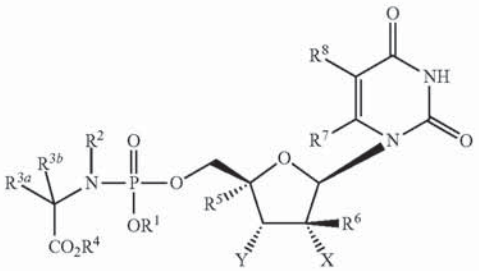
TABLE VIII-1-continued



VIII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VIII-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-39-1 | p-Br—ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-39-2 | p-Br—ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-39-3 | p-Br—ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-39-4 | p-Br—ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-39-5 | p-Br—ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-39-6 | p-Br—ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-39-7 | p-Br—ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-39-8 | p-Br—ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | $CH_3$ | OH | OH | H | H |
| VIII-41-1 | $CH_3$ | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-41-8 | $CH_3$ | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-42-1 | Et | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-42-2 | Et | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-42-8 | Et | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-43-1 | $^i$Pr | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-43-8 | $^i$Pr | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-44-1 | $^t$Bu | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |

TABLE VIII-1-continued



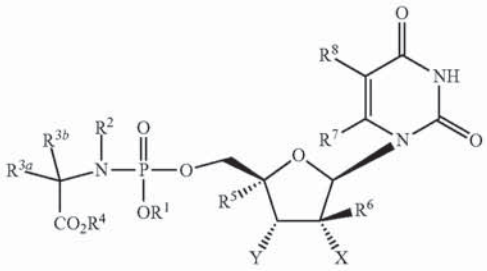
VIII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| VIII-44-8 | $^t$Bu | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-45-1 | Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-45-2 | Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-45-8 | Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-46-1 | p-Me—Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-46-8 | p-Me—Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-47-1 | p-F—Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-47-8 | p-F—Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-48-1 | p-Cl—Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-48-8 | p-Cl—Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-49-1 | p-Br—Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-49-8 | p-Br—Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-50-1 | p-I—Ph | H | H | H | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | OH | OH | H | H |
| VIII-50-8 | p-I—Ph | * | H | * | Bz | H | $CH_3$ | OH | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE IX

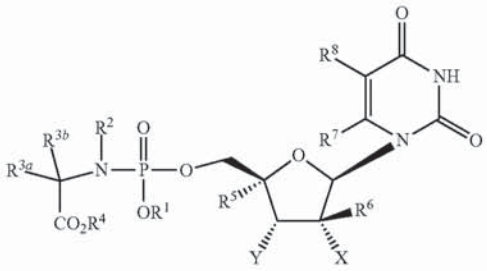
IX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| IX-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-2-1 | Et | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-2-8 | Et | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-3-3 | Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-5-1 | Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-5-5 | Ph | H | H | $CH_2Ph$ | CH) | H | $CH_3$ | F | OH | H | H |
| IX-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-5-8 | Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-6-6 | p-Me—Ph | H | U | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |

TABLE IX-continued

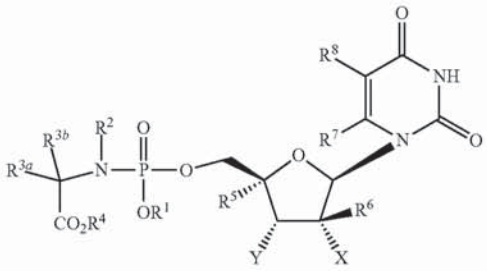
IX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| IX-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH | H | H |
| IX-11-1 | $CH_3$ | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-11-8 | $CH_3$ | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-12-1 | Et | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-12-2 | Et | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-12-5 | Et | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-12-8 | Et | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-13-1 | $^i$Pr | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-13-8 | $^i$Pr | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-14-1 | $^t$Bu | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-14-8 | $^t$Bu | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-15-1 | Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-15-2 | Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-15-8 | Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-16-1 | p-Me—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |

TABLE IX-continued

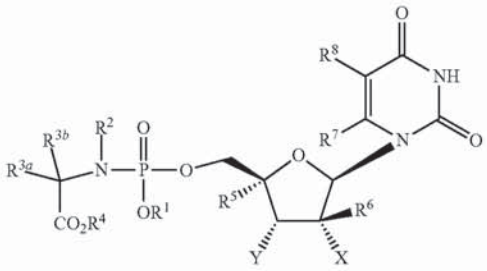
IX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| IX-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-16-8 | p-Me—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-17-1 | p-F—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-17-8 | p-F—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-18-1 | p-Cl—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-18-8 | p-Cl—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-19-1 | p-Br—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-19-8 | p-Br—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-20-1 | p-I—Ph | H | H | H | Et | H | $CH_3$ | F | OH | H | H |
| IX-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH | H | H |
| IX-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH | H | H |
| IX-20-8 | p-I—Ph | * | H | * | Et | H | $CH_3$ | F | OH | H | H |
| IX-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Pr | H | $CH_3$ | F | OH | H | H |
| IX-21-4 | CH) | H | H | $CH_2CH(CH_3)_2$ | Pr | H | $CH_3$ | F | OH | H | H |
| IX-21-5 | $CH_3$ | H | H | $CH_2Ph$ | Pr | H | $CH_3$ | F | OH | H | H |
| IX-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Pr | H | $CH_3$ | F | OH | H | H |
| IX-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-22-1 | Et | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-22-8 | Et | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-23-7 | $^i$Pr | H | 11 | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |

TABLE IX-continued

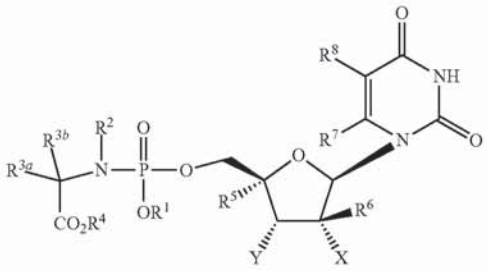
IX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| IX-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-25-1 | Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-25-8 | Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-26-7 | p-Me—Ph | H | 11 | $CH_2CH_2CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-27-8 | p-F—Ph | H | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH | H | H |
| IX-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-32-1 | Et | H | H | H | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H |
| IX-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH | H | H |

TABLE IX-continued

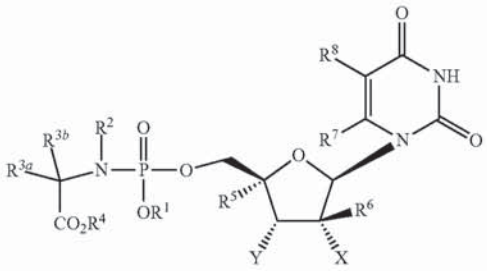
IX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| IX-32-5 | Et | H | H | $CH_2Ph$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-32-6 | Et | H | H | $CH_2$-indol-3-yl | nBu | H | $CH_3$ | F | OH | H | H |
| IX-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-32-8 | Et | * | H | * | nBu | H | $CH_3$ | F | OH | H | H |
| IX-33-1 | iPr | H | H | H | nBu | H | $CH_3$ | F | OH | H | H |
| IX-33-2 | iPr | H | H | $CH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-33-3 | iPr | H | H | $CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-33-4 | iPr | H | H | $CH_2CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-33-5 | iPr | H | H | $CH_2Ph$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-33-6 | iPr | H | H | $CH_2$-indol-3-yl | nBu | H | $CH_3$ | F | OH | H | H |
| IX-33-7 | iPr | H | H | $CH_2CH_2SCH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-33-8 | iPr | * | H | * | nBu | H | $CH_3$ | F | OH | H | H |
| IX-34-1 | tBu | H | H | H | nBu | H | $CH_3$ | F | OH | H | H |
| IX-34-2 | tBu | H | H | $CH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-34-3 | tBu | H | H | $CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-34-4 | tBu | H | H | $CH_2CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-34-5 | tBu | H | H | $CH_2Ph$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-34-6 | tBu | H | H | $CH_2$-indol-3-yl | nBu | H | $CH_3$ | F | OH | H | H |
| IX-34-7 | tBu | H | H | $CH_2CH_2SCH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-34-8 | tBu | * | H | * | nBu | H | $CH_3$ | F | OH | H | H |
| IX-35-1 | Ph | H | H | H | nBu | H | $CH_3$ | F | OH | H | H |
| IX-35-2 | Ph | H | H | $CH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-35-3 | Ph | H | H | $CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-35-5 | Ph | H | H | $CH_2Ph$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-35-6 | Ph | H | H | $CH_2$-indol-3-yl | nBu | H | $CH_3$ | F | OH | H | H |
| IX-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-35-8 | Ph | * | H | * | nBu | H | $CH_3$ | F | OH | H | H |
| IX-36-1 | p-Me—Ph | H | H | H | nBu | H | $CH_3$ | F | OH | H | H |
| IX-36-2 | p-Me—Ph | H | H | $CH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | $CH_3$ | F | OH | H | H |
| IX-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-36-8 | p-Me—Ph | * | H | * | nBu | H | $CH_3$ | F | OH | H | H |
| IX-37-1 | p-F—Ph | H | H | H | nBu | H | $CH_3$ | F | OH | H | H |
| IX-37-2 | p-F—Ph | H | H | $CH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-37-5 | p-F—Ph | H | H | $CH_2Ph$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | $CH_3$ | F | OH | H | H |
| IX-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-37-8 | p-F—Ph | * | H | * | nBu | H | $CH_3$ | F | OH | H | H |
| IX-38-1 | p-Cl—Ph | H | H | H | nBu | H | $CH_3$ | F | OH | H | H |
| IX-38-2 | p-Cl—Ph | H | H | $CH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | $CH_3$ | F | OH | H | H |
| IX-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-38-8 | p-Cl—Ph | * | H | * | nBu | H | $CH_3$ | F | OH | H | H |
| IX-39-1 | p-Br—Ph | H | H | H | nBu | H | $CH_3$ | F | OH | H | H |
| IX-39-2 | p-Br—Ph | H | H | $CH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | nBu | H | $CH_3$ | F | OH | H | H |
| IX-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-39-8 | p-Br—Ph | * | H | * | nBu | H | $CH_3$ | F | OH | H | H |
| IX-40-1 | p-I—Ph | H | H | H | nBu | H | $CH_3$ | F | OH | H | H |
| IX-40-2 | p-I—Ph | H | H | $CH_3$ | nBu | H | $CH_3$ | F | OH | H | H |
| IX-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | nBu | H | $CH_3$ | F | OH | H | H |

TABLE IX-continued

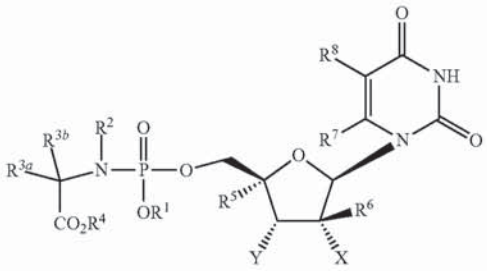
IX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| IX-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | $CH_3$ | F | OH | H | H |
| IX-40-5 | p-I—Ph | H | H | $CH_2Ph$ | "Bu | H | $CH_3$ | F | OH | H | H |
| IX-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | $CH_3$ | F | OH | H | H |
| IX-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | $CH_3$ | F | OH | H | H |
| IX-40-8 | p-I—Ph | * | H | * | "Bu | H | $CH_3$ | F | OH | H | H |
| IX-41-1 | $CH_3$ | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-41-8 | $CH_3$ | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-42-1 | Et | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-42-2 | Et | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-42-8 | Et | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-43-1 | $^i$Pr | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-43-8 | $^i$Pr | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-44-1 | $^t$Bu | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-44-8 | $^t$Bu | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-45-1 | Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-45-2 | Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-45-8 | Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-46-1 | p-Me—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-46-8 | p-Me—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-47-1 | p-F—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-47-8 | p-F—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-48-1 | p-Cl—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |

TABLE IX-continued

IX

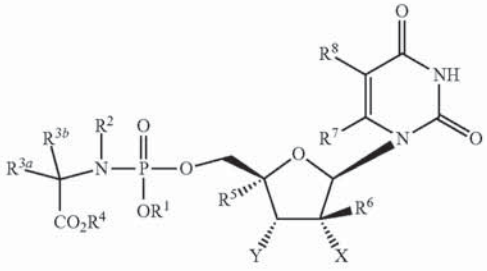


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| IX-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-48-8 | p-Cl—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-49-1 | p-Br—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-49-8 | p-Br—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |
| IX-50-1 | p-I—Ph | H | H | H | Bz | H | $CH_3$ | F | OH | H | H |
| IX-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH | H | H |
| IX-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH | H | H |
| IX-50-8 | p-I—Ph | * | H | * | Bz | H | $CH_3$ | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE X

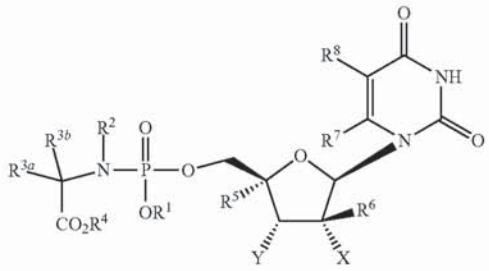
X



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| X-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-2-1 | Et | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-2-8 | Et | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |

TABLE X-continued

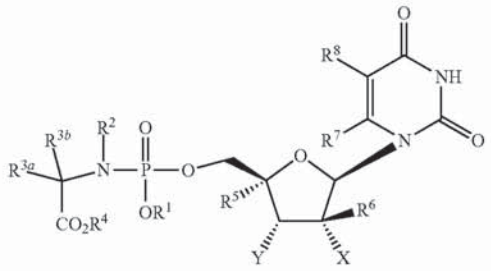
X



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| X-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-5-1 | Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-5-8 | Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | F | F | OH | H | H |
| X-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH | H | H |
| X-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH | H | H |
| X-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH | H | H |
| X-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH | H | H |
| X-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | F | F | OH | H | H |
| X-11-1 | $CH_3$ | H | H | H | Et | H | F | F | OH | H | H |

TABLE X-continued

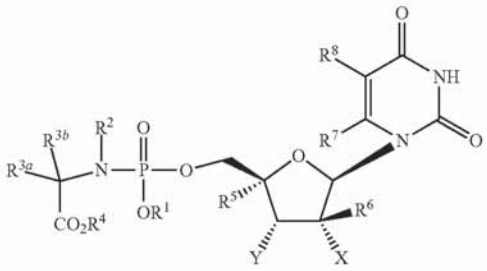
X



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| X-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-11-8 | $CH_3$ | * | H | * | Et | H | F | F | OH | H | H |
| X-12-1 | Et | H | H | H | Et | H | F | F | OH | H | H |
| X-12-2 | Et | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-12-8 | Et | * | H | * | Et | H | F | F | OH | H | H |
| X-13-1 | $^i$Pr | H | H | H | Et | H | F | F | OH | H | H |
| X-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-13-8 | $^i$Pr | * | H | * | Et | H | F | F | OH | H | H |
| X-14-1 | $^t$Bu | H | H | H | Et | H | F | F | OH | H | H |
| X-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-14-8 | $^t$Bu | * | H | * | Et | H | F | F | OH | H | H |
| X-15-1 | Ph | H | H | H | Et | H | F | F | OH | H | H |
| X-15-2 | Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-15-8 | Ph | * | H | * | Et | H | F | F | OH | H | H |
| X-16-1 | p-Me—Ph | H | H | H | Et | H | F | F | OH | H | H |
| X-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-16-8 | p-Me—Ph | * | H | * | Et | H | F | F | OH | H | H |
| X-17-1 | p-F—Ph | H | H | H | Et | H | F | F | OH | H | H |
| X-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-17-8 | p-F—Ph | * | H | * | Et | H | F | F | OH | H | H |
| X-18-1 | p-Cl—Ph | H | H | H | Et | H | F | F | OH | H | H |
| X-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-18-8 | p-Cl—Ph | * | H | * | Et | H | F | F | OH | H | H |

TABLE X-continued

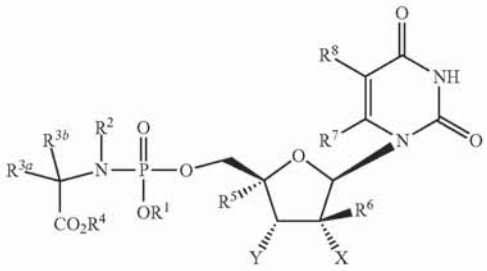
X



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| X-19-1 | p-Br—Ph | H | H | H | Et | H | F | F | OH | H | H |
| X-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | H |
| X-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | H |
| X-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | H |
| X-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | H |
| X-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | H |
| X-19-8 | p-Br—Ph | * | H | * | Et | H | F | F | OH | H | H |
| X-20-1 | p-I—Ph | H | H | H | Et | H | F | F | OH | H | |
| X-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | F | F | OH | H | |
| X-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH | H | |
| X-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH | H | |
| X-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH | H | |
| X-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH | H | |
| X-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH | H | |
| X-20-8 | p-I—Ph | * | H | * | Et | H | F | F | OH | H | |
| X-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-22-1 | Et | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-22-6 | Et | H | 11 | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-22-8 | Et | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-25-1 | Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-25-8 | Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |

TABLE X-continued

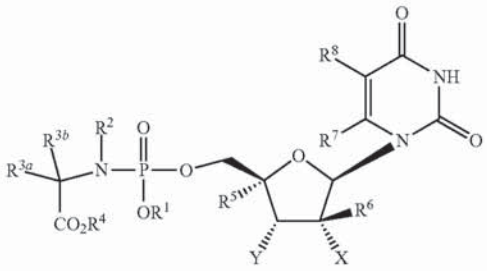
X



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| X-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | F | F | OH | H | H |
| X-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH | H | H |
| X-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH | H | H |
| X-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH | H | H |
| X-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH | H | H |
| X-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | F | F | OH | H | H |
| X-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-32-1 | Et | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-32-8 | Et | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |

TABLE X-continued

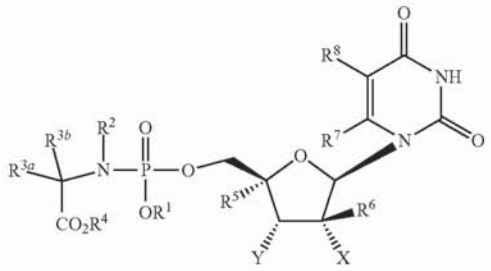
X



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| X-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-35-1 | Ph | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-35-8 | Ph | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | F | F | OH | H | H |
| X-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH | H | H |
| X-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH | H | H |
| X-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH | H | H |
| X-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH | H | H |
| X-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | F | F | OH | H | H |
| X-41-1 | $CH_3$ | H | H | H | Bz | H | F | F | OH | H | H |
| X-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-41-8 | $CH_3$ | * | H | * | Bz | H | F | F | OH | H | H |
| X-42-1 | Et | H | H | H | Bz | H | F | F | OH | H | H |
| X-42-2 | Et | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |

TABLE X-continued

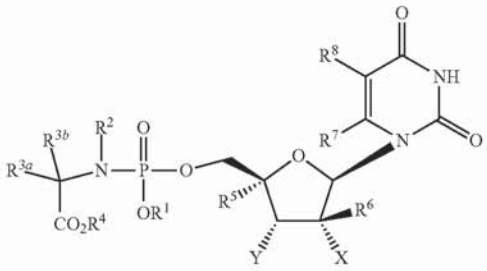
X



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| X-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-42-8 | Et | * | H | * | Bz | H | F | F | OH | H | H |
| X-43-1 | $^i$Pr | H | H | H | Bz | H | F | F | OH | H | H |
| X-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-43-8 | $^i$Pr | * | H | * | Bz | H | F | F | OH | H | H |
| X-44-1 | $^t$Bu | H | H | H | Bz | H | F | F | OH | H | H |
| X-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-44-8 | $^t$Bu | * | H | * | Bz | H | F | F | OH | H | H |
| X-45-1 | Ph | H | H | H | Bz | H | F | F | OH | H | H |
| X-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-45-8 | Ph | * | H | * | Bz | H | F | F | OH | H | H |
| X-46-1 | p-Me—Ph | H | H | H | Bz | H | F | F | OH | H | H |
| X-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-46-8 | p-Me—Ph | * | H | * | Bz | H | F | F | OH | H | H |
| X-47-1 | p-F—Ph | H | H | H | Bz | H | F | F | OH | H | H |
| X-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-47-8 | p-F—Ph | * | H | * | Bz | H | F | F | OH | H | H |
| X-48-1 | p-Cl—Ph | H | H | H | Bz | H | F | F | OH | H | H |
| X-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-48-8 | p-Cl—Ph | * | H | * | Bz | H | F | F | OH | H | H |
| X-49-1 | p-Br—Ph | H | H | H | Bz | H | F | F | OH | H | H |
| X-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-49-8 | p-Br—Ph | * | H | * | Bz | H | F | F | OH | H | H |
| X-50-1 | p-I—Ph | H | H | H | Bz | H | F | F | OH | H | H |
| X-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | F | F | OH | H | H |
| X-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |
| X-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH | H | H |

TABLE X-continued

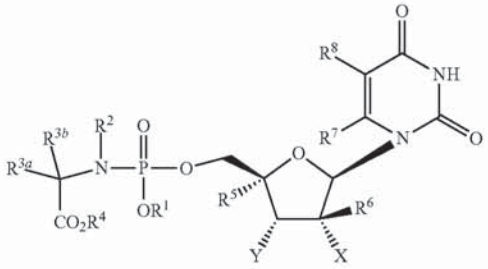
X



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| X-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH | H | H |
| X-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH | H | H |
| X-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH | H | H |
| X-50-8 | p-I—Ph | * | H | * | Bz | H | F | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

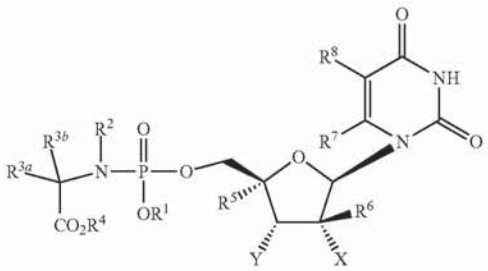
TABLE XI



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XI-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-2-1 | Et | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-2-8 | Et | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-3-4 | $^i$Pr | H | H | $CH_2CH(CH3)3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-5-1 | Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H |

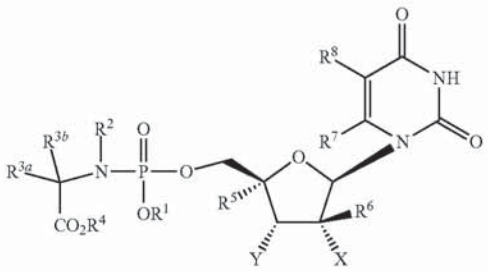
TABLE XI-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XI-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-5-8 | Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | H | F | OH | H | H |
| XI-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH | H | H |
| XI-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH | H | H |
| XI-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH | H | H |
| XI-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH | H | H |
| XI-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | H | F | OH | H | H |
| XI-11-1 | $CH_3$ | H | H | H | Et | H | H | F | OH | H | H |
| XI-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-11-4 | $CH_3$ | Et | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-11-8 | $CH_3$ | * | H | * | Et | H | H | F | OH | H | H |
| XI-12-1 | Et | H | H | H | Et | H | H | F | OH | H | H |
| XI-12-2 | Et | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-12-5 | Et | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |

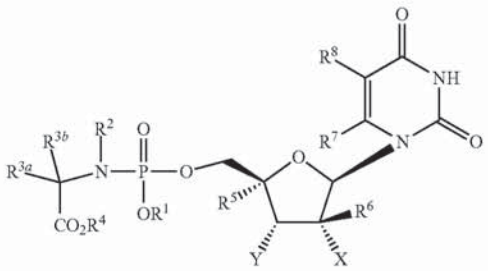
TABLE XI-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XI-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-12-8 | Et | * | H | * | Et | H | H | F | OH | H | H |
| XI-13-1 | $^i$Pr | H | H | H | Et | H | H | F | OH | H | H |
| XI-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-13-8 | $^i$Pr | * | H | * | Et | H | H | F | OH | H | H |
| XI-14-1 | $^t$Bu | H | H | H | Et | H | H | F | OH | H | H |
| XI-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-14-8 | $^t$Bu | * | H | * | Et | H | H | F | OH | H | H |
| XI-15-1 | Ph | H | H | H | Et | H | H | F | OH | H | H |
| XI-15-2 | Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-15-8 | Ph | * | H | * | Et | H | H | F | OH | H | H |
| XI-16-1 | p-Me—Ph | H | H | H | Et | H | H | F | OH | H | H |
| XI-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-16-8 | p-Me—Ph | * | H | * | Et | H | H | F | OH | H | H |
| XI-17-1 | p-F—Ph | H | H | H | Et | H | H | F | OH | H | H |
| XI-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-17-8 | p-F—Ph | * | H | * | Et | H | H | F | OH | H | H |
| XI-18-1 | p-Cl—Ph | H | H | H | Et | H | H | F | OH | H | H |
| XI-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-18-8 | p-Cl—Ph | * | H | * | Et | H | H | F | OH | H | H |
| XI-19-1 | p-Br—Ph | H | H | H | Et | H | H | F | OH | H | H |
| XI-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI-19-8 | p-Br—Ph | * | H | * | Et | H | H | F | OH | H | H |
| XI-20-1 | p-I—Ph | H | H | H | Et | H | H | F | OH | H | H |

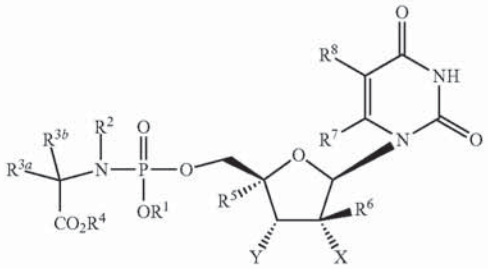
TABLE XI-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XI-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | H | F | OH | H | H |
| XI-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH | H | H |
| XI-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH | H | H |
| XI-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH | H | H |
| XI-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH | H | H |
| XI.20-8 | p-I—Ph | * | H | * | Et | H | H | F | OH | H | H |
| XI-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-22-1 | Et | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-22-8 | Et | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-23-7 | $^i$Pr | H | H | $CHCH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-25-1 | Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-25-8 | Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |

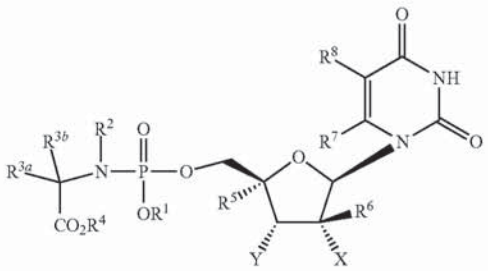
TABLE XI-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XI-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | H | F | OH | H | H |
| XI-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH | H | H |
| XI-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH | H | H |
| XI-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH | H | H |
| XI-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH | H | H |
| XI-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | H | F | OH | H | H |
| XI-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | H | F | OH | H | H |
| XI-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H |
| XI-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H |
| XI-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H |
| XI-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H |
| XI-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H |
| XI-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H |
| XI-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | H | F | OH | H | H |
| XI-32-1 | Et | H | H | H | $^n$Bu | H | H | F | OH | H | H |
| XI-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H |
| XI-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H |
| XI-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H |
| XI-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H |
| XI-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H |
| XI-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H |
| XI-32-8 | Et | * | H | * | $^n$Bu | H | H | F | OH | H | H |
| XI-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | H | F | OH | H | H |
| XI-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H |
| XI-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H |
| XI-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H |
| XI-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H |
| XI-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H |
| XI-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H |
| XI-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | H | F | OH | H | H |
| XI-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | H | F | OH | H | H |
| XI-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | H | F | OH | H | H |
| XI-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H |
| XI-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH | H | H |
| XI-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH | H | H |
| XI-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH | H | H |
| XI-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH | H | H |
| XI-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | H | F | OH | H | H |
| XI-35-1 | Ph | H | H | H | $^n$Bu | H | H | F | OH | H | H |

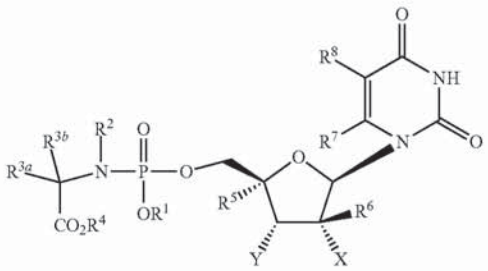
TABLE XI-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XI-35-2 | Ph | H | H | $CH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-35-3 | Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-35-5 | Ph | H | H | $CH_2Ph$ | ″Bu | H | H | F | OH | H | H |
| XI-35-6 | Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | H | F | OH | H | H |
| XI-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-35-8 | Ph | * | H | * | ″Bu | H | H | F | OH | H | H |
| XI-36-1 | p-Me—Ph | H | H | H | ″Bu | H | H | F | OH | H | H |
| XI-36-2 | p-Me—Ph | H | H | $CH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-36-3 | p-Me—Ph | H | H | CH(CH3) 2 | ″Bu | H | H | F | OH | H | H |
| XI-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | ″Bu | H | H | F | OH | H | H |
| XI-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | H | F | OH | H | H |
| XI-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-36-8 | p-Me—Ph | * | H | * | ″Bu | H | H | F | OH | H | H |
| XI-37-1 | p-F—Ph | H | H | H | ″Bu | H | H | F | OH | H | H |
| XI-37-2 | p-F—Ph | H | H | $CH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-37-5 | p-F—Ph | H | H | $CH_2Ph$ | ″Bu | H | H | F | OH | H | H |
| XI-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | 14 | H | F | OH | H | H |
| XI-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-37-8 | p-F—Ph | * | H | * | ″Bu | H | H | F | OH | H | H |
| XI-38-1 | p-Cl—Ph | H | H | H | ″Bu | H | H | F | OH | H | H |
| XI-38-2 | p-Cl—Ph | H | H | $CH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | ″Bu | H | H | F | OH | H | H |
| XI-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | H | F | OH | H | H |
| XI-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-38-8 | p-Cl—Ph | * | H | * | ″Bu | H | H | F | OH | H | H |
| XI-39-1 | p-Br—Ph | H | H | H | ″Bu | H | H | F | OH | H | H |
| XI-39-2 | p-Br—Ph | H | H | $CH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | ″Bu | H | H | F | OH | H | H |
| XI-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | H | F | OH | H | H |
| XI-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-39-8 | p-Br—Ph | * | H | * | ″Bu | H | H | F | OH | H | H |
| XI-40-1 | p-I—Ph | H | H | H | ″Bu | H | H | F | OH | H | H |
| XI-40-2 | p-I—Ph | H | H | $CH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | H | F | OH | H | H |
| XI-40-5 | p-I—Ph | H | H | $CH_2Ph$ | ″Bu | H | H | F | OH | H | H |
| XI-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | H | F | OH | H | H |
| XI-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | H | F | OH | H | H |
| XI-40-8 | p-I—Ph | * | H | * | ″Bu | H | H | F | OH | H | H |
| XI-41-1 | $CH_3$ | H | H | H | Bz | H | H | F | OH | H | H |
| XI-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-41-8 | $CH_3$ | * | H | * | Bz | H | H | F | OH | H | H |
| XI-42-1 | Et | H | H | H | Bz | H | H | F | OH | H | H |
| XI-42-2 | Et | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |

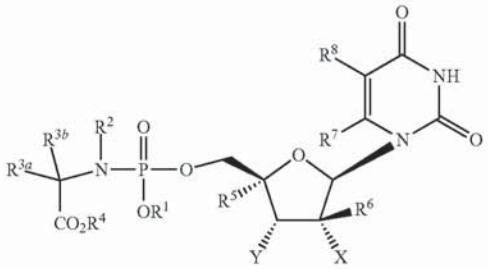
TABLE XI-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XI-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-42-8 | Et | * | H | * | Bz | H | H | F | OH | H | H |
| XI-43-1 | $^i$Pr | H | H | H | Bz | H | H | F | OH | H | H |
| XI-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-43-8 | $^i$Pr | * | H | * | Bz | H | H | F | OH | H | H |
| XI-44-1 | $^t$Bu | H | H | H | Bz | H | H | F | OH | H | H |
| XI-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-44-8 | $^t$Bu | * | H | * | Bz | H | H | F | OH | H | H |
| XI-45-1 | Ph | H | H | H | Bz | H | H | F | OH | H | H |
| XI-45-2 | Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-45-8 | Ph | * | H | * | Bz | H | H | F | OH | H | H |
| XI-46-1 | p-Me—Ph | H | H | H | Bz | H | H | F | OH | H | H |
| XI-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-46-7 | p-Me—Ph | * | H | * | Bz | H | H | F | OH | H | H |
| XI-47-1 | p-F—Ph | H | H | H | Bz | H | H | F | OH | H | H |
| XI-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-47-8 | p-F—Ph | * | H | * | Bz | H | H | F | OH | H | H |
| XI-48-1 | p-Cl—Ph | H | H | H | Bz | H | H | F | OH | H | H |
| XI-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI.48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-48-8 | p-Cl-ph | * | H | * | Bz | H | H | F | OH | H | H |
| XI-49-1 | p-Br—Ph | H | H | H | Bz | H | H | F | OH | H | H |
| XI-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-49-8 | p-Br—Ph | * | H | * | Bz | H | H | F | OH | H | H |
| XI-50-1 | p-I—Ph | H | H | H | Bz | H | H | F | OH | H | H |

TABLE XI-continued

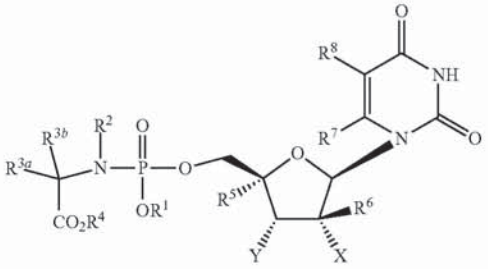


XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XI-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | H | F | OH | H | H |
| XI-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH | H | H |
| XI-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH | H | H |
| XI-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH | H | H |
| XI-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH | H | H |
| XI-50-8 | p-I—Ph | * | H | * | Bz | H | H | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

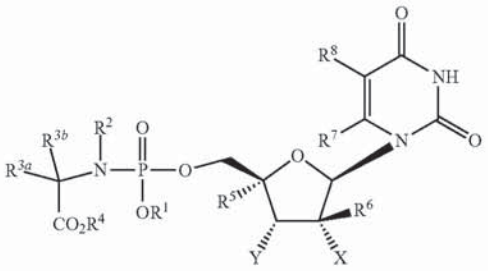
TABLE XII



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XII-1-1 | $CH_3$ | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-1-8 | $CH_3$ | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-2-1 | Et | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-2-8 | Et | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-3-1 | $^i$Pr | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-3-8 | $^i$Pr | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-4-1 | $^t$Bu | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |

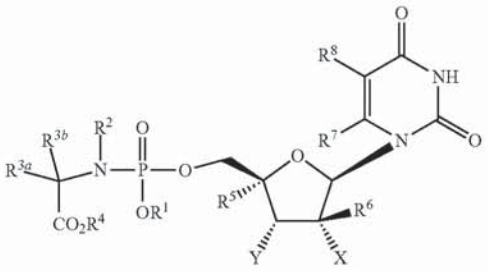
TABLE XII-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-4-8 | $^t$Bu | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-5-1 | Ph | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-7-1 | p-F—Ph | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-7-20 | p-F—Ph | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-10-1 | p-I—Ph | H | H | H | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-10-8 | p-I—Ph | * | H | * | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XII-11-1 | $CH_3$ | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_3$ | Et | $N_3$ | F | F | OH | H | H |

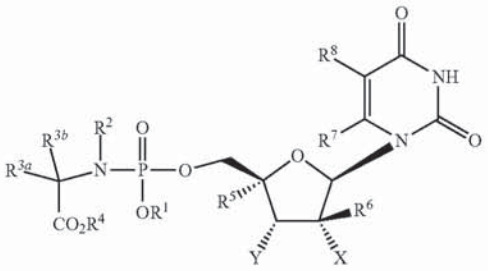
TABLE XII-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | N | F | F | OH | H | H |
| XII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-11-8 | $CH_3$ | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-12-1 | Et | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-12-2 | Et | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-12-5 | Et | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-12-8 | Et | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-13-1 | $^i$Pr | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-13-8 | $^i$Pr | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-14-1 | $^t$Bu | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-14-8 | $^t$Bu | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-15-1 | Ph | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-15-2 | Ph | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-15-5 | Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-15-8 | Ph | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-16-1 | p-Me—Ph | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-16-8 | p-Me—Ph | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-17-1 | p-F—Ph | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-17-8 | p-F—Ph | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-18-1 | p-Cl—Ph | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |

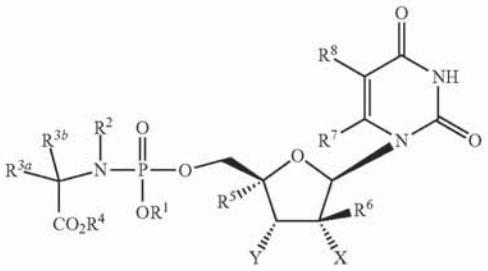
TABLE XII-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-18-8 | p-Cl—Ph | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-19-1 | p-Br—Ph | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-19-8 | p-Br—Ph | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-20-1 | p-I—Ph | H | H | H | Et | $N_3$ | F | F | OH | H | H |
| XII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | F | OH | H | H |
| XII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | F | OH | H | H |
| XII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | F | OH | H | H |
| XII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | F | OH | H | H |
| XII-20-8 | p-I—Ph | * | H | * | Et | $N_3$ | F | F | OH | H | H |
| XII-21-1 | $CH_3$ | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-21-8 | $CH_3$ | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-22-1 | Et | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-22-6 | Et | H | H | CH-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-22-8 | Et | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-23-1 | $^i$Pr | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-23-8 | $^i$Pr | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-24-1 | $^t$Bu | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-24-8 | $^t$Bu | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-25-1 | Ph | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-25-6 | ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-25-8 | Ph | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |

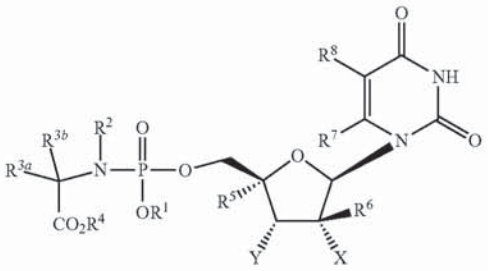
TABLE XII-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-27-1 | p-F—Ph | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-27-8 | p-F—Ph | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-30-1 | p-I—Ph | H | H | H | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-30-8 | p-I—Ph | * | H | * | $^i$Pr | $N_3$ | F | F | OH | H | H |
| XII-31-1 | $CH_3$ | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-31-7 | $CH_3$ | H | H | $CH_2CH2CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-31-8 | $CH_3$ | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-32-1 | Et | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-32-8 | Et | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-33-1 | $^i$Pr | H | H | H | $^n$Bu | N, | F | F | OH | H | H |
| XII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |

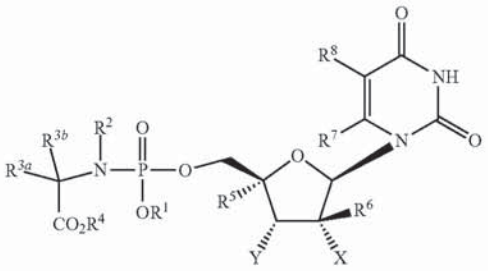
TABLE XII-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-33-8 | $^i$Pr | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-34-1 | $^t$Bu | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-34-8 | $^t$Bu | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-35-1 | Ph | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-35-8 | Ph | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-36-1 | p-Me—Ph | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-36-8 | p-Me—Ph | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-37-1 | p-F—Ph | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XIi-37-5 | p-F—Ph | H | H | CH2Ph | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-37-8 | p-F—Ph | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-39-1 | p-Br—Ph | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-39-8 | p-Br—Ph | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-40-1 | p-I—Ph | H | H | H | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | F | OH | H | H |

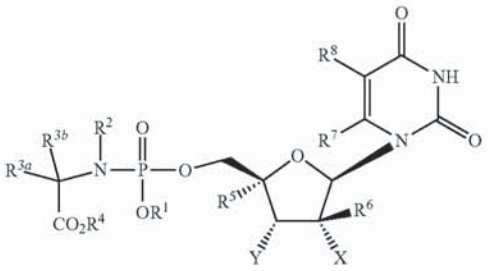
TABLE XII-continued



XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-40-8 | p-I—Ph | * | H | * | $^n$Bu | $N_3$ | F | F | OH | H | H |
| XII-41-1 | $CH_3$ | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-41-8 | $CH_3$ | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-42-1 | Et | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-42-2 | Et | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-42-5 | Et | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-42-8 | Et | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-43-1 | $^i$Pr | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-43-8 | $^i$Pr | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-44-1 | $^t$Bu | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-44-8 | $^t$Bu | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-45-1 | Ph | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-45-2 | Ph | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-45-8 | Ph | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-46-1 | p-Me—Ph | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-46-8 | p-Me—Ph | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-47-1 | p-F—Ph | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |

TABLE XII-continued

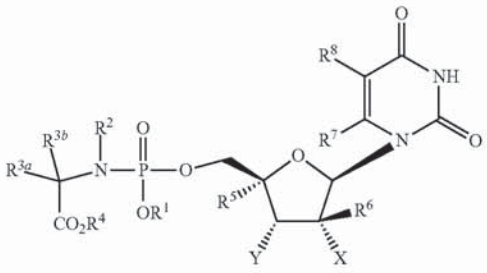


XII

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-47-8 | p-F—Ph | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-48-1 | p-Cl—Ph | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-48-6 | p-C1-Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-48-8 | p-Cl—Ph | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-49-1 | p-Br—Ph | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-49-8 | p-Br—Ph | * | H | * | Bz | $N_3$ | F | F | OH | H | H |
| XII-50-1 | p-I—Ph | H | H | H | Bz | $N_3$ | F | F | OH | H | H |
| XII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | F | OH | H | H |
| XII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | F | OH | H | H |
| XII-50-8 | p-I—Ph | * | H | * | Bz | $N_3$ | F | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

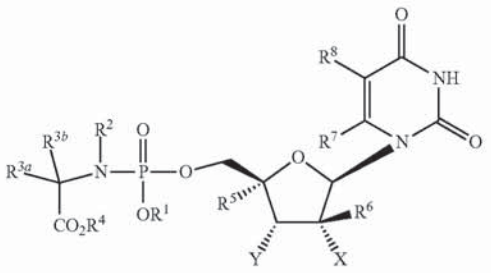
TABLE XIII



XIII

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIII-1-1 | $CH_3$ | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-1-8 | $CH_3$ | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-2-1 | Et | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |

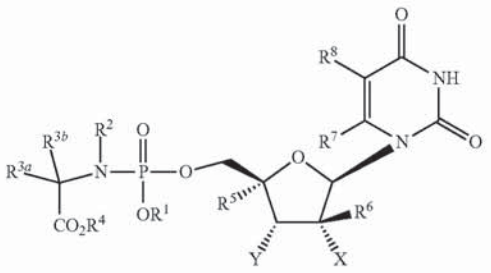
TABLE XIII-continued



XIII

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-2-8 | Et | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-3-1 | $^i$Pr | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-3-8 | $^i$Pr | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-4-1 | $^t$Bu | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | Na | H | F | OH | H | H |
| XIII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-4-8 | $^t$Bu | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-5-1 | Ph | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-5-6 | Ph | H | H | CH3-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-5-8 | Ph | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-7-1 | p-F—Ph | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | F | OH | H | H |
| XIII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-7-20 | p-F—Ph | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |

