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UTILITY PATENT APPLICATION TRANSMITTAL <small>(Only for new nonprovisional applications under 37 CFR 1.53(b))</small>	Attorney Docket No. PH3080.PC4C2	
	First Named Inventor Jinfa Du	
	Title	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

APPLICATION ELEMENTS <small>See MPEP chapter 600 concerning utility patent application contents.</small> <div style="margin-top: 10px;"><div>1. ☐ Fee Transmittal Form <small>(PTO/SB/17 or equivalent)</small></div><div>2. ☐ Applicant asserts small entity status. <small>See 37 CFR 1.27</small></div><div>3. ☐ Applicant certifies micro entity status. See 37 CFR 1.29. <small>Applicant must attach form PTO/SB/15A or B or equivalent.</small></div><div>4. ☒ Specification [Total Pages <u>736</u>] <small>Both the claims and abstract must start on a new page. (See MPEP § 608.01(a) for information on the preferred arrangement)</small></div><div>5. ☐ Drawing(s) (35 U.S.C. 113) [Total Sheets <u>4</u>]</div><div>6. Inventor's Oath or Declaration [Total Pages <u>4</u>] <small>(including substitute statements under 37 CFR 1.64 and assignments serving as an oath or declaration under 37 CFR 1.63(e))</small><div style="margin-top: 5px;">a. ☐ Newly executed (original or copy)</div><div style="margin-top: 5px;">b. ☒ A copy from a prior application (37 CFR 1.63(d))</div></div><div>7. ☒ Application Data Sheet * See note below. <small>See 37 CFR 1.76 (PTO/AIA/14 or equivalent)</small></div><div>8. CD-ROM or CD-R <small>in duplicate, large table, or Computer Program (Appendix)</small><div style="margin-top: 5px;">☐ Landscape Table on CD</div></div><div>9. Nucleotide and/or Amino Acid Sequence Submission <small>(if applicable, items a. – c. are required)</small><div style="margin-top: 5px;">a. ☐ Computer Readable Form (CRF)</div><div style="margin-top: 5px;">b. ☐ Specification Sequence Listing on:<div style="margin-left: 20px;">i. ☐ CD-ROM or CD-R (2 copies); or</div><div style="margin-left: 20px;">ii. ☐ Paper</div></div><div style="margin-top: 5px;">c. ☐ Statements verifying identity of above copies</div></div></div>	ADDRESS TO: Commissioner for Patents P.O. Box 1450 Alexandria, VA 22313-1450 ACCOMPANYING APPLICATION PAPERS <div style="margin-top: 10px;">10. ☐ Assignment Papers <small>(cover sheet & document(s))</small><div style="margin-top: 5px;">Name of Assignee <div style="border: 1px solid black; height: 30px; width: 100%;"></div></div></div> <div style="margin-top: 10px;">11. ☐ 37 CFR 3.73(c) Statement ☐ Power of Attorney <small>(when there is an assignee)</small></div> <div style="margin-top: 5px;">12. ☐ English Translation Document (if applicable)</div> <div style="margin-top: 5px;">13. ☐ Information Disclosure Statement <small>(PTO/SB/08 or PTO-1449)</small><div style="margin-left: 20px;">☐ Copies of citations attached</div></div> <div style="margin-top: 5px;">14. ☐ Preliminary Amendment</div> <div style="margin-top: 5px;">15. ☐ Return Receipt Postcard <small>(MPEP § 503) (Should be specifically itemized)</small></div> <div style="margin-top: 5px;">16. ☐ Certified Copy of Priority Document(s) <small>(if foreign priority is claimed)</small></div> <div style="margin-top: 5px;">17. ☐ Nonpublication Request <small>Under 35 U.S.C. 122(b)(2)(B)(i). Applicant must attach form PTO/SB/35 or equivalent.</small></div> <div style="margin-top: 5px;">18. ☐ Other: <div style="border: 1px solid black; height: 40px; width: 100%;"></div></div>
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***Note:** (1) Benefit claims under 37 CFR 1.78 and foreign priority claims under 1.55 **must** be included in an Application Data Sheet (ADS).
(2) For applications filed under 35 U.S.C. 111, the application must contain an ADS specifying the applicant if the applicant is an assignee, person to whom the inventor is under an obligation to assign, or person who otherwise shows sufficient proprietary interest in the matter. See 37 CFR 1.46(b).

19. CORRESPONDENCE ADDRESS					
☒ The address associated with Customer Number: <u>124963</u> OR ☐ Correspondence address below					
Name					
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City		State		Zip Code	
Country		Telephone		Email	
Signature			Date		
/Lawrence F. Rozsnyai/			January 20, 2017		
Name (Print/Type)			Registration No. (Attorney/Agent)		
Lawrence F. Rozsnyai			58,427		

I hereby certify that this paper (along with any paper referred to as being attached or enclosed) is being transmitted via the Office electronic filing system in accordance with 37 CFR § 1.6(a)(4).

Dated: January 20, 2017

Signature: /Susan Ahearn/ (Susan Ahearn)

697050.1

Application Data Sheet

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Application Information

Application Type::	Regular
Subject Matter::	Utility
CD-ROM or CD-R?::	None
Sequence submission?::	None
Computer Readable Form (CRF)?::	No
Title::	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
Attorney Docket Number::	PH3080.PC4C2
Request for Early Publication?::	No
Request for Non-Publication?::	No
Small Entity?::	No
Petition included?::	No
Portions or all of the application associated with this Application Data Sheet may fall under a Secrecy	No

Order pursuant to 37 CFR 5.2::

This application (1) claims priority to or the benefit of No
an application filed before March 16, 2013 and (2)
also contains, or contained at any time, a claim to a
claimed invention that has an effective filing date on
or after March 16, 2013::

Representative Information

Representative Customer Number:: 124963

Domestic Priority Information

Application::	Continuity Type::	Parent Application::	Parent Filing Date::	Prior Appl Status::
This Application	Continuation of	14/656,546	03/12/15	Allowed
14/656,546	Continuation of	14/013,237 Now US 9,085,573	08/29/13	Patented
14/013,237	Continuation of	13/609,614 Now US 8,580,765	09/11/12	Patented
13/609,614	Continuation of	12/053,015 Now US 7,964,580	03/21/08	Patented
12/053,015	An application claiming the benefit under 35 USC 119(e)	60/982,309	10/24/07	Expired
12/053,015	An application claiming the benefit under 35 USC 119(e)	60/909,315	03/30/07	Expired

Foreign Priority Information

Applicant Information

Applicant Number::	1
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Assignee Information Including Non-Applicant Assignee Information

Authorization or Opt-Out of Authorization to Permit Access

When this Application Data Sheet is properly signed and filed with the application, applicant has provided written authority to permit a participating foreign intellectual property (IP) office access to the instant application-as-filed (see paragraph A in subsection 1 below) and the European Patent Office (EPO) access to any search results from the instant application (see paragraph B in subsection 1 below).

Should applicant choose not to provide an authorization identified in subsection 1 below, applicant **must opt-out** of the authorization by checking the corresponding box A or B or both in subsection 2 below.

NOTE: This section of the Application Data Sheet is **ONLY** reviewed and processed with the **INITIAL** filing of an application. After the initial filing of an application, an Application Data Sheet cannot be used to provide or rescind authorization for access by a foreign IP office(s). Instead, Form PTO/SB/39 or PTO/SB/69 must be used as appropriate.

1. Authorization to Permit Access by a Foreign Intellectual Property Office(s)

A. Priority Document Exchange (PDX) - Unless box A in subsection 2 (opt-out of authorization) is checked, the undersigned hereby **grants the USPTO authority** to provide the European Patent Office (EPO), the Japan Patent Office (JPO), the Korean Intellectual Property Office (KIPO), the State Intellectual Property Office of the People's Republic of China (SIPO), the World Intellectual Property Organization (WIPO), and any other foreign intellectual property office participating with the USPTO in a bilateral or multilateral priority document exchange agreement in which a foreign application claiming priority to the instant patent application is filed, access to: (1) the instant patent application-as-filed and its related bibliographic data, (2) any foreign or domestic application to which priority or benefit is claimed by the instant application and its related bibliographic data, and (3) the date of filing of this Authorization. See 37 CFR 1.14(h)(1).

B. Search Results from U.S. Application to EPO - Unless box B in subsection 2 (opt-out of authorization) is checked, the undersigned hereby **grants the USPTO authority** to provide the EPO access to the bibliographic data and search results from the instant patent application when a European patent application claiming priority to the instant patent application is filed. See 37 CFR 1.14(h)(2).

The applicant is reminded that the EPO's Rule 141(1) EPC (European Patent Convention) requires applicants to submit a copy of search results from the instant application without delay in a European patent application that claims priority to the instant application.

2. Opt-Out of Authorizations to Permit Access by a Foreign Intellectual Property Office(s)

☐ A. Applicant **DOES NOT** authorize the USPTO to permit a participating foreign IP office access to the instant application-as-filed. If this box is checked, the USPTO will not be providing a participating foreign IP office with any documents and information identified in subsection 1A above.

☐ B. Applicant **DOES NOT** authorize the USPTO to transmit to the EPO any search results from the instant patent application. If this box is checked, the USPTO will not be providing the EPO with search results from the instant application.

NOTE: Once the application has published or is otherwise publicly available, the USPTO may provide access to the application in accordance with 37 CFR 1.14.

Signature:

NOTE: This Application Data Sheet must be signed in accordance with 37 CFR 1.33(b). **However, if this Application Data Sheet is submitted with the INITIAL filing of the application and either box A or B is not checked in subsection 2 of the "Authorization or Opt-Out of Authorization to Permit Access" section, then this form must also be signed in accordance with 37 CFR 1.14(c).**

This Application Data Sheet **must** be signed by a patent practitioner if one or more of the applicants is a **juristic entity** (e.g., corporation or association). If the applicant is two or more joint inventors, this form must be signed by a patent practitioner, **all** joint inventors who are the applicant, or one or more joint inventor-applicants who have been given power of attorney (e.g., see USPTO Form PTO/AIA/81) on behalf of **all** joint inventor-applicants.

See 37 CFR 1.4(d) for the manner of making signatures and certifications.

Signature	/Lawrence F. Rozsnyai/	Date (YYYY-MM-DD)	2017-01-20
Name	Lawrence F. Rozsnyai	Registration Number	58,427

**DECLARATION (37 CFR 1.63) FOR UTILITY OR DESIGN APPLICATION USING AN
APPLICATION DATA SHEET (37 CFR 1.76)**

**Title of
Invention**

NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

As the below named inventor, I hereby declare that:

This declaration ☐ The attached application, or
is directed to:

☒ United States application or PCT international application number 14/013,237
filed on August 29, 2013.

The above-identified application was made or authorized to be made by me.

I believe that I am the original inventor or an original joint inventor of a claimed invention in the application.

I hereby acknowledge that any willful false statement made in this declaration is punishable under 18 U.S.C. 1001 by fine or imprisonment of not more than five (5) years, or both.

WARNING:

Petitioner/applicant is cautioned to avoid submitting personal information in documents filed in a patent application that may contribute to identity theft. Personal information such as social security numbers, bank account numbers, or credit card numbers (other than a check or credit card authorization form PTO-2038 submitted for payment purposes) is never required by the USPTO to support a petition or an application. If this type of personal information is included in documents submitted to the USPTO, petitioners/applicants should consider redacting such personal information from the documents before submitting them to the USPTO. Petitioner/applicant is advised that the record of a patent application is available to the public after publication of the application (unless a non-publication request in compliance with 37 CFR 1.213(a) is made in the application) or issuance of a patent. Furthermore, the record from an abandoned application may also be available to the public if the application is referenced in a published application or an issued patent (see 37 CFR 1.14). Checks and credit card authorization forms PTO-2038 submitted for payment purposes are not retained in the application file and therefore are not publicly available.

LEGAL NAME OF INVENTOR

Inventor: Peiyuan Wang Date (Optional): 1/16/2014

Signature: 

Note: An application data sheet (PTO/SB/14 or equivalent), including naming the entire inventive entity, must accompany this form or must have been previously filed. Use an additional PTO/AIA/01 form for each additional inventor.

**DECLARATION (37 CFR 1.63) FOR UTILITY OR DESIGN APPLICATION USING AN
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LEGAL NAME OF INVENTOR

Inventor: Jinfa Du Date (Optional): _____

Signature:  1/06/2014

Note: An application data sheet (PTO/SB/14 or equivalent), including naming the entire inventive entity, must accompany this form or must have been previously filed. Use an additional PTO/AIA/01 form for each additional inventor.