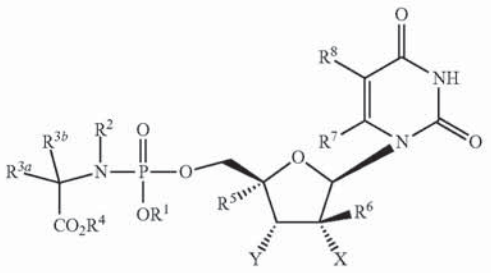
TABLE XIII-continued



XIII

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIII-10-1 | p-I—Ph | H | H | H | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-10-8 | p-I—Ph | * | H | * | $CH_3$ | $N_3$ | H | F | OH | H | H |
| XIII-11-4 | $CH_3$ | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-11-8 | $CH_3$ | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-12-1 | Et | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-12-2 | Et | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-12-5 | Et | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-12-8 | Et | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-13-1 | $^i$Pr | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-13-4 | $^i$Pr | H | H | $CHCH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-13-8 | $^i$Pr | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-14-1 | $^t$Bu | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-14-8 | $^t$Bu | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-15-1 | Ph | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-15-2 | Ph | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-15-5 | Ph | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-15-8 | Ph | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-16-1 | p-Me—Ph | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-16-8 | p-Me—Ph | * | H | * | Et | N. | H | F | OH | H | H |
| XIII-17-1 | p-F—Ph | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |

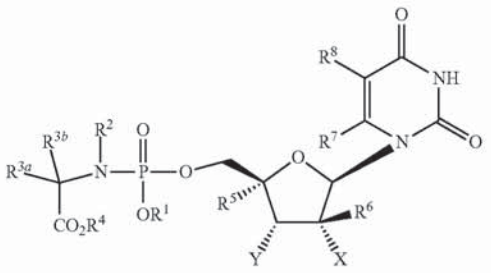
TABLE XIII-continued



XIII

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIII-17-8 | p-F—Ph | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-18-1 | p-Cl—Ph | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-18-4 | p-Cl—Ph | H | H | $CHCH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-18-8 | p-Cl—Ph | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-19-1 | p-Br—Ph | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-19-8 | p-Br—Ph | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-20-1 | p-I—Ph | H | H | H | Et | $N_3$ | H | F | OH | H | H |
| XIII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | H | F | OH | H | H |
| XIII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | H | F | OH | H | H |
| XIII-20-8 | p-I—Ph | * | H | * | Et | $N_3$ | H | F | OH | H | H |
| XIII-21-1 | $CH_3$ | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-21-8 | $CH_3$ | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-22-1 | Et | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-22-8 | Et | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-23-1 | $^i$Pr | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-23-8 | $^i$Pr | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-24-1 | $^t$Bu | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-24-8 | $^t$Bu | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-25-1 | Ph | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |

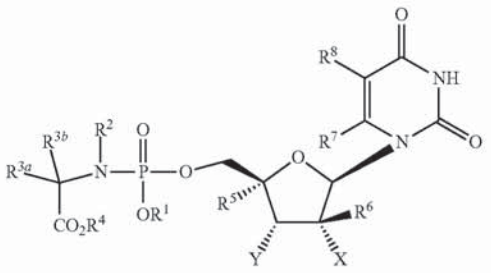
TABLE XIII-continued



XIII

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-25-8 | Ph | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-27-1 | p-F—Ph | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-27-8 | p-F—Ph | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XHI-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-30-1 | p-I—Ph | H | H | H | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-30-8 | p-I—Ph | * | H | * | $^i$Pr | $N_3$ | H | F | OH | H | H |
| XIII-31-1 | $CH_3$ | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-31-4 | $CH_3$ | H | H | $CHCH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^t$Bu | $N_3$ | H | F | OH | H | H |
| XIII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-31-8 | $CH_3$ | * | H | * | $^t$Bu | $N_3$ | H | F | OH | H | H |
| XIII-32-1 | Et | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-32-4 | Et | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-32-8 | Et | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-33-1 | $^i$Pr | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | H | H |

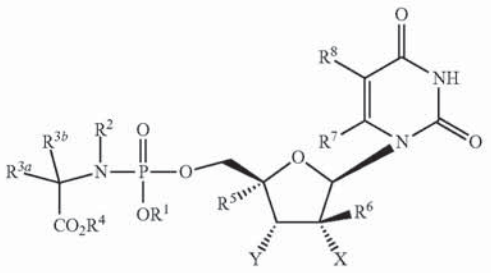
TABLE XIII-continued



XIII

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-33-8 | $^i$Pr | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-34-1 | $^t$Bu | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | El | H |
| XIII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-34-8 | $^t$Bu | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-35-1 | Ph | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-35-8 | Ph | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-36-1 | p-Me—Ph | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-36-8 | p-Me—Ph | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-37-1 | p-F—Ph | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-37-3 | p-F—ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-37-8 | p-F—Ph | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-30-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-39-1 | p-Br—Ph | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-39-8 | p-Br—Ph | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-40-1 | p-I—Ph | H | H | H | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-40-8 | p-I—Ph | * | H | * | $^n$Bu | $N_3$ | H | F | OH | H | H |
| XIII-41-1 | $CH_3$ | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |

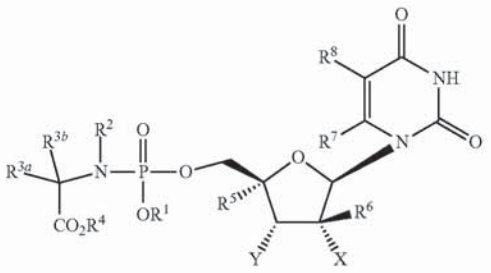
TABLE XIII-continued



XIII

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-41-8 | $CH_3$ | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-42-1 | Et | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-42-2 | Et | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-42-5 | Et | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-42-8 | Et | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-43-1 | $^i$Pr | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-43-8 | $^i$Pr | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-44-1 | $^t$Bu | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-44-8 | $^t$Bu | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-45-1 | Ph | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-45-2 | Ph | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XHI-45-8 | Ph | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-46-1 | p-Me—Ph | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-46-8 | p-Me—Ph | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-47-1 | p-F—Ph | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-47-8 | p-F—Ph | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-48-1 | p-Cl—Ph | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-48-8 | p-Cl—Ph | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-49-1 | p-Br—Ph | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |

TABLE XIII-continued

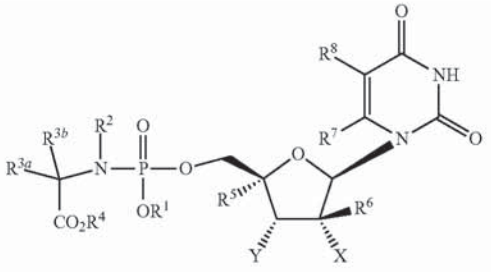


XIII

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-49-8 | p-Br—Ph | * | H | * | Bz | $N_3$ | H | F | OH | H | H |
| XIII-50-1 | p-I—Ph | H | H | H | Bz | $N_3$ | H | F | OH | H | H |
| XIII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | H | F | OH | H | H |
| XIII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | H | F | OH | H | H |
| XIII-50-8 | p-I—Ph | * | H | * | Bz | $N_3$ | H | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

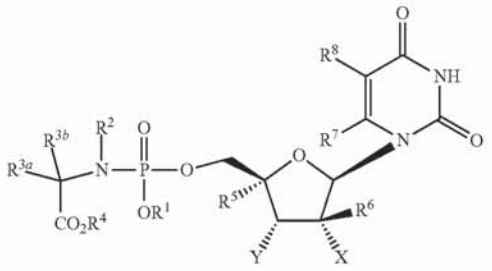
TABLE XIV



XIV

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIV-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-1-8 | $CH_3$ | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-2-1 | Et | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-2-2 | Et | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-2-4 | Et | H | H | $CHCH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-2-8 | Et | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-3-1 | $^i$Pr | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-3-8 | $^i$Pr | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-4-1 | $^t$Bu | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |

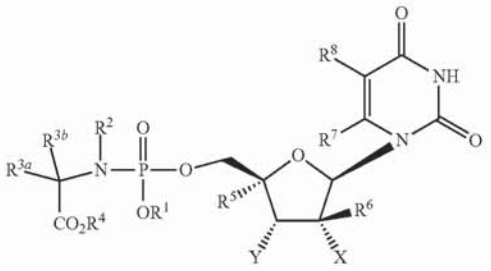
TABLE XIV-continued



XIV

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIV-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-4-8 | $^t$Bu | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-5-1 | Ph | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-5-5 | Ph | H | H | $CH_2Ph$ | CH | $N_3$ | F | H | OH | H | H |
| XIV-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-5-8 | Ph | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-6-1 | p-Me—Ph | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-6-8 | p-Me—Ph | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-7-1 | p-F—Ph | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-7-20 | p-F—Ph | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-9-1 | p-Br—Ph | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-9-20 | p-Br—Ph | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-10-1 | p-I—Ph | H | H | H | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-10-5 | p-I—ph | H | H | $CH_2Ph$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-10-8 | p-I—Ph | * | H | * | $CH_3$ | $N_3$ | F | H | OH | H | H |
| XIV-11-1 | $CH_3$ | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-11-2 | $CH_3$ | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-11-3 | $CH_3$ | H | H | $CH(CH_3)$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-11-8 | $CH_3$ | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-12-1 | Et | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-12-2 | Et | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |

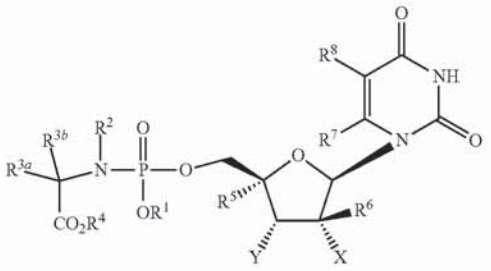
TABLE XIV-continued



XIV

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIV-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-12-5 | Et | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-12-8 | Et | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-13-1 | $^i$Pr | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-13-2 | $^i$Pr | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-13-8 | $^i$Pr | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-14-1 | $^t$Bu | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-14-2 | $^t$Bu | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-14-8 | $^t$Bu | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-15-1 | Ph | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-15-2 | Ph | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-15-5 | Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | U | H |
| XIV-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-15-8 | Ph | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-16-1 | p-Me—Ph | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-16-8 | p-Me—Ph | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-17-1 | p-F—Ph | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-17-2 | p-F—Ph | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-17-8 | p-F—Ph | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-18-1 | p-Cl—Ph | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-18-8 | p-Cl—Ph | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-19-1 | p-Br—Ph | H | H | H | Et | $N_3$ | F | H | OH | H | H |
| XIV-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-19-8 | p-Br—Ph | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-20-1 | p-I—Ph | H | H | H | Et | $N_3$ | F | H | OH | H | H |

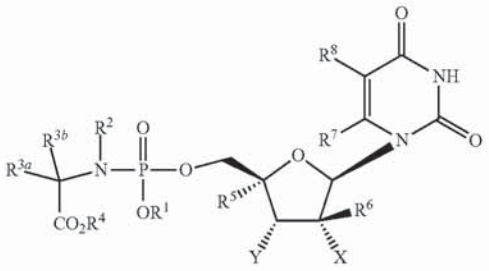
TABLE XIV-continued



XIV

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIV-20-2 | p-I—Ph | H | H | $CH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | $N_3$ | F | H | OH | H | H |
| XIV-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $N_3$ | F | H | OH | H | H |
| XIV-20-8 | p-I—Ph | * | H | * | Et | $N_3$ | F | H | OH | H | H |
| XIV-21-1 | $CH_3$ | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-21-8 | $CH_3$ | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-22-1 | Et | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-22-2 | Et | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-22-8 | Et | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-23-1 | $^i$Pr | H | H | H | $^i$Pr | Ni | F | H | OH | H | H |
| XIV-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-23-8 | $^i$Pr | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-24-1 | $^t$Bu | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-24-8 | $^t$Bu | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-25-1 | Ph | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-25-8 | Ph | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-26-1 | p-Me—Ph | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | N | F | H | OH | H | H |
| XIV-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-26-8 | p-Me—Ph | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-27-1 | p-F—Ph | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-27-8 | p-F—Ph | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |

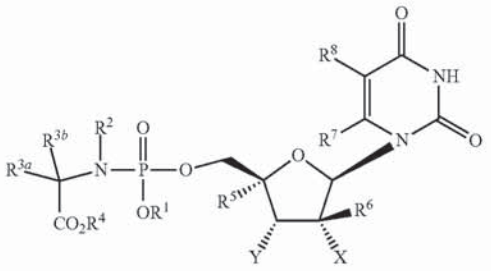
TABLE XIV-continued



XIV

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIV-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-284 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-29-1 | p-Br—Ph | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV.29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-29-8 | p-Br—Ph | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-30-1 | p-I—Ph | H | H | H | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-30-8 | p-I—Ph | * | H | * | $^i$Pr | $N_3$ | F | H | OH | H | H |
| XIV-31-1 | $CH_3$ | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-31-8 | $CH_3$ | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-32-1 | Et | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-32-2 | Et | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-32-8 | Et | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-33-1 | $^i$Pr | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-33-8 | $^i$Pr | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-34-1 | $^t$Bu | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-34-8 | $^t$Bu | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-35-1 | Ph | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |

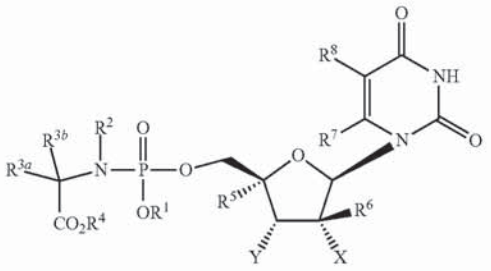
TABLE XIV-continued



XIV

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIV-35-8 | Ph | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-36-1 | p-Me—Ph | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-36-8 | p-Me—Ph | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-37-1 | p-F—Ph | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-37-8 | p-F—Ph | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-39-1 | p-Br—Ph | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-39-8 | p-Br—Ph | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-40-1 | p-I—Ph | H | H | H | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-40-8 | p-I—Ph | * | H | * | $^n$Bu | $N_3$ | F | H | OH | H | H |
| XIV-41-1 | $CH_3$ | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-41-8 | $CH_3$ | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-42-1 | Et | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-42-2 | Et | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-42-5 | Et | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-42-8 | Et | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-43-1 | $^i$Pr | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |

TABLE XIV-continued



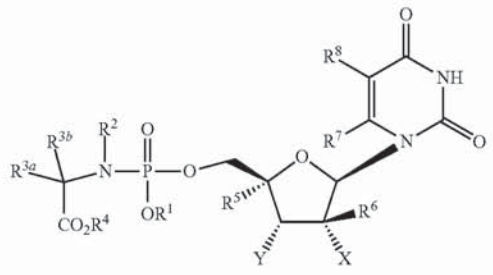
XIV

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIV-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-43-8 | $^i$Pr | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-44-1 | $^t$Bu | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-44-8 | $^t$Bu | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-45-1 | Ph | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-45-2 | Ph | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-45-5 | Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-45-8 | Ph | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-46-1 | p-Me—Ph | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-46-8 | p-Me—Ph | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-47-1 | p-F—Ph | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-47-8 | p-F—Ph | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-48-1 | p-Cl—Ph | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-48-8 | p-Cl—Ph | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-49-1 | p-Br—Ph | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-49-8 | p-Br—Ph | * | H | * | Bz | $N_3$ | F | H | OH | H | H |
| XIV-50-1 | p-I—Ph | H | H | H | Bz | $N_3$ | F | H | OH | H | H |
| XIV-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | $N_3$ | F | H | OH | H | H |
| XIV-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $N_3$ | F | H | OH | H | H |
| XIV-50-8 | p-I—Ph | * | H | * | Bz | $N_3$ | F | H | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XV

XVI

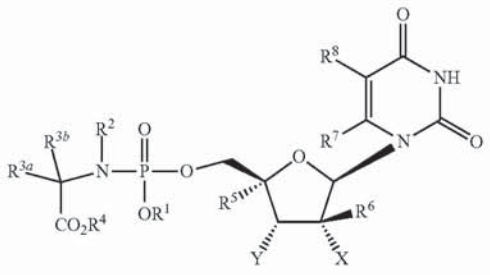


XVI

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XV-1-1 | $CH_3$ | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-1-8 | $CH_3$ | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-2-1 | Et | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-2-2 | Et | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-2-8 | Et | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-3-1 | $^i$Pr | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-3-8 | $^i$Pr | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-4-1 | $^t$Bu | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-4-8 | $^t$Bu | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-5-1 | Ph | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-5-8 | Ph | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-6-1 | p-Me—Ph | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-6-8 | p-Me—Ph | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-7-1 | p-F—Ph | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-7-20 | p-F—Ph | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |

TABLE XV-continued

XVI

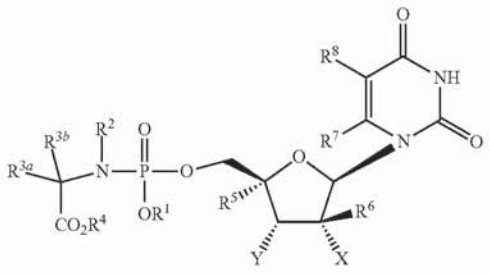


XVI

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XV-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-9-1 | p-Br—Ph | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-9-20 | p-Br—Ph | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-10-1 | p-I—Ph | H | H | H | $CH_3$ | F | F | H | OH | H | H |
| XV-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | H | OH | H | H |
| XV-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | H | OH | H | H |
| XV-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | H | OH | H | H |
| XV-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | H | OH | H | H |
| XV-10-8 | p-I—Ph | * | H | * | $CH_3$ | F | F | H | OH | H | H |
| XV-11-1 | $CH_3$ | H | H | H | Et | F | F | H | OH | H | H |
| XV-11-2 | $CH_3$ | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-11-8 | $CH_3$ | * | H | * | Et | F | F | H | OH | H | H |
| XV-12-1 | Et | H | H | H | Et | F | F | H | OH | H | H |
| XV-12-2 | Et | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-12-5 | Et | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-12-8 | Et | * | H | * | Et | F | F | H | OH | H | H |
| XV-13-1 | $^i$Pr | H | H | H | Et | F | F | H | OH | H | H |
| XV-13-2 | $^i$Pr | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-13-8 | $^i$Pr | * | H | * | Et | F | F | H | OH | H | H |
| XV-14-1 | $^t$Bu | H | H | H | Et | F | F | H | OH | H | H |
| XV-14-2 | $^t$Bu | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-14-8 | $^t$Bu | * | H | * | Et | F | F | H | OH | H | H |
| XV-15-1 | Ph | H | H | H | Et | F | F | H | OH | H | H |
| XV-15-2 | Ph | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-15-5 | Ph | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-15-8 | Ph | * | H | * | Et | F | F | H | OH | H | H |
| XV-16-1 | p-Me—Ph | H | H | H | Et | F | F | H | OH | H | H |
| XV-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-16-4 | p-Me—Ph | H | H | $CH_2CH(CH3)_2$ | Et | F | F | H | OH | H | H |

TABLE XV-continued

XVI

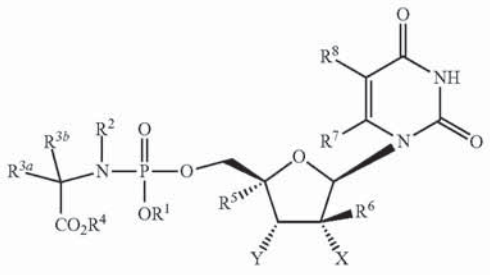


XVI

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XV-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-16-8 | p-Me—Ph | * | H | * | Et | F | F | H | OH | H | H |
| XV-17-1 | p-F—Ph | H | H | H | Et | F | F | H | OH | H | H |
| XV-17-2 | p-F—Ph | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-17-3 | p-F—Ph | H | H | CH(CH)2 | Et | F | F | H | OH | H | H |
| XV-17-4 | p-F—Ph | H | H | $CH_2CH(CH)2$ | Et | F | F | H | OH | H | H |
| XV-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Ft | F | F | H | OH | H | H |
| XV-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-17-8 | p-F—Ph | * | H | * | Et | F | F | H | OH | H | H |
| XV-18-1 | p-Cl—Ph | H | H | H | Et | F | F | H | OH | H | H |
| XV-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-18-8 | p-Cl—Ph | * | H | * | Et | F | F | H | OH | H | H |
| XV-19-1 | p-Br—Ph | H | H | H | Et | F | F | H | OH | H | H |
| XV-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-19-8 | p-Br—Ph | * | H | * | Et | F | F | H | OH | H | H |
| XV-20-1 | p-I—Ph | H | H | H | Et | F | F | H | OH | H | H |
| XV-20-2 | p-I—Ph | H | H | $CH_3$ | Et | F | F | H | OH | H | H |
| XV-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | H | OH | H | H |
| XV-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | F | F | H | OH | H | H |
| XV-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | H | OH | H | H |
| XV-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | H | OH | H | H |
| XV-20-8 | p-I—Ph | * | H | * | Et | F | F | H | OH | H | H |
| XV-21-1 | $CH_3$ | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-21-3 | $CH_3$ | H | H | CH(CHA2 | $^i$Pr | F | F | H | OH | H | H |
| XV-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-21-5 | $CH_3$ | H | H | Cl12Ph | $^i$Pr | F | F | H | OH | H | H |
| XV-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-21-8 | $CH_3$ | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-22-1 | Et | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-22-2 | Et | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-22-8 | Et | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-23-1 | $^i$Pr | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-23-8 | $^i$Pr | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-24-1 | $^t$Bu | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |

TABLE XV-continued

XVI

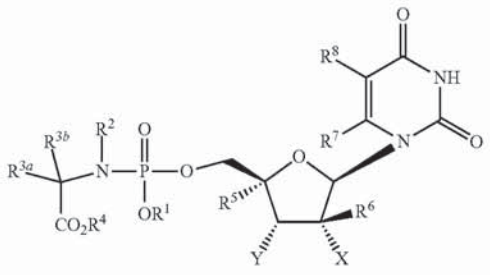


XVI

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XV-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-24-8 | $^t$Bu | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-25-1 | Ph | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-25-8 | Ph | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-26-1 | p-Me—Ph | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-26-8 | p-Me—Ph | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-27-1 | p-F—Ph | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-27-8 | p-F—Ph | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-29-1 | p-Br—Ph | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-29-8 | p-Br—Ph | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-30-1 | p-I—Ph | H | H | H | $^i$Pr | F | F | H | OH | H | H |
| XV-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | H | OH | H | H |
| XV-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | H | OH | H | H |
| XV-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | H | OH | H | H |
| XV-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | H | OH | H | H |
| XV-30-8 | p-I—Ph | * | H | * | $^i$Pr | F | F | H | OH | H | H |
| XV-31-1 | $CH_3$ | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-31-8 | $CH_3$ | * | H | * | $^n$Bu | F | F | H | OH | H | H |

TABLE XV-continued

XVI

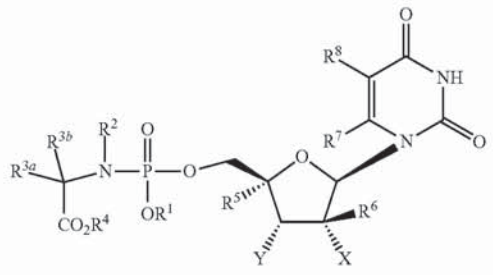


XVI

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XV-32-1 | Et | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-32-2 | Et | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-32-8 | Et | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-33-1 | $^i$Pr | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-33-8 | $^i$Pr | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-34-1 | $^t$Bu | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-34-8 | $^t$Bu | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-35-1 | Ph | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-35-8 | Ph | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-36-1 | p-Me—Ph | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-36-8 | p-Me—Ph | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-37-1 | p-F—Ph | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-37-8 | p-F—Ph | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-39-1 | p-Br—Ph | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-39-5 | p-Br—Ph | H | H | CH3Ph | $^n$Bu | F | F | H | OH | H | H |
| XV-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |

TABLE XV-continued

XVI

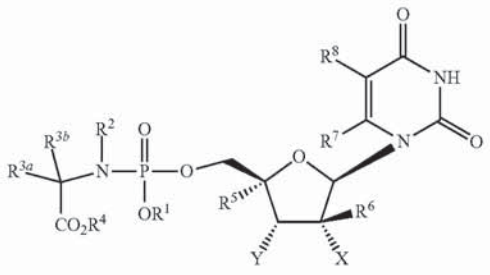


XVI

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XV-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-39-8 | p-Br—Ph | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-40-1 | p-I—Ph | H | H | H | $^n$Bu | F | F | H | OH | H | H |
| XV-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | H | OH | H | H |
| XV-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | H | OH | H | H |
| XV-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | H | OH | H | H |
| XV-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | H | OH | H | H |
| XV-40-8 | p-I—Ph | * | H | * | $^n$Bu | F | F | H | OH | H | H |
| XV-41-1 | $CH_3$ | H | H | H | Bz | F | F | H | OH | H | H |
| XV-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-41-3 | $CH_3$ | H | H | $CH(CH_3)_3$ | Bz | F | F | H | OH | H | H |
| XV-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-41-8 | $CH_3$ | * | H | * | Bz | F | F | H | OH | H | H |
| XV-42-1 | Et | H | H | H | Bz | F | F | H | OH | H | H |
| XV-42-2 | Et | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-42-5 | Et | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-42-8 | Et | * | H | * | Bz | F | F | H | OH | H | H |
| XV-43-1 | $^i$Pr | H | H | H | Bz | F | F | H | OH | H | H |
| XV-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-43-8 | $^i$Pr | * | H | * | Bz | F | F | H | OH | H | H |
| XV-44-1 | $^t$Bu | H | H | H | Bz | F | F | H | OH | H | H |
| XV-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-44-8 | $^t$Bu | * | H | * | Bz | F | F | H | OH | H | H |
| XV-45-1 | Ph | H | H | H | Bz | F | F | H | OH | H | H |
| XV-45-2 | Ph | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-45-5 | Ph | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-45-8 | Ph | * | H | * | Bz | F | F | H | OH | H | H |
| XV-46-1 | p-Me—Ph | H | H | H | Bz | F | F | H | OH | H | H |
| XV-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-46-8 | p-Me—Ph | * | H | * | Bz | F | F | H | OH | H | H |
| XV-47-1 | p-F—Ph | H | H | H | Bz | F | F | H | OH | H | H |
| XV-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-47-3 | p-F—Ph | H | H | $CH(CH_3)_3$ | Bz | F | F | H | OH | H | H |
| XV-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |

TABLE XV-continued

XVI



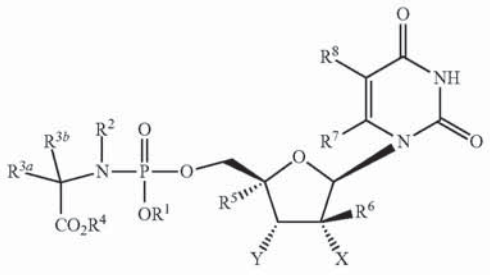
XVI

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XV-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-47-8 | p-F—Ph | * | H | * | Bz | F | F | H | OH | H | H |
| XV-48-1 | p-Cl—Ph | H | H | H | Bz | F | F | H | OH | H | H |
| XV-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-48-8 | p-Cl—Ph | * | H | * | Bz | F | F | H | OH | H | H |
| XV-49-1 | p-Br—Ph | H | H | H | Bz | F | F | H | OH | H | H |
| XV-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-49-8 | p-Br—Ph | * | H | * | Bz | F | F | H | OH | H | H |
| XV-50-1 | p-I—Ph | H | H | H | Bz | F | F | H | OH | H | H |
| XV-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | F | F | H | OH | H | H |
| XV-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | H | OH | H | H |
| XV-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | F | F | H | OH | H | H |
| XV-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | H | OH | H | H |
| XV-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | H | OH | H | H |
| XV-50-8 | p-I—Ph | * | H | * | Bz | F | F | H | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XVI

XVI

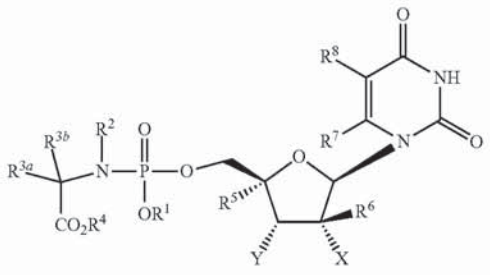


XVI

| No | $R^1$ | $R^{22}$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVI-1-1 | $CH_3$ | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-1-8 | $CH_3$ | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-2-1 | Et | H | H | H | $CH_3$ | F | F | F | OH | H | H |

TABLE XVI-continued

XVI

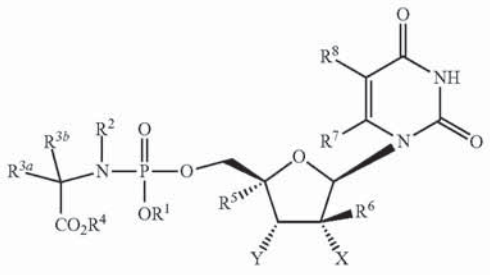


XVI

| No | $R^1$ | $R^{22}$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVI-2-2 | Et | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-2-8 | Et | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-3-1 | $^i$Pr | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-3-8 | $^i$Pr | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-4-1 | $^t$Bu | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-4-8 | $^t$Bu | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-5-1 | Ph | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-5-8 | Ph | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-6-1 | p-Me—Ph | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-6-8 | p-Me—Ph | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-7-1 | p-F—Ph | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-7-20 | p-F—Ph | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-9-1 | p-Br—Ph | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |

TABLE XVI-continued

XVI

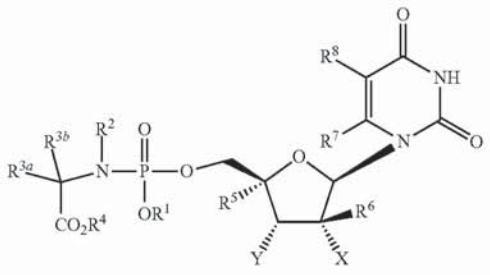


XVI

| No | $R^1$ | $R^{22}$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVI-9-20 | p-Br—Ph | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-10-1 | p-I—Ph | H | H | H | $CH_3$ | F | F | F | OH | H | H |
| XVI-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | F | F | OH | H | H |
| XVI-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | F | F | OH | H | H |
| XVI-10-8 | p-I—Ph | * | H | * | $CH_3$ | F | F | F | OH | H | H |
| XVI-11-1 | $CH_3$ | H | H | H | Et | F | F | F | OH | H | H |
| XVI-11-2 | $CH_3$ | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |
| XVI-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-11-8 | $CH_3$ | * | H | * | Et | F | F | F | OH | H | H |
| XVI-12-1 | Et | H | H | H | Et | F | F | F | OH | H | H |
| XVI-12-2 | Et | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-12-5 | Et | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |
| XVI-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-12-8 | Et | * | H | * | Et | F | F | F | OH | H | H |
| XVI-13-1 | $^i$Pr | H | H | H | Et | F | F | F | OH | H | H |
| XVI-13-2 | $^i$Pr | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |
| XVI-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-13-8 | $^i$Pr | * | H | * | Et | F | F | F | OH | H | H |
| XVI-14-1 | $^t$Bu | H | H | H | Et | F | F | F | OH | H | H |
| XVI-14-2 | $^t$Bu | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |
| XVI-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-14-8 | $^t$Bu | * | H | * | Et | F | F | F | OH | H | H |
| XVI-15-1 | Ph | H | H | H | Et | F | F | F | OH | H | H |
| XVI-15-2 | Ph | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-15-5 | Ph | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |
| XVI-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-15-8 | Ph | * | H | * | Et | F | F | F | OH | H | H |
| XVI-16-1 | p-Me—Ph | H | H | H | Et | F | F | F | OH | H | H |
| XVI-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |
| XVI-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-16-8 | p-Me—Ph | * | H | * | Et | F | F | F | OH | H | H |
| XVI-17-1 | p-F—Ph | H | H | H | Et | F | F | F | OH | H | H |
| XVI-17-2 | p-F—Ph | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |

TABLE XVI-continued

XVI

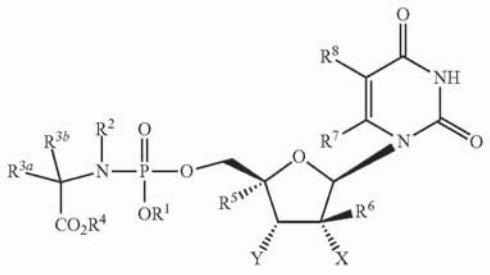


XVI

| No | $R^1$ | $R^{22}$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVI-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-17-8 | p-F—Ph | * | H | * | Et | F | F | F | OH | H | H |
| XVI-18-1 | p-Cl—Ph | H | H | H | Et | F | F | F | OH | H | H |
| XVI-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |
| XVI-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-18-8 | p-Cl—Ph | * | H | * | Et | F | F | F | OH | H | H |
| XVI-19-1 | p-Br—Ph | H | H | H | Et | F | F | F | OH | H | H |
| XVI-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | F | F | F | OH | H | H |
| XVI-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | H |
| XVI-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | H |
| XVI-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | H |
| XVI-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | H |
| XVI-19-8 | p-Br—Ph | * | H | * | Et | F | F | F | OH | H | H |
| XVI-20-1 | p-I—Ph | H | H | H | Et | F | F | F | OH | H | |
| XVI-20-2 | p-I—Ph | H | H | $CH_3$ | Et | F | F | F | OH | H | |
| XVI-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | F | F | F | OH | H | |
| XVI-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | F | F | OH | H | |
| XVI-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | F | F | F | OH | H | |
| XVI-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | F | F | F | OH | H | |
| XVI-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | F | F | OH | H | |
| XVI-20-8 | p-I—Ph | * | H | * | Et | F | F | F | OH | H | |
| XVI-21-1 | $CH_3$ | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-21-8 | $CH_3$ | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-22-1 | Et | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-22-2 | Et | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | IF | F | OH | H | H |
| XVI-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-22-8 | Et | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-23-1 | $^i$Pr | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-23-8 | $^i$Pr | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-24-1 | $^t$Bu | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-24-8 | $^t$Bu | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-25-1 | Ph | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |

TABLE XVI-continued

XVI

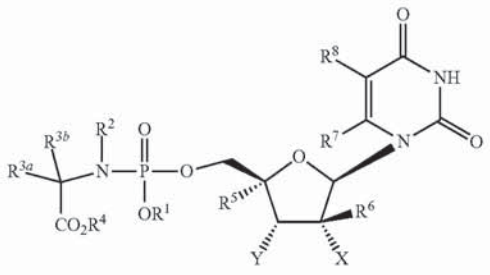


XVI

| No | $R^1$ | $R^{22}$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVI-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-25-8 | Ph | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-26-1 | p-Me—Ph | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-26-8 | p-Me—Ph | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-27-1 | p-F—Ph | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-27-8 | p-F—Ph | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-29-1 | p-Br—Ph | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-29-8 | p-Br—Ph | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-30-1 | p-I—Ph | H | H | H | $^i$Pr | F | F | F | OH | H | H |
| XVI-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | F | F | OH | H | H |
| XVI-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | F | F | OH | H | H |
| XVI-30-8 | p-I—Ph | * | H | * | $^i$Pr | F | F | F | OH | H | H |
| XVI-31-1 | $CH_3$ | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-31-8 | $CH_3$ | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-32-1 | Et | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-32-2 | Et | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-32-8 | Et | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-33-1 | $^i$Pr | H | H | H | $^n$Bu | F | F | F | OH | H | H |

TABLE XVI-continued

XVI

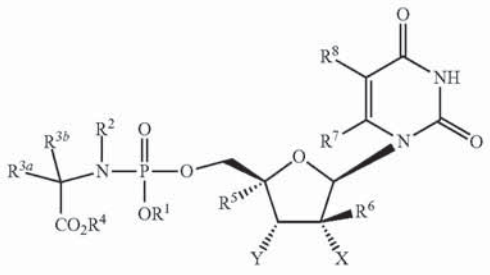


XVI

| No | $R^1$ | $R^{22}$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVI-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-33-8 | $^i$Pr | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-34-1 | $^t$Bu | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-34-8 | $^t$Bu | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-35-1 | Ph | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-35-5 | Ph | H | H | $CH_2Ph$ | $^n$BU | F | F | F | OH | H | H |
| XVI-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-35-8 | Ph | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-36-1 | p-Me—Ph | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-36-8 | p-Me—Ph | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-37-1 | p-F—Ph | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-37-8 | p-F—Ph | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-39-1 | p-Br—Ph | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-39-8 | p-Br—Ph | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-40-1 | p-I—Ph | H | H | H | $^n$Bu | F | F | F | OH | H | H |
| XVI-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | F | F | F | OH | H | H |
| XVI-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | F | F | OH | H | H |
| XVI-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | F | F | OH | H | H |

TABLE XVI-continued

XVI

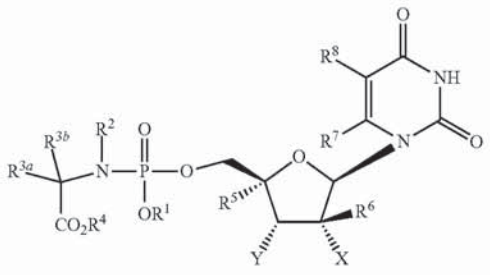


XVI

| No | $R^1$ | $R^{22}$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVI-40-8 | p-I—Ph | * | H | * | $^n$Bu | F | F | F | OH | H | H |
| XVI-41-1 | $CH_3$ | H | H | H | Bz | F | F | F | OH | H | H |
| XVI-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVI-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVI-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVI-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVI-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVI-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVI-41-8 | $CH_3$ | * | H | * | Bz | F | F | F | OH | H | H |
| XVI-42-1 | Et | H | H | H | Bz | F | F | F | OH | H | H |
| XVI-42-2 | Et | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVI-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVI-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVI-42-5 | Et | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVI-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVI-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVI-42-8 | Et | * | H | * | Bz | F | F | F | OH | H | H |
| XVI-43-1 | $^i$Pr | H | H | H | Bz | F | F | F | OH | H | H |
| XVI-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVI-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVI-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVI-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVI-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVI-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVI-43-8 | $^i$Pr | * | H | * | Bz | F | F | F | OH | H | H |
| XVI-44-1 | $^t$Bu | H | H | H | Bz | F | F | F | OH | H | H |
| XVI-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVI-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVI-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVI-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVI-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVI-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVI-44-8 | $^t$Bu | * | H | * | Bz | F | F | F | OH | H | H |
| XVII-45-1 | Ph | H | H | H | Bz | F | F | F | OH | H | H |
| XVII-45-2 | Ph | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVII-45-8 | Ph | * | H | * | Bz | F | F | F | OH | H | H |
| XVII-46-1 | p-Me—Ph | H | H | H | Bz | F | F | F | OH | H | H |
| XVII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVII-46-8 | p-Me—Ph | * | H | * | Bz | F | F | F | OH | H | H |
| XVII-47-1 | p-F—Ph | H | H | H | Bz | F | F | F | OH | H | H |
| XVII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVII-47-8 | p-F—Ph | * | H | * | Bz | F | F | F | OH | H | H |
| XVII-48-1 | p-Cl—Ph | H | H | H | Bz | F | F | F | OH | H | H |
| XVII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |

TABLE XVI-continued

XVI



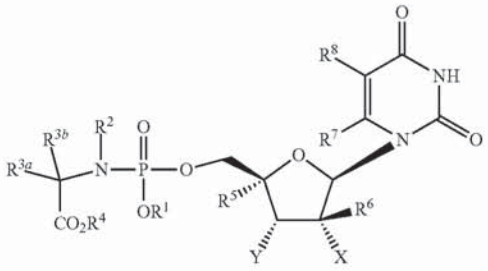
XVI

| No | $R^1$ | $R^{22}$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVII-48-8 | p-Cl—Ph | * | H | * | Bz | F | F | F | OH | H | H |
| XVII-49-1 | p-Br—Ph | H | H | H | Bz | F | F | F | OH | H | H |
| XVII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVII-49-8 | p-Br—Ph | * | H | * | Bz | F | F | F | OH | H | H |
| XVII-50-1 | p-I—Ph | H | H | H | Bz | F | F | F | OH | H | H |
| XVII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | F | F | F | OH | H | H |
| XVII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | F | F | OH | H | H |
| XVII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | F | F | F | OH | H | H |
| XVII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | F | F | OH | H | H |
| XVII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | F | F | OH | H | H |
| XVII-50-8 | p-I—Ph | * | H | * | Bz | F | F | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XVII

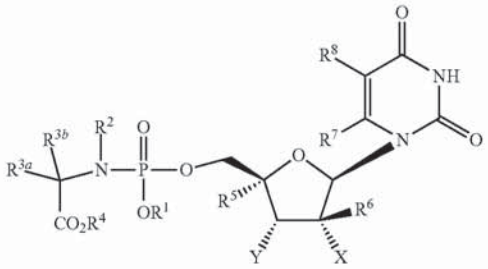
XVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-1-1 | $CH_3$ | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-1-8 | $CH_3$ | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-2-1 | Et | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-2-8 | Et | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-3-1 | $^i$Pr | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-3-3 | $^i$Pr | H | H | $CH(CH_3)_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |

TABLE XVII-continued

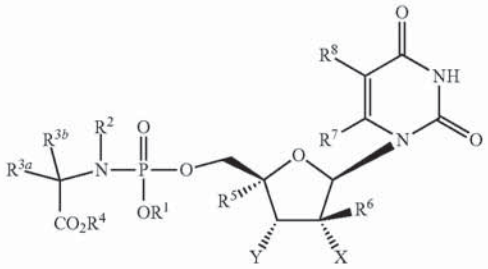
XVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-3-5 | $^i$Pr | H | II | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-3-8 | $^i$Pr | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-4-1 | $^t$Bu | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-4-8 | $^t$Bu | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-5-1 | Ph | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-5-8 | Ph | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-7-1 | p-F—Ph | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-7-20 | p-F—Ph | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVIJ-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-9-7 | p-Br—Ph | H | H | CH2-i ndol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-10-1 | p-I—Ph | H | H | H | $CH_3$ | F | H | F | OH | H | H |
| XVII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | F | H | F | OH | H | H |
| XVII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | F | H | F | OH | H | H |
| XVII-10-8 | p-I—Ph | * | H | * | $CH_3$ | F | H | F | OH | H | H |
| XVII-11-1 | $CH_3$ | H | H | H | Et | F | H | F | OH | H | H |
| XVII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XVII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |

TABLE XVII-continued

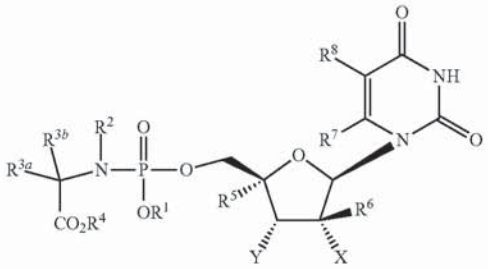
XVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-11-8 | $CH_3$ | * | H | * | Et | F | H | F | OH | H | H |
| XVII-12-1 | Et | H | H | H | Et | F | H | F | OH | H | H |
| XVII-12-2 | Et | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XVII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-12-5 | Et | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-12-8 | Et | * | H | * | Et | F | H | F | OH | H | H |
| XVII-13-1 | $^i$Pr | H | H | H | Et | F | H | F | OH | H | H |
| XVII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XV1I-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-13-8 | $^i$Pr | * | H | * | Et | F | H | F | OH | H | H |
| XVII-14-1 | $^t$Bu | H | H | H | Et | F | H | F | OH | H | H |
| XVII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XVII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-14-8 | $^t$Bu | * | H | * | Et | F | H | F | OH | H | H |
| XVII-15-1 | Ph | H | H | H | Et | F | H | F | OH | H | H |
| XVII-15-2 | Ph | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XVII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-15-5 | Ph | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-15-8 | Ph | * | H | * | Et | F | H | F | OH | H | H |
| XVII-16-1 | p-Me—Ph | H | H | H | Et | F | H | F | OH | H | H |
| XVII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XVII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-16-8 | p-Me—Ph | * | H | * | Et | F | H | F | OH | H | H |
| XVII-17-1 | p-F—Ph | H | H | H | Et | F | H | F | OH | H | H |
| XVII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XVII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-17-8 | p-F—Ph | * | H | * | Et | F | H | F | OH | H | H |
| XVII-18-1 | p-Cl—Ph | H | H | H | Et | F | H | F | OH | H | H |
| XVII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XVII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-18-8 | p-Cl—Ph | * | H | * | Et | F | H | F | OH | H | H |
| XVII-19-1 | p-Br—Ph | H | H | H | Et | F | H | F | OH | H | H |
| XVII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | F | H | F | OH | H | H |