DECLARATION (37 CFR 1.63) FOR UTILITY OR DESIGN APPLICATION USING AN APPLICATION DATA SHEET (37 CFR 1.76)	
Title of Invention	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
As the below named inventor, I hereby declare that:	
This declaration ☐ The attached application, or is directed to:	
☒ United States application or PCT international application number <u>14/013,237</u> filed on <u>August 29, 2013</u>	
The above-identified application was made or authorized to be made by me.	
I believe that I am the original inventor or an original joint inventor of a claimed invention in the application.	
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WARNING:	
Petitioner/applicant is cautioned to avoid submitting personal information in documents filed in a patent application that may contribute to identity theft. Personal information such as social security numbers, bank account numbers, or credit card numbers (other than a check or credit card authorization form PTO-2038 submitted for payment purposes) is never required by the USPTO to support a petition or an application. If this type of personal information is included in documents submitted to the USPTO, petitioners/applicants should consider redacting such personal information from the documents before submitting them to the USPTO. Petitioner/applicant is advised that the record of a patent application is available to the public after publication of the application (unless a non-publication request in compliance with 37 CFR 1.213(a) is made in the application) or issuance of a patent. Furthermore, the record from an abandoned application may also be available to the public if the application is referenced in a published application or an issued patent (see 37 CFR 1.14). Checks and credit card authorization forms PTO-2038 submitted for payment purposes are not retained in the application file and therefore are not publicly available.	
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Date (Optional):	<u>12/16/13</u>
Signature:	<u>Michael Joseph Sofia</u>
Note: An application data sheet (PTO/SB/14 or equivalent), including naming the entire inventive entity, must accompany this form or must have been previously filed. Use an additional PTO/AIA/01 form for each additional inventor.	

**DECLARATION (37 CFR 1.63) FOR UTILITY OR DESIGN APPLICATION USING AN
APPLICATION DATA SHEET (37 CFR 1.76)****Title of
Invention**

NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

As the below named inventor, I hereby declare that:

This declaration ☐ The attached application, or
is directed to:☒ United States application or PCT international application number 14/013,237
filed on August 29, 2013

The above-identified application was made or authorized to be made by me.

I believe that I am the original inventor or an original joint inventor of a claimed invention in the application.

I hereby acknowledge that any willful false statement made in this declaration is punishable under 18 U.S.C. 1001
by fine or imprisonment of not more than five (5) years, or both.**WARNING:**

Petitioner/applicant is cautioned to avoid submitting personal information in documents filed in a patent application that may contribute to identity theft. Personal information such as social security numbers, bank account numbers, or credit card numbers (other than a check or credit card authorization form PTO-2038 submitted for payment purposes) is never required by the USPTO to support a petition or an application. If this type of personal information is included in documents submitted to the USPTO, petitioners/applicants should consider redacting such personal information from the documents before submitting them to the USPTO. Petitioner/applicant is advised that the record of a patent application is available to the public after publication of the application (unless a non-publication request in compliance with 37 CFR 1.213(a) is made in the application) or issuance of a patent. Furthermore, the record from an abandoned application may also be available to the public if the application is referenced in a published application or an issued patent (see 37 CFR 1.14). Checks and credit card authorization forms PTO-2038 submitted for payment purposes are not retained in the application file and therefore are not publicly available.

LEGAL NAME OF INVENTORInventor: Dhanapalan Nagarathnam Date (Optional): _____Signature:  _____

Note: An application data sheet (PTO/SB/14 or equivalent), including naming the entire inventive entity, must accompany this form or must have been previously filed. Use an additional PTO/AIA/01 form for each additional inventor.

NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Field of Invention

The present invention pertains to nucleoside phosphoramidates and their use as
5 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.

10 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.
15 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 virus, 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
20 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.

5 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
10 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,
15 *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
20 features.

Flaviviridae Viruses

The Flaviviridae family of viruses comprises at least three distinct genera: *pestiviruses*, which cause disease in cattle and pigs; *flaviviruses*, 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

5 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

10 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, 641-643).

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

15 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

20 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
5 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
10 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
15 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.

20 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., *Crit. Rev. Biochem. Molec. Biol.* 1993, 28, 375-430).

- 5 The actual roles and functions of the NS proteins of pestiviruses and hepaciviruses in the lifecycle of the viruses are 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, 399-406; 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; Warrenner 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).
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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, 1269-1280; 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.

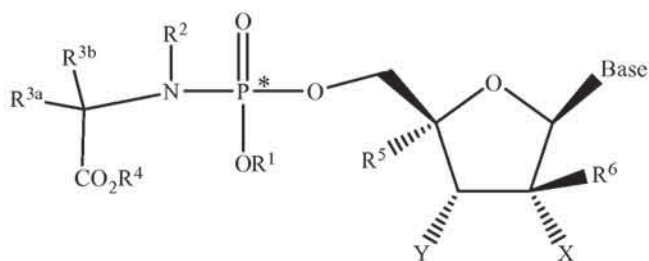
Nucleoside inhibitors of NS5B polymerase can act either as a non-natural substrate that results in chain termination or as a competitive inhibitor which competes with nucleotide binding to the polymerase. To function as a chain terminator the nucleoside analog must be taken up by the cell and converted *in vivo* to a triphosphate to
5 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.

10 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
15 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*
20 *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., *Antimicrobial 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
5 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
10 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
15 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:



20 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, $-(CH_2)_eCOR^{3''}$, 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 $(CH_2)_f$ 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, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3'} is –OR' or –N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

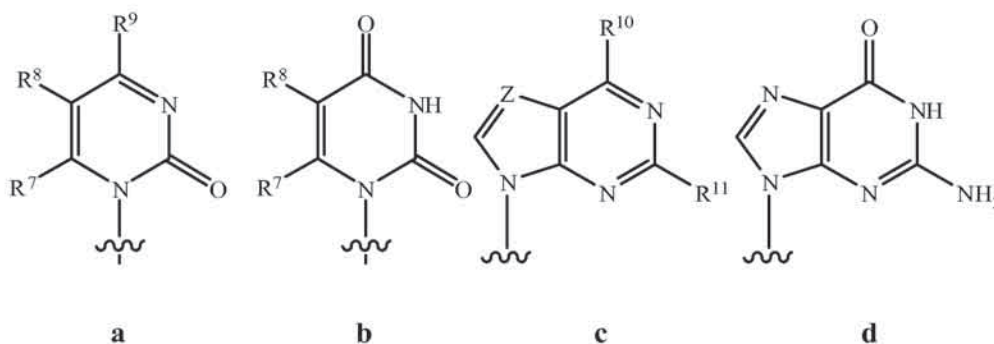
(e) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., –(CH₂)_pOH, where p is 1–6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OMe, halogen, NH₂, or N₃;

(h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

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



5 wherein

Z is N or CR¹²;

R⁷, R⁸, R⁹, R¹⁰, and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

15 wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ 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

20 carbon atoms; and

R¹² is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

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-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 "C₂₋₁₀ alkenyl" refers to an alkenyl comprising 2 to 10 carbon atoms. The term "C₂₋₄ 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).

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

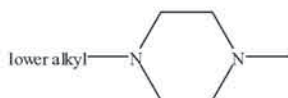
10 The term "alkyl" refers to an unbranched or branched chain, saturated, monovalent hydrocarbon residue containing 1 to 30 carbon atoms. The term "C_{1-M} alkyl" refers to an alkyl comprising 1 to M carbon atoms, where M is an integer having the following values: 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, or 30. The term "C₁₋₄ 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. "C₁₋₂₀ alkyl" as used herein refers to an alkyl comprising 1 to 20 carbon atoms. "C₁₋₁₀ alkyl" as used herein refers to an alkyl
15 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.

- 20 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.

- 25 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*-C₁₋₃-alkyl-aziridin-2-yl, azetidiny, *N*-C₁₋₃-alkyl-azetidin-m'-yl, pyrrolidin-m'-yl, *N*-C₁₋₃-alkyl-pyrrolidin-m'-yl, piperidin-m'-yl, and *N*-C₁₋₃-alkyl-piperidin-m'-yl, where m' is 2, 3, or 4 depending on the cycloheteroalkyl. Specific examples of *N*-C₁₋₃-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 R⁴, 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., sp²- or sp³-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 R¹⁰ 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-M} haloalkyl" refers to an alkyl comprising 1 to M carbon atoms that comprises at least one of F, 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. "C₁₋₃ 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,
5 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 term "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
10 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_{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-butylnyl, 2-butylnyl or 3-butylnyl.

15 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, Br, 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
20 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*-
25 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.

5 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-
10 configuration. Alternatively, the amino acid can be a derivative of alanyl, valinyl, leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycyl, 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 -glycyl, 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
15 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
20 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
25 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.

5 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, CH₃, CH₂-alkyl, CH₂-alkenyl, CH₂Ph, CH₂-aryl, CH₂O-alkyl, CH₂O-aryl, SO₂-alkyl, SO₂-aryl, *tert*-butyldimethylsilyl, *tert*-butyldiphenylsilyl, and 1,3-(1,1,3,3-tetraisopropylidisiloxanylidene).

10 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.

15 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.

20 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, (CH₃)₂NCH₂, (CH₃)₂NCH₂CH₂, (CH₃)₂NCH₂CH₂CH₂, 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., CH₃CH(N-(lower alkyl)₂)CH₂CH₂.

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.

5 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 C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or lower alkyl; alkoxyalkyl, including methoxymethyl; aralkyl, including benzyl; aryloxyalkyl, such as phoxymethyl; or aryl, including phenyl optionally substituted with halogen (F, Cl, Br, I), hydroxyl, C₁ to C₄ alkyl, or C₁ to C₄ alkoxy, sulfonate esters, such as alkyl or aralkyl
10 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.

15 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, N⁶-alkylpurines, N⁶-acylpurines (wherein acyl is C(O)(alkyl, aryl, alkylaryl, or arylalkyl), N⁶-benzylpurine, N⁶-halopurine, N⁶-vinylpurine, N⁶-acetylenic purine, N⁶-acyl purine,
20 N⁶-hydroxyalkyl purine, N⁶-allylaminopurine, N⁶-thioalkyl purine, N²-alkylpurines, N²-alkyl-6-thiopurines, thymine, cytosine, 5-fluorocytosine, 5-methylcytosine, 6-azapyrimidine, including 6-azacytosine, 2- and/or 4-mercaptopyrimidine, uracil, 5-halouracil, including 5-fluorouracil, C⁵-alkylpyrimidines, C⁵-benzylpyrimidines, C⁵-halopyrimidines, C⁵-vinylpyrimidine, C⁵-acetylenic pyrimidine, C⁵-acyl pyrimidine, C⁵-hydroxyalkyl purine, C⁵-amidopyrimidine, C⁵-cyanopyrimidine, C⁵-iodopyrimidine, C⁶-
25 lodo-pyrimidine, C⁵-Br-vinyl pyrimidine, C⁶-Br-vinyl pyrimidine, C⁵-nitropyrimidine, C⁵-amino-pyrimidine, N²-alkylpurines, N²-alkyl-6-thiopurines, 5-azacytidinyl, 5-azauracilyl, triazolopyridinyl, imidazolopyridinyl, pyrrolopyrimidinyl, and pyrazolopyrimidinyl. Purine bases include, but are not limited to, guanine, adenine,

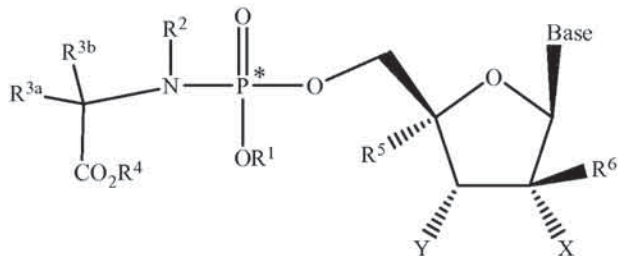
hypoxanthine, 2,6-diaminopurine, and 6-chloropurine. Functional oxygen and nitrogen groups on the base can be protected as necessary or desired. Suitable protecting groups are well known to those skilled in the art, and include trimethylsilyl, dimethylhexylsilyl, *t*-butyldimethylsilyl, and *t*-butyldiphenylsilyl, trityl, alkyl groups, and acyl groups such as
5 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
10 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
15 phosphorous, such as in P(O)Cl₃.