TABLE XVII-continued

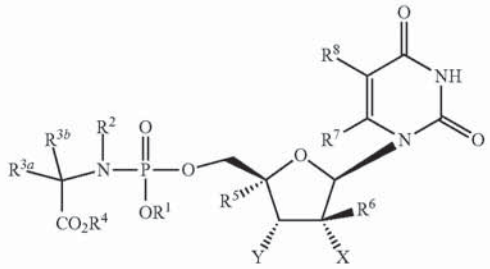
XVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-19-8 | p-Br—Ph | * | H | * | Et | F | H | F | OH | H | H |
| XVII-20-1 | p-I—Ph | H | H | H | Et | F | H | F | OH | H | H |
| XVII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | F | H | F | OH | H | H |
| XVII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | F | H | F | OH | H | H |
| XVII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | F | H | F | OH | H | H |
| XVII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | F | H | F | OH | H | H |
| XVII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | F | H | F | OH | H | H |
| XVII-20-8 | p-I—Ph | * | H | * | Et | F | H | F | OH | H | H |
| XVII-21-1 | $CH_3$ | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-21-8 | $CH_3$ | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-22-1 | Et | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-22-8 | Et | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-23-1 | $^i$Pr | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-23-8 | $^i$Pr | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-24-1 | $^t$Bu | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-24-8 | $^t$Bu | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-25-1 | Ph | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVI1-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-25-8 | Ph | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | F | H | F | OH | H. | H |
| XVII-27-1 | p-F—Ph | H | H | H | $^i$Pr | F | H | F | OH | H | H |

TABLE XVII-continued

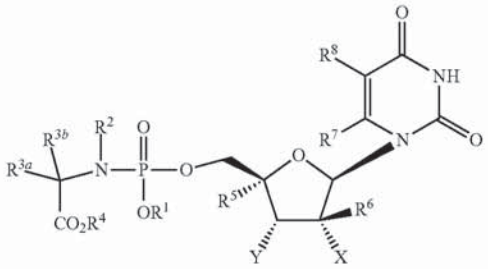
XVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-27-6 | p-F—Ph | H | H | CH3-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-27-8 | p-F—Ph | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-30-1 | p-I—Ph | H | H | H | $^i$Pr | F | H | F | OH | H | H |
| XVII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | F | H | F | OH | H | H |
| XVII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | F | H | F | OH | H | H |
| XVII-30-8 | p-I—Ph | * | H | * | $^i$Pr | F | H | F | OH | H | H |
| XVII-31-1 | $CH_3$ | H | H | H | $^n$Bu | F | H | F | OH | H | H |
| XVII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | H | F | OH | H | H |
| XVII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-31-8 | $CH_3$ | * | H | * | $^n$Bu | F | H | F | OH | H | H |
| XVII-32-1 | Et | H | H | H | $^n$Bu | F | H | F | OH | H | H |
| XVII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | H | F | OH | H | H |
| XVII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-32-8 | Et | * | H | * | $^n$Bu | F | H | F | OH | H | H |
| XVII-33-1 | $^i$Pr | H | H | H | $^n$Bu | F | H | F | OH | H | H |
| XVII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | H | F | OH | H | H |
| XVII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-33-8 | $^i$Pr | * | H | * | $^n$Bu | F | H | F | OH | H | H |
| XVII-34-1 | $^t$Bu | H | H | H | $^n$Bu | F | H | F | OH | H | H |
| XVII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | F | H | F | OH | H | H |
| XVII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | F | H | F | OH | H | H |
| XVII-34-8 | $^t$Bu | * | H | * | $^n$Bu | F | H | F | OH | H | H |

TABLE XVII-continued

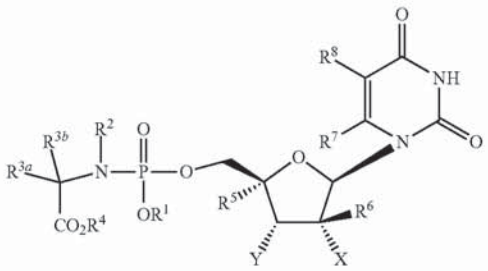
XVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-35-1 | Ph | H | H | H | nBu | F | H | F | OH | H | H |
| XVII-35-2 | Ph | H | H | $CH_3$ | nBu | F | H | F | OH | H | H |
| XVII-35-3 | Ph | H | H | $CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-35-5 | Ph | H | H | $CH_2Ph$ | nBu | F | H | F | OH | H | H |
| XVII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | nBu | F | H | F | OH | H | H |
| XVII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | nBu | F | H | F | OH | H | H |
| XVII-35-8 | Ph | * | H | * | nBu | F | H | F | OH | H | H |
| XVII-36-1 | p-Me—Ph | H | H | H | nBu | F | H | F | OH | H | H |
| XVII-36-2 | p-Me—Ph | H | H | $CH_3$ | nBu | F | H | F | OH | H | H |
| XVII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | nBu | F | H | F | OH | H | H |
| XVII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | nBu | F | H | F | OH | H | H |
| XVII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | F | H | F | OH | H | H |
| XVII-36-8 | p-Me—Ph | * | H | * | nBu | F | H | F | OH | H | H |
| XVII-37-1 | p-F—Ph | H | H | H | nBu | F | H | F | OH | H | H |
| XVII-37-2 | p-F—Ph | H | H | $CH_3$ | nBu | F | H | F | OH | H | II |
| XVII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-37-5 | p-F—Ph | H | H | $CH_2Ph$ | nBu | F | H | F | OH | H | H |
| XVII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | nBu | F | H | F | OH | H | H |
| XVII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | F | H | F | OH | H | H |
| XVII-37-8 | p-F—Ph | * | H | * | nBu | F | H | F | OH | H | H |
| XVII-38-1 | p-Cl—Ph | H | H | H | nBu | F | H | F | OH | H | H |
| XVII-38-2 | p-Cl—Ph | H | H | $CH_3$ | nBu | F | H | F | OH | H | H |
| XVII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | nBu | F | H | F | OH | H | H |
| XVII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | nBu | F | H | F | OH | H | H |
| XVII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | F | H | F | OH | H | H |
| XVII-38-8 | p-Cl—Ph | * | H | * | nBu | F | H | F | OH | H | H |
| XVII-39-1 | p-Br—Ph | H | H | H | nBu | F | H | F | OH | H | H |
| XVII-39-2 | p-Br—Ph | H | H | $CH_3$ | nBu | F | H | F | OH | H | H |
| XVII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | nBu | F | H | F | OH | H | H |
| XVII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | nBu | F | H | F | OH | H | H |
| XVII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | F | H | F | OH | H | H |
| XVII-39-8 | p-Br—Ph | * | H | * | nBu | F | H | F | OH | H | H |
| XVII-40-1 | p-I—Ph | H | H | H | nBu | F | H | F | OH | H | H |
| XVII-40-2 | p-I—Ph | H | H | $CH_3$ | nBu | F | H | F | OH | H | H |
| XVII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | nBu | F | H | F | OH | H | H |
| XVII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | nBu | F | H | F | OH | H | H |
| XVII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | nBu | F | H | F | OH | H | H |
| XVII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | nBu | F | H | F | OH | H | H |
| XVII-40-8 | p-I—Ph | * | H | * | nBu | F | H | F | OH | H | H |
| XVII-41-1 | $CH_3$ | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |
| XVII-41-8 | $CH_3$ | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-42-1 | Et | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-42-2 | Et | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-42-5 | Et | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |

TABLE XVII-continued

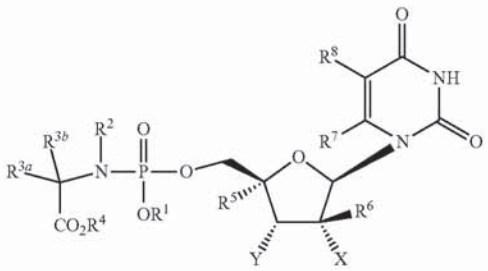
XVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-42-8 | Et | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-43-1 | $^i$Pr | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |
| XVII-43-8 | $^i$Pr | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-44-1 | $^t$Bu | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | E | OH | H | H |
| XVII-44-8 | $^t$Bu | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-45-1 | Ph | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-45-2 | Ph | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |
| XVII-45-8 | Ph | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-46-1 | p-Me—Ph | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |
| XVII-46-8 | p-Me—Ph | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-47-1 | p-F—Ph | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |
| XVII-47-8 | p-F—Ph | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-48-1 | p-Cl—Ph | H | H | H | Bz | F | H | F | OH | H | El |
| XVII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |
| XVII-48-8 | p-Cl—Ph | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-49-1 | p-Br—Ph | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |
| XVII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |
| XVII-49-8 | p-Br—Ph | * | H | * | Bz | F | H | F | OH | H | H |
| XVII-50-1 | p-I—Ph | H | H | H | Bz | F | H | F | OH | H | H |
| XVII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | F | H | F | OH | H | H |
| XVII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | F | H | F | OH | H | H |
| XVII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | F | H | F | OH | H | H |
| XVII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | F | H | F | OH | H | H |

TABLE XVII-continued

XVII

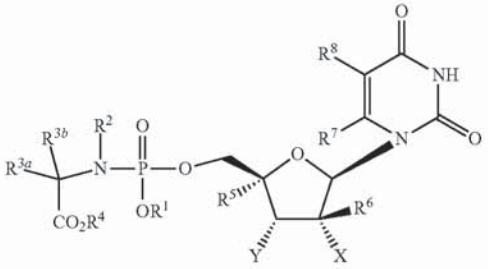


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | F | H | F | OH | H | H |
| XVII-50-8 | p-I—Ph | * | H | * | Bz | F | H | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XVIII

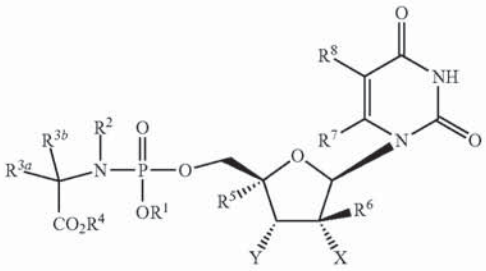
XVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^6$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVIII-1-1 | $CH_3$ | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-1-8 | $CH_3$ | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-2-1 | Et | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-2-3 | Et | H | H | CH(CH3)3 | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-2-8 | Et | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-3-1 | $^i$Pr | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-3-8 | $^i$Pr | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-4-1 | $^t$Bu | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-4-8 | $^t$Bu | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-5-1 | Ph | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | CH | $OCH_3$ | F | H | OH | H | H |
| XVIII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |

TABLE XVIII-continued

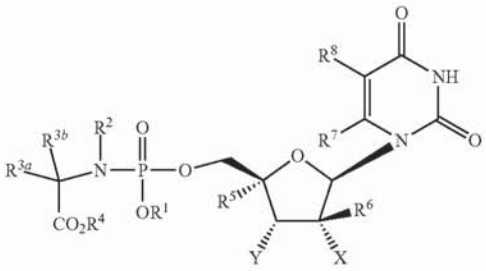
XVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^6$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVIII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-5-8 | Ph | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-7-1 | p-F—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-7-20 | p-F—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-10-1 | p-I—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-10-8 | p-I—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | H | OH | H | H |
| XVIII-11-1 | $CH_3$ | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | H | OH | H | H |
| XVIII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-11-8 | $CH_3$ | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-12-1 | Et | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-12-2 | Et | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVII1-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | II |
| XVIII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-12-5 | Et | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-12-8 | Et | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-13-1 | $^i$Pr | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-13-4 | $^i$Pr | H | II | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |

TABLE XVIII-continued

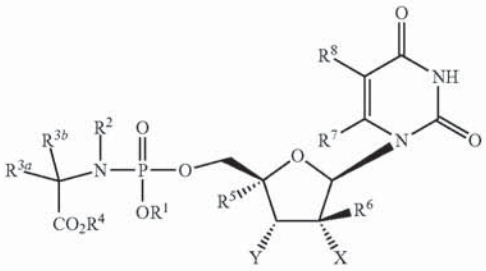
XVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^6$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVIII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-13-8 | $^i$Pr | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-14-1 | $^t$Bu | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-14-8 | $^t$Bu | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-15-1 | Ph | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-15-2 | Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-15-5 | Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-15-8 | Ph | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-16-1 | p-Me—Ph | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-16-8 | p-Me—Ph | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-17-1 | p-F—Ph | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-17-8 | p-F—Ph | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-18-1 | p-Cl—Ph | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-18-8 | p-Cl—Ph | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-19-1 | p-Br—Ph | H | H | H | Et | OCH | F | H | OH | H | H |
| XVIII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | OCH3 | F | H | OH | H | H |
| XVIII-19-8 | p-Br—Ph | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-20-1 | p-I—Ph | H | H | H | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-20-8 | p-I—Ph | * | H | * | Et | $OCH_3$ | F | H | OH | H | H |
| XVIII-21-1 | $CH_3$ | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |

TABLE XVIII-continued

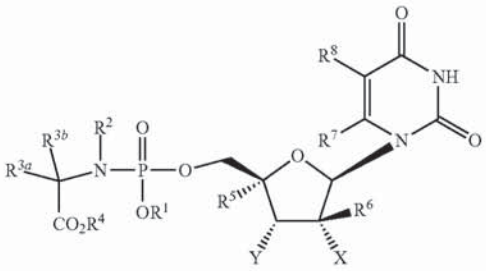
XVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^6$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVIII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-21-8 | $CH_3$ | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-22-1 | Et | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | IF | H | OH | H | H |
| XVIII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-22-8 | Et | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-23-1 | $^i$Pr | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-23-8 | $^i$Pr | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-24-1 | $^t$Bu | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | OCH3 | F | H | OH | H | H |
| XVIII-24-8 | $^t$Bu | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-25-1 | Ph | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-27-1 | p-F—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-27-8 | p-F—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |

TABLE XVIII-continued

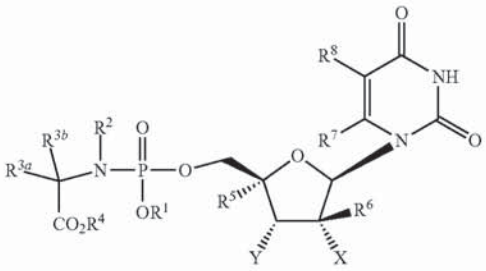
XVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^6$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVIII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-30-1 | p-I—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-30-6 | p-I—Ph | H | HI | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-30-8 | p-I—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | H | OH | H | H |
| XVIII-31-1 | $CH_3$ | H | H | H | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-31-8 | $CH_3$ | * | H | * | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-32-1 | Et | H | H | H | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-32-6 | Et | H | H | CH3-indol-3-yl | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-32-8 | Et | * | H | * | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-33-1 | $^i$Pr | H | H | H | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-33-7 | $^i$Pr | H | H | $CH_2CH_3SCH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-33-8 | $^i$Pr | * | H | * | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-34-1 | $^t$Bu | H | H | H | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-34-3 | $^t$Bu | H | H | $CH(CH3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-34-8 | $^t$Bu | * | H | * | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-35-1 | Ph | H | H | H | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-35-3 | Ph | H | H | $CI(CH_3)2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-35-8 | Ph | * | H | * | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-36-1 | p-Me—Ph | H | H | H | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-36-8 | p-Me—Ph | * | H | * | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-37-1 | p-F—Ph | H | H | H | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | H | OH | H | H |

TABLE XVIII-continued

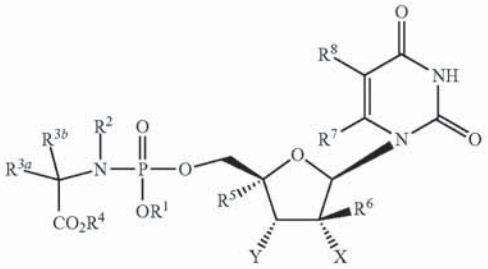
XVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^6$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVIII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-37-5 | p-F—Ph | H | H | $CH_2Ph$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-37-8 | p-F—Ph | * | H | * | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-38-1 | p-Cl—Ph | H | H | H | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-38-2 | p-Cl—Ph | H | H | $CH_3$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-38-8 | p-Cl—Ph | * | H | * | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-39-1 | p-Br—Ph | H | H | H | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-39-2 | p-Br—Ph | H | H | $CH_3$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-39-8 | p-Br—Ph | * | H | * | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-40-1 | p-I—Ph | H | H | H | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-40-2 | p-I—Ph | H | H | $CH_3$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-40-8 | p-I—Ph | * | H | * | "Bu | $OCH_3$ | F | H | OH | H | H |
| XVIII-41-1 | $CH_3$ | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-41-8 | $CH_3$ | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-42-1 | Et | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-42-2 | Et | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-42-5 | Et | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-42-8 | Et | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-43-1 | $^i$Pr | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-43-8 | $^i$Pr | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-44-1 | $^t$Bu | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-44-8 | $^t$Bu | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-45-1 | Ph | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-45-2 | Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |

TABLE XVIII-continued

XVIII

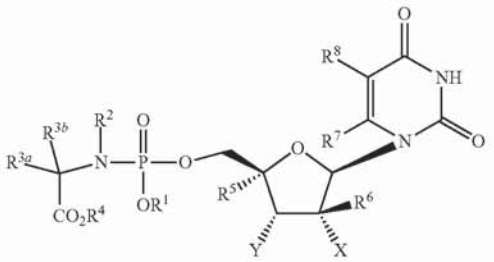


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^6$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XVIII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-45-8 | Ph | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-46-1 | p-Me—Ph | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-46-8 | p-Me—Ph | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-47-1 | p-F—Ph | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-47-8 | p-F—Ph | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-48-1 | p-Cl—Ph | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | OCH | F | H | OH | H | H |
| XVIII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-48-8 | p-Cl—Ph | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-49-1 | p-Br—Ph | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-49-8 | p-Br—Ph | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-50-1 | p-I—Ph | H | H | H | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | H | OH | H | H |
| XVIII-50-8 | p-I—Ph | * | H | * | Bz | $OCH_3$ | F | H | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XIX

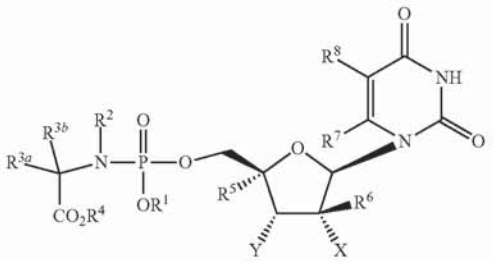
XIX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIX-1-1 | $CH_3$ | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-1-8 | $CH_3$ | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-2-1 | Et | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-2-2 | Et | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-2-6 | Et | H | H | $CH_2$-indol-3-yl | 043 | $OCH_3$ | F | F | OH | H | H |
| XIX-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-2-8 | Et | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-3-1 | $^i$Pr | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-3-2 | $^i$Pr | H | H | $CH_3$ | CH | $OCH_3$ | F | F | OH | H | H |
| XIX-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-3-8 | $^i$Pr | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-4-1 | $^t$Bu | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-4-8 | $^t$Bu | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-5-1 | Ph | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-5-8 | Ph | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-6-1 | p-Me—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-6-8 | p-Me—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-7-1 | p-F—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-7-20 | p-F—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |

TABLE XIX-continued

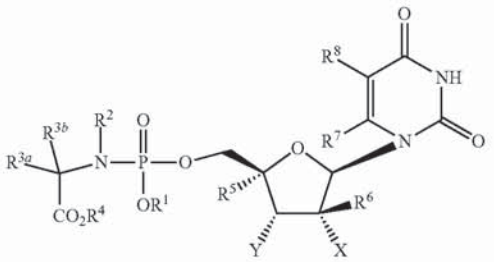
XIX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIX-9-1 | p-Br—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-9-20 | p-Br—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-10-1 | p-I—Ph | H | H | H | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-10-8 | p-I—Ph | * | H | * | $CH_3$ | $OCH_3$ | F | F | OH | H | H |
| XIX-11-1 | $CH_3$ | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-11-2 | $CH_3$ | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-11-8 | $CH_3$ | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-12-1 | Et | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-12-2 | Et | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-12-5 | Et | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-12-8 | Et | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-13-1 | $^i$Pr | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-13-2 | $^i$Pr | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-13-8 | $^i$Pr | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-14-1 | $^t$Bu | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-14-2 | $^t$Bu | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-14-8 | $^t$Bu | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-15-1 | Ph | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-15-2 | Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-15-5 | Ph | H | li | $CH_2Ph$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-15-8 | Ph | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-16-1 | p-Me—Ph | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XIX-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-16-8 | p-Me—Ph | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |

TABLE XIX-continued

XIX

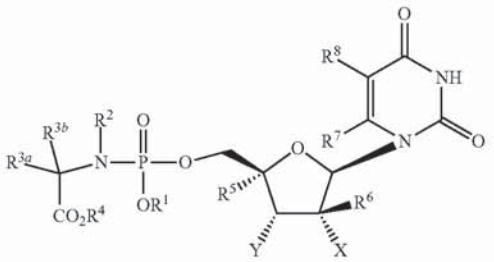


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIX-17-1 | p-F—Ph | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-17-2 | p-F—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-17-8 | p-F—Ph | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-18-1 | p-Cl—Ph | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-18-8 | p-Cl—Ph | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-19-1 | p-Br—Ph | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-19-8 | p-Br—Ph | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-20-1 | p-I—Ph | H | H | H | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-20-2 | p-I—Ph | H | H | $CH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XIX-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-20-8 | p-I—Ph | * | H | * | Et | $OCH_3$ | F | F | OH | H | H |
| XIX-21-1 | $CH_3$ | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-21-8 | $CH_3$ | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-22-1 | Et | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-22-2 | Et | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-22-8 | Et | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-23-1 | $^i$Pr | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-23-8 | $^i$Pr | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-24-1 | $^t$Bu | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-24-8 | $^t$Bu | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |

Atea Pharmaceuticals, Inc.
Exhibit 1005 Page 151 of 255

TABLE XIX-continued

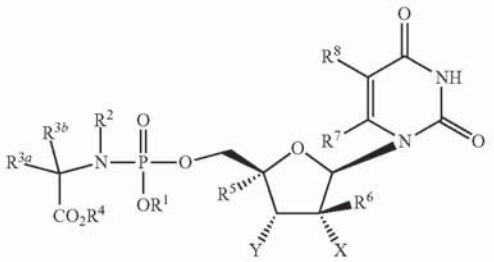
XIX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIX-25-1 | Ph | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-25-8 | Ph | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-26-1 | p-Me—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-26-8 | p-Me—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-27-1 | p-F—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-27-8 | p-F—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-29-1 | p-Br—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-29-8 | p-Br—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-30-1 | p-I—Ph | H | H | H | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-30-8 | p-I—Ph | * | H | * | $^i$Pr | $OCH_3$ | F | F | OH | H | H |
| XIX-31-1 | $CH_3$ | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | ft |
| XIX-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-31-8 | $CH_3$ | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-32-1 | Et | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-32-2 | Et | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-32-8 | Et | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |

TABLE XIX-continued

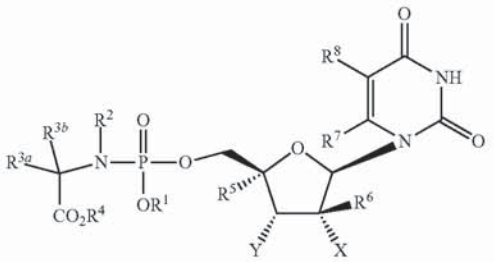
XIX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIX-33-1 | $^i$Pr | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-33-8 | $^i$Pr | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-34-1 | $^t$Bu | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-34-8 | $^t$Bu | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-35-1 | Ph | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-35-8 | Ph | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-36-1 | p-Me—Ph | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-36-8 | p-Me—Ph | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-37-1 | p-F—Ph | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-37-8 | p-F—Ph | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-39-1 | p-Br—Ph | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-39-8 | p-Br—Ph | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-40-1 | p-I—Ph | H | H | H | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | F | F | OH | H | H |
| XIX-40-8 | p-I—Ph | * | H | * | $^n$Bu | $OCH_3$ | F | F | OH | H | H |

TABLE XIX-continued

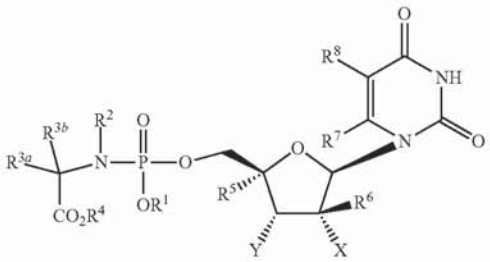
XIX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIX-41-1 | $CH_3$ | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-41-8 | $CH_3$ | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-42-1 | Et | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-42-2 | Et | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-42-5 | Et | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-42-8 | Et | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-43-1 | $^i$Pr | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-43-8 | $^i$Pr | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-44-1 | $^t$Bu | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | OH3 | F | F | OH | H | H |
| XIX-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-44-8 | $^t$Bu | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-45-1 | Ph | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-45-2 | Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-45-3 | ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-45-5 | Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-45-8 | Ph | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-46-1 | p-Me—Ph | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-46-8 | p-Me—Ph | S | H | * | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-47-1 | p-F—Ph | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-47-8 | p-F—Ph | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-48-1 | p-Cl—Ph | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-48-8 | p-Cl—Ph | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |

TABLE XIX-continued

XIX

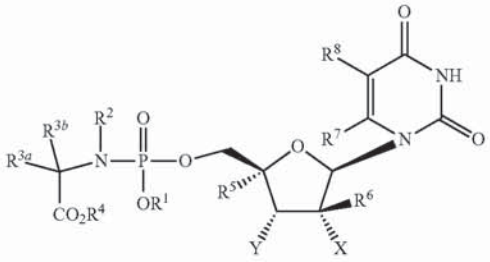


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XIX-49-1 | p-Br—Ph | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-49-8 | p-Br—Ph | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-50-1 | p-I—Ph | H | H | H | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | F | F | OH | H | H |
| XIX-50-8 | p-I—Ph | * | H | * | Bz | $OCH_3$ | F | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring

TABLE XX

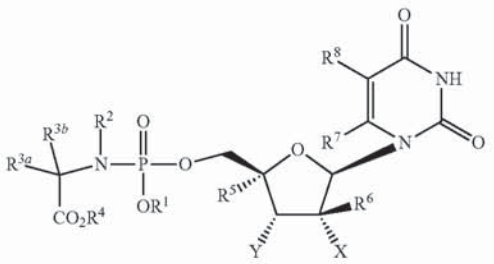
XX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XX-1-1 | $CH_3$ | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-1-8 | $CH_3$ | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-2-1 | Et | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-2-2 | Et | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-2-8 | Et | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-3-1 | $^i$Pr | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-3-8 | $^i$Pr | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-4-1 | $^t$Bu | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |

TABLE XX-continued

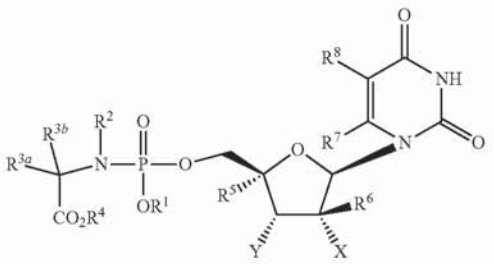
XX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XX-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-4-8 | $^t$Bu | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-5-1 | Ph | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-5-8 | Ph | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-6-1 | p-Me—Ph | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-6-8 | p-Me—Ph | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-7-1 | p-F—Ph | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-7-20 | p-F—Ph | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-9-1 | p-Br—Ph | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-9-20 | p-Br—Ph | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-10-1 | p-I—Ph | H | H | H | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-10-8 | p-I—Ph | * | H | * | $CH_3$ | $OCH_3$ | H | F | OH | H | H |
| XX-11-1 | $CH_3$ | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |
| XX-11-2 | $CH_3$ | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-11-8 | $CH_3$ | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-12-1 | Et | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |

TABLE XX-continued

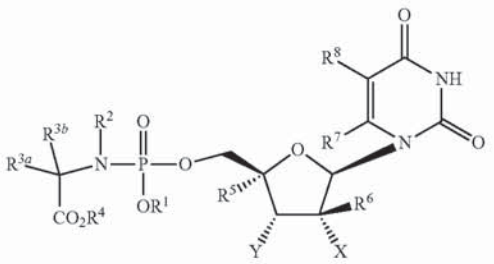
XX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XX-12-2 | Et | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-12-5 | Et | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-12-8 | Et | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-13-1 | $^i$Pr | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |
| XX-13-2 | $^i$Pr | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-13-8 | $^i$Pr | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-14-1 | $^t$Bu | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |
| XX-14-2 | $^t$Bu | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-14-8 | $^t$Bu | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-15-1 | Ph | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |
| XX-15-2 | Ph | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-15-5 | Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-15-8 | Ph | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-16-1 | p-Me—Ph | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |
| XX-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-16-8 | p-Me—Ph | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-17-1 | p-F—Ph | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |
| XX-17-2 | p-F—Ph | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-17-8 | p-F—Ph | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-18-1 | p-Cl—Ph | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |
| XX-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-18-8 | p-Cl—Ph | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-19-1 | p-Br—Ph | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |
| XX-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-19-8 | p-Br—Ph | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-20-1 | p-I—Ph | H | H | H | Et | $OCH_3$ | H | F | OH | H | H |

TABLE XX-continued

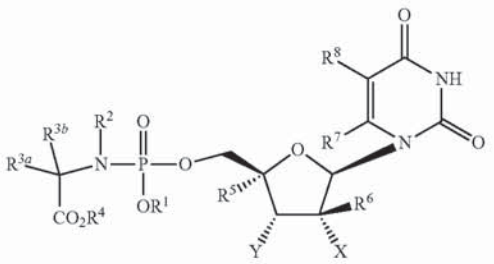
XX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XX-20-2 | p-I—Ph | H | H | $CH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | $OCH_3$ | H | F | OH | H | H |
| XX-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | $OCH_3$ | H | F | OH | H | H |
| XX-20-8 | p-I—Ph | * | H | * | Et | $OCH_3$ | H | F | OH | H | H |
| XX-21-1 | $CH_3$ | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-21-8 | $CH_3$ | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-22-1 | Et | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-22-2 | Et | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-22-8 | Et | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-23-1 | $^i$Pr | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-23-8 | $^i$Pr | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-24-1 | $^t$Bu | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-24-8 | $^t$Bu | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-25-1 | Ph | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-25-8 | Ph | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-26-1 | p-Me—Ph | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-26-8 | p-Me—Ph | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-27-1 | p-F—Ph | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-27-8 | p-F—Ph | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |

TABLE XX-continued

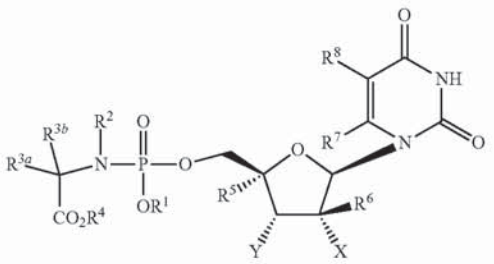
XX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XX-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-29-1 | p-Br—Ph | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-29-8 | p-Br—Ph | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-30-1 | p-I—Ph | H | H | H | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Pr | $OCH_3$ | H | F | OH | H | H |
| XX-30-8 | p-I—Ph | * | H | * | $^i$Pr | $OCH_3$ | H | F | OH | H | H |
| XX-31-1 | $CH_3$ | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-31-8 | $CH_3$ | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-32-1 | Et | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-32-2 | Et | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-32-6 | Et | LI | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-32-8 | Et | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-33-1 | $^i$Pr | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-33-8 | $^i$Pr | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-34-1 | $^t$Bu | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-34-8 | $^t$Bu | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-35-1 | Ph | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-35-8 | Ph | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-36-1 | p-Me—Ph | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |

TABLE XX-continued

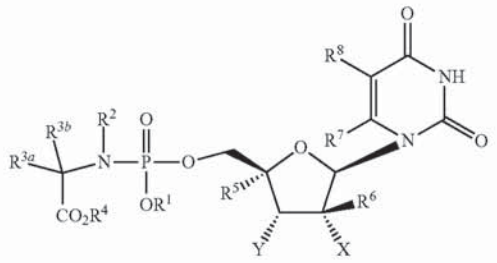
XX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XX-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-36-8 | p-Me—Ph | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-37-1 | p-F—Ph | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-37-8 | p-F—Ph | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-39-1 | p-Br—Ph | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-39-8 | p-Br—Ph | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-40-1 | p-I—Ph | H | H | H | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-40-8 | p-I—Ph | * | H | * | $^n$Bu | $OCH_3$ | H | F | OH | H | H |
| XX-41-1 | $CH_3$ | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-41-8 | $CH_3$ | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-42-1 | Et | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-42-2 | Et | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-42-5 | Et | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-42-8 | Et | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-43-1 | $^i$Pr | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-43-8 | $^i$Pr | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-44-1 | $^t$Bu | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |

TABLE XX-continued

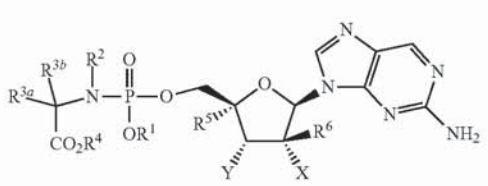
XX



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y | $R^7$ | $R^8$ |
|---|---|---|---|---|---|---|---|---|---|---|---|
| XX-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-44-8 | $^t$Bu | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-45-1 | Ph | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-45-2 | Ph | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-45-5 | Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-45-8 | Ph | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-46-1 | p-Me—Ph | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-46-8 | p-Me—Ph | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-47-1 | p-F—Ph | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-47-8 | p-F—Ph | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-48-1 | p-Cl—Ph | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-48-8 | p-Cl—Ph | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-49-1 | p-Br—Ph | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-49-8 | p-Br—Ph | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-50-1 | p-I—Ph | H | H | H | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | OH | H | F | OH | H | H |
| XX-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | $OCH_3$ | H | F | OH | H | H |
| XX-50-8 | p-I—Ph | * | H | * | Bz | $OCH_3$ | H | F | OH | H | H |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring

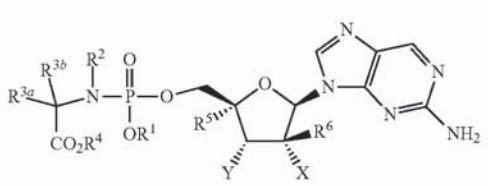
TABLE XXI-1



XXI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXI-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-2-1 | Et | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-2-8 | Et | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-5-1 | Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-5-8 | Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-6-1 | p-Me-Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-6-2 | p-Me-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-6-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-6-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-6-5 | p-Me-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-6-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-6-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-6-8 | p-Me-Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-7-1 | p-F-Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-7-2 | p-F-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-7-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-7-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-7-6 | p-F-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-7-7 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-7-8 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-7-20 | p-F-Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-8-1 | p-Cl-Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-8-2 | p-Cl-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-8-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-8-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-8-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-8-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-8-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-8-8 | p-Cl-Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-9-1 | p-Br-Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-9-2 | p-Br-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-9-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-9-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |

TABLE XXI-1-continued

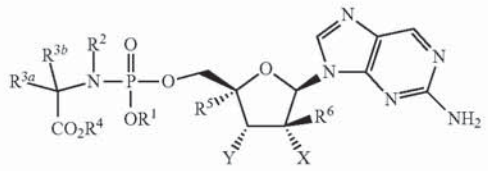


XXI

| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXI-9-6 | p-Br-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-9-7 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-9-8 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XX1-9-20 | p-Br-Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-10-1 | p-I-Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-10-2 | p-I-Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-10-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-10-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-10-5 | p-I-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-10-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-10-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-10-8 | p-I-Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXI-11-1 | $CH_3$ | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-11-8 | $CH_3$ | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-12-1 | Et | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-12-2 | Et | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-12-5 | Et | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-12-8 | Et | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-13-1 | $^i$Pr | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-13-8 | $^i$Pr | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-14-1 | $^t$Bu | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-14-8 | $^t$Bu | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-15-1 | Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-15-2 | Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-15-8 | Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-16-1 | p-Me-Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-16-2 | p-Me-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-16-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-16-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-16-5 | p-Me-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-16-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-16-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-16-8 | p-Me-Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-17-1 | p-F-Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-17-2 | p-F-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-17-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-17-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-17-5 | p-F-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-17-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-17-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-17-8 | p-F-Ph | * | H | * | Et | H | $CH_3$ | F | OH |

TABLE XXI-1-continued

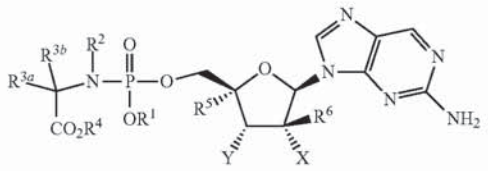
XXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXI-18-1 | p-Cl-Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-18-2 | p-Cl-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-18-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-18-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-18-5 | p-Cl-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-18-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-18-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-18-8 | p-Cl-Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-19-1 | p-Br-Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-19-2 | p-Br-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-19-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-19-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-19-5 | p-Br-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-19-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-19-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-19-8 | p-Br-Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-20-1 | p-I-Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXI-20-2 | p-I-Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-20-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-20-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXI-20-5 | p-I-Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXI-20-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXI-20-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXI-20-8 | p-I-Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-22-1 | Et | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-22-8 | Et | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-25-1 | Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-25-8 | Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-26-1 | p-Me-Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-26-2 | p-Me-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-26-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-26-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |

TABLE XXI-1-continued

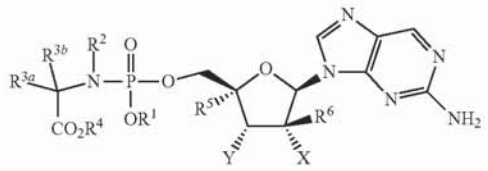
XXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXI-26-5 | p-Me-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-26-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-26-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-26-8 | p-Me-Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-27-1 | p-F-Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-27-2 | p-F-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-27-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-27-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-27-5 | p-F-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-27-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-27-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-27-8 | p-F-Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-28-1 | p-Cl-Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-28-2 | p-Cl-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-28-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-28-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-28-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-28-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-28-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-28-8 | p-Cl-Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-29-1 | p-Br-Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-29-2 | p-Br-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-29-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-29-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-29-5 | p-Br-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-29-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-29-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-29-8 | p-Br-Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-30-1 | p-I-Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-30-2 | p-I-Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-30-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-30-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-30-5 | p-I-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-30-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-30-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-30-8 | p-I-Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXI-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-32-1 | Et | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-32-8 | Et | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |

TABLE XXI-1-continued

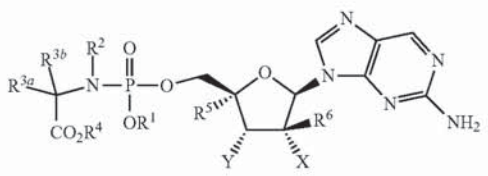
XXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXI-35-1 | Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-35-8 | Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-36-1 | p-Me-Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-36-2 | p-Me-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-36-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-36-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-36-5 | p-Me-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-36-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-36-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-36-8 | p-Me-Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-37-1 | p-F-Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-37-2 | p-F-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-37-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-37-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-37-5 | p-F-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-37-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-37-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-37-8 | p-F-Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-38-1 | p-Cl-Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-38-2 | p-Cl-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-38-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-38-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-38-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-38-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-38-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-38-8 | p-Cl-Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-39-1 | p-Br-Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-39-2 | p-Br-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-39-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-39-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-39-5 | p-Br-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-39-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-39-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-39-8 | p-Br-Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-40-1 | p-I-Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-40-2 | p-I-Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-40-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-40-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-40-5 | p-I-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-40-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-40-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-40-8 | p-I-Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXI-41-1 | $CH_3$ | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-41-8 | $CH_3$ | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-42-1 | Et | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-42-2 | Et | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-42-8 | Et | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-43-1 | $^i$Pr | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |

TABLE XXI-1-continued

XXI

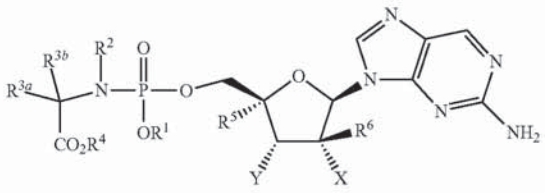


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXI-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-43-8 | $^i$Pr | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-44-1 | $^t$Bu | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-44-8 | $^t$Bu | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-45-1 | Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-45-2 | Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-45-8 | Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-46-1 | p-Me-Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-46-2 | p-Me-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-46-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-46-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-46-5 | p-Me-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-46-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-46-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-46-8 | p-Me-Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-47-1 | p-F-Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-47-2 | p-F-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-47-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-47-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-47-5 | p-F-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-47-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-47-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-47-8 | p-F-Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-48-1 | p-Cl-Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-48-2 | p-Cl-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-48-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-48-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-48-5 | p-Cl-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-48-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-48-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-48-8 | p-Cl-Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-49-1 | p-Br-Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-49-2 | p-Br-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-49-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-49-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-49-5 | p-Br-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-49-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-49-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-49-8 | p-Br-Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXI-50-1 | p-I-Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXI-50-2 | p-I-Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-50-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-50-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXI-50-5 | p-I-Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXI-50-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXI-50-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXI-50-8 | p-I-Ph | * | H | * | Bz | H | $CH_3$ | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXII-1

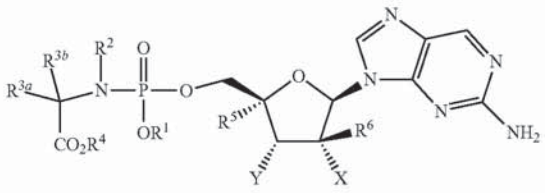
XXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXII-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-1-8 | $CH_3$ | H | H | * | $CH_3$ | H | F | H | OH |
| XXII-2-1 | Et | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-2-8 | Et | * | H | * | $CH_3$ | H | F | H | OH |
| XXII-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | H | OH |
| XXII-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | H | OH |
| XXII-5-1 | Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-5-8 | Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXII-6-1 | p-Me-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-6-2 | p-Me-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-6-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-6-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-6-5 | p-Me-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-6-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-6-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-6-8 | p-Me-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXII-7-1 | p-F-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-7-2 | p-F-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-7-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-7-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-7-6 | p-F-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-7-7 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-7-8 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-7-20 | p-F-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXII-8-1 | p-Cl-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-8-2 | p-Cl-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-8-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-8-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-8-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-8-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-8-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXH-8-8 | p-Cl-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXH-9-1 | p-Br-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-9-2 | p-Br-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-9-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |

TABLE XXII-1-continued

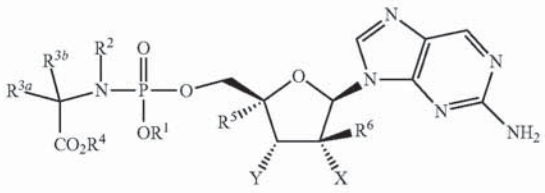
XXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXII-9-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXH-9-6 | p-Br-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-9-7 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-9-8 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-9-20 | p-Br-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXII-10-1 | p-I-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXII-10-2 | p-I-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXII-10-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-10-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXII-10-5 | p-I-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXII-10-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXII-10-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXII-10-8 | p-I-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXII-11-1 | $CH_3$ | H | H | H | Et | H | F | H | OH |
| XXII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-11-8 | $CH_3$ | * | H | * | Et | H | F | H | OH |
| XXII-12-1 | Et | H | H | H | Et | H | F | H | OH |
| XXII-12-2 | Et | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-12-8 | Et | * | H | * | Et | H | F | H | OH |
| XXII-13-1 | $^i$Pr | H | H | H | Et | H | F | H | OH |
| XXII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-13-8 | $^i$Pr | * | H | * | Et | H | F | H | OH |
| XXII-14-1 | $^t$Bu | H | H | H | Et | H | F | H | OH |
| XXII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-14-8 | $^t$Bu | * | H | * | Et | H | F | H | OH |
| XXII-15-1 | Ph | H | H | H | Et | H | F | H | OH |
| XXII-15-2 | Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-15-8 | Ph | * | H | * | Et | H | F | H | OH |
| XXII-16-1 | p-Me-Ph | H | H | H | Et | H | F | H | OH |
| xx11-16-2 | p-Me-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-16-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-16-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-16-5 | p-Me-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-16-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-16-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-16-8 | p-Me-Ph | * | H | * | Et | H | F | H | OH |
| XXII-17-1 | p-F-Ph | H | H | H | Et | H | F | H | OH |
| XXII-17-2 | p-F-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-17-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-17-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-17-5 | p-F-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-17-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |