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:



20 wherein

(a) R¹ 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 C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R^{1'})₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R^{1'})₂, COR^{1''}, and -SO₂C₁₋₆ alkyl; (R^{1'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1''} is -OR' or -N(R^{1'})₂);

(b) R² is hydrogen, C₁₋₁₀ alkyl, R^{3a} or R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR^{3a}R^{3b}NHR¹, where n is 2 to 4 and R¹, R^{3a}, and R^{3b};

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_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 R² together are (CH₂)_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 C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ 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;

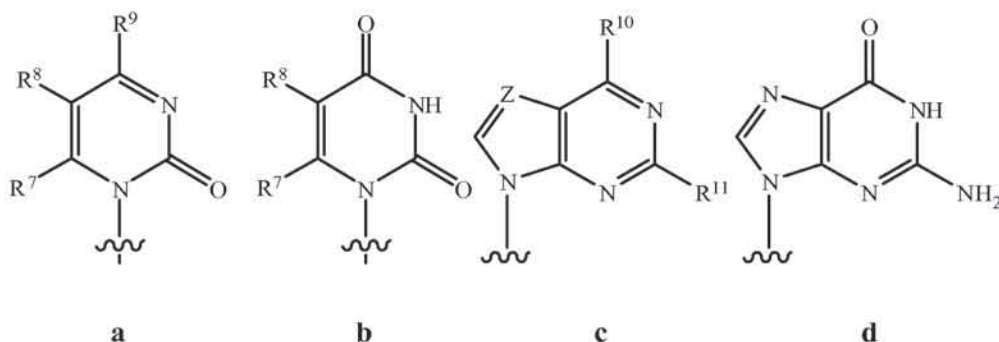
5 (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos 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
10 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), $OC(O)O(C_{2-4}$
15 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) $_2$, $N(C_{1-4}$
20 $acyl)_2$, 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), $SO_2(C_{2-4}$
25 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:



wherein

Z is N or CR¹²;

- 5 R⁷, R⁸, R⁹, R¹⁰, and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR',
10 CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

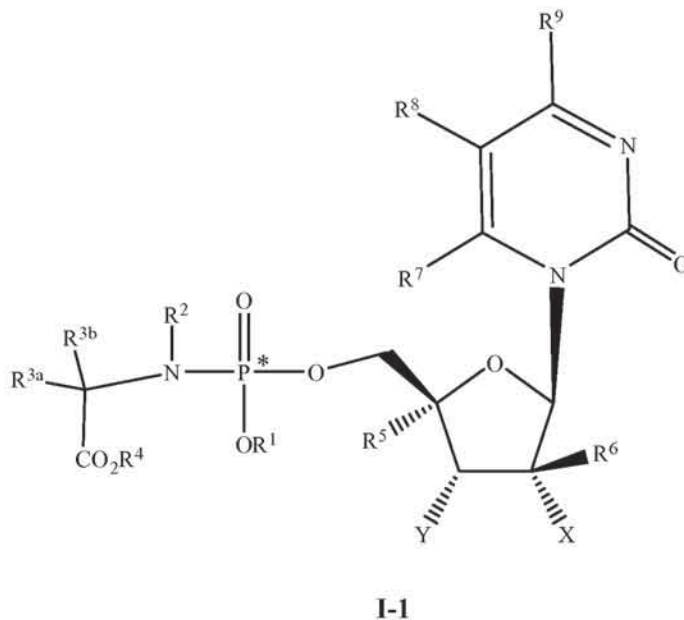
- wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally
15 substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ 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

- R¹² is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂,
20 NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆,

halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

5 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
10 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**.

15 A first embodiment of the invention is directed to a compound represented by formula **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, $-(CH_2)_eCOR^{3''}$, 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 $(CH_2)_f$ 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), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is –OR' or –N(R^{3'})₂);

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower
5 alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)-amino, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., –(CH₂)_pOH, where p is 1–6, including hydroxyl methyl (CH₂OH), CH₂F, N₃,
10 CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

15 (g) X is H, OH, F, OMe, Cl, Br, I, NH₂, or N₃;

(h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkenyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl),
20 SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkenyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl),
25 S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄

alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

- (i) R⁷, R⁸, R⁹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C₂-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

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

wherein

- (a) R¹ 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 C₁₋₆ alkyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_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 R² together are (CH₂)_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 C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), or CH₂SH 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;

5 (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;

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

(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.

25 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 ;
- 5 (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)
- 10 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, -
- 15 $CH_2CH_2SCH_3$, 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, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-
- 20 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 ;
- 25 (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, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂, or O(aminoacyl);

(i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂; and

(j) R⁹ is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C1-20 alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C1-20 alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)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

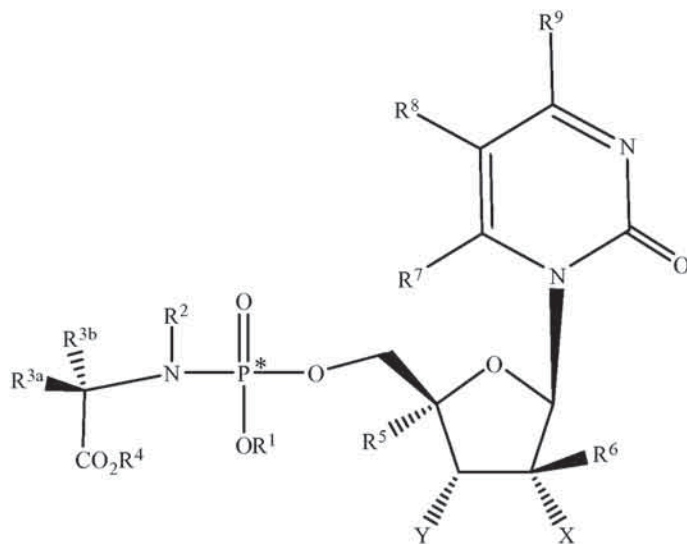
(a) R¹ is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;

(b) R² is hydrogen or CH₃;

(c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl or R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;

- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , 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;
- 5 (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 ;
- 10 (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
- 15 (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
- 20 $N(C(O)(CH_2)_sCH_3)_2$, 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
 5 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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
 10 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 , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -
 15 $CH_2CH_2CH_2NHC(NH)NH_2$, 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, 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;
- 5 (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 ;
- 10 (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
- 15 (j) R^9 is selected from among OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and
- 20 $N(C(O)(CH_2)_sCH_3)_2$, 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 fifth aspect of the first embodiment is directed to a compound represented by formula **I-2**

wherein

- 25 (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, iPr , nPr , nBu , 2-butyl, tBu , 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 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} \text{ alkyl})$, 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 ;
- 5 (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,
- 10 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, OCH₃, F, Cl, Br, I, or N₃;
- (h) Y is H, OH, CH_3 , F, Cl, Br, I, or N₃, OCH₃, OC(O)CH₃, or O(aminoacyl);
- 15 (i) R^7 and R^8 are independently H, F, Br, SCH₃, CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂; and
- (j) R^9 is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C₁₋₂₀ alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C₁₋₂₀ alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂,
- 20 which include but is not limited to N(C(O)(CH₂)_sCH₃)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, 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, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
5 CH₂Ph, or lower cycloalkyl;
- (d) R^4 is hydrogen, CH₃, 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;
- 10 (e) R^5 is H;
- (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, or F;
- (g) X is H, OH, OCH₃, F, or N₃;
- (h) Y is OH, OCH₃, OC(O)CH₃, or O(aminoacyl);
- (i) R^7 and R^8 are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F,
15 Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂; and
- (j) R^9 is selected from among OH, OCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C₁₋₂₀ alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C₁₋₂₀ alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from
20 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**