TABLE XXII-1-continued

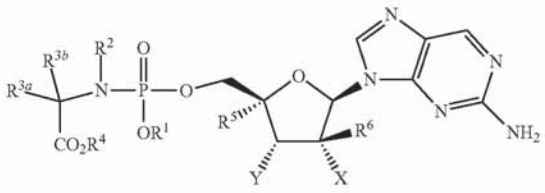
XXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXII-17-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-17-8 | p-F-Ph | * | H | * | Et | H | F | H | OH |
| XXII-18-1 | p-Cl-Ph | H | H | H | Et | H | F | H | OH |
| XXII-18-2 | p-Cl-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-18-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-18-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-18-5 | p-Cl-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-18-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-18-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-18-8 | p-Cl-Ph | * | H | * | Et | H | F | H | OH |
| XXII-19-1 | p-Br-Ph | H | H | H | Et | H | F | H | OH |
| XXII-19-2 | p-Br-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-19-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-19-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-19-5 | p-Br-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-19-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-19-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-19-8 | p-Br-Ph | * | H | * | Et | H | F | H | OH |
| XXII-20-1 | p-I-Ph | H | H | H | Et | H | F | H | OH |
| XXII-20-2 | p-I-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXII-20-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-20-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXII-20-5 | p-I-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXII-20-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXII-20-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXII-20-8 | p-I-Ph | * | H | * | Et | H | F | H | OH |
| XXII-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-22-1 | Et | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-22-8 | Et | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-25-1 | Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-25-8 | Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-26-1 | p-Me-Ph | H | H | H | $^i$Pr | H | F | H | OH |

TABLE XXII-1-continued

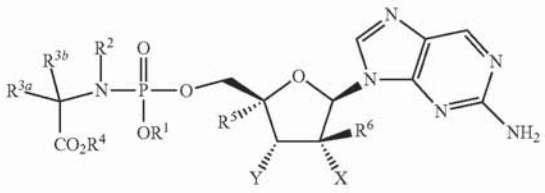
XXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXII-26-2 | p-Me-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-26-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-26-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-26-5 | p-Me-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-26-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-26-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-26-8 | p-Me-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-27-1 | p-F-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-27-2 | p-F-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-27-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-27-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-27-5 | p-F-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-27-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-27-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-27-8 | p-F-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-28-1 | p-Cl-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-28-2 | p-Cl-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-28-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXH-28-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-28-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-28-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-28-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-28-8 | p-Cl-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-29-1 | p-Br-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-29-2 | p-Br-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-29-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-29-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-29-5 | p-Br-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-29-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-29-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-29-8 | p-Br-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-30-1 | p-I-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXII-30-2 | p-I-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXII-30-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-30-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXII-30-5 | p-I-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXII-30-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXII-30-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXII-30-8 | p-I-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXII-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-32-1 | Et | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-32-8 | Et | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |

TABLE XXII-1-continued

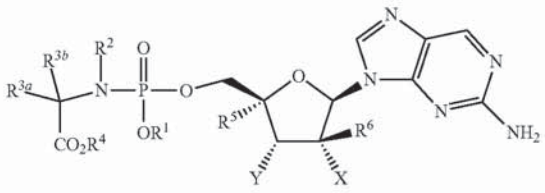
XXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-35-1 | Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXH.35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-35-8 | Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-36-1 | p-Me-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-36-2 | p-Me-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-36-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-36-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-36-5 | p-Me-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-36-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-36-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-36-8 | p-Me-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-37-1 | p-F-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-37-2 | p-F-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-37-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-37-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-37-5 | p-F-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-37-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-37-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-37-8 | p-F-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-38-1 | p-Cl-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-38-2 | p-Cl-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-38-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-38-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-38-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-38-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-38-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-38-8 | p-Cl-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-39-1 | p-Br-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-39-2 | p-Br-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-39-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-39-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-39-5 | p-Br-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-39-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXH-39-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-39-8 | p-Br-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-40-1 | p-I-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXII-40-2 | p-I-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXII-40-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-40-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXII-40-5 | p-I-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXII-40-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXII-40-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXII-40-8 | p-I-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXII-41-1 | $CH_3$ | H | H | H | Bz | H | F | H | OH |
| XXII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-41-8 | $CH_3$ | * | H | * | Bz | H | F | H | OH |
| XXII-42-1 | Et | H | H | H | Bz | H | F | H | OH |
| XXII-42-2 | Et | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |

TABLE XXII-1-continued

XXII

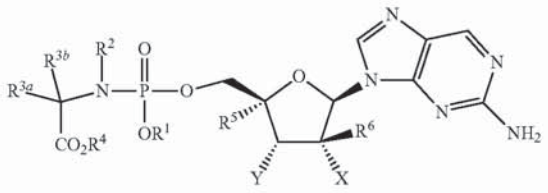


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXII-42-8 | Et | * | H | * | Bz | H | F | H | OH |
| XXII-43-1 | $^i$Pr | H | H | H | Bz | H | F | H | OH |
| XXII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-43-8 | $^i$Pr | * | H | * | Bz | H | F | H | OH |
| XXII-44-1 | $^t$Bu | H | H | H | Bz | H | F | H | OH |
| XXII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-44-8 | $^t$Bu | * | H | * | Bz | H | F | H | OH |
| XXII-45-1 | Ph | H | H | H | Bz | H | F | H | OH |
| XXII-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-45-8 | Ph | * | H | * | Bz | H | F | H | OH |
| XXII-46-1 | p-Me-Ph | H | H | H | Bz | H | F | H | OH |
| XXII-46-2 | p-Me-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-46-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-46-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-46-5 | p-Me-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-46-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-46-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-46-8 | p-Me-Ph | * | H | * | Bz | H | F | H | OH |
| XXII-47-1 | p-F-Ph | H | H | H | Bz | H | F | H | OH |
| XXII-47-2 | p-F-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-47-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-47-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-47-5 | p-F-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-47-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-47-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-47-8 | p-F-Ph | * | H | * | Bz | H | F | H | OH |
| XXII-48-1 | p-Cl-Ph | H | H | H | Bz | H | F | H | OH |
| XXII-48-2 | p-Cl-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-48-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-48-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-48-5 | p-Cl-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-48-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-48-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-48-8 | p-Cl-Ph | * | H | * | Bz | H | F | H | OH |
| XXII-49-1 | p-Br-Ph | H | H | H | Bz | H | F | H | OH |
| XXII-49-2 | p-Br-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-49-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-49-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-49-5 | p-Br-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-49-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-49-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-49-8 | p-Br-Ph | * | H | * | Bz | H | F | H | OH |
| XXII-50-1 | p-I-Ph | H | H | H | Bz | H | F | H | OH |
| XXII-50-2 | p-I-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXII-50-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-50-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXII-50-5 | p-I-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXII-50-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXII-50-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXII-50-8 | p-I-Ph | * | H | * | Bz | H | F | H | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXIII

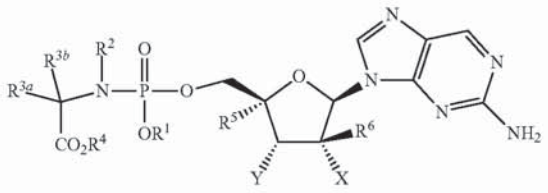
XXIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIII-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-2-1 | Et | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-2-8 | Et | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-5-1 | Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-5-8 | Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |

TABLE XXIII-continued

XXIII

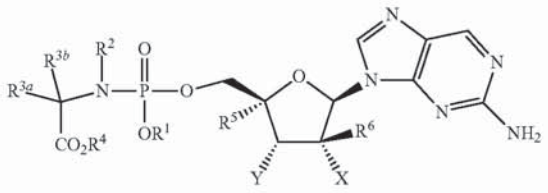


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXIII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXIII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXIII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXIII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXIII-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXIII-11-1 | $CH_3$ | H | H | H | Et | H | F | F | OH |
| XXIII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-11-8 | $CH_3$ | * | H | * | Et | H | F | F | OH |
| XXIII-12-1 | Et | H | H | H | Et | H | F | F | OH |
| XXIII-12-2 | Et | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-12-8 | Et | * | H | * | Et | H | F | F | OH |
| XXIII-13-1 | $^i$Pr | H | H | H | Et | H | F | F | OH |
| XXIII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-13-8 | $^i$Pr | * | H | * | Et | H | F | F | OH |
| XXIII-14-1 | $^t$Bu | H | H | H | Et | H | F | F | OH |
| XXIII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-14-8 | $^t$Bu | * | H | * | Et | H | F | F | OH |
| XXIII-15-1 | Ph | H | H | H | Et | H | F | F | OH |
| XXIII-15-2 | Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-15-8 | Ph | * | H | * | Et | H | F | F | OH |
| XXIII-16-1 | p-Me—Ph | H | H | H | Et | H | F | F | OH |
| XXIII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-16-8 | p-Me—Ph | * | H | * | Et | H | F | F | OH |
| XXIII-17-1 | p-F—Ph | H | H | H | Et | H | F | F | OH |
| XXIII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |

Atea Pharmaceuticals, Inc.
Exhibit 1005 Page 172 of 255

TABLE XXIII-continued

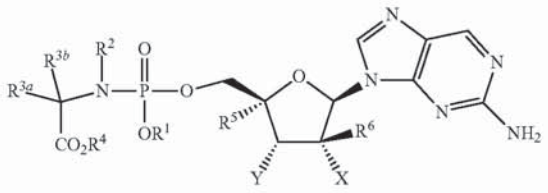
XXIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-17-8 | p-F—Ph | * | H | * | Et | H | F | F | OH |
| XXIII-18-1 | p-Cl—Ph | H | H | H | Et | H | F | F | OH |
| XXIII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-18-8 | p-Cl—Ph | * | H | * | Et | H | F | F | OH |
| XXIII-19-1 | p-Br—Ph | H | H | H | Et | H | F | F | OH |
| XXIII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-19-8 | p-Br—Ph | * | H | * | Et | H | F | F | OH |
| XXIII-20-1 | p-I—Ph | H | H | H | Et | H | F | F | OH |
| XXIII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXIII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXIII-20-5 | p-I—Ph | H | El | $CH_2Ph$ | Et | H | F | F | OH |
| XXIII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXIII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXIII-20-8 | p-I—Ph | * | H | * | Et | H | F | F | OH |
| XXIII-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-22-1 | Et | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-22-8 | Et | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-25-1 | Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-25-8 | Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | F | F | OH |

TABLE XXIII-continued

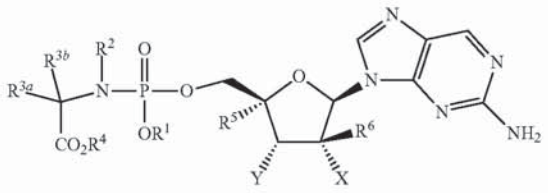
XXIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXIII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXIII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXIII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXIII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXIII-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXIII-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-32-1 | Et | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-32-6 | Ft | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-32-8 | Et | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |

TABLE XXIII-continued

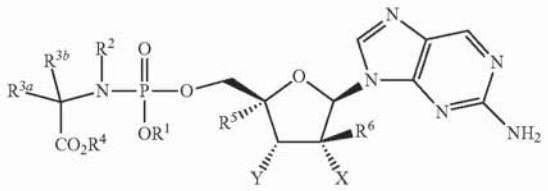
XXIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-35-1 | Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-35-8 | Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXIII-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXIII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXIII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXIII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXIII-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXIII-41-1 | $CH_3$ | H | H | H | Bz | H | F | F | OH |
| XXIII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-41-8 | $CH_3$ | * | H | * | Bz | H | F | F | OH |
| XXIII-42-1 | Et | H | H | H | Bz | H | F | F | OH |
| XXIII-42-2 | Et | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |

TABLE XXIII-continued

XXIII

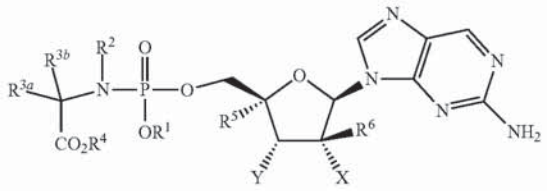


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIII-42-8 | Et | * | H | * | Bz | H | F | F | OH |
| XXIII-43-1 | $^i$Pr | H | H | H | Bz | H | F | F | OH |
| XXIII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-43-8 | $^i$Pr | * | H | * | Bz | H | F | F | OH |
| XXIII-44-1 | $^t$Bu | H | H | H | Bz | H | F | F | OH |
| XXIII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-44-8 | $^t$Bu | * | H | * | Bz | H | F | F | OH |
| XXIII-45-1 | Ph | H | H | H | Bz | H | F | F | OH |
| XXIII-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-45-8 | Ph | * | H | * | Bz | H | F | F | OH |
| XXIII-46-1 | p-Me—Ph | H | H | H | Bz | H | F | F | OH |
| XXIII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-46-8 | p-Me—Ph | * | H | * | Bz | H | F | F | OH |
| XXIII-47-1 | p-F—Ph | H | H | H | Bz | H | F | F | OH |
| XXIII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-47-8 | p-F—Ph | * | H | * | Bz | H | F | F | OH |
| XXIII-48-1 | p-Cl—Ph | H | H | H | Bz | H | F | F | OH |
| XXIII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-48-8 | p-Cl—Ph | * | H | * | Bz | H | F | F | OH |
| XXIII-49-1 | p-Br—Ph | H | H | H | Bz | H | F | F | OH |
| XXIII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-49-8 | p-Br—Ph | * | H | * | Bz | H | F | F | OH |
| XXIII-50-1 | p-I—Ph | H | H | H | Bz | H | F | F | OH |
| XXIII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXIII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXIII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXIII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXIII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXIII-50-8 | p-I—Ph | * | H | * | Bz | H | F | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXIV

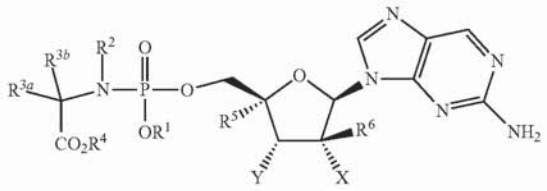
XXIV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIV-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-2-1 | Et | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-2-8 | Et | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-5-1 | Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | CH | H | H | F | OH |
| XXIV-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-5-8 | Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-6-2 | p-Me—Ph | H | H | CH | $CH_3$ | H | H | F | OH |
| XXIV-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |

TABLE XXIV-continued

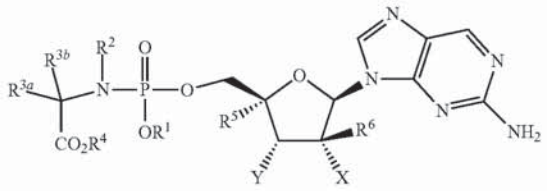
XXIV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIV-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXIV-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXIV-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXIV-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXIV-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXIV-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXIV-11-1 | $CH_3$ | H | H | H | Et | H | H | F | OH |
| XXIV-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-11-8 | $CH_3$ | * | H | * | Et | H | H | F | OH |
| XXIV-12-1 | Et | H | H | H | Et | H | H | F | OH |
| XXIV-12-2 | Et | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-12-5 | Et | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-12-8 | Et | * | H | * | Et | H | H | F | OH |
| XXIV-13-1 | $^i$Pr | H | H | H | Et | H | H | F | OH |
| XXIV-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-13-8 | $^i$Pr | * | H | * | Et | H | H | F | OH |
| XXIV-14-1 | $^t$Bu | H | H | H | Et | H | H | F | OH |
| XXIV-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-14-8 | $^t$Bu | * | H | * | Et | H | H | F | OH |
| XXIV-15-1 | Ph | H | H | H | Et | H | H | F | OH |
| XXIV-15-2 | Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-15-8 | Ph | * | H | * | Et | H | H | F | OH |
| XXIV-16-1 | p-Me—Ph | H | H | H | Et | H | H | F | OH |
| XXIV-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-16-8 | p-Me—Ph | * | H | * | Et | H | H | F | OH |
| XXIV-17-1 | p-F—Ph | H | H | H | Et | H | H | F | OH |
| XXIV-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |

TABLE XXIV-continued

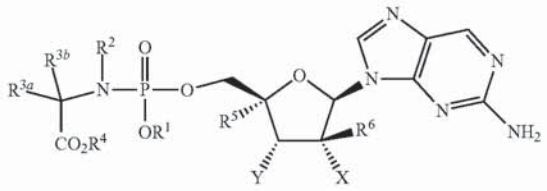
XXIV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIV-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-17-8 | p-F—Ph | * | H | * | Et | H | H | F | OH |
| XXIV-18-1 | p-Cl—Ph | H | H | H | Et | H | H | F | OH |
| XXIV-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-18-8 | p-Cl—Ph | * | H | * | Et | H | H | F | OH |
| XXIV-19-1 | p-Br—Ph | H | H | H | Et | H | H | F | OH |
| XXIV-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-19-8 | p-Br—Ph | * | H | * | Et | H | H | F | OH |
| XXIV-20-1 | p-I—Ph | H | H | H | Et | H | H | F | OH |
| XXIV-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXIV-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXIV-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXIV-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXIV-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXIV-20-8 | p-I—Ph | * | H | * | Et | H | H | F | OH |
| XXIV-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-22-1 | Et | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-22-8 | Et | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-24-8 | $^t$Bu | 1 | H | * | $^i$Pr | H | H | F | OH |
| XXIV-25-1 | Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-25-8 | Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | H | F | OH |

TABLE XXIV-continued

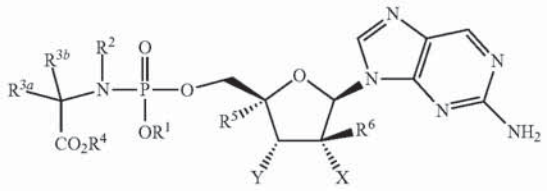
XXIV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIV-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXIV-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXIV-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXIV-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXIV-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXIV-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXIV-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-32-1 | Et | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-32-8 | Et | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-34-1 | $^n$Bu | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |

TABLE XXIV-continued

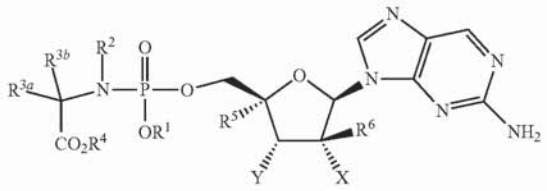
XXIV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIV-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-35-1 | Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-35-8 | Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXIV-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXIV-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXIV-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXIV-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXIV-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXIV-41-1 | $CH_3$ | H | H | H | Bz | H | H | F | OH |
| XXIV-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-41-8 | $CH_3$ | * | H | * | Bz | H | H | F | OH |
| XXIV-42-1 | Et | H | H | H | Bz | H | H | F | OH |
| XXIV-42-2 | Et | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |

TABLE XXIV-continued

XXIV

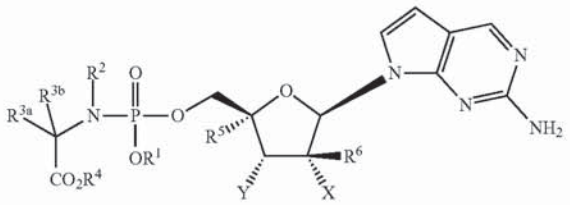


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIV-42-8 | Et | * | H | * | Bz | H | H | F | OH |
| XXIV-43-1 | $^i$Pr | H | H | H | Bz | H | H | F | OH |
| XXIV-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-43-8 | $^i$Pr | * | H | * | Bz | H | H | F | OH |
| XXIV-44-1 | $^t$Bu | H | H | H | Bz | H | H | F | OH |
| XXIV-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-44-8 | $^t$Bu | * | H | * | Bz | H | H | F | OH |
| XXIV-45-1 | Ph | H | H | H | Bz | H | H | F | OH |
| XXIV-45-2 | Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-45-8 | Ph | * | H | * | Bz | H | H | F | OH |
| XXIV-46-1 | p-Me—Ph | H | H | H | Bz | H | H | F | OH |
| XXIV-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-46-8 | p-Me—Ph | * | H | * | Bz | H | H | F | OH |
| XXIV-47-1 | p-F—Ph | H | H | H | Bz | H | H | F | OH |
| XXIV-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-47-8 | p-F—Ph | * | H | * | Bz | H | H | F | OH |
| XXIV-48-1 | p-Cl—Ph | H | H | H | Bz | H | H | F | OH |
| XXIV-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-48-4 | p-Cl—Ph | H | H | $CH3CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-48-8 | p-Cl—Ph | * | H | * | Bz | H | H | F | OH |
| XXIV-49-1 | p-Br—Ph | H | H | H | Bz | H | H | F | OH |
| XXIV-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-49-4 | p-Br—Ph | H | H | $CH3CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-49-8 | p-Br—Ph | * | H | * | Bz | H | H | F | OH |
| XXIV-50-1 | p-I—Ph | H | H | H | Bz | H | H | F | OH |
| XXIV-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXIV-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXIV-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXIV-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXIV-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXIV-50-8 | p-I—Ph | * | H | * | Bz | H | H | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXV

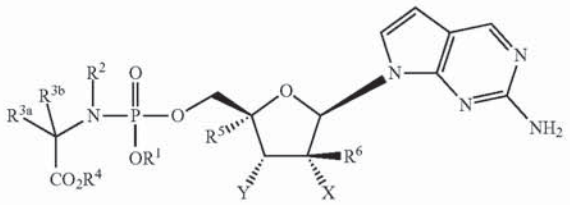
XXV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXV-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-2-1 | Et | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-2-8 | Et | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-5-1 | Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-5-8 | Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | CH | H | $CH_3$ | F | OH |
| XXV-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |

TABLE XXV-continued

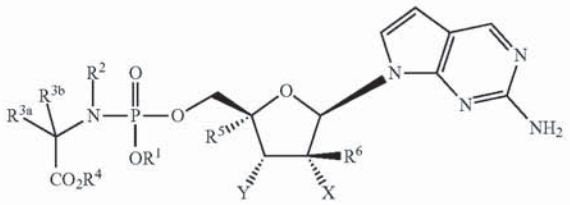
XXV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXV-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CF_3$ | H | $CH_3$ | F | OH |
| XXV-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXV-11-1 | $CH_3$ | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-11-8 | $CH_3$ | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-12-1 | Et | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-12-2 | Et | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-12-5 | Et | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-12-8 | Et | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-13-1 | $^i$Pr | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-13-8 | $^i$Pr | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-14-1 | $^t$Bu | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-14-8 | $^t$Bu | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-15-1 | Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-15-2 | Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXv-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-15-8 | Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-16-1 | p-Me—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-16-8 | p-Me—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-17-1 | p-F—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |

TABLE XXV-continued

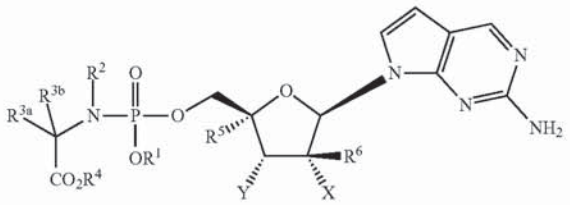
XXV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXV-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-17-8 | p-F—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-18-1 | p-Cl—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-18-8 | p-Cl—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-19-1 | p-Br—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-19-8 | p-Br—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-20-1 | p-I—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXV-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXV-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXV-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXV-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXV-20-8 | p-I—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXV-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-22-1 | Et | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-22-8 | Et | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-25-1 | Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |

TABLE XXV-continued

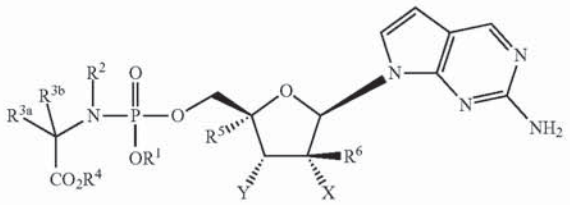
XXV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXV-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-25-8 | Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-28-6 | p-Cl—Ph | H | El | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXV-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-32-1 | Et | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-32-8 | Et | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |

TABLE XXV-continued

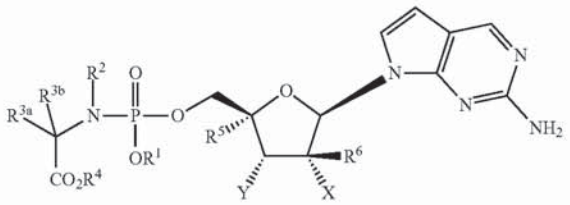
XXV



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXV-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-35-1 | Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-35-8 | Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXV-41-1 | $CH_3$ | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-41-8 | $CH_3$ | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-42-1 | Et | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-42-2 | Et | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |

TABLE XXV-continued

XXV

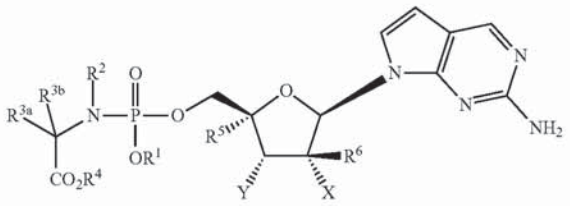


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXV-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-42-8 | Et | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-43-1 | $^i$Pr | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-43-8 | $^i$Pr | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-44-1 | $^t$Bu | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-44-8 | $^t$Bu | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-45-1 | Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-45-2 | Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-45-8 | Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-46-1 | p-Me—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-46-8 | p-Me—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-47-1 | p-F—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-47-8 | p-F—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-48-1 | p-Cl—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-48-8 | p-Cl—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-49-1 | p-Br—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-49-8 | p-Br—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXV-50-1 | p-I—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXV-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXV-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |

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Exhibit 1005 Page 188 of 255

TABLE XXV-continued

XXV

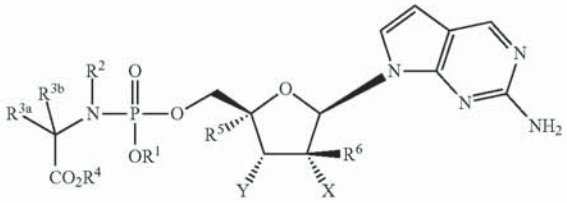


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXV-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXV-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXV-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXV-50-8 | p-I—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXVI

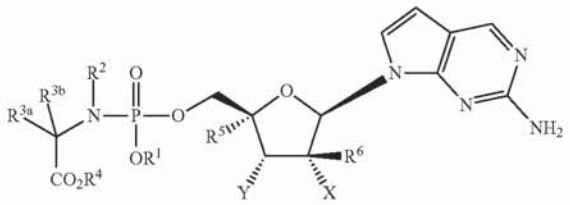
XXVI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVI-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-2-1 | Et | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-2-8 | Et | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-5-1 | Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-5.6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-5-8 | Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |

TABLE XXVI-continued

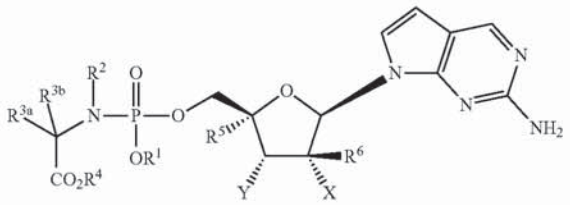
XXVI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVI-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-7-2 | p-F—Ph | H | H | $CH_3$ | CH | H | F | H | OH |
| XXVI-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXVI-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXVI-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXVI-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXVI-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXVI-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXVI-11-1 | $CH_3$ | H | H | H | Et | H | F | H | OH |
| XXVI-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-11-8 | $CH_3$ | * | H | * | Et | H | F | H | OH |
| XXVI-12-1 | Et | H | H | H | Et | H | F | H | OH |
| XXVI-12-2 | Et | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-12-8 | Et | * | H | * | Et | H | F | H | OH |
| XXVI-13-1 | $^i$Pr | H | H | H | Et | H | F | H | OH |
| XXVI-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-13-8 | $^i$Pr | * | H | * | Et | H | F | H | OH |
| XXVI-14-1 | $^t$Bu | H | H | H | Et | H | F | H | OH |
| XXVI-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |

TABLE XXVI-continued

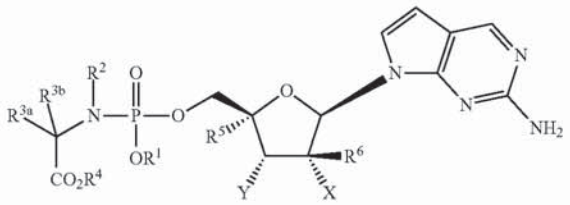
XXVI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVI-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-14-8 | $^t$Bu | * | H | * | Et | H | F | H | OH |
| XXVI-15-1 | Ph | H | H | H | Et | H | F | H | OH |
| XXVI-15-2 | Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-15-8 | Ph | * | H | * | Et | H | F | H | OH |
| XXVI-16-1 | p-Me—Ph | H | H | H | Et | H | F | H | OH |
| XXVI-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-16-8 | p-Me—Ph | * | H | * | Et | H | F | H | OH |
| XXVI-17-1 | p-F—Ph | H | H | H | Et | H | F | H | OH |
| XXVI-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-17-8 | p-F—Ph | * | H | * | Et | H | F | H | OH |
| XXVI-18-1 | p-Cl—Ph | H | H | H | Et | H | F | H | OH |
| XXVI-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-18-8 | p-Cl—Ph | * | H | * | Et | H | F | H | OH |
| XXVI-19-1 | p-Br—Ph | H | H | H | Et | H | F | H | OH |
| XXVI-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-19-8 | p-Br—Ph | * | H | * | Et | H | F | H | OH |
| XXVI-20-1 | p-I—Ph | H | H | H | Et | H | F | H | OH |
| XXVI-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXVI-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXVI-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXVI-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXVI-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXVI-20-8 | p-I—Ph | * | H | * | Et | H | F | H | OH |
| XXVI-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-22-1 | Et | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |

TABLE XXVI-continued

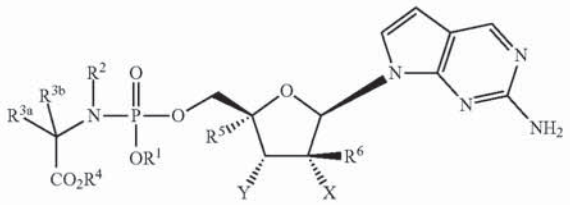
XXVI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVI-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-22-8 | Et | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-25-1 | Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-25-8 | Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-21-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXVI-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXVI-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXVI-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXVI-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXVI-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXVI-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | F | H | OH |

TABLE XXVI-continued

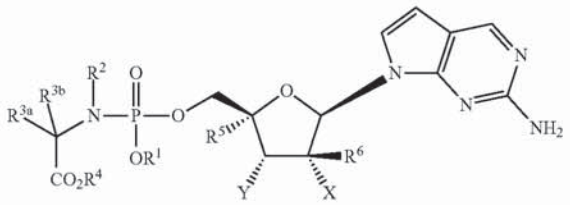
XXVI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVI-31-1 | $CH_3$ | H | H | H | ″Bu | H | F | H | OH |
| XXVI-31-2 | $CH_3$ | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-31-5 | $CH_3$ | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-31-8 | $CH_3$ | * | H | * | ″Bu | H | F | H | OH |
| XXVI-32-1 | Et | H | H | H | ″Bu | H | F | H | OH |
| XXVI-32-2 | Et | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-32-3 | Et | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-32-5 | Et | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-32-6 | Et | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-32-8 | Et | * | H | * | ″Bu | H | F | H | OH |
| XXVI-33-1 | $^i$Pr | H | H | H | ″Bu | H | F | H | OH |
| XXVI-33-2 | $^i$Pr | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-33-5 | $^i$Pr | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-33-8 | $^i$Pr | * | H | * | ″Bu | H | F | H | OH |
| XXVI-34-1 | $^t$Bu | H | H | H | ″Bu | H | F | H | OH |
| XXVI-34-2 | $^t$Bu | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-34-5 | $^t$Bu | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-34-8 | $^t$Bu | * | H | * | ″Bu | H | F | H | OH |
| XXVI-35-1 | Ph | H | H | H | ″Bu | H | F | H | OH |
| XXVI-35-2 | Ph | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-35-3 | Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-35-5 | Ph | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-35-6 | Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-35-8 | Ph | * | H | * | ″Bu | H | F | H | OH |
| XXVI-36-1 | p-Me—Ph | H | H | H | ″Bu | H | F | H | OH |
| XXVI-36-2 | p-Me—Ph | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-36-8 | p-Me—Ph | * | H | * | ″Bu | H | F | H | OH |
| XXVI-37-1 | p-F—Ph | H | H | H | ″Bu | H | F | H | OH |
| XXVI-37-2 | p-F—Ph | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-37-5 | p-F—Ph | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-37-8 | p-F—Ph | * | H | * | ″Bu | H | F | H | OH |
| XXVI-38-1 | p-Cl—Ph | H | H | H | ″Bu | H | F | H | OH |
| XXVI-38-2 | p-Cl—Ph | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-38-8 | p-Cl—Ph | * | H | * | ″Bu | H | F | H | OH |
| XXVI-39-1 | p-Br—Ph | H | H | H | ″Bu | H | F | H | OH |
| XXVI-39-2 | p-Br—Ph | H | H | $CH_3$ | ″Bu | H | F | H | OH |

TABLE XXVI-continued

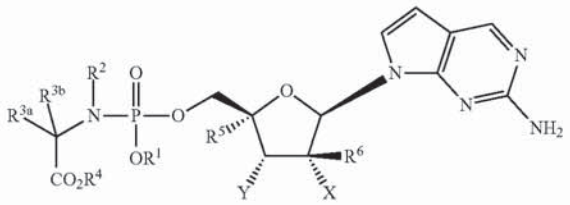
XXVI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVI-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-39-8 | p-Br—Ph | * | H | * | ″Bu | H | F | H | OH |
| XXVI-40-1 | p-I—Ph | H | H | H | ″Bu | H | F | H | OH |
| XXVI-40-2 | p-I—Ph | H | H | $CH_3$ | ″Bu | H | F | H | OH |
| XXVI-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | ″Bu | H | F | H | OH |
| XXVI-40-5 | p-I—Ph | H | H | $CH_2Ph$ | ″Bu | H | F | H | OH |
| XXVI-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | ″Bu | H | F | H | OH |
| XXVI-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | ″Bu | H | F | H | OH |
| XXVI-40-8 | p-I—Ph | * | H | * | ″Bu | H | F | H | OH |
| XXVI-41-1 | $CH_3$ | H | H | H | Bz | H | F | H | OH |
| XXVI-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-41-8 | $CH_3$ | * | H | * | Bz | H | F | H | OH |
| XXVI-42-1 | Et | H | H | H | Bz | H | F | H | OH |
| XXVI-42-2 | Et | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-42-8 | Et | * | H | * | Bz | H | F | H | OH |
| XXVI-43-1 | $^i$Pr | H | H | H | Bz | H | F | H | OH |
| XXVI-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-43-8 | $^i$Pr | * | H | H | Bz | H | F | H | OH |
| XXVI-44-1 | $^t$Bu | H | H | H | Bz | H | F | H | OH |
| XXVI-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-44-8 | $^t$Bu | * | H | * | Bz | H | F | H | OH |
| XXVI-45-1 | Ph | H | H | H | Bz | H | F | H | OH |
| XXVI-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-45-8 | Ph | * | H | * | Bz | H | F | H | OH |
| XXVI-46-1 | p-Me—Ph | H | H | H | Bz | H | F | H | OH |
| XXVI-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-46-8 | p-Me—Ph | * | H | * | Bz | H | F | H | OH |
| XXVI-47-1 | p-F—Ph | H | H | H | Bz | H | F | H | OH |
| XXVI-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |

TABLE XXVI-continued

XXVI

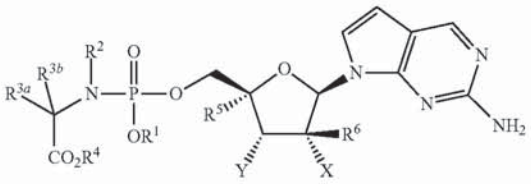


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVI-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-47-8 | p-F—Ph | * | H | * | Bz | H | F | H | OH |
| XXVI-48-1 | p-Cl—Ph | H | H | H | Bz | H | F | H | OH |
| XXVI-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-48-8 | p-Cl—Ph | * | H | * | Bz | H | F | H | OH |
| XXVI-49-1 | p-Br—Ph | H | H | H | Bz | H | F | H | OH |
| XXVI-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-49-8 | p-Br—Ph | * | H | * | Bz | H | F | H | OH |
| XXVI-50-1 | p-I—Ph | H | H | H | Bz | H | F | H | OH |
| XXVI-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXVI-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXVI-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXVI-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXVI-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXVI-50-8 | p-I—Ph | * | H | * | Bz | H | F | H | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXVII

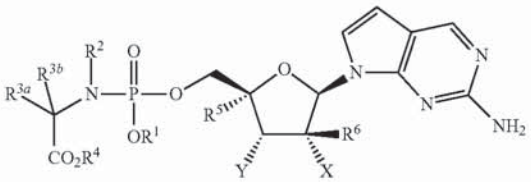
XXVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVII-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-2-1 | Et | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-2-8 | Et | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |

TABLE XXVII-continued

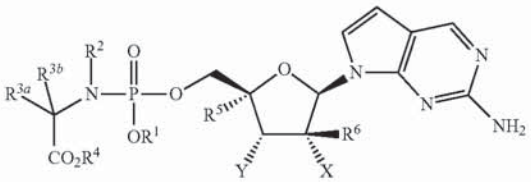
XXVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-5-1 | Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-5-8 | Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-8-4 | p-Cl—Ph | H | H | $CHCH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXVII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXVII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXVII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXVII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXVII-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXVII-11-1 | $CH_3$ | H | H | H | Et | H | F | F | OH |
| XXVII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |

TABLE XXVII-continued

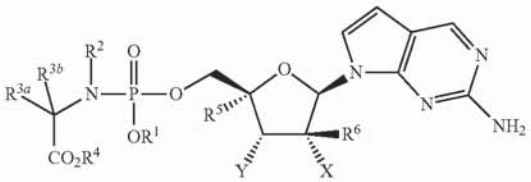
XXVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-11-8 | $CH_3$ | * | H | * | Et | H | F | F | OH |
| XXVII-12-1 | Et | H | H | H | Et | H | F | F | OH |
| XXVII-12-2 | Et | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-12-8 | Et | * | H | * | Et | H | F | F | OH |
| XXVII-13-1 | $^i$Pr | H | H | H | Et | H | F | F | OH |
| XXVII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-13-8 | $^i$Pr | * | H | * | Et | H | F | F | OH |
| XXVII-14-1 | $^t$Bu | H | H | H | Et | H | F | F | OH |
| XXVII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-14-8 | $^t$Bu | * | H | * | Et | H | F | F | OH |
| XXVII-15-1 | Ph | H | H | H | Et | H | F | F | OH |
| XXVII-15-2 | Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-15-8 | Ph | * | H | * | Et | H | F | F | OH |
| XXVII-16-1 | p-Me—Ph | H | H | H | Et | H | F | F | OH |
| XXVII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-16-8 | p-Me—Ph | * | H | * | Et | H | F | F | OH |
| XXVII-17-1 | p-F—Ph | H | H | H | Et | H | F | F | OH |
| XXVII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-11-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-17-8 | p-F—Ph | * | H | * | Et | H | F | F | OH |
| XXVII-18-1 | p-Cl—Ph | H | H | H | Et | H | F | F | OH |
| XXVII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-18-8 | p-Cl—Ph | * | H | * | Et | H | F | F | OH |
| XXVII-19-1 | p-Br—Ph | H | H | H | Et | H | F | F | OH |
| XXVII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-19-8 | p-Br—Ph | * | H | * | Et | H | F | F | OH |
| XXVII-20-1 | p-I—Ph | H | H | H | Et | H | F | F | OH |

TABLE XXVII-continued

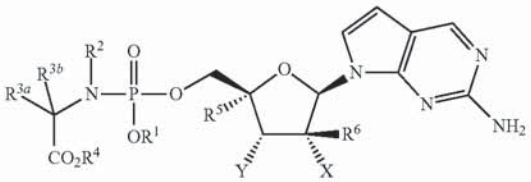
XXVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXVII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXVII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXVII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXVII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXVII-20-8 | p-I—Ph | * | H | * | Et | H | F | F | OH |
| XXVII-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-22-1 | Et | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-22-8 | Et | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-25-1 | Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-25-8 | Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |

TABLE XXVII-continued

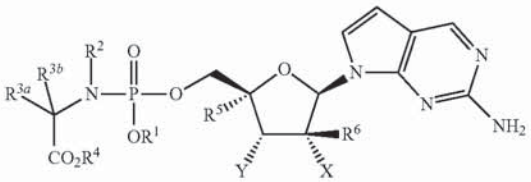
XXVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXVII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXVII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXVII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXVII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXVII-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXVII-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-32-1 | Et | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-32-8 | Et | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-33-4 | $^i$Pr | H | H | $CHCH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-35-1 | Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-35-8 | Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |

TABLE XXVII-continued

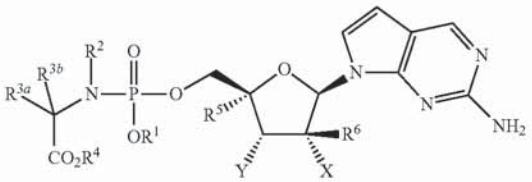
XXVII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVII-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXVII-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXVII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXVII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXVII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXVII-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXVII-41-1 | $CH_3$ | H | H | H | Bz | H | F | F | OH |
| XXVII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-41-8 | $CH_3$ | * | H | * | Bz | H | F | F | OH |
| XXVII-42-1 | Et | H | H | H | Bz | H | F | F | OH |
| XXVII-42-2 | Et | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-42-8 | Et | * | H | * | Bz | H | F | F | OH |
| XXVII-43-1 | $^i$Pr | H | H | H | Bz | H | F | F | OH |
| XXVII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-43-8 | $^i$Pr | * | H | * | Bz | H | F | F | OH |
| XXVII-44-1 | $^t$Bu | H | H | H | Bz | H | F | F | OH |
| XXVII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-44-8 | $^t$Bu | * | H | * | Bz | H | F | F | OH |
| XXVII-45-1 | Ph | H | H | H | Bz | H | F | F | OH |
| XXVII-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | F | OH |

TABLE XXVII-continued

XXVII

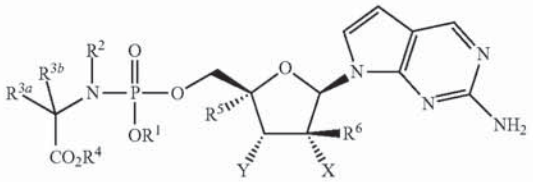


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-45-8 | Ph | * | H | * | Bz | H | F | F | OH |
| XXVII-46-1 | p-Me—Ph | H | H | H | Bz | H | F | F | OH |
| XXVII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-46-8 | p-Me—Ph | * | H | * | Bz | H | F | F | OH |
| XXVII-47-1 | p-F—Ph | H | H | H | Bz | H | F | F | OH |
| XXVII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-47-8 | p-F—Ph | * | H | * | Bz | H | F | F | OH |
| XXVII-48-1 | p-Cl—Ph | H | H | H | Bz | H | F | F | OH |
| XXVII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-48-8 | p-Cl—Ph | * | H | * | Bz | H | F | F | OH |
| XXVII-49-1 | p-Br—Ph | H | H | H | Bz | H | F | F | OH |
| XXVII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-49-8 | p-Br—Ph | * | H | * | Bz | H | F | F | OH |
| XXVII-50-1 | p-I—Ph | H | H | H | Bz | H | F | F | OH |
| XXVII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXVII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXVII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXVII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXVII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXVII-50-8 | p-I—Ph | * | H | * | Bz | H | F | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXVIII

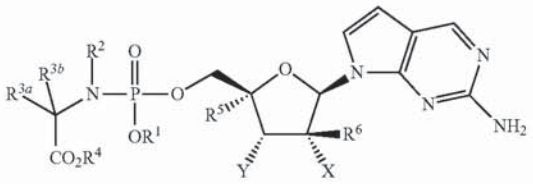
XXVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVIII-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |