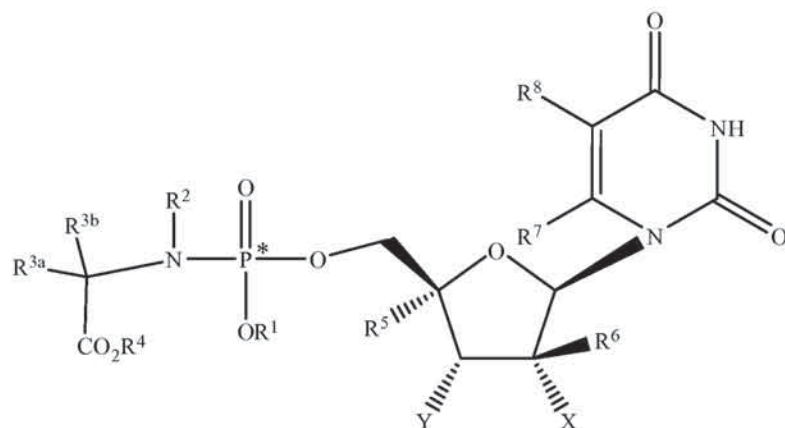
wherein

- (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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , 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;
- 10 (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,
15 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 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} \text{ alkyl})$, 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
20 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.

- 25 A first aspect of the second embodiment is directed to a compound represented by

formula **I-3**



I-3

wherein

- 5 (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 $-N(R^1)_2$);
- 10 (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, $-(CH_2)_e(NR^{3'})_2$, 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 $(CH_2)_f$ 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
- 20 includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are

- (CH₂)_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 C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃,
5 CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -
10 CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;
- (d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ 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) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1-6, including hydroxyl methyl (CH₂OH), CH₂F, N₃,
20 CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- 25 (g) X is H, OH, F, OMe, Cl, Br, I, NH₂, or N₃;
- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl),

S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, or
5 N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl),
10 SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, or N(C₁₋₄ acyl)₂;

(i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C₂-C₆,
15 CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

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

wherein

- 20 (a) R¹ 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 C₁₋₆ alkyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together
25 are (CH₂)_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 R² together are (CH₂)_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 C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi)

R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is
5 CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), or CH₂SH and R^{3b} is H;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower
10 alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ 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) R⁵ is H, CN, CH₃, vinyl, OCH₃, OCH₂CH₃, CH₂OH, CH₂(halo), such as
15 CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OMe, halogen, NH₂, or N₃;

(h) Y is OH, H, C₁₋₄ alkyl, vinyl, N₃, CN, Cl, Br, F, I, O(C₁₋₆ acyl), O(C₁₋₄ alkyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted
20 by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl),
25 SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ 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.

5 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, 10 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 15 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 , 20 $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, 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 , $CH_2CH_2C(O)NH_2$, 25 $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, 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, iPr , nPr , nBu , 2-butyl, tBu , 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;

- (c) 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;
- 5 (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 ,
10 $NHCH_3$, $N(CH_3)_2$, CH_3 , CH_3-qX_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

- 15 (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-qX_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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
20 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 , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -

CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;

- (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, 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) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂(halo), such as CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I;

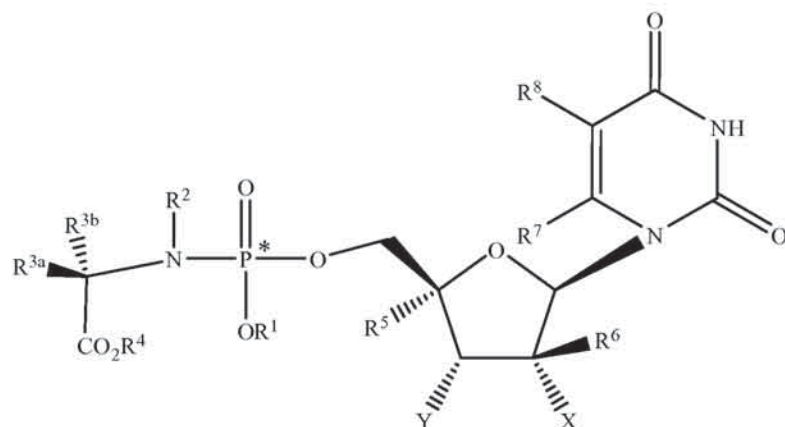
(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

- (g) X is H, OH, F, OCH₃, NH₂, or N₃;

(h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂;

- (i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ 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
 5 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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
 10 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 , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -
 15 $CH_2CH_2CH_2NHC(NH)NH_2$, 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
 20 haloalkyl, or di(lower alkyl)amino-lower alkyl;

- (e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I;
- (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- (g) X is H, OH, F, OCH₃, Cl, Br, I, NH₂, or N₃;
- 5 (h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂;
- (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀
- 10 cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ 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;
- 15 (b) R^2 is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-
- 20 yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;
- (d) R^4 is hydrogen, CH₃, 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 ;
- 5 (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.
- 10 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;
- 15 (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
- 20 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, CH₃, F, Cl, Br, I, NH₂ or N₃, OCH₃, or OC(O)CH₃;

(i) R⁷ and R⁸ are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂;

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

wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R² is hydrogen;

10 (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;

(d) R⁴ is hydrogen, CH₃, 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
15 haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R⁵ is H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, or F;

(g) X is H, OH, OCH₃, F, NH₂ or N₃;

(h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

20 (i) R⁷ and R⁸ are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂.