TABLE XXVIII-continued

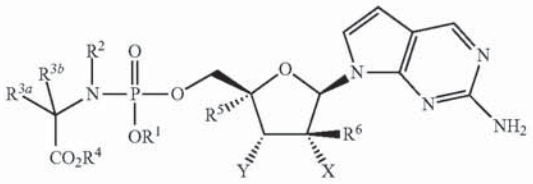
XXVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVIII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-2-1 | Et | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-2-8 | Et | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XVVIII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-5-1 | Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-5-4 | Ph | H | H | $CHCH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-5-8 | Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |

TABLE XXVIII-continued

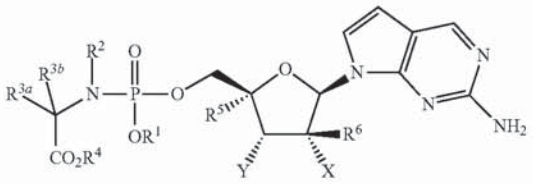
XXVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVIII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXVIII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXVIII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXVIII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXVIII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXVIII-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXVIII-11-1 | $CH_3$ | H | H | H | Et | H | H | F | OH |
| XXVIII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-11-8 | $CH_3$ | * | H | * | Et | H | H | F | OH |
| XXVIII-12-1 | Et | H | H | H | Et | H | H | F | OH |
| XXVIII-12-2 | Et | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-12-5 | Et | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-12-8 | Et | * | H | * | Et | H | H | F | OH |
| XXVIII-13-1 | $^i$Pr | H | H | H | Et | H | H | F | OH |
| XXVIII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-13-8 | $^i$Pr | * | H | * | Et | H | H | F | OH |
| XXVIII-14-1 | $^t$Bu | H | H | H | Et | H | H | F | OH |
| XXVIII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-14-8 | $^t$Bu | * | H | * | Et | H | H | F | OH |
| XXVIII-15-1 | Ph | H | H | H | Et | H | H | F | OH |
| XXVIII-15-2 | Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-15-8 | Ph | * | H | * | Et | H | H | F | OH |
| XXVIII-16-1 | p-Me—Ph | H | H | H | Et | H | H | F | OH |
| XXVIII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-16-8 | p-Me—Ph | * | H | * | Et | H | H | F | OH |
| XXVIII-17-1 | p-F—Ph | H | H | H | Et | H | H | F | OH |
| XXVIII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-17-8 | p-F—Ph | * | H | * | Et | H | H | F | OH |

TABLE XXVIII-continued

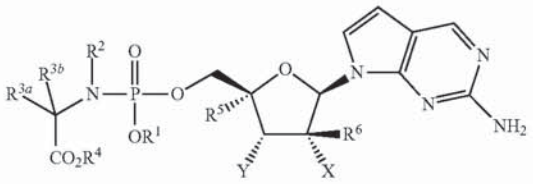
XXVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVIII-18-1 | p-Cl—Ph | H | H | H | Et | H | H | F | OH |
| XXVIII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-18-8 | p-Cl—Ph | * | H | * | Et | H | H | F | OH |
| XXVIII-19-1 | p-Br—Ph | H | H | H | Et | H | H | F | OH |
| XXVIII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-19-8 | p-Br—Ph | * | H | * | Et | H | H | F | OH |
| XXVIII-20-1 | p-I—Ph | H | H | H | Et | H | H | F | OH |
| XXVIII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXVIII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXVIII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXVIII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXVIII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXVIII-20-8 | p-I—Ph | * | H | * | Et | H | H | F | OH |
| XXVIII-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-22-1 | Et | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-22-8 | Et | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-25-1 | Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-25-8 | Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |

TABLE XXVIII-continued

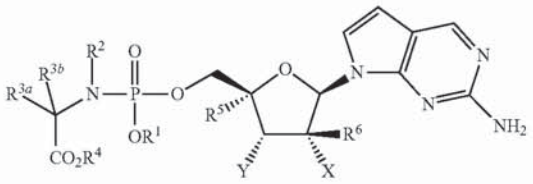
XXVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVIII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXVIII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXVIII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXVIII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXVIII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXVIII-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXVIII-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-32-1 | Et | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-32-8 | Et | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-334 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |

TABLE XXVIII-continued

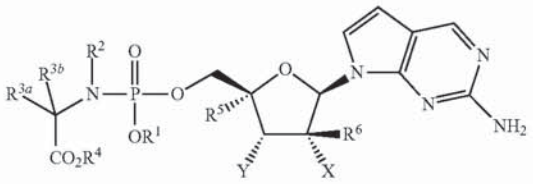
XXVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVIII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-35-1 | Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-35-8 | Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXVIII-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXVIII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXVIII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXVIII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXVIII-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXVIII-41-1 | $CH_3$ | H | H | H | Bz | H | H | F | OH |
| XXVIII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-41-8 | $CH_3$ | * | H | * | Bz | H | H | F | OH |
| XXVIII-42-1 | Et | H | H | H | Bz | H | H | F | OH |
| XXVIII-42-2 | Et | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-42-8 | Et | * | H | * | Bz | H | H | F | OH |
| XXVIII-43-1 | $^i$Pr | H | H | H | Bz | H | H | F | OH |

TABLE XXVIII-continued

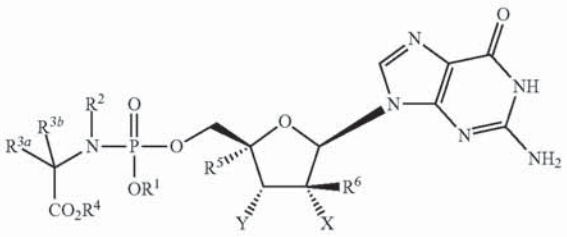
XXVIII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXVIII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-43-8 | $^i$Pr | * | H | * | Bz | H | H | F | OH |
| XXVIII-44-1 | $^t$Bu | H | H | H | Bz | H | H | F | OH |
| XXVIII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-44-8 | $^t$Bu | * | H | * | Bz | H | H | F | OH |
| XXVIII-45-1 | Ph | H | H | H | Bz | H | H | F | OH |
| XXVIII-45-2 | Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-45-8 | Ph | * | H | * | Bz | H | H | F | OH |
| XXVIII-46-1 | p-Me—Ph | H | H | H | Bz | H | H | F | OH |
| XXVIII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-46-8 | p-Me—Ph | * | H | * | Bz | H | H | F | OH |
| XXVIII-47-1 | p-F—Ph | H | H | H | Bz | H | H | F | OH |
| XXVIII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-47-8 | p-F—Ph | * | H | * | Bz | H | H | F | OH |
| XXVIII-48-1 | p-Cl—Ph | H | H | H | Bz | H | H | F | OH |
| XXVIII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-48-8 | p-Cl—Ph | * | H | * | Bz | H | H | F | OH |
| XXVIII-49-1 | p-Br—Ph | H | H | H | Bz | H | H | F | OH |
| XXVIII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-49-8 | p-Br—Ph | * | H | * | Bz | H | H | F | OH |
| XXVIII-50-1 | p-I—Ph | H | H | H | Bz | H | H | F | OH |
| XXVIII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXVIII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXVIII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXVIII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXVIII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXVIII-50-8 | p-I—Ph | * | H | * | Bz | H | H | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

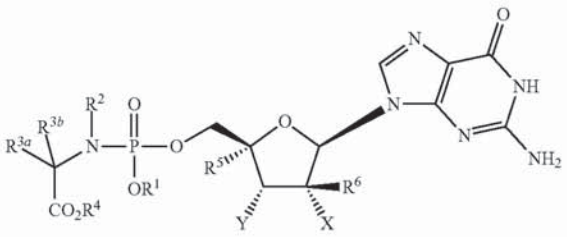
TABLE XXIX



XXIX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIX-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-1-7 | $CH_3$ | H | H | $CH2_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-2-1 | Et | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-2-8 | Et | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-4-5 | t Bu | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-4-7 | $^t$Bu | H | H | $CH_2CH_3SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-5-1 | Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-5-8 | Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-7-4 | p-F—Ph | H | H | $CHICH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |

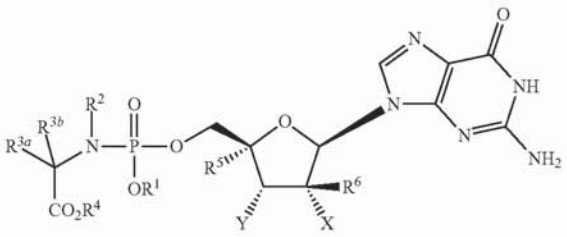
TABLE XXIX-continued



XXIX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIX-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-9-6 | p-Br—Ph | H | H | $CH_3Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | $CH_3$ | F | OH |
| XXIX-11-1 | $CH_3$ | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-11-3 | $CH_3$ | H | H | $CH(CH_3)_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-1I-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-11-8 | $CH_3$ | * | H | * | Et | H | $CH_3$ | F | OH |
| XXIX-12-1 | Et | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-12-2 | Et | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-12-5 | Et | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-12-8 | Et | * | H | * | Et | H | $CH_3$ | F | OH |
| XXIX-13-1 | $^i$Pr | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-13-8 | $^i$Pr | * | H | * | Et | H | $CH_3$ | F | OH |
| XXI X-14-1 | $^t$Bu | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-14-8 | $^t$Bu | * | H | * | Et | H | $CH_3$ | F | OH |
| XXIX-15-1 | Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-15-2 | Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-15-8 | Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXIX-16-1 | p-Me—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-16-8 | p-Me—Ph | * | H | * | Et | H | $CH_3$ | F | OH |

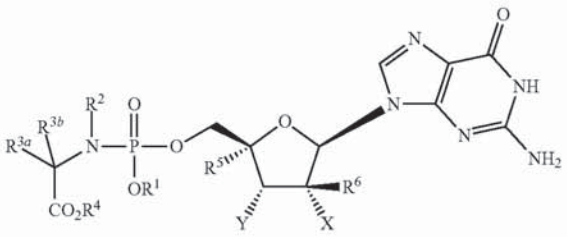
TABLE XXIX-continued



XXIX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIX-17-1 | p-F—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-17-8 | p-F—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXIX-18-1 | p-Cl—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-18-8 | p-Cl—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXIX-19-1 | p-Br—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-19-8 | p-Br—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXIX-20-1 | p-I—Ph | H | H | H | Et | H | $CH_3$ | F | OH |
| XXIX-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | $CH_3$ | F | OH |
| XXIX-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | $CH_3$ | F | OH |
| XXIX-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | $CH_3$ | F | OH |
| XXIX-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | $CH_3$ | F | OH |
| XXIX-20-8 | p-I—Ph | * | H | * | Et | H | $CH_3$ | F | OH |
| XXIX-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-22-1 | Et | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-22-6 | Et | H | H | $CH_2$-indol-3yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-22-7 | Et | H | H | $CH_2CHSCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXX-22-8 | Et | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXI X-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XX.IX-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |

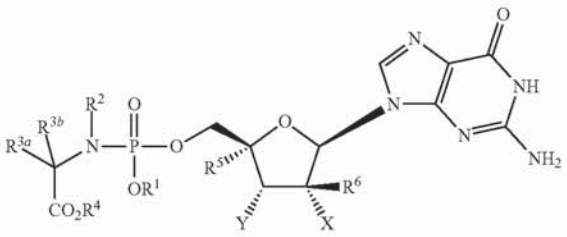
TABLE XXIX-continued



XXIX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIX-25-1 | Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-25-8 | Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-28-3 | p-C1—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | $CH_3$ | F | OH |
| XXIX-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-32-1 | Et | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-32-8 | Et | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |

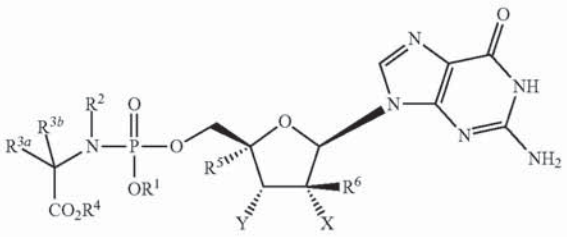
TABLE XXIX-continued



XXIX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIX-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-35-1 | Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-35-8 | Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXI X-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | $CH_3$ | F | OH |
| XXIX-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | $CH_3$ | F | OH |

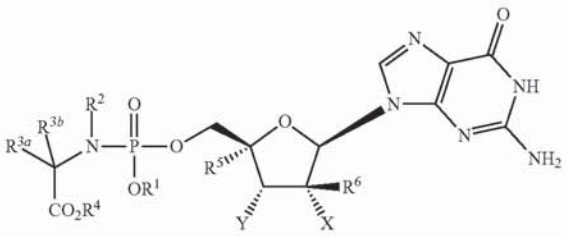
TABLE XXIX-continued



XXIX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIX-41-1 | $CH_3$ | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-41-8 | $CH_3$ | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXIX-42-1 | Et | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-42-2 | Et | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-42-8 | Et | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXIX-43-1 | $^i$Pr | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-43-8 | $^i$Pr | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXIX-44-1 | $^t$Bu | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-44-8 | $^t$Bu | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXIX-45-1 | Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-45-2 | Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-45-8 | Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXIX-46-1 | p-Me—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-46-8 | p-Me—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXIX-47-1 | p-F—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-47-8 | p-F—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXIX-48-1 | p-Cl—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-48-8 | p-Cl—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |

TABLE XXIX-continued

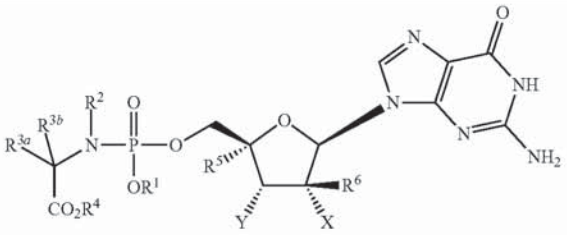


XXIX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXIX-49-1 | p-Br—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-49-8 | p-Br—Ph | * | H | * | Bz | H | $CH_3$ | F | OH |
| XXIX-50-1 | p-I—Ph | H | H | H | Bz | H | $CH_3$ | F | OH |
| XXIX-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | $CH_3$ | F | OH |
| XXIX-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | $CH_3$ | F | OH |
| XXIX-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | $CH_3$ | F | OH |
| XXIX-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | $CH_3$ | F | OH |
| XXIX-50-8 | p-I—Ph | * | H | * | Bz | H | CH3 | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

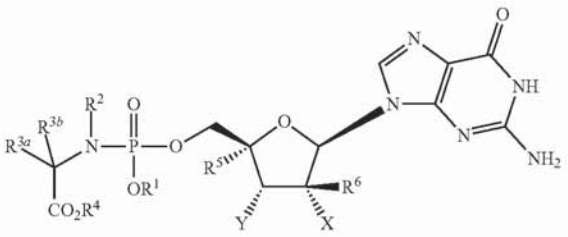
TABLE XXX



XXX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXX-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-2-1 | Et | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-2-8 | Et | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-3-3 | $^i$Pr | H | IH | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |

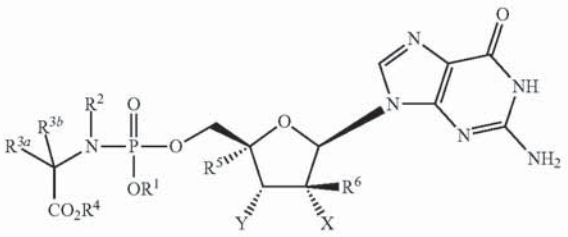
TABLE XXX-continued



XXX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXX-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-5-1 | Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-5-8 | Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-6-1 | p-Me-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-6-2 | p-Me-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-6-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-6-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-6-5 | p-Me-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-6-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-6-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-6-8 | p-Me-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-7-1 | p-F-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-7-2 | p-F-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-7-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-7-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-7-6 | p-F-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-7-7 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-7-8 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-7-20 | p-F-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-8-1 | p-Cl-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-8-2 | p-Cl-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-8-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-8-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-8-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-8-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-8-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-8-8 | p-Cl-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-9-1 | p-Br-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-9-2 | p-Br-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-9-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-9-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-9-6 | p-Br-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-9-7 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-9-8 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-9-20 | p-Br-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-10-1 | p-I-Ph | H | H | H | $CH_3$ | H | F | H | OH |
| XXX-10-2 | p-I-Ph | H | H | $CH_3$ | $CH_3$ | H | F | H | OH |
| XXX-10-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-10-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | H | OH |
| XXX-10-5 | p-I-Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | H | OH |
| XXX-10-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | H | OH |
| XXX-10-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | H | OH |
| XXX-10-8 | p-I-Ph | * | H | * | $CH_3$ | H | F | H | OH |
| XXX-11-1 | $CH_3$ | H | H | H | Et | H | F | H | OH |
| XXX-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | H | OH |
| XXX-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-11-8 | $CH_3$ | * | H | * | Et | H | F | H | OH |
| XXX-12-1 | Et | H | H | H | Et | H | F | H | OH |
| XXX-12-2 | Et | H | H | $CH_3$ | Et | H | F | H | OH |

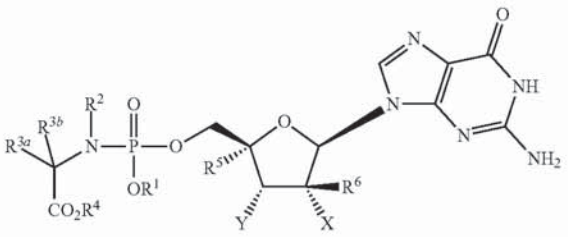
TABLE XXX-continued



XXX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXX-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-12-8 | Et | * | H | * | Et | H | F | H | OH |
| XXX-13-1 | $^i$Pr | H | H | H | Et | H | F | H | OH |
| XXX-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | H | OH |
| XXX-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-13-8 | $^i$Pr | * | H | * | Et | H | F | H | OH |
| XXX-14-1 | $^t$Bu | H | H | H | Et | H | F | H | OH |
| XXX-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | H | OH |
| XXX-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-14-8 | $^t$Bu | * | H | * | Et | H | F | H | OH |
| XXX-15-1 | Ph | H | H | H | Et | H | F | H | OH |
| XXX-15-2 | Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXX-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-15-8 | Ph | * | H | * | Et | H | F | H | OH |
| XXX-16-1 | p-Me-Ph | H | H | H | Et | H | F | H | OH |
| XXX-16-2 | p-Me-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXX-16-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-16-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-16-5 | p-Me-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-16-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-16-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-16-8 | p-Me-Ph | * | H | * | Et | H | F | H | OH |
| XXX-17-1 | p-F-Ph | H | H | H | Et | H | F | H | OH |
| XXX-17-2 | p-F-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXX-17-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-17-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-17-5 | p-F-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-17-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-17-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-17-8 | p-F-Ph | * | H | * | Et | H | F | H | OH |
| XXX-18-1 | p-Cl-Ph | H | H | H | Et | H | F | H | OH |
| XXX-18-2 | p-Cl-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXX-18-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-18-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-18-5 | p-Cl-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-18-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-18-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-18-8 | p-Cl-Ph | * | H | * | Et | H | F | H | OH |
| XXX-19-1 | p-Br-Ph | H | H | H | Et | H | F | H | OH |
| XXX-19-2 | p-Br-Ph | H | H | $CH_3$ | Et | H | F | H | OH |
| XXX-19-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-19-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-19-5 | p-Br-Ph | H | H | $CH_2Ph$ | Et | H | F | H | OH |
| XXX-19-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-19-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-19-8 | p-Br-Ph | * | H | * | Et | H | F | H | OH |
| XXX-20-1 | p-I-Ph | H | H | H | Et | H | F | H | OH |
| XXX-20-2 | p-I-Ph | H | H | $CH_3$ | Et | H | F | H | OH |

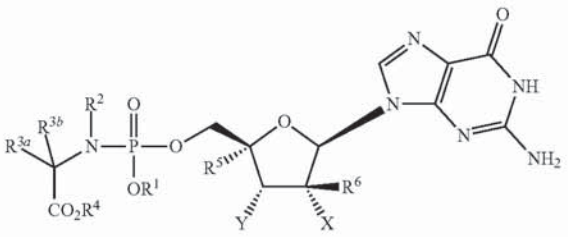
TABLE XXX-continued



XXX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXX-20-3 | p-I-Ph | H | H | $CH(CH_3)_3$ | Et | H | F | H | OH |
| XXX-20-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | H | OH |
| XXX-20-5 | p-I-Ph | H | H | CHPh | Et | H | F | H | OH |
| XXX-20-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | H | OH |
| XXX-20-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | H | OH |
| XXX-20-8 | p-I-Ph | * | H | * | Et | H | F | H | OH |
| XXX-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-21-6 | $CH_3$ | H | H | $CH_2$-indol-3 -yl | $^i$Pr | H | F | H | OH |
| XXX-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-22-1 | Et | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-22-8 | Et | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-25-1 | Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-25-8 | Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-26-1 | p-Me-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-26-2 | p-Me-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-26-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-26-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-26-5 | p-Me-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-26-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-26-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-26-8 | p-Me-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-27-1 | p-F-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-27-2 | p-F-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-27-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-27-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-27-5 | p-F-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-27-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-27-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-27-8 | p-F-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-28-1 | p-Cl-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-28-2 | p-Cl-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |

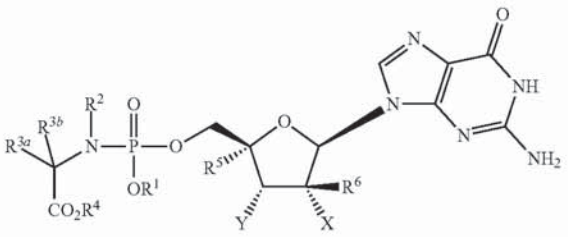
TABLE XXX-continued



XXX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXX-28-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-28-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-28-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-28-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-28-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-28-8 | p-Cl-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-29-1 | p-Br-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-29-2 | p-Br-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-29-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-29-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-29-5 | p-Br-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-29-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-29-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-29-8 | p-Br-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-30-1 | p-I-Ph | H | H | H | $^i$Pr | H | F | H | OH |
| XXX-30-2 | p-I-Ph | H | H | $CH_3$ | $^i$Pr | H | F | H | OH |
| XXX-30-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-30-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | H | OH |
| XXX-30-5 | p-I-Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | H | OH |
| XXX-30-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | H | OH |
| XXX-30-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | H | OH |
| XXX-30-8 | p-I-Ph | * | H | * | $^i$Pr | H | F | H | OH |
| XXX-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-32-1 | Et | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-32-7 | Et | H | H | $CH_2CHISCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-32-8 | Et | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-35-1 | Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-35-8 | Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-36-1 | p-Me-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-36-2 | p-Me-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |

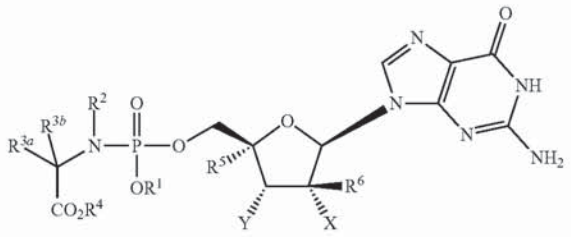
TABLE XXX-continued



XXX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXX-36-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-36-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-36-5 | p-Me-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-36-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-36-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-36-8 | p-Me-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-37-1 | p-F-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-37-2 | p-F-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-37-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-37-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-37-5 | p-F-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-37-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-37-7 | p-F-Ph | H | H | $CHICH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-37-8 | p-F-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-38-1 | p-Cl-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-38-2 | p-Cl-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-38-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-38-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-38-5 | p-Cl-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-38-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-38-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-38-8 | p-Cl-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-39-1 | p-Br-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-39-2 | p-Br-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-39-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-39-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-39-5 | p-Br-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-39-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-39-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-39-8 | p-Br-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-40-1 | p-I-Ph | H | H | H | $^n$Bu | H | F | H | OH |
| XXX-40-2 | p-I-Ph | H | H | $CH_3$ | $^n$Bu | H | F | H | OH |
| XXX-40-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-40-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | H | OH |
| XXX-40-5 | p-I-Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | H | OH |
| XXX-40-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | H | OH |
| XXX-40-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | H | OH |
| XXX-40-8 | p-I-Ph | * | H | * | $^n$Bu | H | F | H | OH |
| XXX-41-1 | $CH_3$ | H | H | H | Bz | H | F | H | OH |
| XXX-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-41-8 | $CH_3$ | * | H | * | Bz | H | F | H | OH |
| XXX-42-1 | Et | H | H | H | Bz | H | F | H | OH |
| XXX-42-2 | Et | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-42-3 | Et | H | H | $CH(CH_3)_3$ | Bz | H | F | H | OH |
| XXX-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-42-8 | Et | * | H | * | Bz | H | F | H | OH |
| XXX-43-1 | $^i$Pr | H | H | H | Bz | H | F | H | OH |
| XXX-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-43-8 | $^i$Pr | * | H | * | Bz | H | F | H | OH |
| XXX-44-1 | $^t$Bu | H | H | H | Bz | H | F | H | OH |
| XXX-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | H | OH |

TABLE XXX-continued



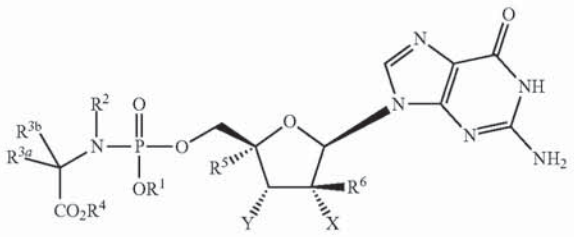
XXX

| No. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXX-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-44-8 | $^t$Bu | * | H | * | Bz | H | F | H | OH |
| XXX-45-1 | Ph | H | H | H | Bz | H | F | H | OH |
| XXX-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-45-8 | Ph | * | H | * | Bz | H | F | H | OH |
| XXX-46-1 | p-Me-Ph | H | H | H | Bz | H | F | H | OH |
| XXX-46-2 | p-Me-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-46-3 | p-Me-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-46-4 | p-Me-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-46-5 | p-Me-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-46-6 | p-Me-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-46-7 | p-Me-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-46-8 | p-Me-Ph | * | H | * | Bz | H | F | H | OH |
| XXX-47-1 | p-F-Ph | H | H | H | Bz | H | F | H | OH |
| XXX-47-2 | p-F-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-47-3 | p-F-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-47-4 | p-F-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-47-5 | p-F-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-47-6 | p-F-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-47-7 | p-F-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-47-8 | p-F-Ph | * | H | * | Bz | H | F | H | OH |
| XXX-48-1 | p-Cl-Ph | H | H | H | Bz | H | F | H | OH |
| XXX-48-2 | p-Cl-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-48-3 | p-Cl-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-48-4 | p-Cl-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-48-5 | p-Cl-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-48-6 | p-Cl-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-48-7 | p-Cl-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-48-8 | p-Cl-Ph | * | H | * | Bz | H | F | H | OH |
| XXX-49-1 | p-Br-Ph | H | H | H | Bz | H | F | H | OH |
| XXX-49-2 | p-Br-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-49-3 | p-Br-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-49-4 | p-Br-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-49-5 | p-Br-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-49-6 | p-Br-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-49-7 | p-Br-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-49-8 | p-Br-Ph | * | H | * | Bz | H | F | H | OH |
| XXX-50-1 | p-I-Ph | H | H | H | Bz | H | F | H | OH |
| XXX-50-2 | p-I-Ph | H | H | $CH_3$ | Bz | H | F | H | OH |
| XXX-50-3 | p-I-Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-50-4 | p-I-Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | H | OH |
| XXX-50-5 | p-I-Ph | H | H | $CH_2Ph$ | Bz | H | F | H | OH |
| XXX-50-6 | p-I-Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | H | OH |
| XXX-50-7 | p-I-Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | H | OH |
| XXX-50-8 | p-I-Ph | * | H | * | Bz | H | F | H | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXXI

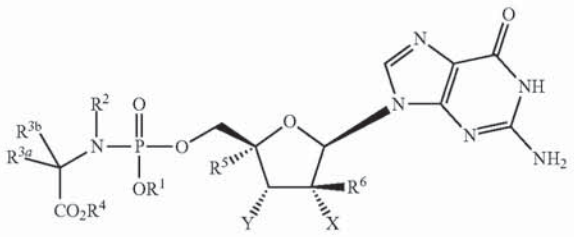
XXXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXI-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-2-1 | Et | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-2-8 | Et | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-5-1 | Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-5-8 | Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | F | F | OH |

TABLE XXXI-continued

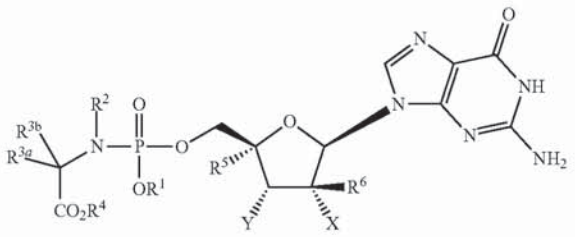
XXXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXI-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | F | F | OH |
| XXXI-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | F | F | OH |
| XXXI-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | F | F | OH |
| XXXI-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | F | F | OH |
| XXXI-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | F | F | OH |
| XXXI-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | F | F | OH |
| XXXI-11-1 | $CH_3$ | H | H | H | Et | H | F | F | OH |
| XXXI-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-11-8 | $CH_3$ | * | H | * | Et | H | F | F | OH |
| XXXI-12-1 | Et | H | H | H | Et | H | F | F | OH |
| XXXI-12-2 | Et | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-12-5 | Et | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-12-8 | Et | * | H | * | Et | H | F | F | OH |
| XXXI-13-1 | $^i$Pr | H | H | H | Et | H | F | F | OH |
| XXXI-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-13-4 | $^i$Pr | H | H | $CHCH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-13-8 | $^i$Pr | * | H | * | Et | H | F | F | OH |
| XXXI-14-1 | $^t$Bu | H | H | H | Et | H | F | F | OH |
| XXXI-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-14-8 | $^t$Bu | * | H | * | Et | H | F | F | OH |
| XXXI-15-1 | Ph | H | H | H | Et | H | F | F | OH |
| XXXI-15-2 | Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-15-8 | Ph | * | H | * | Et | H | F | F | OH |
| XXXI-16-1 | p-Me—Ph | H | H | H | Et | H | F | F | OH |
| XXXI-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-16-8 | p-Me—Ph | * | H | * | Et | H | F | F | OH |
| XXXI-17-1 | p-F—Ph | H | H | H | Et | H | F | F | OH |
| XXXI-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | F | F | OH |

TABLE XXXI-continued

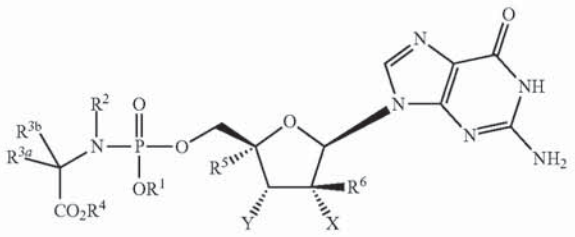
XXXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXI-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-17-8 | p-F—Ph | * | H | * | Et | H | F | F | OH |
| XXXI-18-1 | p-Cl—Ph | H | H | H | Et | H | F | F | OH |
| XXXI-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-18-8 | p-Cl—Ph | * | H | * | Et | H | F | F | OH |
| XXXI-19-1 | p-Br—Ph | H | H | H | Et | H | F | F | OH |
| XXXI-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-19-8 | p-Br—Ph | * | H | * | Et | H | F | F | OH |
| XXXI-20-1 | p-I—Ph | H | H | H | Et | H | F | F | OH |
| XXXI-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | F | F | OH |
| XXXI-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | F | F | OH |
| XXXI-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | F | F | OH |
| XXXI-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | F | F | OH |
| XXXI-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | F | F | OH |
| XXXI-20-8 | p-I—Ph | * | H | * | Et | H | F | F | OH |
| XXXI-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-22-1 | Et | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-22-8 | Et | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-25-1 | Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |

TABLE XXXI-continued

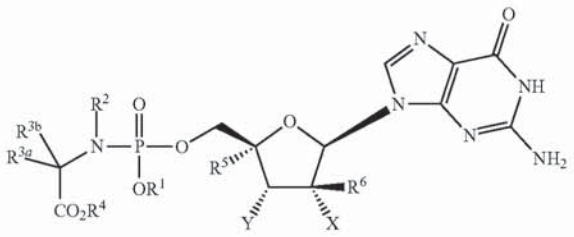
XXXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXI-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-25-8 | Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-21-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | F | F | OH |
| XXXI-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | F | F | OH |
| XXXI-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | F | F | OH |
| XXXI-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | F | F | OH |
| XXXI-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | F | F | OH |
| XXXI-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | F | F | OH |
| XXXI-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-32-1 | Et | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-32-8 | Et | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |

TABLE XXXI-continued

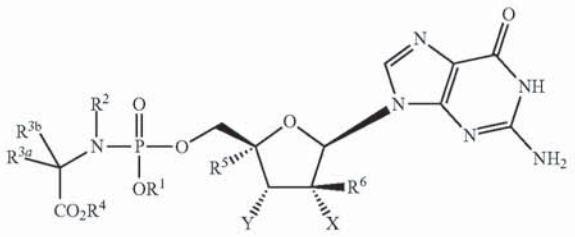
XXXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXI-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-35-1 | Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-35-8 | Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-37-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-37-8 | p-F—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-38-1 | p-Cl—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-38-2 | p-Cl—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-38-8 | p-Cl—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-39-1 | p-Br—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-39-2 | p-Br—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-39-8 | p-Br—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-40-1 | p-I—Ph | H | H | H | $^n$Bu | H | F | F | OH |
| XXXI-40-2 | p-I—Ph | H | H | $CH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | F | F | OH |
| XXXI-40-5 | p-I—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | F | F | OH |
| XXXI-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | F | F | OH |
| XXXI-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | F | F | OH |
| XXXI-40-8 | p-I—Ph | * | H | * | $^n$Bu | H | F | F | OH |
| XXXI-41-1 | $CH_3$ | H | H | H | Bz | H | F | F | OH |
| XXXI-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | F | F | OH |

TABLE XXXI-continued

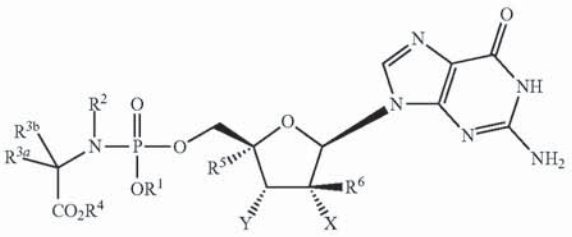
XXXI



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXI-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-41-8 | $CH_3$ | * | H | * | Bz | H | F | F | OH |
| XXXI-42-1 | Et | H | H | H | Bz | H | F | F | OH |
| XXXI-42-2 | Et | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-42-8 | Et | * | H | * | Bz | H | F | F | OH |
| XXXI-43-1 | $^i$Pr | H | H | H | Bz | H | F | F | OH |
| XXXI-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-43-8 | $^i$Pr | * | H | * | Bz | H | F | F | OH |
| XXXI-44-1 | $^t$Bu | H | H | H | Bz | H | F | F | OH |
| XXXI-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-44-8 | $^t$Bu | * | H | * | Bz | H | F | F | OH |
| XXXI-45-1 | Ph | H | H | H | Bz | H | F | F | OH |
| XXXI-45-2 | Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-45-8 | Ph | * | H | * | Bz | H | F | F | OH |
| XXXI-46-1 | p-Me—Ph | H | H | H | Bz | H | F | F | OH |
| XXXI-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-46-8 | p-Me—Ph | * | H | * | Bz | H | F | F | OH |
| XXXI-47-1 | p-F—Ph | H | H | H | Bz | H | F | F | OH |
| XXXI-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-47-8 | p-F—Ph | * | H | * | Bz | H | F | F | OH |
| XXXI-48-1 | p-Cl—Ph | H | H | H | Bz | H | F | F | OH |
| XXXI-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-48-8 | p-Cl—Ph | * | H | * | Bz | H | F | F | OH |
| XXXI-49-1 | p-Br—Ph | H | H | H | Bz | H | F | F | OH |
| XXXI-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |

TABLE XXXI-continued

XXXI

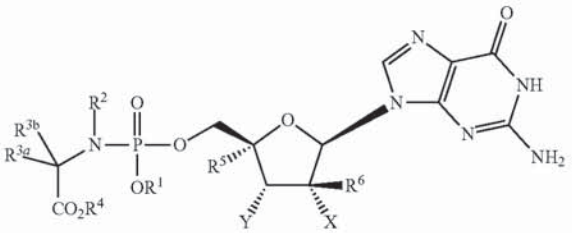


| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXI-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-49-8 | p-Br—Ph | * | H | * | Bz | H | F | F | OH |
| XXXI-50-1 | p-I—Ph | H | H | H | Bz | H | F | F | OH |
| XXXI-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | F | F | OH |
| XXXI-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | F | F | OH |
| XXXI-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | F | F | OH |
| XXXI-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | F | F | OH |
| XXXI-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | F | F | OH |
| XXXI-50-8 | p-I—Ph | * | H | * | Bz | H | F | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

TABLE XXXII

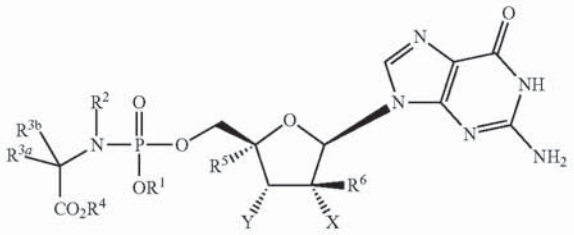
XXXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXII-1-1 | $CH_3$ | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-1-2 | $CH_3$ | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-1-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-1-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-1-5 | $CH_3$ | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-1-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-1-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-1-8 | $CH_3$ | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-2-1 | Et | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-2-2 | Et | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-2-3 | Et | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-2-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-2-5 | Et | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-2-6 | Et | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-2-7 | Et | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-2-8 | Et | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-3-1 | $^i$Pr | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-3-2 | $^i$Pr | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-3-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-3-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-3-5 | $^i$Pr | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-3-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-3-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-3-8 | $^i$Pr | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-4-1 | $^t$Bu | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-4-2 | $^t$Bu | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-4-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-4-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-4-5 | $^t$Bu | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-4-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-4-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-4-8 | $^t$Bu | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-5-1 | Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-5-2 | Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |

TABLE XXXII-continued

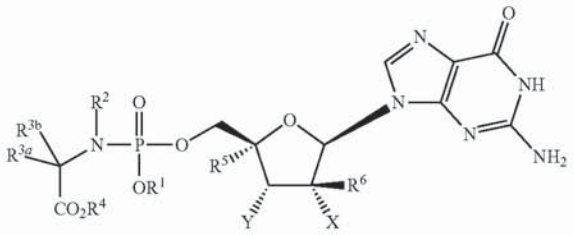
XXXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXII-5-3 | Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-5-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-5-5 | Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-5-6 | Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-5-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-5-8 | Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-6-1 | p-Me—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-6-2 | p-Me—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-6-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-6-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-6-5 | p-Me—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-6-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-6-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-6-8 | p-Me—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-7-1 | p-F—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-7-2 | p-F—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-7-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-7-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-7-6 | p-F—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-7-7 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-7-8 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-7-20 | p-F—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-8-1 | p-Cl—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-8-2 | p-Cl—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-8-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-8-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-8-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-8-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-8-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-8-8 | p-Cl—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-9-1 | p-Br—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-9-2 | p-Br—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-9-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-9-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-9-6 | p-Br—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-9-7 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-9-8 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-9-20 | p-Br—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-10-1 | p-I—Ph | H | H | H | $CH_3$ | H | H | F | OH |
| XXXII-10-2 | p-I—Ph | H | H | $CH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-10-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-10-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $CH_3$ | H | H | F | OH |
| XXXII-10-5 | p-I—Ph | H | H | $CH_2Ph$ | $CH_3$ | H | H | F | OH |
| XXXII-10-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $CH_3$ | H | H | F | OH |
| XXXII-10-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $CH_3$ | H | H | F | OH |
| XXXII-10-8 | p-I—Ph | * | H | * | $CH_3$ | H | H | F | OH |
| XXXII-11-1 | $CH_3$ | H | H | H | Et | H | H | F | OH |
| XXXII-11-2 | $CH_3$ | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-11-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-11-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-11-5 | $CH_3$ | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-11-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-11-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-11-8 | $CH_3$ | * | H | * | Et | H | H | F | OH |
| XXXII-12-1 | Et | H | H | H | Et | H | H | F | OH |
| XXXII-12-2 | Et | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-12-3 | Et | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-12-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-12-5 | Et | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-12-6 | Et | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-12-7 | Et | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-12-8 | Et | * | H | * | Et | H | H | F | OH |
| XXXII-13-1 | $^i$Pr | H | H | H | Et | H | H | F | OH |
| XXXII-13-2 | $^i$Pr | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-13-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |

TABLE XXXII-continued

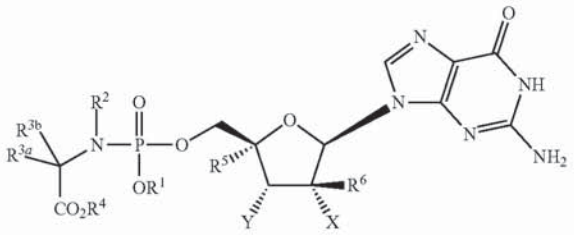
XXXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXII-13-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-13-5 | $^i$Pr | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-13-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-13-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-13-8 | $^i$Pr | * | H | * | Et | H | H | F | OH |
| XXXII-14-1 | $^t$Bu | H | H | H | Et | H | H | F | OH |
| XXXII-14-2 | $^t$Bu | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-14-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-14-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-14-5 | $^t$Bu | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-14-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-14-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-14-8 | $^t$Bu | * | H | * | Et | H | H | F | OH |
| XXXII-15-1 | Ph | H | H | H | Et | H | H | F | OH |
| XXXII-15-2 | Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-15-3 | Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-15-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-15-5 | Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-15-6 | Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-15-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-15-8 | Ph | * | H | * | Et | H | H | F | OH |
| XXXII-16-1 | p-Me—Ph | H | H | H | Et | H | H | F | OH |
| XXXII-16-2 | p-Me—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-16-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-16-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-16-5 | p-Me—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-16-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-16-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-16-8 | p-Me—Ph | * | H | * | Et | H | H | F | OH |
| XXXII-17-1 | p-F—Ph | H | H | H | Et | H | H | F | OH |
| XXXII-17-2 | p-F—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-17-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-17-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-17-5 | p-F—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-17-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-17-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-17-8 | p-F—Ph | * | H | * | Et | H | H | F | OH |
| XXXII-18-1 | p-Cl—Ph | H | H | H | Et | H | H | F | OH |
| XXXII-18-2 | p-Cl—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-18-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-18-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-18-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-18-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-18-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-18-8 | p-Cl—Ph | * | H | * | Et | H | H | F | OH |
| XXXII-19-1 | p-Br—Ph | H | H | H | Et | H | H | F | OH |
| XXXII-19-2 | p-Br—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-19-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-19-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-19-5 | p-Br—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-19-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-19-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-19-8 | p-Br—Ph | * | H | * | Et | H | H | F | OH |
| XXXII-20-1 | p-I—Ph | H | H | H | Et | H | H | F | OH |
| XXXII-20-2 | p-I—Ph | H | H | $CH_3$ | Et | H | H | F | OH |
| XXXII-20-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-20-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Et | H | H | F | OH |
| XXXII-20-5 | p-I—Ph | H | H | $CH_2Ph$ | Et | H | H | F | OH |
| XXXII-20-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Et | H | H | F | OH |
| XXXII-20-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Et | H | H | F | OH |
| XXXII-20-8 | p-I—Ph | * | H | * | Et | H | H | F | OH |
| XXXII-21-1 | $CH_3$ | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-21-2 | $CH_3$ | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-21-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-21-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |