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

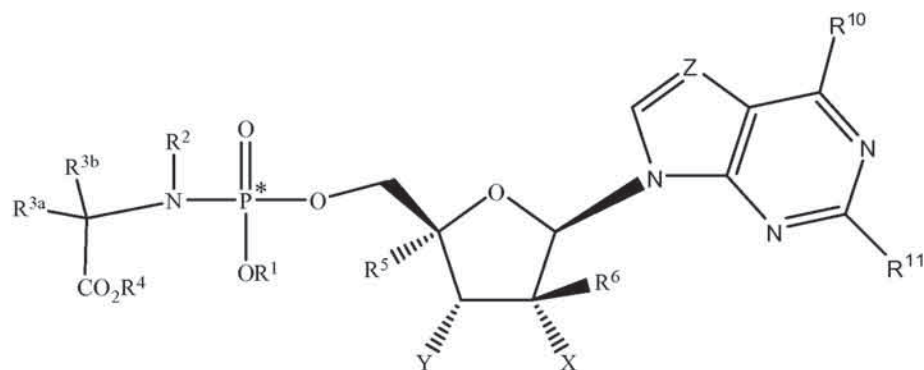
wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- 5 (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, iPr , nPr , nBu , 2-butyl, tBu , 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
- 10 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 $OC(O)CH_3$;
- 15 (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

20 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) R¹ 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 C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹')₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹')₂, COR¹'', and -SO₂C₁₋₆ alkyl; (R¹' is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R¹'' is -OR' or -N(R¹')₂);

- (b) R² is hydrogen or CH₃;

- (c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR³')₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR³'', aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R³ᵃ and R³ᵇ both are C₁₋₆ alkyl; (iii) R³ᵃ and R³ᵇ together are (CH₂)_f so as to form a spiro ring; (iv) R³ᵃ is hydrogen and R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R³ᵇ is hydrogen and R³ᵃ and R² together are (CH₂)_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 R³' is independently hydrogen

or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is from H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ 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) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1-6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OMe, halogen, NH₂, or N₃;

(h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl),

- SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;
- 10 (i) R¹⁰ and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR',
- 15 CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso that when R¹⁰ is OH and R¹¹ is not NH₂;

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted

20 alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ 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

- 25 (j) Z is N or CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F,

Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

5 wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R² is hydrogen or CH₃;

(c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
10 CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH,
CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-
yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;

(d) R⁴ is hydrogen, CH₃, 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-
15 methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, or di(lower
alkyl)amino-lower alkyl;

(e) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or
I, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H.

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

20 (g) X is H, OH, F, OCH₃, Cl, Br, I, NH₂, or N₃;

(h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;

(i) R¹⁰ and R¹¹ are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂,
CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso
that when R¹⁰ is OH and R¹¹ is not NH₂;

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 CR¹²; and

- 5 R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

10 wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R² is hydrogen or CH₃;

- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
15 CH₂Ph, or lower cycloalkyl;

(d) R⁴ is hydrogen, CH₃, 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;

- 20 (e) R⁵ is H, CN, CH₂F, F, Cl, Br, or I; with the proviso that X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, or F;

(g) X is H, OH, F, OCH₃, F, Cl, Br, I, NH₂ or N₃;

(h) Y is H, OH, CH₃, F, Cl, Br, I, NH₂ or N₃, OCH₃, or OC(O)CH₃;

(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 $C(O)(\text{lower alkyl})$ or
5 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 $CH=CHCO_2R'$.

10

A fourth 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*-
15 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,
20 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;

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

- (g) X is H, OH, OCH₃, F, NH₂ or N₃;
- (h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;
- (i) R¹⁰ and R¹¹ are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso
- 5 that when R¹⁰ is OH and R¹¹ is not NH₂;

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 CR¹²; and
- 10 R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

- 15 wherein

- (a) R¹ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R² is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
- 20 CH₂Ph, or lower cycloalkyl;
- (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, 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 ;

5 (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 ;

10 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ 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 $CH=CHCO_2R'$.

15 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;

20 (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;
- 5 (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 ;
- 10 (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , 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

- 15 (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**

- 20 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, iPr , nPr , nBu , 2-butyl, iBu , 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;

10 (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' , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;

15 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ 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 $CH=CHCO_2R'$.

20 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;

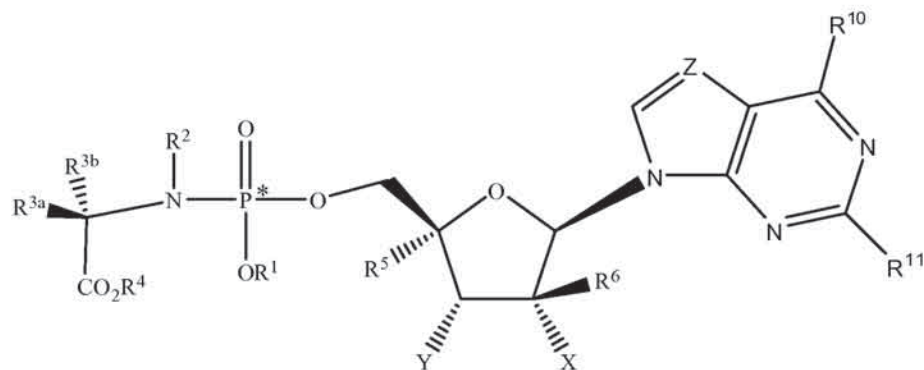
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl;
- (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;
- 10 (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' , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;
- 15 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ 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 $CH=CHCO_2R'$.
- 20

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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , 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;
- 10 (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 $OC(O)CH_3$;
- 15 (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , 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 $C(O)(\text{lower alkyl})$ 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
- 20 (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
25 formula **I-6**



I-6

wherein

- (a) R¹ 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 C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹)₂, COR¹', and -SO₂C₁₋₆ alkyl; (R¹' is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R¹' is -OR¹ or -N(R¹')₂);

- (b) R² is hydrogen or CH₃;

- (c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR³')₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR³'', aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R³ᵃ and R³ᵇ both are C₁₋₆ alkyl; (iii) R³ᵃ and R³ᵇ together are (CH₂)_f so as to form a spiro ring; (iv) R³ᵃ is hydrogen and R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R³ᵇ is hydrogen and R³ᵃ and R² together are (CH₂)_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 R³' is independently hydrogen

- or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;
- (d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ 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) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1-6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- (g) X is H, OH, F, OMe, Cl, Br, I, NH₂, or N₃;
- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl),

- SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;
- 10 (i) R¹⁰ and R¹¹ are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso that when R¹⁰ is OH and R¹¹ is not NH₂;

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

15

- (j) Z is N or CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

20

wherein

- (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R² is hydrogen or CH₃;
- 25 (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH,

CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;

- (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, 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) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OCH₃, Cl, Br, I, NH₂, or N₃;

(h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;

(i) R¹⁰ and R¹¹ are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso that when R¹⁰ is OH and R¹¹ is not NH₂;

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 CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