TABLE XXXII-continued

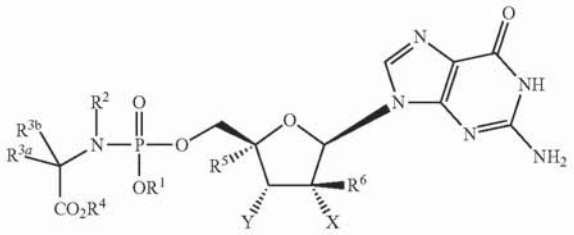
XXXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXII-21-5 | $CH_3$ | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-21-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-21-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-21-8 | $CH_3$ | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-22-1 | Et | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-22-2 | Et | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-22-3 | Et | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-22-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-22-5 | Et | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-22-6 | Et | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-22-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-22-8 | Et | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-23-1 | $^i$Pr | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-23-2 | $^i$Pr | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-23-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-23-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-23-5 | $^i$Pr | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-23-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-23-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-23-8 | $^i$Pr | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-24-1 | $^t$Bu | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-24-2 | $^t$Bu | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-24-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-24-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-24-5 | $^t$Bu | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-24-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-24-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-24-8 | $^t$Bu | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-25-1 | Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-25-2 | Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-25-3 | Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-25-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-25-5 | Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-25-6 | Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-25-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-25-8 | Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-26-1 | p-Me—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-26-2 | p-Me—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-26-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-26-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-26-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-26-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-26-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-26-8 | p-Me—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-27-1 | p-F—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-27-2 | p-F—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-27-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-27-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-27-5 | p-F—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-27-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-27-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-27-8 | p-F—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-28-1 | p-Cl—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-28-2 | p-Cl—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-28-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-28-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-28-5 | p-Cl—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-28-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-28-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-28-8 | p-Cl—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-29-1 | p-Br—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-29-2 | p-Br—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-29-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-29-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-29-5 | p-Br—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |

TABLE XXXII-continued

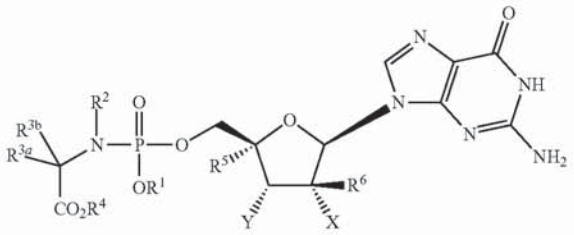
XXXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXII-29-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-29-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-29-8 | p-Br—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-30-1 | p-I—Ph | H | H | H | $^i$Pr | H | H | F | OH |
| XXXII-30-2 | p-I—Ph | H | H | $CH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-30-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-30-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | $^i$Pr | H | H | F | OH |
| XXXII-30-5 | p-I—Ph | H | H | $CH_2Ph$ | $^i$Pr | H | H | F | OH |
| XXXII-30-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | $^i$Pr | H | H | F | OH |
| XXXII-30-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | $^i$Pr | H | H | F | OH |
| XXXII-30-8 | p-I—Ph | * | H | * | $^i$Pr | H | H | F | OH |
| XXXII-31-1 | $CH_3$ | H | H | H | $^n$Bu | H | H | F | OH |
| XXXII-31-2 | $CH_3$ | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-31-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-31-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-31-5 | $CH_3$ | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXXII-31-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXXII-31-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-31-8 | $CH_3$ | * | H | * | $^n$Bu | H | H | F | OH |
| XXXII-32-1 | Et | H | H | H | $^n$Bu | H | H | F | OH |
| XXXII-32-2 | Et | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-32-3 | Et | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-32-4 | Et | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-32-5 | Et | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXXII-32-6 | Et | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXXII-32-7 | Et | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-32-8 | Et | * | H | * | $^n$Bu | H | H | F | OH |
| XXXII-33-1 | $^i$Pr | H | H | H | $^n$Bu | H | H | F | OH |
| XXXII-33-2 | $^i$Pr | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-33-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-33-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-33-5 | $^i$Pr | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXXII-33-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXXII-33-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-33-8 | $^i$Pr | * | H | * | $^n$Bu | H | H | F | OH |
| XXXII-34-1 | $^t$Bu | H | H | H | $^n$Bu | H | H | F | OH |
| XXXII-34-2 | $^t$Bu | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-34-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-34-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-34-5 | $^t$Bu | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXXII-34-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXXII-34-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-34-8 | $^t$Bu | * | H | * | $^n$Bu | H | H | F | OH |
| XXXII-35-1 | Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXXII-35-2 | Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-35-3 | Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-35-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-35-5 | Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXXII-35-6 | Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXXII-35-7 | Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-35-8 | Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXXII-36-1 | p-Me—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXXII-36-2 | p-Me—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-36-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-36-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-36-5 | p-Me—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXXII-36-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |
| XXXII-36-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-36-8 | p-Me—Ph | * | H | * | $^n$Bu | H | H | F | OH |
| XXXII-37-1 | p-F—Ph | H | H | H | $^n$Bu | H | H | F | OH |
| XXXII-37-2 | p-F—Ph | H | H | $CH_3$ | $^n$Bu | H | H | F | OH |
| XXXII-37-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-37-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | $^n$Bu | H | H | F | OH |
| XXXII-31-5 | p-F—Ph | H | H | $CH_2Ph$ | $^n$Bu | H | H | F | OH |
| XXXII-37-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | $^n$Bu | H | H | F | OH |

TABLE XXXII-continued

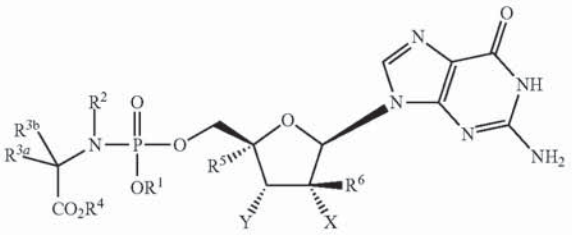
XXXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXII-37-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | H | F | OH |
| XXXII-37-8 | p-F—Ph | * | H | * | "Bu | H | H | F | OH |
| XXXII-38-1 | p-Cl—Ph | H | H | H | "Bu | H | H | F | OH |
| XXXII-38-2 | p-Cl—Ph | H | H | $CH_3$ | "Bu | H | H | F | OH |
| XXXII-38-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | "Bu | H | H | F | OH |
| XXXII-38-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | H | F | OH |
| XXXII-38-5 | p-Cl—Ph | H | H | $CH_2Ph$ | "Bu | H | H | F | OH |
| XXXII-38-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | H | F | OH |
| XXXII-38-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | H | F | OH |
| XXXII-38-8 | p-Cl—Ph | * | H | * | "Bu | H | H | F | OH |
| XXXII-39-1 | p-Br—Ph | H | H | H | "Bu | H | H | F | OH |
| XXXII-39-2 | p-Br—Ph | H | H | $CH_3$ | "Bu | H | H | F | OH |
| XXXII-39-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | "Bu | H | H | F | OH |
| XXXII-39-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | H | F | OH |
| XXXII-39-5 | p-Br—Ph | H | H | $CH_2Ph$ | "Bu | H | H | F | OH |
| XXXII-39-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | H | F | OH |
| XXXII-39-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | H | F | OH |
| XXXII-39-8 | p-Br—Ph | * | H | * | "Bu | H | H | F | OH |
| XXXII-40-1 | p-I—Ph | H | H | H | "Bu | H | H | F | OH |
| XXXII-40-2 | p-I—Ph | H | H | $CH_3$ | "Bu | H | H | F | OH |
| XXXII-40-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | "Bu | H | H | F | OH |
| XXXII-40-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | "Bu | H | H | F | OH |
| XXXII-40-5 | p-I—Ph | H | H | $CH_2Ph$ | "Bu | H | H | F | OH |
| XXXII-40-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | "Bu | H | H | F | OH |
| XXXII-40-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | "Bu | H | H | F | OH |
| XXXII-40-8 | p-I—Ph | * | H | * | "Bu | H | H | F | OH |
| XXXII-41-1 | $CH_3$ | H | H | H | Bz | H | H | F | OH |
| XXXII-41-2 | $CH_3$ | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-41-3 | $CH_3$ | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-41-4 | $CH_3$ | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-41-5 | $CH_3$ | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-41-6 | $CH_3$ | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-41-7 | $CH_3$ | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-41-8 | $CH_3$ | * | H | * | Bz | H | H | F | OH |
| XXXII-42-1 | Et | H | H | H | Bz | H | H | F | OH |
| XXXII-42-2 | Et | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-42-3 | Et | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-42-4 | Et | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-42-5 | Et | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-42-6 | Et | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-42-7 | Et | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-42-8 | Et | * | H | * | Bz | H | H | F | OH |
| XXXII-43-1 | $^i$Pr | H | H | H | Bz | H | H | F | OH |
| XXXII-43-2 | $^i$Pr | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-43-3 | $^i$Pr | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-43-4 | $^i$Pr | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-43-5 | $^i$Pr | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-43-6 | $^i$Pr | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-43-7 | $^i$Pr | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-43-8 | $^i$Pr | * | H | * | Bz | H | H | F | OH |
| XXXII-44-1 | $^t$Bu | H | H | H | Bz | H | H | F | OH |
| XXXII-44-2 | $^t$Bu | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-44-3 | $^t$Bu | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-44-4 | $^t$Bu | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-44-5 | $^t$Bu | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-44-6 | $^t$Bu | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-44-7 | $^t$Bu | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-44-8 | $^t$Bu | * | H | * | Bz | H | H | F | OH |
| XXXII-45-1 | Ph | H | H | H | Bz | H | H | F | OH |
| XXXII-45-2 | Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-45-3 | Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-45-4 | Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-45-5 | Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-45-6 | Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-45-7 | Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |

TABLE XXXII-continued

XXXII



| No | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | $R^5$ | $R^6$ | X | Y |
|---|---|---|---|---|---|---|---|---|---|
| XXXII-45-8 | Ph | * | H | * | Bz | H | H | F | OH |
| XXXII-46-1 | p-Me—Ph | H | H | H | Bz | H | H | F | OH |
| XXXII-46-2 | p-Me—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-46-3 | p-Me—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-46-4 | p-Me—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-46-5 | p-Me—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-46-6 | p-Me—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-46-7 | p-Me—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-46-8 | p-Me—Ph | * | H | * | Bz | H | H | F | OH |
| XXXII-47-1 | p-F—Ph | H | H | H | Bz | H | H | F | OH |
| XXXII-47-2 | p-F—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-47-3 | p-F—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-47-4 | p-F—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-47-5 | p-F—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-47-6 | p-F—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-47-7 | p-F—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-47-8 | p-F—Ph | * | H | * | Bz | H | H | F | OH |
| XXXII-48-1 | p-Cl—Ph | H | H | H | Bz | H | H | F | OH |
| XXXII-48-2 | p-Cl—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-48-3 | p-Cl—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-48-4 | p-Cl—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-48-5 | p-Cl—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-48-6 | p-Cl—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-48-7 | p-Cl—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-48-8 | p-Cl—Ph | * | H | * | Bz | H | H | F | OH |
| XXXII-49-1 | p-Br—Ph | H | H | H | Bz | H | H | F | OH |
| XXXII-49-2 | p-Br—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-49-3 | p-Br—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-49-4 | p-Br—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-49-5 | p-Br—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-49-6 | p-Br—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-49-7 | p-Br—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-49-8 | p-Br—Ph | * | H | * | Bz | H | H | F | OH |
| XXXII-50-1 | p-I—Ph | H | H | H | Bz | H | H | F | OH |
| XXXII-50-2 | p-I—Ph | H | H | $CH_3$ | Bz | H | H | F | OH |
| XXXII-50-3 | p-I—Ph | H | H | $CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-50-4 | p-I—Ph | H | H | $CH_2CH(CH_3)_2$ | Bz | H | H | F | OH |
| XXXII-50-5 | p-I—Ph | H | H | $CH_2Ph$ | Bz | H | H | F | OH |
| XXXII-50-6 | p-I—Ph | H | H | $CH_2$-indol-3-yl | Bz | H | H | F | OH |
| XXXII-50-7 | p-I—Ph | H | H | $CH_2CH_2SCH_3$ | Bz | H | H | F | OH |
| XXXII-50-8 | p-I—Ph | * | H | * | Bz | H | H | F | OH |

*$R^2$ and $R^{3b}$ joined together by $(CH_2)_3$ to form five-membered ring.

## Dosage, Administration, and Use

A sixth embodiment of the present invention is directed to a composition for the treatment of any of the viral agents disclosed herein said composition comprising a pharmaceutically acceptable medium selected from among an excipient, carrter, diluent, and equivalent medium and a compound, that is intended to include its salts (acid or basic addition salts), hydrates, solvates, and crystalline forms can be obtained, represented by formula I.

It is contemplated that the formulation of the sixth embodiment can contain any of the compounds contemplated in any of the aspects of the first, second, third, fourth, and fifth embodiments or those specifically recited in the tables above or exemplified herein, either alone or in combination with another compound of the present invention.

The compounds of the present invention may be formulated in a wide variety of oral administration dosage forms and carriers, Oral administration can be in the form of tablets, coated tablets, hard and soft gelatin capsules, solutions, emulsions, syrups, or suspensions. Compounds of the present invention are efficacious when administered by suppository administration, among other routes of administration. The most convenient manner of administration is generally oral using a convenient daily dosing regimen which can be adjusted according to the severity of the disease and the patient's response to the antiviral medication.

A compound or compounds of the present invention, as well as their pharmaceutically acceptable salts, together with one or more conventional excipients, carriers, or diluents, may be placed into the form of pharmaceutical compositions and unit dosages. The pharmaceutical compositions and unit dosage forms may be comprised of conventional ingredients in conventional proportions, with or without additional active compounds and the unit dosage forms may contain any suitable effective amount of the active ingredient commensurate

with the intended daily dosage range to be employed. The pharmaceutical compositions may be employed as solids, such as tablets or filled capsules, semisolids, powders, sustained release formulations, or liquids such as suspensions, emulsions, or filled capsules for oral use; or in the form of suppositories for rectal or vaginal administration, A typical preparation will contain from about 5% to about 95% active compound or compounds (w/w). The term "preparation" or "dosage form" is intended to include both solid and liquid formulations of the active compound and one skilled in the art will appreciate that an active ingredient can exist in different preparations depending on the desired dose and pharmacokinetic parameters.

The term "excipient" as used herein refers to a compound that is used to prepare a pharmaceutical composition, and is generally safe, non-toxic and neither biologically nor otherwise undesirable, and includes excipients that are acceptable for veterinary use as well as human pharmaceutical use. The compounds of this invention can be administered alone but will generally be administered in admixture with one or more suitable pharmaceutical excipients, diluents or carriers selected with regard to the intended route of administration and standard pharmaceutical practice.

A "pharmaceutically acceptable salt" form of an active ingredient may also initially confer a desirable pharnacokinetic property on the active ingredient which were absent in the non-salt form, and may even positively affect the phannacodynamics of the active ingredient with respect to its therapeutic activity in the body. The phrase "pharmaceutically acceptable salt" of a compound as used herein means a salt that is pharmaceutically acceptable and that possesses the desired pharmacological activity of the parent compound. Such salts include: (1) acid addition salts, formed with inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, and the like; or formed with organic acids such as glycolic acid, pyruvic acid, lactic acid, malonic acid, malic acid, inaleic acid, fumaric acid, tartaric acid, citric acid, 3-(4-hydroxybenzoyl)benzoic acid, cinnamic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, 1,2-ethane-disulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, 4-chiorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid, camphorsulfonic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, salicylic acid, muconic acid, and the like or (2) basic addition salts formed with the conjugate bases of any of the inorganic acids listed above, wherein the conjugate bases comprise a cationic component selected from among $Na^+$, $K^+$, $Mg^{2+}$, $Ca^{2+}$, and $NH_gR^-_{4-g}{}^+$, in which $R^-$ is a $C_{1-3}$ alkyl and g is a number selected from among 0, 1, 2, 3, or 4. It should be understood that all references to pharmaceutically acceptable salts include solvent addition forms (solvates) or crystal forms (polymorphs) as defined herein, of the same acid addition salt.

Solid form preparations include powders, tablets, pills, capsules, suppositories, and dispersible granules. A solid carrier may be one or more substances which may also act as diluents, flavoring agents, solubilizers, lubricants, suspending agents, binders, preservatives, tablet disintegrating agents, or an encapsulating material. In powders, the carrier generally is a finely divided solid which is a mixture with the finely divided active component. In tablets, the active component generally is mixed with the carrier having the necessary binding capacity in suitable proportions and compacted in the shape and size desired. Suitable carrers include but are not limited to magnesium carbonate, magnesium stearate, talc, sugar, lactose, pectin, dextrin, starch, gelatin, tragacanth, methylcellulose, sodium carboxymethylcellulose, a low melting wax, cocoa butter, and the like. Solid form preparations may contain, in addition to the active component, colorants, flavors, stabilizers, buffers, artificial and natural sweeteners, dispersants, thickeners, solubilizing agents, and the like.

Liquid formulations also are suitable for oral administration include liquid formulation including emulsions, syrups, elixirs and aqueous suspensions. These include solid form preparations which are intended to be converted to liquid form preparations shortly before use. Emulsions may be prepared in solutions, for example, in aqueous propylene glycol solutions or may contain emulsifying agents such as lecithin, sorbi tan monooleate, or acacia. Aqueous suspensions can be prepared by dispersing the finely divided active component in water with viscous materials such as natural or synthetic gums, resins, methylcellulose, sodium caiboxymethylcellulose, and other well known suspending agents.

The compounds of the present invention may be formulated for administration as suppositories. A low melting wax, such as a mixture of fatty acid glycerides or cocoa butter is first melted and the active component is dispersed homogeneously, for example, by stirring. The molten homogeneous mixture is then poured into convenient sized molds, allowed to cool, and to solidify.

The compounds of the present invention may be formulated for vaginal administration. Pessaries, tampons, creams, gels, pastes, foams or sprays containing in addition to the active ingredient such earrers as are known in the art to be appropriate.

Suitable formulations along with pharmaceutical carriers diluent and expcipients are described in *Remington: The Science and Practice of Pharmacy* 1995, edited by E. W. Martin, Mack Publishing Company, 19th edition, Easton, Pa., which is hereby incorporated by reference. The compounds of the present invention can also be encapsulated in liposomes, such as those disclosed in U.S. Pat. Nos. 6,180,134, 5,192,549, 5,376,380, 6,060,080, 6,132,763, each of which is incorporated by reference. A skilled formulation scientist may modify the formulations within the teachings of the specification to provide numerous formulations for a particular route of administration without rendering the compositions of the present invention unstable or compromising their therapeutic activity.

The modification of the present compounds to render them more soluble in water or other vehicle, for example, may be easily accomplished by minor modifications (e.g., salt formulation), which are well within the ordinary skill in the art. It is also well within the ordinary skill of the art to modify the route of administration and dosage regimen of a particular compound in order to manage the pharmacokinetics of the present compounds for maximum beneficial effect in patients.

A seventh embodiment of the present invention is directed to a use of the compound represented by formula I in the manufacture of a medicament for the treatment of any condition the result of an infection by any one of the following viral agents: hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus and Japanese encephalitis virus.

The term "medicament" means a substance used in a method of treatment and/or prophylaxis of a subject in need thereof, wherein the substance includes, but is not limited to, a composition, a formulation, a dosage form, and the like, comprising the compound of formula I. It is contemplated that the compound of the use of the compound represented by formula I in the manufacture of a medicament for the treatment of any of the antiviral conditions disclosed herein of the seventh embodiment can be any of the compounds contemplated in any of the aspects of the first, second, third, fourth, fifth embodiments or those specifically recited in the tables above or exemplified herein, either alone or in combination with another compound of the present invention. A medica-

ment includes, but is not limited to, any one of the compositions contemplated by the sixth embodiment of the present invention.

A eighth embodiment of the present invention is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises administering a therapeutically effective amount of the compound represented by formula I to the subject.

A first aspect of the eighth embodiment is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises administering a therapeutically effective of at least two or more different compounds falling within the scope of the compound represented by formula I to the subject.

A second aspect of the eighth embodiment is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises alternatively or concurrently administering a therapeutically effective of at least two compounds falling within the scope of the compound represented by formula I to the subject.

It is intended that a subject in need thereof is one that has any condition the result of an infection by any of the viral agents disclosed herein, which includes, but is not limited to, hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus, flaviviridae viruses or *pestiviruses* or *hepaciviruses* or a viral agent causing symptoms equivalent or comparable to any of the above-listed viruses.

The term "subject" means a mammal, which includes, but is not limited to, cattle, pigs, sheep, chicken, turkey, buffalo, llama, ostrich, dogs, cats, and humans, preferably the subject is a human. It is contemplated that in the method of treating a subject thereof of the sixth embodiment can be any of the compounds contemplated in any of the aspects of the first, second, and third embodiments or those specifically recited in the tables above, either alone or in combination with another compound of the present invention.

The term "therapeutically effective amount" as used herein means an amount required to reduce symptoms of the disease in an individual. The dose will be adjusted to the individual requirements in each particular ease. That dosage can vary within wide limits depending upon numerous factors such as the severity of the disease to be treated, the age and general health condition of the patient, other medicaments with which the patient is being treated, the route and form of administration and the preferences and experience of the medical practitioner involved. For oral administration, a daily dosage of between about 0.1 and about 10 g, including all values in between, such as 0.25, 0.5, 0.75, 1, 1.5, 2, 2.5, 3, 3.5, 4, 4.5, 5, 5.5, 6, 6.5, 7, 7.5, 8, 8.5, 9, and 9.5, per day should be appropriate in monotherapy and/or in combination therapy. A preferred daily dosage is between about 0.5 and about 7.5 g per day, more preferred 1.5 and about 6.0 g per day. Generally, treatment is initiated with a large initial "loading dose" to rapidly reduce or eliminate the virus following by a decreasing the dose to a level sufficient to prevent resurgence of the infection. One of ordinary skill in treating diseases described herein will be able, without undue experimentation and in reliance on personal knowledge, experience and the disclosures of this application, to ascertain a therapeutically effective amount of the compounds of the present invention for a given disease and patient.

Therapeutic efficacy can be ascertained from tests of liver function including, but not limited to protein levels such as serum proteins (e.g., albumin, clotting factors, alkaline phosphatase, aminotransferases (e.g., alanine transaminase, aspartate transaminase), 5'-nucleosidase, γ-glutaminyl-transpeptidase, etc.), synthesis of bilirubin, synthesis of cholesterol, and synthesis of bile acids; a liver metabolic function, including, but not limited to, carbohydrate metabolism, amino acid and ammonia metabolism. Alternatively the therapeutic effectiveness may be monitored by measuring HCV-RNA. The results of these tests will allow the dose to be optimized.

A third aspect of the eighth embodiment, is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises administering to the subject a therapeutically effective of a compound represented by formula I and a therapeutically effective amount of another antiviral agent; wherein the administration is concurrent or alternative. It is understood that the time between alternative administration can range between 1-24 hours, which includes any sub-range in between including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, and 23 hours. Examples of "another antiviral agents" include, but are not limited to: HCV NS3 protease inhibitors (see WO 2008010921, WO 2008010921, EP 1881001, WO 2007015824, WO 2007014925, WO 2007014926, WO 20070149221, WO 2007014920, WO 2007014922, US 2005267018, WO 2005095403, WO 2005037214, WO 2004094452, US 2003187018, WO 200364456, WO 2005028502, and WO 2003006490); HCV NS5B Inhibitors (see US 2007275947, US20072759300, WO2007095269, WO 2007092000, WO 2007076034, WO 200702602, US 2005-98125, WO 2006093801, US 2006166964, WO 2006065590, WO 2006065335, US 2006040927, US 2006040890, WO 2006020082, WO 2006012078, WO 2005123087, US 2005154056, US 2004229840, WO 2004065367, WO 2004003138, WO 2004002977, WO 2004002944, WO 2004002940, WO 2004000858, WO 2003105770, WO 2003010141, WO 2002057425, WO 2002057287, WO 2005021568, WO 20040401201, US 20060293306, US 20060194749, US 20060241064, U.S. Pat. No. 6,784,166, WO 2007088148, WO 2007039142, WO 2005103045, WO 2007039145, WO 2004096210, and WO 2003037895); HCV NS4 Inhibitors (see WO 2007070556 and WO 2005067900); HCV NS5a Inhibitors (see US 2006276511, WO 2006120252, WO 2006120251, WO 2006100310, WO 2006035061); Toll-like receptor agonists (see WO 2007093901); and other inhibitors (see WO 2004035571, WO 2004014852, WO 2004014313, WO 2004009020, WO 2003101993, WO 2000006529).

A fourth aspect of the eighth embodiment, is directed to a method of treatment in a subject in need thereof said method comprises alternatively or concurrently administering a therapeutically effective of a compound represented by formula I and another antiviral agent to the subject. It is understood that the time between alternative administration can range between 1-24 hours, which includes any sub-range in between including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, and 23 hours.

A fifth aspect of the eighth embodiment, is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises administering to the subject a therapeutically effective of at least one compound represented by formula I and a therapeutically effective amount of another antiviral agent; wherein the administration is concurrent or alternative. It is understood that the time between alternative administration can range between 1-24 hours, which includes any sub-range in between including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19,20, 21, 22, and 23 hours.

A sixth aspect of the eighth embodiment, is directed to a method of treatment in a subject in need thereof said method comprises alternatively or concurrently administering a therapeutically effective of at least one compound represented by formula I and another antiviral agent to the subject. It is understood that the time between alternative administration can range between 1-24 hours, which includes any sub-

range in between including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, and 23 hours.

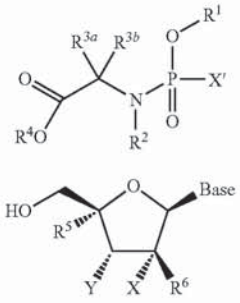
It is contemplated that the another antiviral agent includes, but is not limited to interferon -α, interferon-β, pegylated interferon-α, ribavirin, levovirin, viramidine, another nucleoside HCV polymerase inhibitor, a HCV non-nucleoside polymerase inhibitor, a HCV protease inhibitor, a HCV helicase inhibitor or a HCV fusion inhibitor. When the active compound or its derivative or salt are administered in combination with another antiviral agent the activity may be increased over the parent compound. When the treatment is combination therapy, such administration may be concurrent or sequential with respect to that of the nucleoside derivatives. "Concurrent administration" as used herein thus includes administration of the agents at the same time or at different times. Administration of two or more agents at the same time can be achieved by a single formulation containing two or more active ingredients or by substantially simultaneous administration of two or more dosage forms with a single active agent.

It will be understood that references herein to treatment extend to prophylaxis as well as to the treatment of existing conditions. Furthermore, the term "treatment" of a HCV infection, as used herein, also includes treatment or prophylaxis of a disease or a condition associated with or mediated by HCV infection, or the clinical symptoms thereof.

## Process for Preparation

An ninth embodiment of the present invention is directed to a process for preparing the compound of formula I, which comprises reacting a suitably substituted phosphochloridate compound 4 with a nucleoside analog 5

4



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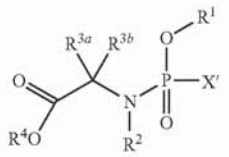


wherein the substituents $R^1$, $R^{2a}$, $R^{3a}$, $R^{3b}$, $R^4$, $R^5$, X, Y, $R^6$, and base have their meanings as disclosed in the Detailed Description of the Invention and X' is a leaving group, such as Cl, Br, I, tosylate, mesylate, trifluoroacetate, trifluorosulfonate, pentafluorophenoxide, p-$NO_2$-phenoxide, or other commonly used leaving groups as disclosed in *Advanced Organic Chemistry* by March, Fourth Edition. Leaving groups and methods that can be used to effect the formation of a phosphoramidate nucleoside conjugate are found in US 20060142238 and WO 2007095269. Preferably, the leaving group is Cl.

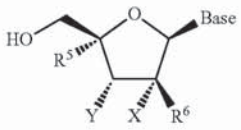
This reaction is performed in an anhydrous aprotic solvent such tetrahydrofuran, dioxane, or both tetrahydrofuran and dioxane, or any functional equivalent thereof, with tetrahydrofuran being the preferred solvent. The reaction is typically initiated at a temperature range from −78° C. to 40° C. with the preferred reaction temperature being between 0° C. and room temperature. The nucleoside is first stirred with a base (5 to 12 equivalents) such as N-methylimidazole, collidine, pyridine, 2,6-lutidine, 2,6-$^t$Bu-pyridine, etc. a tertiary amine base, such as triethylamine, diisopropylethylamine, etc., or an alkyl Grignard reagent, such as tBuMgCl, tBuMgBr, MeMgCl, MeMgBr, etc. The phosphorochloridate (3-10 equivalents) is dissolved in the reaction solvent and added to the mixture of the nucleoside and base. The reaction is then allowed to stir over a period of time at a temperature between room temperature and 40° C. for a period of 30 min to 24 hr. with the preferred reaction temperature being room temperature and time being 24 hr. The solvent is removed from the reaction mixture and the product is purified by chromatography on silica gel.

A tenth embodiment of the present invention is directed to a product obtained by a process which comprises reacting a suitably substituted phosphochloridate compound 4 with a nucleoside analog 5

4



5



wherein the substituents $R^1$, $R^2$, $R^{3a}$, $R^{3b}$, $R^4$,$R^5$, X, Y, $R^6$, X', and base have their meanings as disclosed in the Detailed Description of the Invention.

This reaction can be performed in an anhydrous aprotic solvent or other suitable solvent, such as tetrahydrofuran, dioxane, or a mixture of tetrahydrofuran and dioxane, with tetrahydrofuran being the preferred solvent. The reaction is typically initiated at a temperature range from −78° C. to 40° C. with the preferred reaction temperature being between 0° C. and room temperature. The nucleoside is first stirred with a base (5 to 12 equivalents) such as N-methylimidazole, a tertiary amine base or tButyl Magnesium Chloride. A phosphorochloridate (3-10 equivalents (or suitable "phosphoro-(leaving group)-date")) is dissolved in the reaction solvent and added to the mixture of the nucleoside and base. The reaction is then allowed to stir over a period of time at a temperature between room temperature and 40° C. for a period of 30 min to 24 hr. with the preferred reaction temperature being room temperature and time being 24 hr. The solvent is removed from the reaction mixture and the product is purified by chromatography on silica gel.

## Compounds and Preparation

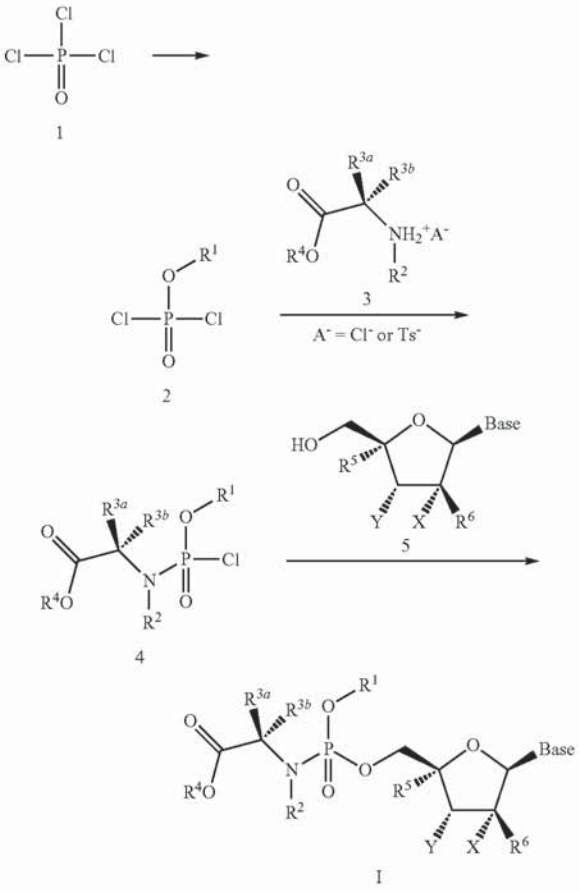
Phosphoramidate compounds of the present invention can be prepared by condensation of a nucleoside analog 5 with a suitably substituted phosphochloridate compound 4 (Scheme 1). The nucleoside analog is made by conventional procedures disclosed in any one of U.S. Published Application Nos. 2005/0009737, 2006/0199783, 2006/0122146, and 2007/0197463, each of which is incorporated by reference in its entirety.

Disclosed 1H-NMR values were recorded on a Varian AS-400 instrument. Mass spectral data were obtain using either a Micromass-Quattromicro API or a Waters Acquity.

Thus, by way of example only, a suitably substituted phenol can be reacted with phosphorus oxychloride (1) to afford an aryloxy phosphorodichloridate 2 (see Example 1) which is subsequently treated with a acid addition salt of an α-amino acid ester in the presence of TEA to afford an aryloxy phos-

phorochloridate 4. This arylalkoxy-amino acid phosphoramidate is reacted with the nucleoside analog to provide the product I (for procedure see, e.g., C. McGuigan et al. Antiviral Res. 1992 17:311-321; D. Curley et al. Antiviral Res. 1990 14:345-356; McGuigan et al. Antiviral Chem. Chemother 1990 1(2):107-113).

Scheme 1



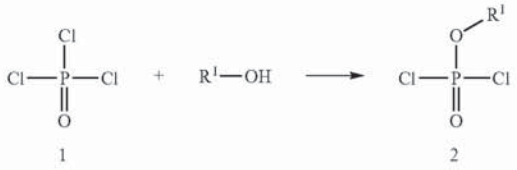
The preparation of nucleoside phosphoramidates requires reacting an appropriately substituted phosphochloridate with a nucleoside containing a free 5'-hydroxyl moiety. In cases where only one hydroxyl group is present, preparation of the phosphoramidate usually proceeds smoothly when the phosphochloridate is reacted with the desired nucleoside. In cases where the nucleoside contains more than one free hydroxyl group, preparation of the appropriately protected nucleoside might be required. Silyl, acetonide or other alcohol protecting groups known in the art might be warranted for protection of the sugar moiety. For protection of the nucleoside base, protecting a free amino group may require amidine protection strategy.

Condensation of the phosphochloridate can be carried out on the unprotected nucleoside. Since the 5'-OH group of a nucleoside is much less hindered than the 3'-OH group, selective phosphoramidation is possible under carefully controlled conditions. After condensation to form a protected phosphoramidate nucleoside, deprotection to obtain the free phosphoramidate nucleoside can be carried out using standard protocols for nucleic acid chemistry. In many cases, the desired product is readily separated from the starting material using column chromatography on silica gel. The synthetic scheme is summarized in Scheme 1.

A further understanding of the disclosed embodiments will be appreciated by consideration of the following examples, which are only meant to be illustrative, and not limit the disclosed invention.

## EXAMPLE 1

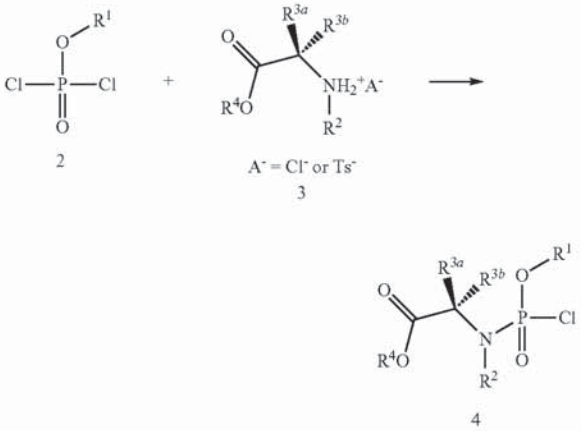
### General Procedure for Preparation of Phosphorodichloridates



A solution of the appropriate phenol $R^1$—OH (1 eq) and triethylamine (1 eq.) in anhydrous ether was added dropwise to a stirred solution of phosphoryl trichloride 1 (1 eq) at 0° C. over a period of 3 hours under nitrogen. Then the temperature was warmed to room temperature, and the reaction was stirred overnight. The triethylamine salt was quickly removed with suction filtration and the filtrate concentrated in vacuo to dryness to afford 2 as an oil which was used without further purification.

## EXAMPLE 2

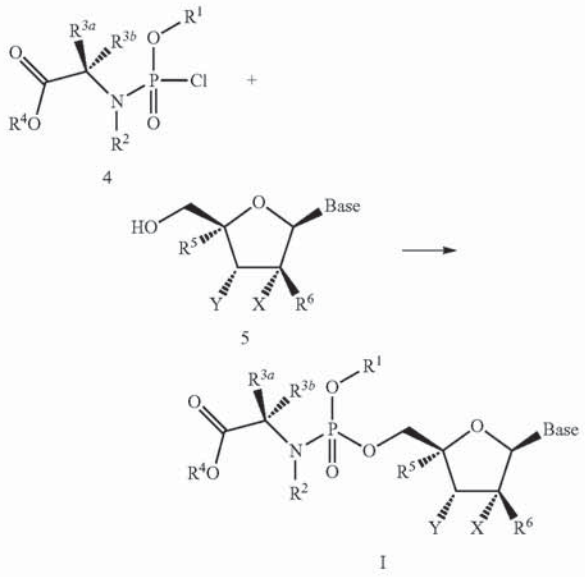
### General Procedure for Preparation of Phosphorochloridates



A solution of triethylamine (2 eq) in anhydrous dichloromethane was added dropwise to a solution of aryloxyphosphodichloridate 2 (1 eq) and the appropriate amino ester 3 (1 eq) in anhydrous dichloromethane with vigorous stirring at −78 ° C. over a period of 30 to 120 minutes. Then the reaction temperature was allowed to warm to room temperature and stirred over night. Solvent was removed. The residue was washed with ethyl ether and filtered, the filtrate was dried over reduced pressure to give 4.

EXAMPLE 3

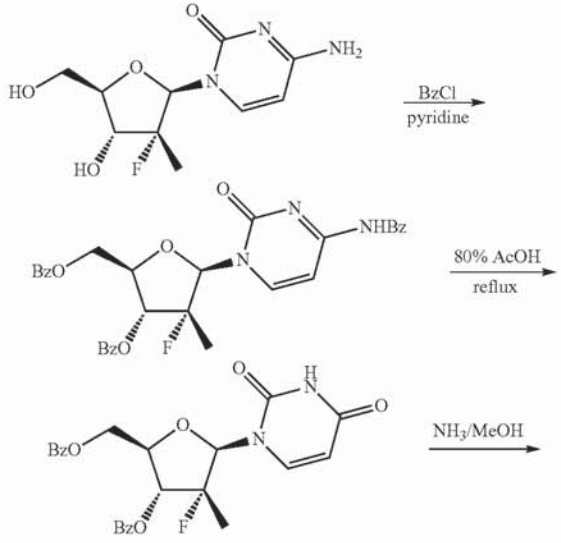
General Procedures for Nucleoside Phosphoramidate Derivatives



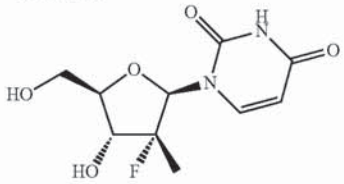
A solution of the appropriate phosphorochloridate 4 (6.5 equivalents) in anhydrous tetrahydrofuran (THF) was added to a mixture of nucleoside 5 (1 equivalent) and N-methylimidazole (8 equivalents) in anhydrous THF with vigorous stirring at room temperature and the reaction mixture was stirred overnight. The solvent was removed in vacuo and the crude was purified by column chromatography and/or preparative thin layer chromatography to give I.

EXAMPLE 4

Preparation of 2'-deoxy-2'-fluoro-2'-C-methyluridine



-continued



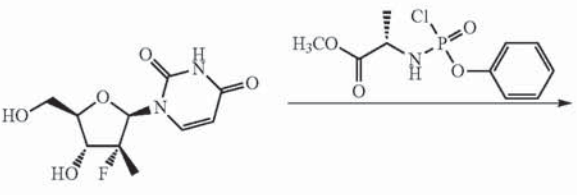
2'-Deoxy-2'-fluoro-2'-C-methylcytidine (1.0 g, 1 eq) (Clark, J., et al., J. Med. Chem., 2005, 48, 5504-5508) was dissolved in 10 ml of anhydrous pyridine and concentrated to dryness in vacuo. The resulting syrup was dissolved in 20 ml of anhydrous pyridine under nitrogen and cooled to 0° C. with stirring. The brown solution was treated with benzoyl chloride (1.63 g, 3 eq) dropwise over 10 min. The ice bath was removed and stirring continued for 1.5 h whereby thin-layer chromatography (TLC) showed no remaining starting material. The mixture was quenched by addition of water (0.5 ml) and concentrated to dryness. The residue was dissolved in 50 mL of dichloromethane (DCM) and washed with saturated $NaHCO_3$ aqueous solution and $H_2O$. The organic phase was dried over $NaSO_4$ and filtered, concentrated to dryness to give $N^4$, 3',5'-tribenzoyl-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (2.0 g, Yield: 91%).

$N^4$,3',5'-tribenzoyl-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (2.0 g, 1 eq) was refluxed in 80% aqueous AcOH overnight. After cooling and standing at room temperature (15° C.), most of the product precipitated and then was filtered through a sintered funnel. White precipitate was washed with water and co-evaporated with toluene to give a white solid. The filtrate was concentrated and co-evaporated with toluene to give additional product which was washed with water to give a white solid. Combining the two batches of white solid gave 1.50 g of 3',5'-dibenzoyl-2'-Deoxy-2'-fluoro-2'-C-methyluridine (Yield: 91%).

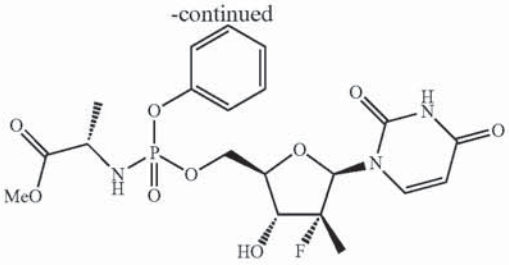
To a solution of 3',5'-dibenzoyl-2'-Deoxy-2'-fluoro-2'-C-methyluridine (1.5 g, 1 eq) in MeOH (10 mL) was added a solution of saturated ammonia in MeOH (20 mL). The reaction mixture was stirred at 0° C. for 30 min, and then warmed to room temperature slowly. After the reaction mixture was stirred for another 18 hours, the reaction mixture was evaporated under reduced pressure to give the residue, which was purified by column chromatography to afford pure compound 2'-deoxy-2'-fluoro-2'-C-methyluridine (500 mg, Yield: 60 %).

EXAMPLE 5

Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-phenyl methoxy-alanyl phosphate)



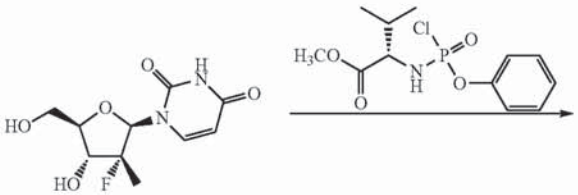
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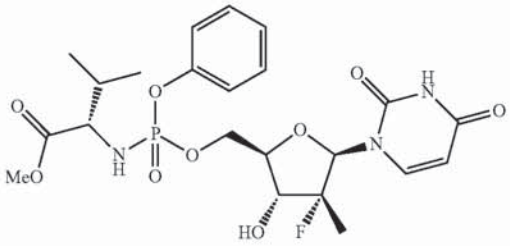
Phenyl methoxyalaninyl phosphorochloridate (1 g, 6.5 eq) dissolved in 3 mL of THF was added to a mixture of 2'-Deoxy-2'-fluoro-2'-C-methyluridine (0.15 g, 1 eq) and N-methylimidazole (0.3 g, 8 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25×30×2 mm column using a water/acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduce pressure to give the desired product (50.1 mg, 15.6%). $^1$H NMR (DMSO-$d_6$) δ 1.20-1.27 (m, 6H), 3.58 (d, J=16.0 Hz, 3H), 3.75-3.92 (m, 2H), 4.015-4.379 (m, 2H), 5.54 (t, J=10.2 Hz, 1H), 5.83-5.91 (m, 1H), 6.00-616 (m, 1H), 7.18 (d, J=8.0 Hz, 2H), 7.22 (s, 1H), 7.35 (t, J=4.4 Hz, 2H), 7.55 (s, 1H), 11.52 (s, 1H); MS, m/e 502 $(M+1)^+$.

EXAMPLE 6

Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-valyl phosphate)



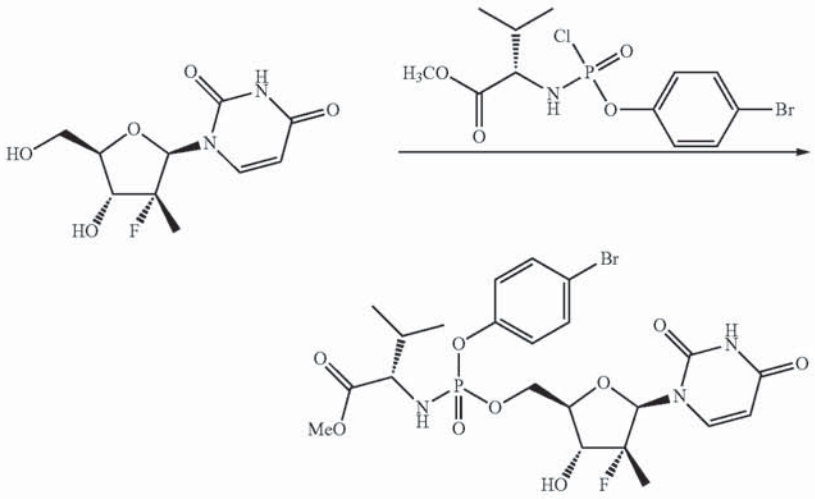
-continued



Phenyl methoxy-valyl phosphorochloridate (0.6 g, 3.6 eq) dissolved in 3 mL of THF was added to a mixture of 2'-Deoxy-2'-fluoro-2'-C-methyluridine (0.15 g, 1 eq) and N-methylimidazole (0.44 g, 9 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25×30×2 mm column using a water/acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product (60 mg, 2096). $^1$H NMR (DMSO-$d_6$) δ 0.74-0.947 (m, 6H), 1.20-1.28 (m, 3H), 1.89-1.92 (m, 1H), 3.50-3.54 (m, 1H), 3.58 (d, J=10.4 Hz, 3H), 3.72-3.95 (m, 1H), 4.03-4.05 (m, 1H), 4.23-4.43 (m, 2H), 5.56 (t, J=16.0 Hz 1H), 5.85-5.92 (m, 1H), 6.01-6.07 (m, 1H), 7.16-7.21 (m, 3H), 7.37 (t, J=8 Hz, 2H), 7.55-7.60 (m, 1H), 11.52 (s, 1H); MS, m/e 530 $(M+1)^+$.

EXAMPLE 7

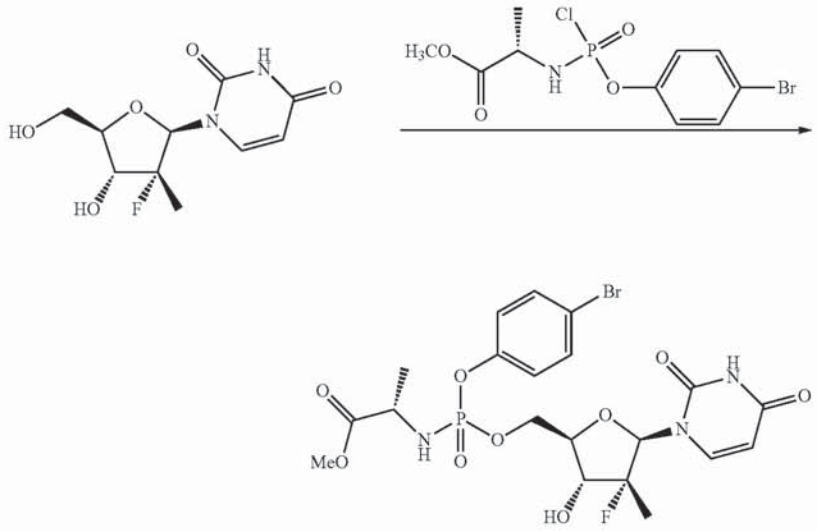
Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate)



4-Bromophenyl methoxy-valyl phosphorochloridate (1 g, 3.4 eq) dissolved in 3 mL of THF was added to a mixture of 2'-deoxy-2'-fluoro-2'-C-methyluridine (0.2 g, 1 eq) and N-methylimidazole (0.35 g, 6 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25×30×2 mm column using a water/acetonitrile gradient elution mobile phase. The acetonitrile and water were removed reduced pressure to give the desired product (120 mg, 26%). $^1$H NMR (DMSO-$d_6$) δ 0.72-0.82 (m, 6H), 1.19-1.26 (m, 3H), 1.86-1.92 (m, 1H), 3.48-3.50 (m, 1H), 3.56 (d, J=12.0 Hz, 3H), 3.72-3.89 (m, 1H), 3.96-4.03 (m, 1H), 4.22-4.37 (m, 2H), 5.54-5.60 (m, 1H), 5.85-5.91 (m, 1H), 5.98-6.13 (m, 1H), 7.15 (d, J=8.0 Hz, 2H), 7.49-7.56 (m, 3H), 11.53 (s, 1H); MS, m/e 608 $(M+1)^{30}$ .

## EXAMPLE 8

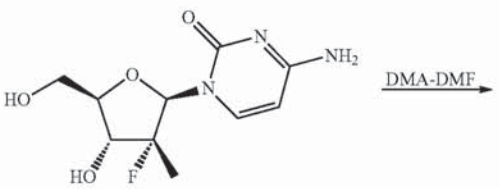
### Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-alanyl phosphate)



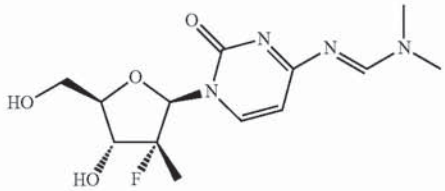
4-Bromophenyl methoxy-alanyl phosphorochloridate (0.6 g, 5 eq) dissolved in 3 mL of THF was added to a mixture of 2'-deoxy-2'-fluoro-2'-C-methyluridine (0.15 g, 1 eq)and N-methylimidazole (0.3 g, 7.8 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25×30×2 mm column using a water/acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product (40 mg, 12%); $^1$H NMR (DMSO-$d_6$) δ 1.20-1.26 (m, 6H), 3.57 (d, J=2.8 Hz, 3H), 3.84 (s, 1H), 3.97-4.03 (m, 1H), 4.21-4.25 (m, 1H), 4.33-4.37 (m, 2H), 5.54-5.60 (m, 1H), 5.83-5.89 (m, 1H), 5.98-6.19 (m, 1H), 7.16 (t, J=10.2 Hz, 2H), 7.52-7.57 (m, 3H), 11.52 (s, 1H); MS, m/e 580$(M+1)^+$.

## EXAMPLE 9

### Preparation of $N^4$-(N,N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine



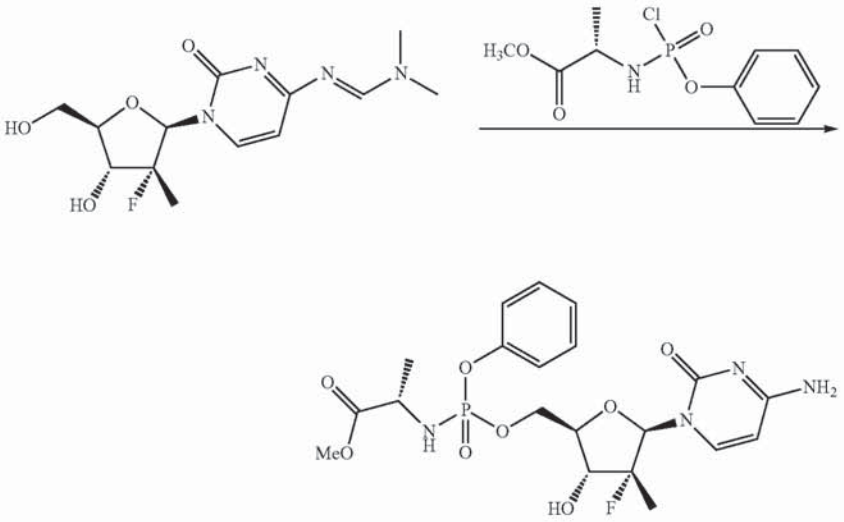
-continued



2'-Deoxy-2'-fluoro-2'-C-methylcytidine (500 mg, 1.9 mmol) was stirred with dimethylformamide dimethyl acetal in DMF (10 mL). The resulting mixture was stirred at room temperature overnight. After solvent removal the crude product was used for next step without further purification.

EXAMPLE 10

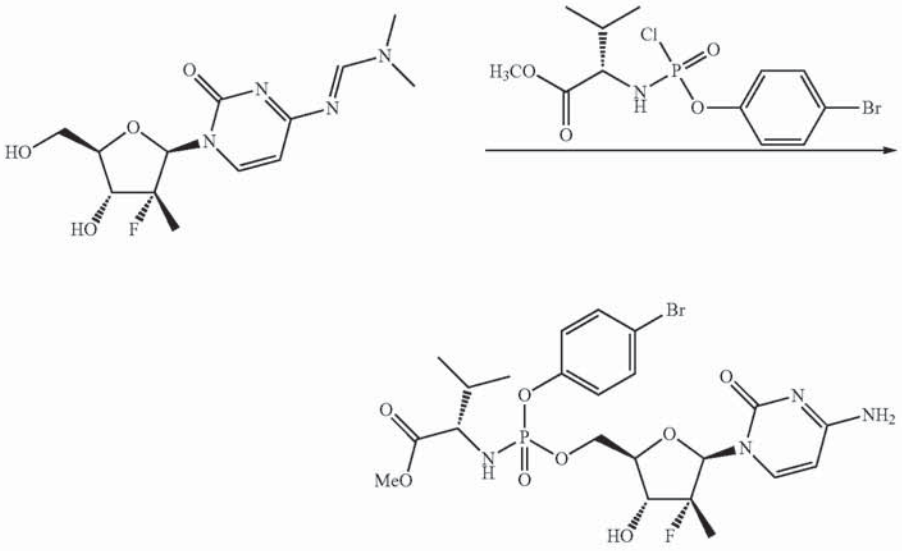
Preparation of 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate)



Phenyl methoxyalaninyl phosphorochloridate (0.6 g, 6 eq) dissolved in 3 mL of THF was added to a mixture of $N^4$-(N, N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methyl-cytidine (0.15 g, 1 eq) and N-methylimidazole (0.3 g, 7.8 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25×30×2 mm column using a water/acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product (62 mg, 20.6%). $^1$H NMR (DMSO-$d_6$), δ 1.16 (d, J=23.2 Hz, 3H), 1.22 (d, J=7.2 Hz, 3H), 3.56 (S, 3H), 3.69-3.75 (d, J=25.6 Hz, 1H), 3.82-3.86 (m, 1H), 3.96-3.98 (m, 1H), 4.21-4.34 (m, 2H), 5.68 (d, J=7.2 Hz, 1H), 5.75-5.77 (m, 1H), 6.07-6.16 (m, 1H), 7.15-7.19 (m, 3H), 7.2 (d, J=9.2 Hz, 2H), 7.39 (t, J=7.8 Hz, 2H), 7.48 (d, J=9.2 Hz, 1H); MS, m/e 501(M+1)$^{30}$ .

EXAMPLE 11

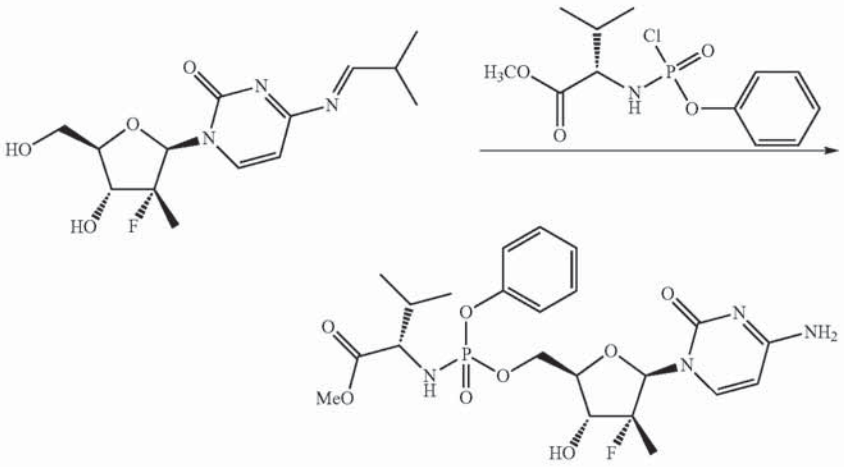
Preparation of 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-4-bromophenyl methoxy-valyl phosphate)



4-Bromophenyl methoxy-valyl phosphorochloridate (1.0 g, 3.4 eq.) dissolved in 3 mL of THF was added to a mixture of $N^4$-(N,N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine (0.2 g, 1 eq.) and N-methylimidazole (0.35 g, 6 eq.) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25×30×2 mm column using a water/acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product as a white solid (59 mg, 13%); $^1$H NMR (DMSO-$d_6$) δ 0.74-0.83 (m, 6H), 1.12-1.20 (m, 3H), 1.89-1.92 (m, 1H), 3.49-3.51 (m, 1H), 3.55 (s, 3H), 3.59-3.68 (m, 1H), 3.72-3.83 (m, 1H), 4.21-4.39 (m, 2H), 5.70-5.72 (m, 1H), 5.76-5.83 (m, 1H), 6.04-6.16 (m, 1H), 7.15 (d, J=13.0 Hz, 2H), 7.26 (s, 1H), 7.33 (s, 1H), 7.46-7.55 (m, 1H), 7.56 (d, J =4.4 Hz, 2H); MS, m/e 607 $(M+1)^+$.

## EXAMPLE 12

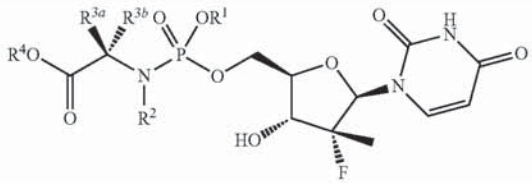
### Preparation of 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate)



Phenyl methoxy-valyl phosphorochloridate (0.6 g, 6 eq) dissolved in 3 mL of THF was added to a mixture of $N^4$-(N, N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine (0.15 g, 1 eq) and N-methylimidazole (0.3 g, 7.8 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25×30×2 mm column using a water/acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product as a white solid (86 mg, 42.9 %). $^1$H NMR (DMSO-$d_6$) δ 0.72-0.80 (m, 6H), 1.09-1.18 (m, 3H), 1.87-1.92(m, 1H), 3.47-3.51 (m, 1H), 3.58 (s, 3H), 3.71-3.75 (m, 1H), 3.97 (t, J=11.2 Hz, 1H), 4.22-4.37 (m, 2H), 5.70 (d, J=8.0 Hz, 1H), 5.76-5.84 (m, 1H), 6.01-4.15 (m, 1H), 7.13-7.18 (m, 3H), 7.27 (s, 2H), 7.34 (d, J=4.0 Hz, 2H), 7.46-7.50 (m, 1H); MS, m/e 529 $(M+1)^+$.

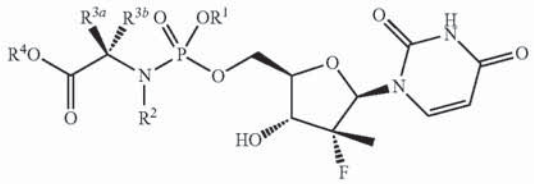
## EXAMPLES

Example numbers 13-54 and 56-66 are prepared using similar procedures described for examples 5-8. The example number, compound identification, and NMR/MS details are shown below:



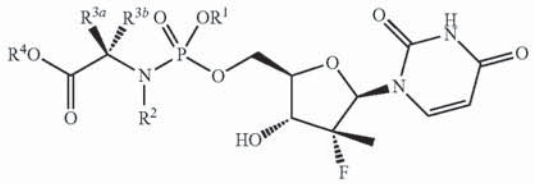
| Ex. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | NMR/MS |
|---|---|---|---|---|---|---|
| 13 | Ph | H | H | Me | Et | 1H NMR(DMSO-$d_6$) δ 1.12-1.16 (m, 3H), 1.20-1.28 (m, 6H), 3.70-3.90 (m, 2H), 4.00-4.08 (m, 3H), 4.18-4.45 (m, 2H), 5.52-5.58 (m, 1H), 5.85-5.98 (m, 1H), 6.00-6.20 (m, 2H), 7.16-7.23 (m, 3H), 7.37-7.40 (m, 2H), 7.54-7.60 (m, 1H), 11.54 (s, 1H): MS, m/e 516.1 (M + 1)+ |

-continued



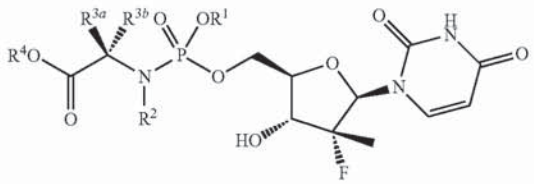
| Ex. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | NMR/MS |
|---|---|---|---|---|---|---|
| 14 | 1-Napth | H | H | Me | Bn | 1H NMR (DMSO-$d_6$) δ 1.18-1.30 (m, 6H), 3.78-4.10 (m, 3H), 4.38-4.49 (m, 2H), 4.99-5.11 (m, 2H), 5.28-5.40 (m, 1H), 5.85-6.10 (m, 2H), 6.30-6.41 (m, 1H), 7.28-7.32 (m, 5H), 7.41-7.60 (m, 5H), 7.73-7.76 (m, 1H) 7.94-8.11 (m, 1H), 8.13-8.15 (m, 1H), 11.50 (s, 1H); MS, m/e 628.4 (M + 1)+ |
| 15 | Ph | H | H | H | Me | 1H NMR (DMSO-$d_6$) δ 1.22 (d, J = 22.4 Hz, 3H), 3.59 (s, 3H), 3.63-3.69 (m, 2H), 3.74-3.8 (m, 1H), 4.02 (d, J = 11.2 Hz, 1H), 4.23-4.28 (m, 1H), 4.40-4.43 (m, 1H), 5.57-5.60 (m, 1H), 5.89 (d, J = 6.8 Hz, 1H), 6.00-6.06 (m, 2H), 7.15-7.23 (m, 3H), 7.35-7.39 (m, 2H), 7.52 (d, J = 8 Hz, 1H), 11.52 (s, 1H); MS, m/e 487.97 (M + 1)+ |
| 16 | 2,4-Cl-Ph | H | H | Me | Me | 1H NMR (DMSO-$d_6$) δ 1.22-1.28 (m, 6H), 3.57-3.60 (m, 3H), 3.84-3.92 (m, 2H), 4.00-4.04 (m, 1H), 4.31-4.44 (m, 2H), 5.54-5.61 (m, 1H), 5.85-6.10 (m, 2H), 6.32-6.43 (m, 1H), 7.44-7.54 (m, 3H), 7.72-7.75 (m, 1H), 11.54 (s, 1H); MS, m/e 570.2 (M + 1)+ |
| 17 | 1-Napth | H | H | Me | Me | 1H NMR (DMSO-$d_6$) δ 1.15-1.27 (m, 6H), 3.51-3.55 (d, 3H), 3.85-3.96 (m, 2H), 4.00-4.10 (m, 1H), 4.30-4.46 (m, 2H), 5.31-5.39 (m, 1H), 5.89-6.05 (m, 2H), 6.22-6.34 (m, 1H), 7.44-7.60 (m, 5H), 7.73-7.77 (m, 1H), 7.93-7.96 (m, 1H), 8.12-8.14 (m, 1H), 11.50 (s, 1H); MS, m/e 552.1 (M + 1)+ |
| 18 | Ph | * | H | * | Me | 1H NMR (DMSO-$d_6$) δ 1.19 (d, J = 22.8 Hz, 3H), 1.69-1.84 (m, 3H), 1.99-2.04 (m, 1H), 3.16-3.21 (m, 2H), 3.58 (s, 3H), 3.63-3.8 (m, 1H), 4.00 (m, 1H), 4.01-4.13 (m, 1H), 4.22-4.25 (m, 1H), 4.5 (d, J = 11.2 Hz, 1H), 5.54 (d, J = 8.0 Hz, 1H), 5.86 (s, 1H), 5.6 (d, J = 19.6 Hz, 1H), 7.15-7.2 (m, 3H), 7.34 (t, J = 8.0 Hz, 2H), 7.51 (d, J = 8.0 Hz, 1H), 11.38 (s, 1H); MS, m/e 527.93 (M + 1)+ |
| 19 | Ph | H | H | Me | n-Bu | 1H NMR (DMSO-$d_6$) δ 0.80-0.90 (m, 3H), 1.20-1.35 (m, 8H), 1.48-1.55 (m, 2H), 3.78-3.88 (m, 2H), 3.95-4.08 (m, 3H), 4.22-4.45 (m, 2H), 5.55-5.57 (t, 1H), 5.85-6.18 (m, 3H), 7.14-7.23 (m, 3H), 7.35-7.40 (m, 2H), 7.51-7.60 (d, 1H), 11.50 (s, 1H); MS, m/e 544.2 (M + 1)+ |
| 20 | Ph | H | H | Me | Bn | 1H NMR (DMSO-$d_6$) δ 1.20-1.30 (m, 6H), 3.72-4.05 (m, 3H), 4.23-4.27 (m, 1H), 4.32-4.45 (m, 1H), 5.07-5.10 (t, 2H), 5.52-5.56 (t, 1H), 5.86-6.10 (m, 2H), 6.13-6.21 (m, 1H), 7.15-7.21 (m, 3H), 7.29-7.40 (m, 7H), 7.51-7.56 (d, 1H), 11.50 (s, 1H); MS, m/e 578.2 (M + 1)+ |
| 21 | 4-F-Ph | H | H | Me | Me | 1H NMR (DMSO-$d_6$) δ 1.28-1.34 (m, 6H), 3.65 (d, J = 4 Hz, 3H), 3.85-3.96 (m, 2H), 4.06-4.12 (m, 1H), 4.30-4.34 (m, 1H), 4.40-4.47 (m, 1H), 5.62-5.67 (m, 1H), 5.94-6.01 (m, 1H), 6.09 (d, J = 18.8 Hz, 1H), 6.17-6.26 (m, 1H), 7.27-7.33 (m, 4H), 7.62 (d, J = 7.6 Hz, 1H), 11.61 (s, 1H); MS, m/e 519.94 (M + 1)+ |
| 22 | 4-Cl-Ph | H | H | Me | Me | 1H NMR (DMSO-$d_6$) δ 1.22-1.28 (m, 6H), 3.58 (d, 2H), 3.70-3.95 (m, 2H), 3.95-4.08 (m, 1H), 4.23-4.45 (m, 2H), 5.55-5.61 (t, 1H), 5.85-6.10 (m, 2H), 6.15-6.23 (m, 1H), 7.20-7.26 (m, 2H), 7.43-7.46 (m, 2H), 7.54-7.57 (d, 1H), 11.50 (s, 1H); MS, m/e 536.1 (M + 1)+ |
| 23 | 3,4-Cl-Ph | H | H | Me | Me | 1H NMR(DMSO-$d_6$) δ 1.13 (m, 6H), 3.49 (s, 3H), 3.61-3.85 (m, 2H), 3.90-3.93 (m, 1H), 4.16-4.22 (m, 1H), 4.27-4.31 (m, 1H), 5.47-5.52 (m, 1H), 5.82 (d, J = 11.6 Hz, 1H), 5.93 (d, J = 19.2 Hz, 1H), 6.15-6.25 (m, 1H), 7.13 (t, J = 9.6 Hz, 1H), 7.43 (d, J = 12 Hz, 2H), 7.57 (d, J = 6.0 Hz, 1H), 11.43 (s, 1H); MS, m/e 569.85 (M + 1)+ |
| 24 | Ph | H | H | Me | 2-Bu | 1H NMR (DMSO-$d_6$) δ 0.83 (d, J = 6.8 Hz, 6H), 1.20-1.26 (m, 6H), 1.79-1.86 (m, 1H), 3.73-3.90 (m, 4H), 4.01 (t, J = 11.2 Hz, 1H), 4.21-4.28 (m, 1H), 4.33-4.42 (m, 1H), 5.54 (t, J = 7.6 Hz, 1H), 5.85-5.92 (m, 1H), 5.99-6.13 (m, 2H), 7.19 (t, J = 8 Hz, 3H), 7.36 (t, J = 7.6 Hz, 2H), 7.53 (d, J = 7.6 Hz, 1H), 11.52 (s, 1H); MS, m/e 544.00 (M + 1)+ |
| 25 | Ph | H | H | Me | i-Pr | 1H NMR (DMSO-$d_6$) δ 1.13-1.28 (m, 12H), 3.74-3.81 (m, 2H), 3.95-4.08 (m, 1H), 4.20-4.45 (m, 2H), 4.83-4.87 (m, 1H), 5.52-5.58 (m, 1H), 5.84-6.15 (m, 3H), 7.17-7.23 (m, 3H), 7.35-7.39 (m, 2H), 7.54-7.57 (m, 1H), 11.50 (s, 1H); MS, m/e 530.2 (M + 1)+ |
| 26 | 4-MeO-Ph | H | H | Me | n-Bu | 1HNMR (400 MHz, DMSO-$d_6$): δ = 0.78-0.82 (m, 3H), 1.29-1.47 (m, 8H), 1.49-1.54 (m, 2H), 3.66-3.87 (m, 5H), 3.96-4.02 (m, 3H), 4.21-4.39 (m, 2H), 5.57 (t, J = 12.0 Hz, 1H), 5.84-6.05 (m, 3H), 6.90 (dd, J1 = 8.0 Hz, J2 = 4.0 Hz, 2H), 7.09-7.14 (dd, J1 = 16.0 Hz, J2 = 4.0 Hz, 2H), 7.55 (d, J = 8.0 Hz, 1H), 11.48-11.62 (s, 1H) |
| 27 | 4-F-Ph | H | H | Me | Et | 1H NMR (DMSO-$d_6$) δ 1.12-1.28 (m, 9H), 3.72-3.94 (m, 2H), 3.98-4.10 (m, 3H), 4.21-4.42 (m, 2H), 5.55-5.61 (t, 1H), 5.85-6.20 (m, 3H), 7.18-7.25 (m, 4H), 7.55-7.58 (d, 1H), 11.50 (s, 1H); MS, m/e 533.90 (M + 1)+ |
| 28 | 4-F-Ph | H | H | Me | i-Pr | 1H NMR (DMSO-$d_6$) δ 1.13-1.30 (m, 12H), 3.74-3.85 (m, 2H), 3.98-4.06 (m, 1H), 4.23-4.41 (m, 2H), 4.83-4.87 (m, 1H), 5.55-5.61 (t, 1H), 5.85-6.12 (m, 3H), 7.18-7.24 (m, 4H), 7.55-7.58 (d, 1H), 11.50 (s, 1H); MS, m/e 547.91 (M + 1)+ |

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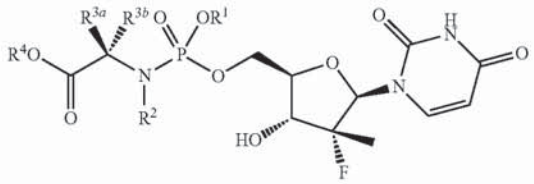
| Ex. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | NMR/MS |
|---|---|---|---|---|---|---|
| 29 | 4-F-Ph | H | H | Me | Bn | 1H NMR (DMSO-$d_6$) δ 1.10-1.23 (m, 6H), 3.65-3.89 (m, 3H), 4.10-4.30 (m, 2H), 4.96-5.00 (m, 2H), 5.46-5.50 (t, 1H), 5.75-5.96 (m, 2H), 6.04-6.12 (m, 1H), 7.05-7.11 (m, 4H), 7.20-7.24 (d, 5H), 7.42-7.45 (d, 1H), 11.50 (s, 1H); MS, m/e 595.94 (M + 1)+ |
| 30 | 4-MeO-Ph | H | H | Me | i-Pr | 1HNMR (400 MHz, DMSO-$d_6$): δ = 1.15-1.27 (m, 12H), 3.71-3.89 (m, 5H), 3.98-4.02 (m, 1H), 4.22-4.25 (m, 1H), 4.33-4.39 (m, 1H), 4.84-4.87 (m, 1H), 5.57 (t, J = 12.0 Hz, 1H), 5.91-6.03 (m, 3H), 6.90 (d, J = 8.0 Hz, 2H), 7.09-7.14 (m, 2H), 7.55 (d, J = 8.0 Hz, 1H), 11.51 (s, 1H) |
| 31 | 2-Cl-Ph | H | H | Me | Bn | 1H NMR (DMSO-$d_6$) δ 1.23 (m, 6H), 3.93-4.00 (m, 3H), 4.27-4.40 (m, 2H), 5.0 (t, J = 7.2 Hz, 2H), 5.53 (m, 1H), 5.80-6.0 (m, 2H), 6.30 (m, 1H), 7.15 (d, J = 2.4 Hz, 1H), 7.27 (m, 6H), 7.51 (m, 3H), 11.5 (s, 1H); MS, m/e 579.87 (M + 1)+/596.78 (M + 18)+ |
| 32 | 2,4-Cl-Ph | H | H | Me | n-Bu | 1H NMR (DMSO-$d_6$) δ = 0.82 (m, 3H), 1.23 (m, 8H), 1.47 (m, 2H), 3.86 (m, 2H), 3.84 (m, 3H), 4.27-4.43 (m, 2H), 5.5 (m, 1H), 6.02 (m, 2H), 6.35 (m, 1H), 7.44 (m, 3H), 7.77 (m, 1H), 11.5 (s, 1H); MS, m/e 611.87 (M + 1)+ |
| 33 | 4-Me-Ph | H | H | Me | i-Pr | 1H NMR (DMSO-$d_6$) δ 1.14-1.27 (m, 12H), 2.17-2.26 (m, 3H), 3.73-3.82 (m, 1H), 3.99-4.02 (m, 1H), 4.23-4.26 (m, 1H), 4.37-4.40 (m, 1H), 4.82-4.88 (m, 1H), 5.52-5.58 (m, 1H), 5.85-6.07 (m, 3H), 7.01-7.20 (m, 4H), 7.55 (d, J = 16 Hz, 1H), 11.51 (s, 1H); MS, m/e 543.98 (M + 1)+; 1108.86 (2M + 23)+ |
| 34 | 4-F-Ph | H | H | Me | n-Bu | 1H NMR (DMSO-$d_6$) δ 0.82-0.89 (m, 3H), 1.20-1.31 (m, 8H), 1.48-1.53 (m, 2H), 3.77-3.90 (m, 2H), 3.95-4.10 (m, 3H), 4.21-4.45 (m, 2H), 5.56-5.61 (t, 1H), 5.83-6.20 (m, 3H), 7.18-7.25 (m, 4H), 7.55-7.58 (d, 1H), 11.50 (s, 1H); MS, m/e 584.1 (M + 23)+ |
| 35 | 3,4-diCl-Ph | H | H | Me | Et | 1H NMR (DMSO-$d_6$) δ 1.12-1.31 (m, 9H), 3.77-3.92 (m, 2H), 3.95-4.08 (m, 3H), 4.21-4.45 (m, 2H), 5.56-5.62 (t, 1H), 5.80-6.11 (m, 2H), 6.18-6.33 (m, 1H), 7,18-7.25 (m, 1H), 7.49-7.56 (d, 2H), 7.62-7.67 (m, 1H), 11.50 (s, 1H); MS, m/e 606.1 (M + 23)+ |
| 36 | 2-Cl-Ph | H | H | Me | i-Pr | 1HNMR (400 MHz, DMSO-$d_6$): δ = 1.12-1.16 (m, 6H), 1.21-1.27 (m, 6H), 3.79-3.85 (m, 2H), 4.00-4.07 (m, 1H), 4.28-4.32 (m, 1H), 4.38-4.43 (m, 1H), 4.83-4.87 (m, 1H), 5.56 (dd, J1 = 16.0 Hz, J2 = 8.0 Hz, 1H), 5.85-6.12 (m, 2H), 6.20-6.33 (m, 1H), 7.19-7.22 (m, 1H), 7.33 (t, J = 16.0 Hz, 1H), 7.48-7.55 (m, 3H), 11.55 (s, 1H) |
| 37 | 4-MeO-Ph | H | H | Me | Bn | 1HNMR (400 MHz, DMSO-$d_6$): δ = 1.19-1.26 (m, 6H), 3.69-3.70 (s, 3H), 3.87 (m, 2H), 3.99 (m, 1H), 4.20-4.21 (m, 1H), 4.35 (m, 1H), 5.07-5.09 (m, 2H), 5.54 (t, J = 16.0 Hz, 1H), 5.85-5.92 (m, 1H), 6.04-6.10 (m, 2H), 6.86 (d, J = 8.0 Hz, 2H), 7.09 (dd, J1 = 16.0 Hz, J2 = 4.0 Hz, 2H), 7.30-7.34 (m, 5H), 7.53 (s, 1H), 11.52 (s, 1H) |
| 38 | Ph | H | H | Me | n-Pen | 1H NMR (DMSO-$d_6$) δ 0.79-0.81 (m, 3H), 1.17-1.23 (m, 10H), 3.74-3.81 (m, 2H), 3.94-3.96 (m, 3H), 4.19-4.36 (m, 2H), 5.49-5.54 (m, 1H), 5.27-6.08 (m, 3H), 7.14-7.33 (m, 3H), 7.31-7.35 (m, 2H), 7.51 (d, J = 8 Hz, 1H), 11.51 (s, 1H); Ms, m/e 557.9 (M + 1)+; 1136.88 (2M + 23)+ |
| 39 | 4-Cl-Ph | H | H | Me | i-Pr | 1H NMR (DMSO-$d_6$) δ 1.04-1.19 (m, 12H), 3.76-3.80 (m, 2H), 3.98-4.08 (m, 1H), 4.42-4.42 (m, 2H), 4.82-4.85 (m, 1H), 5.55-5.60 (m, 1H), 5.80-4.20 (m, 3H), 7.20-7.25 (m, 2H), 7.43 (d, J = 8.8 Hz, 1H), 7.54 (d, J = 8 Hz, 1H), 11.51 (s, 1H): MS, m/e 563.88 (M + 1)+; 1148.73 (2M + 23)+ |
| 40 | 4-Cl-Ph | H | H | Me | n-Bu | 1H NMR (DMSO-$d_6$) δ 0.85 (t, J = 7.2 Hz, 3H), 1.22-1.33 (m, 8H), 1.45-1.53 (m, 2H), 3.80-3.87 (m, 2H), 3.96-4.04 (m, 3H), 4.24-4.27 (m, 1H), 4.35-4.39 (m, 1H), 5.56-5.61 (m, 1H), 5.82-6.11 (m, 2H), 6.15-6.18 (m, 1H), 7.20-7.56 (m, 4H), 7.51-7.57 (m, 1H), 11.54 (s, 1H); MS, m/e 577.95 (M + 1)+ |
| 41 | 4-Cl-Ph | H | H | Me | Et | 1H NMR (DMSO-$d_6$) δ 1.14 (t, J = 7.0 Hz, 3H), 1.20-1.28 (m, 6H), 3.77-3.88 (m, 2H), 3.99-4.07 (m, 3H), 4.24-4.28 (m, 1H), 4.34-4.43 (m, 1H), 5.56-5.61 (m, 1H), 5.86-6.13 (m, 2H), 6.15-6.24 (m, 1H), 7.20-7.26 (m, 2H), 7.44 (d, J = 7.6 Hz, 2H), 7.55 (d, J = 7.6 Hz, 1H), 11.55 (s, 1H); MS, m/e 549.11 (M + 1)+ |
| 42 | 4-Me-Ph | H | H | Me | n-Bu | 1H NMR (DMSO-$d_6$) δ 0.79-0.83 (m, 3H), 1.17-1.28 (m, 8H), 1.45-1.47 (m, 2H), 2.22 (d, J = 2.8 Hz, 1H), 3.70-3.90 (m, 2H), 3.95-3.98 (m, 3H), 4.10-4.40 (m, 2H), 5.51 (t, 1H), 5.80-5.90 (m, 1H), 5.95-6.05 (m, 2H), 7.02-7.06 (m, 2H), 7.51 (t, J = 4.2 Hz, 4H), 7.51 (d, 1H), 11.51 (s, 1H); MS, m/e 557.99 (M + 1)+; 1136.84 (2M + 23)+ |
| 43 | 4-Me-Phe | H | H | Me | Bn | 1H NMR (DMSO-$d_6$) δ 1.16-1.24 (m, 6H), 2.22 (s, 3H), 3.65-4.03 (m, 3H), 4.11-4.38 (m, 2H), 5.04-5.05 (m, 2H), 5.48-5.50 (m, 1H), 5.77-5.87 (m, 1H), 5.90-6.11 (m, 2H), 6.98-7.10 (m, 4H), 7.28-7.32 (m, 5H), 7.50 (t, 1H), 11.48 (s, 1H); MS, m/e 592.00 (M + 1)+. |

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| Ex. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | NMR/MS |
|---|---|---|---|---|---|---|
| 44 | Ph | H | H | Et | Me | 1H NMR (DMSO-$d_6$) δ 0.70-0.80 (m, 3H), 1.11-1.26 (m, 3H), 1.42-1.61 (m, 2H), 3.50-3.54 (m, 3H), 3.58-3.80 (m, 2H), 3.91-4.02 (m, 1H), 4.12-4.38 (m, 2H), 5.47-5.52 (m, 1H), 5.90-6.03 (m, 2H), 7.08-7.16 (m, 3H), 7.26-7.35 (m, 2H), 7.48 (t, 1H), 11.45 (s, 1H); MS, m/e 515.95 (M + 1)+; 1052.82 (2M + 23)+ |
| 45 | Ph | H | H | Me | 4-F-Bn | $^1$HNMR (400 MHz, DMSO-$d_6$): δ 1.20-1.26 (m, 6H), 3.80-3.93 (m, 2H, 3.98 (s, 1H), 4.23-4.26 (m, 1H), 4.36-4.37 (m, 1H), 5.07 (s, 2H), 5.52-5.55 (m, 1H), 5.86-5.87 (m, 1H), 5.98-6.04 (m, 1H), 6.14-6.17 (m, 1H), 7.15-7.20 (m, 5H), 7.36 (dd, 20.0, 8.0 Hz, 4H), 7.54 (s, 1H), 11.55 (s, 1H) |
| 46 | 4-Cl-Ph | H | H | Me | n-Bu | $^1$HNMR (400 MHz, DMSO-$d_6$): δ 1.21-1.28 (m, 6H), 3.71-3.88 (m, 1H), 3.91-3.98 (m, 1H), 4.00-4.01 (m, 1H), 4.23-4.27 (m, 1H), 4.35-4.38 (m, 1H), 5.08 (d, J = 4.0 Hz, 2H), 5.57 (dd, J = 12.0, 8.0 Hz, 1H), 5.91 (d, J = 8.0 Hz, 1H), 6.01 (d, J =0 8.0 Hz, 1H), 6.22-6.24 (m, 1H), 7.17-7.23 (m, 2H), 7.31-7.40 (m, 7H), 7.53 (s, 1H), 11.50 (s, 1H) |
| 47 | Ph | H | H | Me | 3-Me-I-Bu | $^1$H NMR (DMSO-$d_6$) δ 0.80-0.82 (m, 6H), 1.18-1.40 (m, 8H), 1.50-1.58 (m, 1H), 3.71-3.82 (m, 3H), 3.97-3.4.01 (m, 3H), 4.21-4.40 (m, 2H), 5.30 (t, J = 8.6 Hz, 1H), 5.81-6.10 (m, 3H), 7.15-7.20 (m, 3H), 7.32-7.36 (m, 2H), 7.48 (d, J = 8.4 Hz, 1H), 11.38 (s, 1H); MS, m/e 557.98 (M + 1)$^+$; 1136.88 (2M + 23)$^+$ |
| 48 | 3,4-diCl-Ph | H | H | Me | Bn | $^1$H NMR (DMSO-$d_6$) δ 1.05-1.37 (m, 6H), 3.71-3.82 (m, 1H), 3.87-4.02 (m, 2H), 4.28-4.29 (m, 1H), 4.36-4.38 (m, 1H), 5.04 (d, J = 5.2 Hz, 2H), 5.55-5.64 (m, 1H), 5.85-5.94 (m, 1H), 6.00-6.05 (m, 1H), 6.29-6.40 (m, 1H), 7.17-7.24 (m, 1H), 7.30-7.41 (m, 5H), 7.45-7.58 (m, 2H), 7.61 (d, J = 4.0 Hz, 1H), 11.53 (s, 1H); MS, m/e 545.80 (M + 1)$^+$; |
| 49 | Ph | H | H | Me | c-Hex | $^1$H NMR (DMSO-$d_6$) δ 1.18-1.41 (m, 12H), 1.59-1.67 (m, 4H), 3.74-13.80 (m, 1H), 3.96-4.02 (m, 1H), 4.19-4.26 (m, 1H), 4.31-4.39 (m, 1H), 4.60 (s, 1H), 5.52 (t, J = 7.8 Hz, 1H), 5.80-6.09 (m, 3H), 7.15-7.20 (m, 3H), 7.32-7.36 (m, 2H), 7.52 (d, J = 8 Hz, 1H), 11.50 (s, 1H); MS, m/e 569.98 (M + 1)$^+$; 592.14 (M + 23)$^+$ |
| 50 | Ph | H | Me | H | n-Bu | 1H NMR (DMSO-$d_6$) δ 0.76 (t, J = 7.2 Hz, 3H), 1.10-1.22 (m, 8H), 1.38-1.43 (m, 2H), 3.72-3.75 (m, 2H), 3.87-3.93 (m, 3H), 4.14-4.21 (m, 1H), 4.23-4.33 (m, 1H), 5.46-5.54 (m, 1H), 5.84-6.11 (m, 3H), 7.09-7.14 (m, 2H), 7.27-7.32 (m, 2H), 7.34-7.51 (m, 1H), 11.47 (s, 1H); MS, m/e 543.98 (M + 1)+ |
| 51 | Ph | H | Me | H | i-Pr | 1H NMR (DMSO-$d_6$) δ 1.39 (d, J = 7.2 Hz, 6H), 1.19-1.29 (m, 6H), 3.65-3.75 (m, 2H), 3.95-4.05 (m, 1H), 4.20-4.22 (m, 1H), 4.31-4.33 (m, 1H), 4.79-4.82 (m, 1H), 5.48-5.57 (m, 1H), 5.84-5.91 (m, 1H), 5.96-6.07 (m, 2H), 7.12-7.35 (m, 5H), 7.44-7.54 (m, 1H), 11.49 (s, 1H); MS, m/e 529.96 (M + 1)+ |
| 52 | Ph | H | Me | H | Bn | $^1$H NMR (DMSO-$d_6$) δ 1.18-1.28 (m, 6H), 3.70-3.83 (m, 1H), 3.87-3.94 (m, 1H), 3.99-4.01 (m, 1H), 4.23-4.26 (m, 1H), 4.33-4.37 (m, 1H), 5.03-5.12 (m, 2H), 5.51-5.59 (m, 1H), 5.87-5.90 (m, 1H), 5.95-6.07 (m, 1H), 6.10-6.27 (m, 1H), 7.15-7.23 (m, 3H), 7.31-7.38 (m, 7H), 7.47-7.56 (m, 1H), 11.50 (s, 1H); MS, m/e 577.99 (M + 1)+ |
| 53 | 2-Cl-Ph | H | H | Me | n-Bu | $^1$HNMR (400 MHz, DMSO-$d_6$): δ 0.81-0.86 (m, 3H), 1.21-1.31 (m, 8H), 1.46-1.52 (m, 2H), 3.84-3.90 (m, 2H), 3.97-4.04 (m, 3H), 4.27-4.41 (m, 2H), 5.53-5.58 (m, 1H), 5.82-5.95 (m, 1H), 5.96-6.10 (m, 1H), 6.27-6.31 (m, 1H), 7.19-7.22 (m, 1H), 7.34 (dd, J = 8.0, 4.0 Hz, 1H), 7.47-7.55 (m, 3H), 11.55 (s, 1H) |
| 54 | 4-Br-Ph | H | H | Me | i-Pr | $^1$HNMR (400 MHz, DMSO-$d_6$): δ 1.10-1.14 (m, 6H), 1.20-1.27 (m, 6H), 3.74-3.81 (m, 2H), 3.99-4.01 (m, 1H), 4.21-4.25 (m, 1H), 4.37-4.38 (m, 1H), 4.81-4.85 (m, 1H), 5.58 (dd, J = 8.0, 4.0 Hz, 1H), 5.82-5.95 (m, 1H), 5.96-6.09 (m, 1H), 6.10-6.13 (m, 1H), 7.18 (dd, J = 12.0, 8.0 Hz, 2H), 7.53-7.57 (m, 3H), 11.52 (s, 1H) |
| 55 | 4-F-Ph | H | H | Me | c-Hex | $^1$H NMR (DMSO-$d_6$) δ 1.20-1.44 (m, 12H), 1.60-1.71 (m, 4H), 3.75-4.02 (m, 2H), 3.94-4.02 (m, 1H), 4.19-4.26 (m, 2H), 4.59-4.61 (m, 1H), 5.57 (t, J = 8.4 Hz, 1H), 5.85-6.06 (m, 3H), 7.17-7.23 (m, 4H), 7.54 (d, J = 8.4 Hz, 1H), 11.50 (s, 1H); MS, m/e 587.92 (M + 1)$^+$ |
| 56 | 4-Br-Ph | H | H | Me | c-Hex | $^1$HNMR (400 MHz, DMSO-$d_6$): δ = 1.18-1.46 (m, 12H), 1.61-1.69 (m, 4H), 3.75-3.82 (m, 2H), 3.95-4.08 (m, 1H), 4.25-4.28 (m, 1H), 4.38 (s, 1H), 4.60-4.62 (m, 1H), 5.56-5.60 (m, 1H), 5.82-5.95 (m, 1H), 6.02-6.20 (m, 2H), 7.09-7.20 (m, 2H), 7.53-7.57 (m, 3H), 11.52 (s, 1H) MS, m/e 650.0 (M + 3)$^+$ |

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| Ex. | $R^1$ | $R^2$ | $R^{3a}$ | $R^{3b}$ | $R^4$ | NMR/MS |
|---|---|---|---|---|---|---|
| 57 | Ph | H | H | Et | i-Pr | $^1$HNMR (400 MHz, DMSO-$d_6$): δ = 0.75-0.82 (m, 3H), 1.12-1.26 (m, 9H), 1.52-1.59 (m, 2H), 3.55-3.68 (m, 1H), 3.72-3.83 (m, 1H), 3.95-4.08 (m, 1H), 4.18-4.28 (m, 1H), 4.32-4.41 (m, 1H), 4.83-4.86 (m, 1H), 5.55 (m, J = 7.6 Hz, 1H), 5.99-6.04 (m, 2H), 6.05-6.10 (m, 1H), 7.14-7.21 (m, 3H), 7.33-7.37 (m, 2H), 7.52-7.54 (m, 1H), 11.53 (s, 1H); MS, m/e 566.07 $(M + 23)^+$ |
| 58 | Ph | H | H | Et | c-Hex | $^1$HNMR (400 MHz, DMSO-$d_6$): δ 0.75-0.88 (m, 3H), 1.26-1.46 (m, 9H), 1.52-1.69 (m, 6H), 3.60-3.63 (m, 1H), 3.72-3.90 (m, 1H), 4.02-4.03 (m, 1H), 4.24-4.27 (m, 1H), 4.37-4.39 (m, 1H), 4.63-4.65 (m, 1H), 5.55 (dd, J = 8.0 Hz, 4.4 Hz, 1H), 5.80-5.95 (m, 1H), 6.00-6.07 (m, 2H), 7.15-7.22 (m, 3H), 7.34-7.38 (m, 2H), 7.54 (d, J = 8.0 Hz, 1H), 11.55 (s, 1H); MS, m/e 584.01 $(M + 1)^+$, 606.17 $(M + 23)^+$ |
| 59 | 4-F-Ph | H | H | Et | c-Hex | $^1$H NMR (DMSO-$d_6$) δ 0.75-0.84 (m, 3H), 1.24 (d, J = 22.8 Hz, 3H), 1.29-1.47 (m, 6H), 1.51-1.70 (m, 6H), 3.59-3.66 (m, 1H), 3.77-3.84 (m, 1H), 3.98-4.04 (m, 1H), 4.21-4.27 (m, 1H), 4.34-4.41 (m, 1H), 4.60-4.65 (m, 1H), 5.56-5.60 (m, 1H), 5.84-5.90 (m, 1H), 6.00-6.08 (m, 2H), 7.20-7.24 (m, 4H), 7.56 (d, J = 8.0 Hz, 1H), 11.49 (s, 1H); MS, m/e 602.00 $(M + 1)^+$ |
| 60 | Ph | H | H | Me | F—$CH_2$—$CH_2$— | $^1$H NMR (DMSO-$d_6$) δ 1.18-1.25 (m, 6H), 3.71-3.89 (m, 2H), 3.92-3.99 (m, 1H), 4.19-4.27 (m, 4H), 4.48-4.61 (m, 2H), 3.94-3.98 (m, 2H), 4.11-4.23 (m, 4H), 5.47-5.52 (m, 1H), 6.01-6.11 (m, 1H), 5.90-6.14 (m, 2H), 7.15-7.21 (m, 3H), 7.32-7.36 (m, 2H), 7.46-7.57 (m, 1H), 11.49 (s, 1H); MS, m/e 533.86 $(M + 1)^+$ |
| 61 | Ph | H | H | Me | $F_2CH$—$CH_2$— | $^1$H NMR (DMSO-$d_6$) δ 1.17-1.24 (m, 6H), 3.67-3.81 (m, 1H), 3.89-3.98 (m, 2H), 4.21-4.36 (m, 4H), 5.48-5.53 (m, 1H), 5.82-6.05 (m, 2H), 6.18-6.22 (m, 2H), 7.15-7.20 (m, 3H), 7.32-7.36 (m, 2H), 7.51 (s, 1H), 11.50 (s, 1H); MS, m/e 551.92 $(M + 1)^+$; |
| 62 | Ph | H | H | Me | $(CF_3)_2$—CH— | $^1$H NMR (DMSO-$d_6$) δ 1.13-1.29 (m, 6H), 3.67-3.81 (m, 1H), 3.94-4.32 (m, 4H), 5.47 (t, J = 8 Hz 1H), 5.82-6.01 (m, 2H), 6.33-6.36 (m, 1H), 6.70-6.78 (m, 1H), 7.09-7.15 (m, 3H), 7.28-7.32 (m, 2H), 7.43-7.46 (m, 1H) 11.44 (s, 1H): MS, m/e 637.90 $(M + 1)^+$ |
| 63 | Ph | H | H | Me | $(CH_2F)_2$—CH— | $^1$H NMR (DMSO-$d_6$) δ 1.20-1.29 (m, 6H), 3.70-3.90 (m, 1H), 3.91-4.12 (m, 2H), 4.20-4.33 (m, 1H), 4.35-4.48 (m, 1H), 4.52-4.55 (m, 2H), 4.63-4.67 (m, 2H), 5.20-5.35 (m, 1H), 5.56 (t, J = 8.4 Hz, 1H), 5.80-5.95 (m, 1H), 5.95-6.10 (m, 1H), 6.18-6.21 (m, 1H), 7.18-7.23 (m, 3H), 7.35-7.39 (m, 2H), 7.54 (s, 1H), 11.55 (s, 1H); MS, m/e 565.98 $(M + 1)^+$ |
| 64 | Ph | H | H | Me | c-Pr-$CH_2$ | $^1$H NMR (DMSO-$d_6$) δ 0.20-0.24 (m, 2H), 0.47-0.48 (m, 2H), 0.76-0.84 (m, 3H), 1.03-1.05 (m, 1H), 1.23 (dd, J = 22.4 6.8 Hz 3H), 1.55-1.60 (m, 2H), 3.61-3.68 (m, 1H), 3.81-3.89 (m, 3H), 3.98-4.03 (m, 1H), 4.23-4.29 (m, 1H), 4.35-4.41 (m, 1H), 5.56-6.00 (m, 1H), 5.88-5.91 (m, 1H), 6.04-6.10 (m, 2H), 7.20-7.24 (m, 4H), 7.55 (d, J = 7.6 Hz 1H), 11.53 (s, 1H); MS, m/e 573.17 $(M + 1)^+$ |
| 65 | Ph | H | H | Et | c-Pen | $^1$H NMR (DMSO-$d_6$) δ 0.75-0.83 (m, 3H), 1.20-1.28 (m, 3H), 1.49-1.63 (m, 8H), 1.76-1.80 (m, 2H), 3.58-3.60 (m, 1H), 3.70-3.82 (m, 1H), 3.98-4.05 (m, 1H), 4.24-4.26 (m, 1H), 4.37-4.42 (m, 1H), 5.03 (s, 1H), 5.54-5.57 (m, 1H), 5.90-6.00 (m, 1H), 6.02-6.07 (m, 2H), 7.15-7.22 (m, 3H), 7.35-7.39 (m, 2H) 7.55 (d, J = 8.0 Hz, 1H), 11.55 (s, 1H); MS, m/e 370.03 $(M + 1)^+$ |

*$R^2$ and $R^{3b}$ together are —$(CH_2)_3$— as derived from L-proline

The Purification Procedure by Prep-HPLC:

Crude products were dissolved in methanol. Injection volumes of these solutions were 5 mL.

The preparative HPLC system including 2 sets of Gilson 306 pumps, a Gilson 156 UV/Vis detector, a Gilson 215 injector & fraction collector, with Unipoint control software. A Ymc 25×30×2 mm column was used. The mobile phase was HPLC grade water (A), and HPLC grade acetonitrile (B). Fractions were collected into 100*15 mm glass tubes.

HPLC gradient is shown in Table 1. Once the gradient was selected, acetonitrile solution was injected into HPLC system, and then fractions collected according to UV peaks. After the separation, each glass tubes were run MS test to collect the desired compounds. The fractions with target MS were combined in a well-weighted flask. Most of acetonitrile was removed under reduce pressure and the remaining solution was freeze-dried to give desired compound.

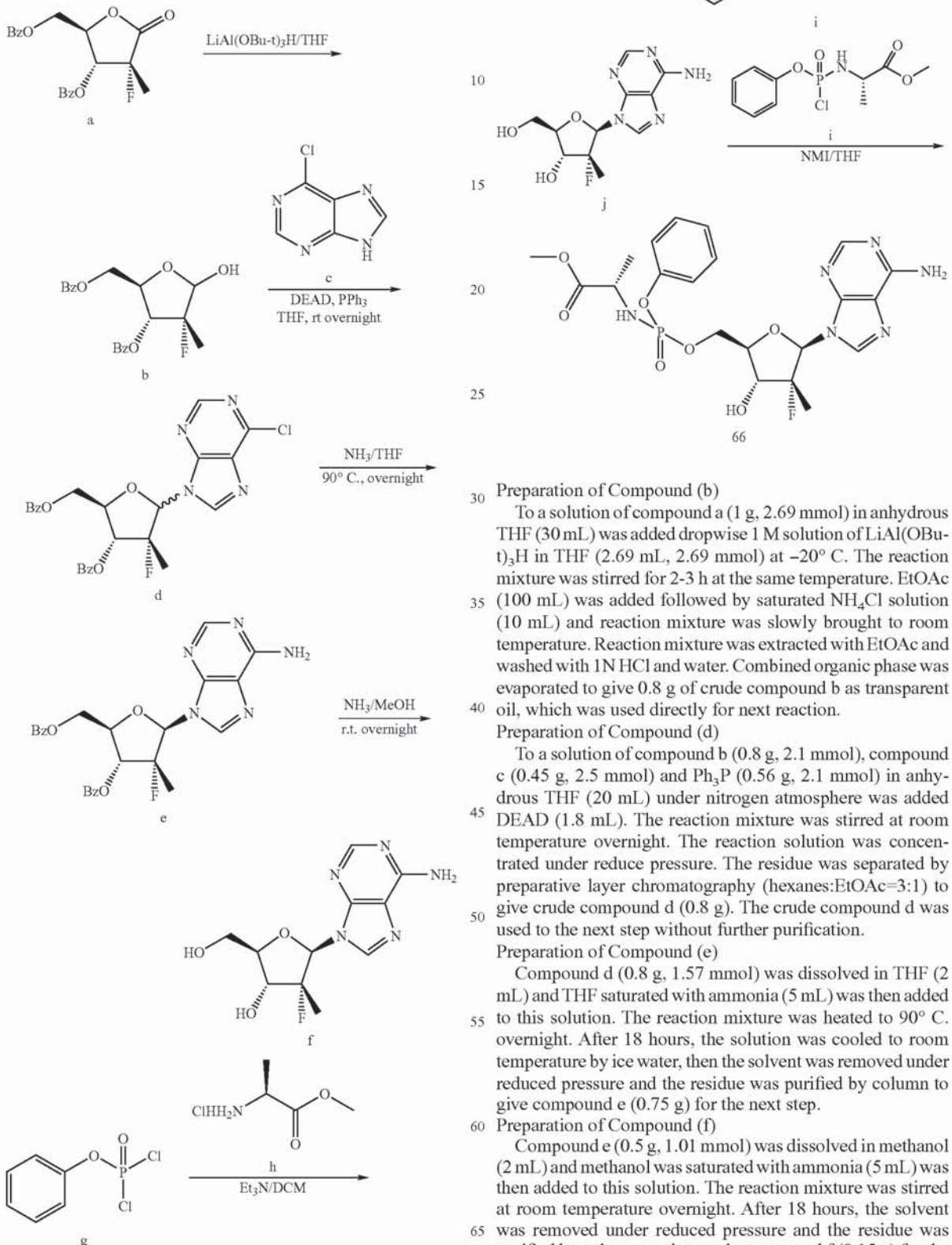
TABLE 1

| Preparative HPLC gradient | | | |
|---|---|---|---|
| Time (min) | Flow rate (mL/min) | % A | % B |
| 0 | 15 | 90 | 10 |
| 30 | 15 | 60 | 40 |

PREPARATION OF EXAMPLE 66

-continued

Scheme




Preparation of Compound (b)

To a solution of compound a (1 g, 2.69 mmol) in anhydrous THF (30 mL) was added dropwise 1 M solution of $LiAl(OBu\text{-}t)_3H$ in THF (2.69 mL, 2.69 mmol) at −20° C. The reaction mixture was stirred for 2-3 h at the same temperature. EtOAc (100 mL) was added followed by saturated $NH_4Cl$ solution (10 mL) and reaction mixture was slowly brought to room temperature. Reaction mixture was extracted with EtOAc and washed with 1N HCl and water. Combined organic phase was evaporated to give 0.8 g of crude compound b as transparent oil, which was used directly for next reaction.

Preparation of Compound (d)

To a solution of compound b (0.8 g, 2.1 mmol), compound c (0.45 g, 2.5 mmol) and $Ph_3P$ (0.56 g, 2.1 mmol) in anhydrous THF (20 mL) under nitrogen atmosphere was added DEAD (1.8 mL). The reaction mixture was stirred at room temperature overnight. The reaction solution was concentrated under reduce pressure. The residue was separated by preparative layer chromatography (hexanes:EtOAc=3:1) to give crude compound d (0.8 g). The crude compound d was used to the next step without further purification.

Preparation of Compound (e)

Compound d (0.8 g, 1.57 mmol) was dissolved in THF (2 mL) and THF saturated with ammonia (5 mL) was then added to this solution. The reaction mixture was heated to 90° C. overnight. After 18 hours, the solution was cooled to room temperature by ice water, then the solvent was removed under reduced pressure and the residue was purified by column to give compound e (0.75 g) for the next step.

Preparation of Compound (f)

Compound e (0.5 g, 1.01 mmol) was dissolved in methanol (2 mL) and methanol was saturated with ammonia (5 mL) was then added to this solution. The reaction mixture was stirred at room temperature overnight. After 18 hours, the solvent was removed under reduced pressure and the residue was purified by column to give crude compound f (0.15 g) for the next step.

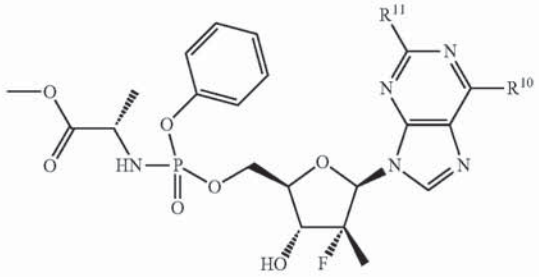
Preparation of Compound (i)

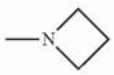
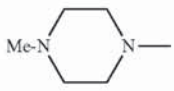
A solution of triethylamine (1,07 g, 10.6 mmol) in anhydrous dichloromethane (15 mL) was added dropwise to a solution of compound g (1.16 g, 5.3 mmol) and compound h (1.31 g, 5.3 mmol) in dichloromethane (10 mL) with vigorous stirring at −78 ° C. over a period of 2 hours. After completion of addition, the reaction temperature was allowed to warm to room temperature gradually and stirred over night. Then the solvent was removed under vacuum and anhydrous ether 20 mL was added and the precipitated salt was filtered and the precipitate was washed with ether. The combined organic phase was concentrated to give the colorless oil of compound i (10 g).

Preparation of Compound 66

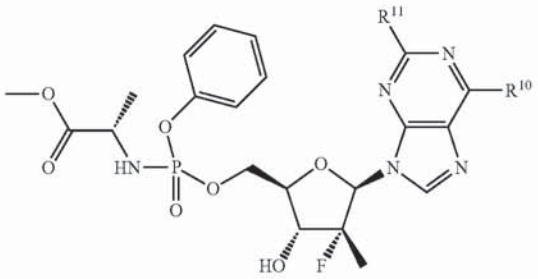
To a solution of compound j (0.1 g, 0.35 mmol) dissolved in 10 mL of anhydrous THF, stirred and added 0.4 g NMI till the solution became clear, added compound i (0.8 g, 2.89 mmol) in 10 mL THF dropwise, stirred at r.t. overnight. Compound purity and identification was confirmed by LCMS. The solvent was evaporated and purified by Prep-HPLC to afford 66. (25 mg, Yield: 13.6%). $^1$H NM(DMSO-$d_6$) δ 1.08 (d, J=22.8 Hz, 3H), 1.17-1.24 (m, 3H), 3.50-3.52 (m, 3H), 3.78-3.83 (m, 1H), 4.10-4.13 (m, 1H), 4.24-4.44 (m, 2H), 5.85-5.92 (m, 1H), 6.01-6.11 (m, 1H), 6.2-6.27 (m, 1H), 7.08-7.19 (m, 4H), 7.31-7.38 (m, 3H), 8.15 (s, 1H), 8.26 (s, 1H); MS, m/e 525 (M+1)$^+$.

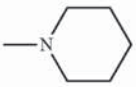
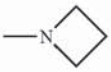
Example numbers 67-74, identified below, were prepared using similar procedures disclosed for Example 66, above.



| Example | $R^{11}$ | $R^{10}$ | NMR/MS |
|---|---|---|---|
| 67 | OH | $NH_2$ | $^1$H NMR (DMSO-$d_6$) δ 1.06-1.13 (m, 3H), 1.20-1.24 (m, 3H), 3.27-3.33 (m, 3H), 3.56 (s, 1H), 3.82-3.88 (m, 1H), 4.07-4.13 (m, 1H), 4.25-4.40 (m, 2H), 5.85-5.87 (m, 1H), 5.98-6.09 (m, 2H), 6.59 (s, 32H), 7.14-7.37 (m, 3H), 7.35-7.37 (m, 2H), 7.79 (d, J = 7.2 Hz, 1H), 10.69 (s, 1H); MS, m/e 541 (M + 1)$^+$; |
| 68 | $NH_2$ | $NH_2$ | $^1$H NMR (DMSO-$d_6$) δ 1.07 (d, J = 22.8 Hz, 3H), 1.19 (d, J = 7.2 Hz, 3H), 3.51 (s, 3H), 3.62 (s, 1H), 3.75-3.81 (m, 1H), 4.05-4.11 (m, 1H), 4.27-4.42 (m, 2H), 5.79-5.83 (m, 1H), 5.92 (s, 2H), 6.00-6.09 (m, 2H), 6.75 (s, 2H), 7.08-7.17 (m, 3H), 7.31-7.35 (m, 2H), 7.78 (s, 1H); MS, m/e 540 (M + 1)$^+$; |
| 69 | $NH_2$ | c-Pentyl-NH— | $^1$H NMR (DMSO-$d_6$) δ 1.05 (d, J = 22.8 Hz, 3H), 1.09-1.19 (m, 3H), 1.48 (s, 4H), 1.66 (s, 1H), 1.86 (s, 1H), 3.54 (d, J = 14 Hz, 3H), 3.65 (s, 1H), 4.25-4.43 (m, 4H), 5.71-5.82 (m, 1H), 5.94-6.04 (m, 4H), 7.11-7.24 (m, 3H), 7.26-7.34 (m, 2H), 7.77 (d, J = 3.6 Hz, 1H); MS, m/e 608 (M + 1)$^+$ |
| 70 | $NH_2$ |  | $^1$H NMR (DMSO-$d_6$) δ 1.07 (d, J = 22.4 Hz, 3H), 2.35-2.38 (m, 2H), 3.54 (d, J = 9.2 Hz, 3H), 3.59-3.62 (m, 2H), 3.65 (s, 1H), 3.75-3.82 (m, 1H), 4.01-4.13 (m, 2H), 4.22-4.40 (m, 6H), 5.75-5.85 (m, 1H), 6.00-6.07 (m, 4H), 7.15-7.21 (m, 3H), 7.32-7.35 (m, 2H), 7.79 (d, J = 4.0 Hz, 1H); MS, m/e 580 (M + 1)$^+$ |
| 71 | $NH_2$ | $Et_2N$— | $^1$H NMR (DMSO-$d_6$) δ 1.06-1.28 (m, 12H), 3.55 (d, J = 4.8 Hz, 3H), 3.79-3.87 (m, 4H), 4.07-4.12 (m, 2H), 4.29-4.42 (m, 3H), 5.75-5.82 (m, 1H), 5.94 (s, 2H), 6.04-6.10 (m, 2H), 7.14-7.22 (m, 3H), 7.31-7.37 (m, 2H), 7.82 (d, J = 4.4 Hz, 1H); MS, m/e 596 (M + 1)$^+$ |
| 72 | $NH_2$ | n-Propyl-NH— | $^1$H NMR (DMSO-$d_6$) δ 0.84 (t, J = 7.2 Hz, 3H), 1.01-1.01 (m, 3H), 1.09-1.12 (m, 3H), 1.51-1.56 (m, 2H), 3.48 (d, J = 15.2 Hz, 3H), 3.79-3.82 (m, 1H), 4.04-4.05 (m, 1H), 4.27-4.38 (m, 3H), 5.72-5.79 (m, 1H), 5.98-6.04 (m, 4H), 7.13-7.20 (m, 3H), 7.26-7.32 (m, 2H), 7.76 (d, J = 5.2 Hz, 1H); MS, m/e 582 (M + 1)$^+$ |
| 73 | $NH_2$ | c-Butyl-NH— | $^1$H NMR (DMSO-$d_6$) δ 1.02-1.08 (m, 3H), 1.18 (d, J = 4.8 Hz, 3H), 1.44-1.61 (m, 2H), 2.02-2.17 (m, 4H), 3.51 (d, J = 10.8 Hz, 3H), 3.78-3.83 (m, 1H), 4.03-4.06 (m, 1H), 4.27-4.38 (m, 2H), 4.53-4.62 (m, 1H), 5.68-5.79 (m, 1H), 5.95-6.04 (m, 4H), 7.11-7.18 (m, 3H), 7.29-7.35 (m, 2H), 7.51-7.58 (m, 1H), 7.78 (d, J = 5.2 Hz, 1H); MS, m/e 594 (M + 1)$^+$ |
| 74 | $NH_2$ |  | $^1$H NMR (DMSO-$d_6$) δ 0.97-1.20 (m, 6H), 2.18 (s, 3H), 2.19 (s, 4H), 3.43-3.47 (m, 3H), 3.75 (s, 1H), 4.01-4.06 (m, 4H), 4.22-4.35 (m, 3H), 5.69-5.75 (m, 1H), 5.98-6.05 (m, 3H), 7.09-7.15 (m, 3H), 7.25-7.29 (m, 2H), 7.77 (d, J = 3.6 Hz, 1H); MS, m/e 623 (M + 1)$^+$ |

Example numbers 75-80 are prepared using similar procedures disclosed for Example 66, above.



| Example | $R^{11}$ | $R^{10}$ |
|---|---|---|
| 75 | H | n-propyl-NH— |
| 76 | H | c-Butyl-NH— |
| 77 | H | c-Pentyl-NH— |
| 78 | H |  |
| 79 | H |  |
| 80 | H |  |

## EXAMPLE 81

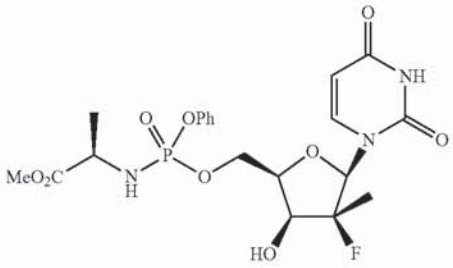
Certain exemplified compounds were obtained as mixture of diastereomers because of the chirality at phosphorous. The diastereomers were separated on a Chiralpak-AS-H (2×25 cm) column under Supercritical Fluid Chromatography (SFC) conditions using 20% methanol in carbon dioxide as solvent. The absolute stereochemistry of the P-chiral center of the diastereromers were not determined. However, chromatographic resolution of these two diastereomers provides for isomers that are characterized as fast eluting and slow eluting isomers. Some examples are shown below.

| Compound | EC90 (uM) |
|---|---|
| Example 15 (Diastereomeric mixture) | 0.86 |
| Fast Moving isomer of Example 15 | 1.35 |
| Slow Moving isomer of Example 15 | 0.26 |
| Example 39 (Diastereomeric mixture) | 0.47 |
| Fast Moving isomer of Example 39 | 0.78 |
| Slow Moving isomer of Example 39 | 0.02 |
| Example 49 (Diastereomeric mixture) | 0.126 |
| Fast Moving isomer of Example 49 | 0.03 |
| Slow Moving isomer of Example 49 | 5.78 |

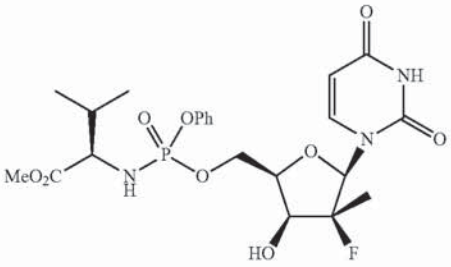
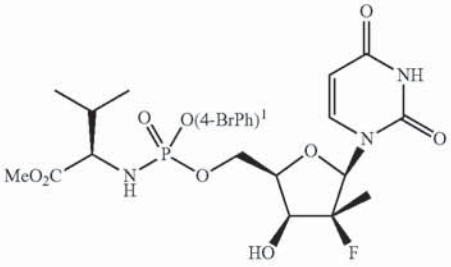
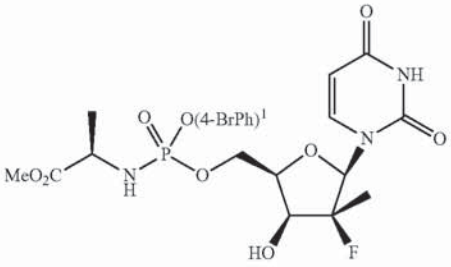
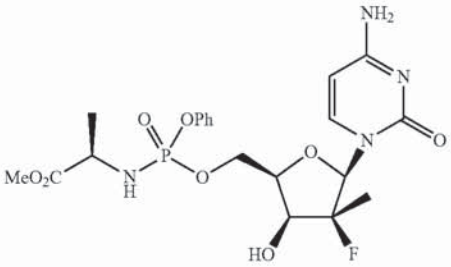
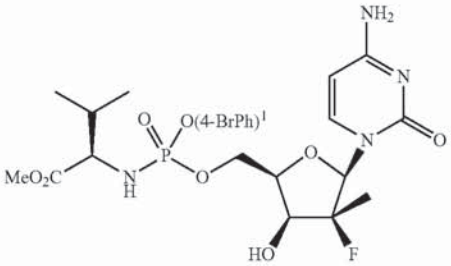
## EXAMPLE 82

HCV replicon assay. HCV replicon RNA-containing Huh7 cells (clone A cells; Apath, LLC, St. Louis, Mo.) were kept at exponential growth in Dulbecco's modified Eagle's medium (high glucose) containing 10% fetal bovine serum, 4 mM L-glutamine and 1 mM sodium pyruvate, 1×nonessential amino acids, and G418 (1,000 μg/ml). Antiviral assays were performed in the same medium without G418. Cells were seeded in a 96-well plate at 1,500 cells per well, and test compounds were added immediately after seeding. Incubation time 4 days. At the end of the incubation step, total cellular RNA was isolated (RNeasy 96 kit; Qiagen). Replicon RNA and an internal control (TaqMan rRNA control reagents; Applied Biosystems) were amplified in a single-step multiplex RT-PCR protocol as recommended by the manufacturer. The HCV primers and probe were designed with Primer Express software (Applied Biosystems) and covered highly conserved 5'-untranslated region (UTR) sequences (sense, 5'-AGCCATGGCGTTAGTA(T) GAGTGT-3', and antisense, 5'-TTCCGCAGACCAC-TATGG-3'-probe, 5'-FAM-CCTCCAGGAC-CCCCCCTCCC-TAMRA-3').

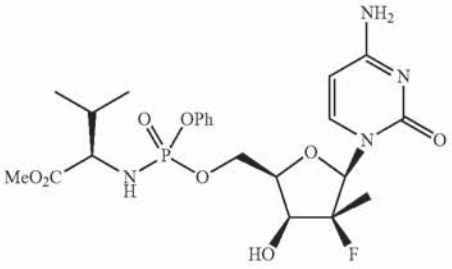
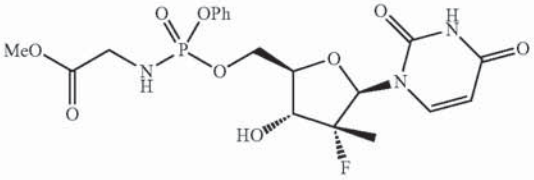
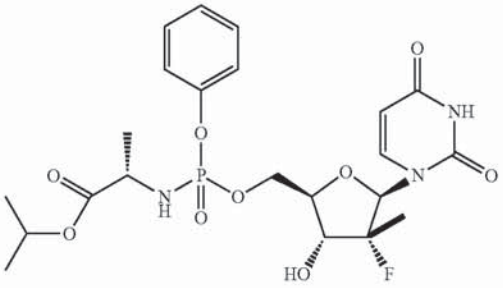
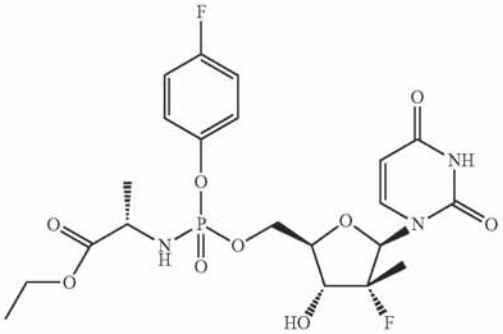
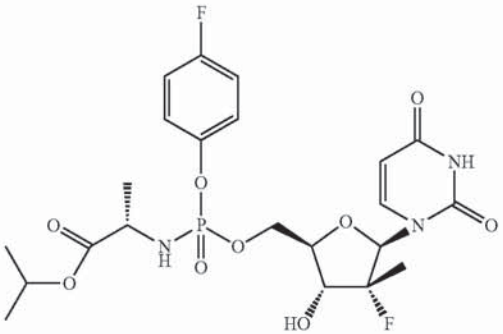
To express the antiviral effectiveness of a compound, the threshold RT-PCR cycle of the test compound was subtracted from the average threshold RT-PCR cycle of the no-drug control ($\Delta Ct_{HCV}$). A ΔCt of 3.3 equals a 1-log 10 reduction (equal to the 90% effective concentration [$EC_{90}$]) in replicon RNA levels. The cytotoxicity of the test compound could also be expressed by calculating the $\Delta Ct_{rRNA}$ values. The ΔΔCt specificity parameter could then be introduced ($\Delta Ct_{HCV}$-$\Delta Ct_{rRNA}$), in which the levels of HCV RNA are normalized for the rRNA levels and calibrated against the no-drug control.

| Ex # | Compound | Log10 Reduction at 50 μM | EC90 (μM) |
|---|---|---|---|
| 5 |  | −1.21 | 3.0 |

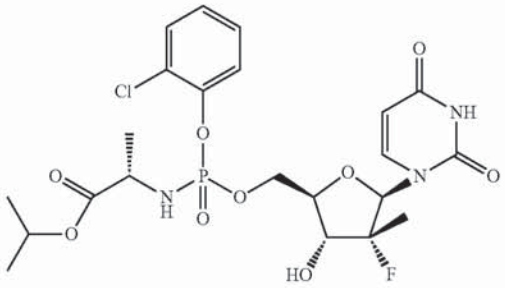
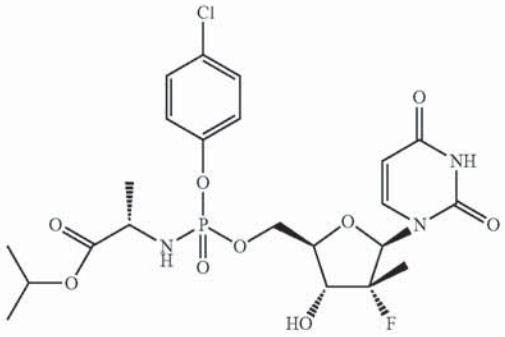
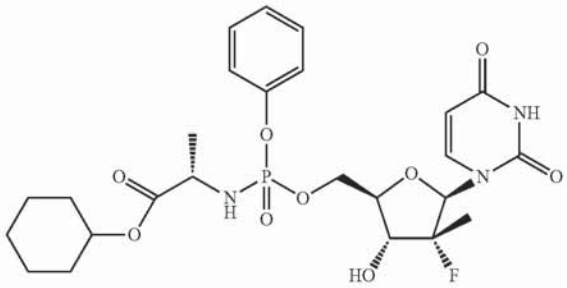
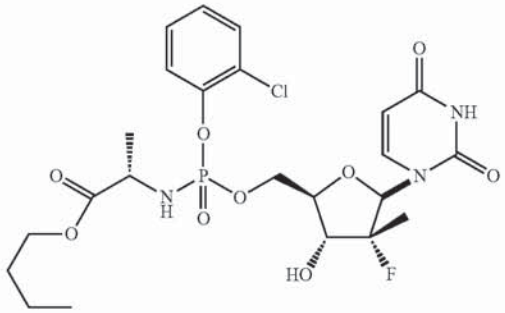
-continued

| Ex # | Compound | Log10 Reduction at 50 μM | EC90 (μM) |
|---|---|---|---|
| 6 |  | −0.45 | ND |
| 7 |  | 0.31 | ND |
| 8 |  | −1.48 | 2.11 |
| 10 |  | −1.25 | 19.15 |
| 11 |  | −0.55 | ND |

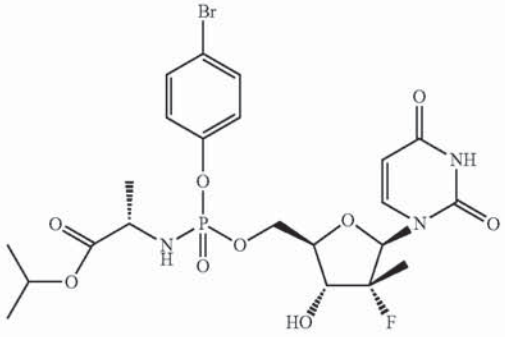
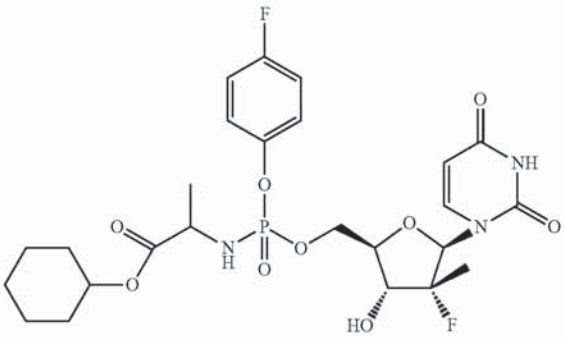
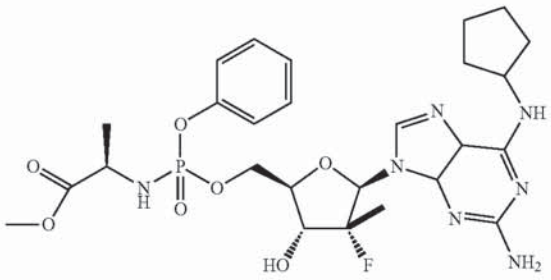
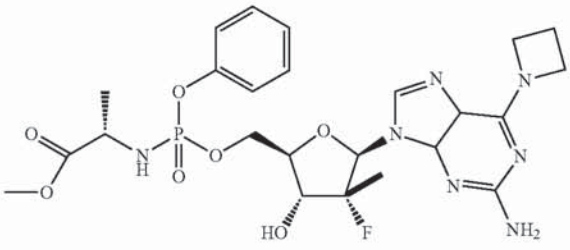
-continued

| Ex # | Compound | Log10 Reduction at 50 μM | EC90 (μM) |
|---|---|---|---|
| 12 |  | 0.31 | ND |
| 15 |  | ND | 0.86 |
| 25 |  | −2.22 | 0.39 |
| 27 |  | −2.25 | 0.66 |
| 28 |  | −2.16 | 0.75 |

-continued

| Ex # | Compound | Log10 Reduction at 50 μM | EC90 (μM) |
|---|---|---|---|
| 36 |  | −1.64 | 21.9 |
| 39 |  | −1.78 | 0.47 |
| 49 |  | −2.69 | 0.126 |
| 53 |  | −1.33 | <0.3 |

-continued

| Ex # | Compound | Log10 Reduction at 50 μM | EC90 (μM) |
|---|---|---|---|
| 54 |  | −1.55 | 0.57 |
| 55 |  | −2.38 | <0.3 |
| 69 |  | −2.25 | <0.3 |
| 70 |  | −2.25 | <0.3 |

[1](4-BrPh): 4-bromo-phenyl.

The entire contents of U.S. Provisional Application Nos. 60/909,3 15, filed Mar. 30, 2007, and 60/982,309, filed Oct. 24, 2007, are hereby incorporated by reference in the present application so far as needed to supplement the present disclosure and/or rectify any errors. Moreover, the patent and non-patent references disclosed herein are incorporated by reference. In the event that the incorporated patent and non-patent reference contains a tern that conflicts with a term disclosed in either one of the two Provisional Applications or the present application text, the meaning of the term contained in the present application text and the two Provisional Applications controls provided that the overall meaning of the incorporated subject matter is not lost.

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<400> SEQUENCE: 2

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<400> SEQUENCE: 3

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We claim:

**1**. (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester or a stereoisomer thereof.

**2**. A composition comprising the compound or a stereoisomer thereof as claimed in claim **1** and a pharmaceutically acceptable medium.

**3**. A composition for treating a hepatitis C virus, which comprises an effective amount of the compound or a stereoisomer thereof as claimed in claim **1** and a pharmaceutically acceptable medium.

**4**. A method of treating a subject infected by a virus, which comprises:

administering to the subject an effective amount of the compound or a stereoisomer thereof as claimed in claim **1**;

wherein the virus is selected from among hepatitis C virus, West Nile virus, a yellow fever virus, a dengue virus, a rhinovirus, a polio virus, a hepatitis A virus, a bovine viral diarrhea virus, and a Japanese encephalitis virus.

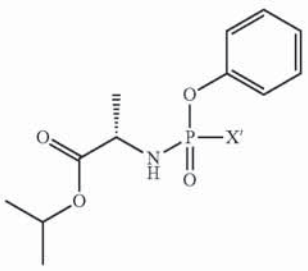
**5**. A method of treating a hepatitis C virus infection in a subject in need thereof, which comprises:

administering to the subject an effective amount of the compound or a stereoisomer thereof as claimed in claim **1**.

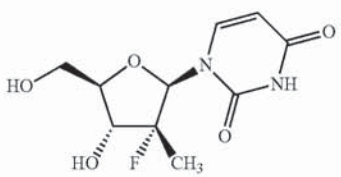
**6**. A process for preparing the compound or a stereoisomer thereof as claimed in claim **1**, said process comprising:

reacting a compound 4" with a nucleoside analog 5'

4″



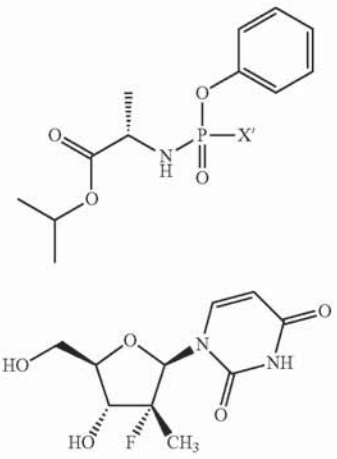
5′



wherein X' is a leaving group.

7. A product comprising the compound or a stereoisomer thereof as claimed in claim **1** obtained by a process comprising:

reacting a compound 4" with a nucleoside analog 5'



wherein X' is a leaving group.

**8**. (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate.

**9**. A composition comprising the compound as claimed in claim **8** and a pharmaceutically acceptable medium.

**10**. A composition for treating a hepatitis C virus, which comprises an effective amount of the compound as claimed in claim **8** and a pharmaceutically acceptable medium.

**11**. A method of treating a subject infected by a virus, which comprises:

administering to the subject an effective amount of the compound as claimed in claim **8**;

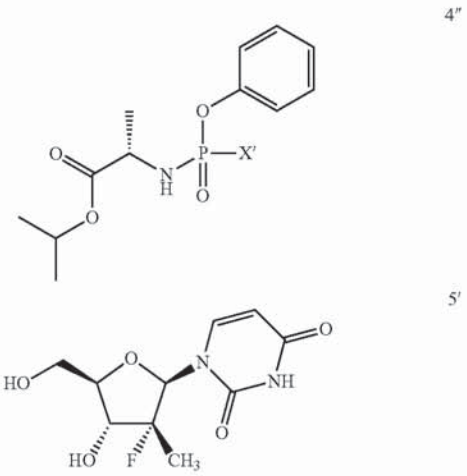
wherein the virus is selected from among hepatitis C virus, West Nile virus, a yellow fever virus, a dengue virus, a rhinovirus, a polio virus, a hepatitis A virus, a bovine viral diarrhea virus, and a Japanese encephalitis virus.

**12**. A method of treating a hepatitis C virus infection in a subject in need thereof, which comprises:

administering to the subject an effective amount of the compound as claimed in claim **8**.

**13**. A process for preparing the compound as claimed in claim **8**, said process comprising:

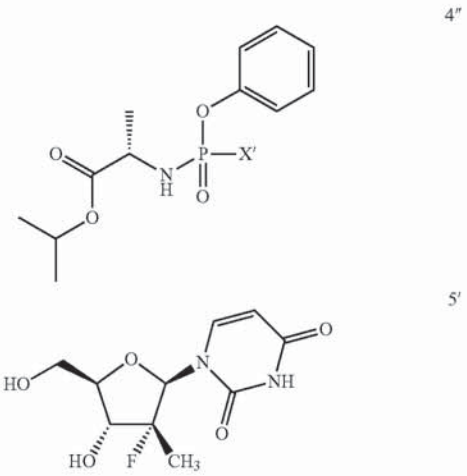
reacting a compound 4" with a nucleoside analog 5'



wherein X' is a leaving group.

**14**. A product comprising the compound as claimed in claim **8** obtained by a process comprising:

reacting a compound 4" with a nucleoside analog 5'



wherein X' is a leaving group.

* * * * *