A twelvth 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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , 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;
- 10 (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 $OC(O)CH_3$;
- 15 (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 $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined
20 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;
- 5 (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- (d) R^4 is hydrogen, CH₃, 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-
10 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 CH₃ or CH₂F, R^5 cannot be H;
- (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, or F;
- 15 (g) X is H, OH, OCH₃, F, NH₂ or N₃;
- (h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;
- (i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso that when R^{10} is OH and R^{11} is not NH₂;
- 20 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 CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

5 wherein

(a) R¹ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) R² is hydrogen;

(c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
10 CH₂Ph, or lower cycloalkyl;

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, 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) R⁵ is H, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵
15 cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, or F;

(g) X is H, OH, OCH₃, F, NH₂ or N₃;

(h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

(i) R¹⁰ and R¹¹ are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂,
20 CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso
that when R¹⁰ is OH and R¹¹ is not NH₂;

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 CR¹²; and

- 5 R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

wherein

- 10 (a) R¹ 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 C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R^{1'})₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R^{1'})₂, COR^{1''}, and -SO₂C₁₋₆ alkyl; (R^{1'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1''} is -OR' or -N(R^{1'})₂);

(b) R² is hydrogen or CH₃;

- (c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, - (CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, - (CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_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 R² together are (CH₂)_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
- 20
- 25

- or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;
- (d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ 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) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1-6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- (g) X is H, OH, F, OMe, halogen, NH₂, or N₃;
- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl),

SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂,
NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄
18 acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN,
one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄
5 4 alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl),
S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄
alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄
alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄
alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

- 10 (i) R¹⁰ is NH₂ and R¹¹ is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R',
CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R';

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

- 15 (j) Z is N or CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower
alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

- 20 wherein

- (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-
bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
25 CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH,

CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;

- (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, 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) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OCH₃, Cl, Br, I, NH₂, or N₃;

(h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;

(i) R¹⁰ is NH₂ and R¹¹ is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R';

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 CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

wherein

(a) R¹ 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, iPr , nPr , nBu , 2-butyl, tBu , 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;
- 10 (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 $CH=CHCO_2R'$;
- 15 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ 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 $CH=CHCO_2R'$.
- 20

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, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
5 CH₂Ph, or lower cycloalkyl;
- (d) R^4 is hydrogen, CH₃, 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;
- 10 (e) R^5 is H, with the provisos that when X is OH, R^6 is CH₃ or CH₂F, R^5 cannot be H;
- (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, or F;
- (g) X is H, OH, OCH₃, F, NH₂ or N₃;
- (h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;
- 15 (i) R^{10} is NH₂ and R^{11} is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R';
- 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
- 20 (j) Z is N or CR¹²; and
- R^{12} is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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;
- 5 (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, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , 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
- 10 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 ;
- 15 (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' , 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 $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined

20 to form a heterocycle comprising at least two carbon atoms; and

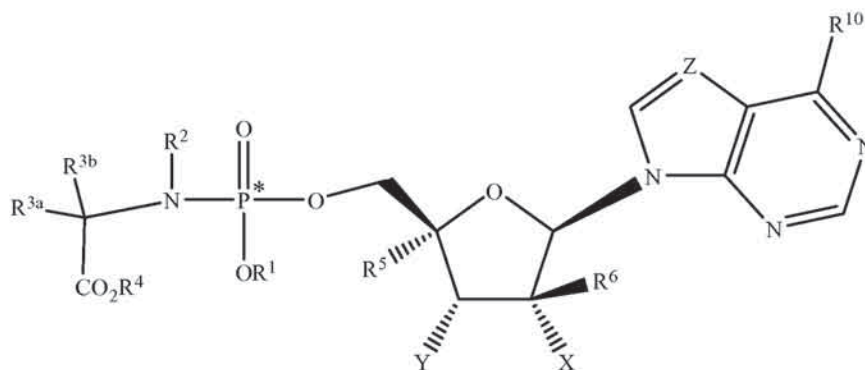
- (j) Z is N or CR^{12} ; and

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.

5

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



I-7

10

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 $-N(R^1)_2$);

15

(b) R^2 is hydrogen or CH_3 ;

20

(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, -

(CH₂)_cCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_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 R² together are (CH₂)_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 C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ 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) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1 -6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OMe, halogen, NH₂, or N₃;

(h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl),
5 S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN,
10 one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

(i) R¹⁰ is H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂,
20 CH=CHCO₂H, or CH=CHCO₂R',

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted
25 alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ 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 CR¹²; and

R¹² is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆,
5 halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

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

wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R² is hydrogen or CH₃;

15 (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;

20 (d) R⁴ is hydrogen, CH₃, 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) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H.

25 (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OCH₃, halogen, NH₂, or N₃;

(h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;

(i) R¹⁰ is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

- 5 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 CR¹²; and

- 10 R¹² is H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

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

wherein

- 15 (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- 20 (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, 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 ;

5 (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 $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined
10 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) $-C\equiv C-H$, (ii) $-C=CH_2$, or (iii) $-NO_2$.

15 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;

20 (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;

(c) 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;

5 (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 $CH=CHCO_2R'$,

10 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ 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
15 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) $-C\equiv C-H$, (ii) $-C=CH_2$, or (iii) $-NO_2$.

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

wherein

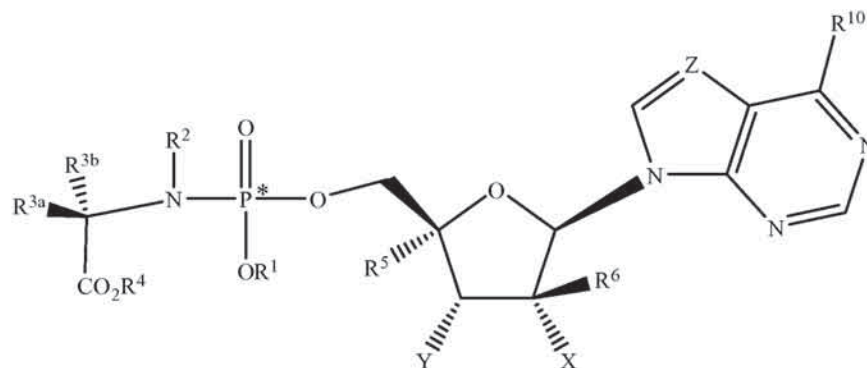
20 (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(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, iPr , nPr , nBu , 2-butyl, tBu , 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;
- 5 (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 $OC(O)CH_3$;
- 10 (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 $C(O)(\text{lower alkyl})$ 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
- 15 (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) R¹ 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 C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹')₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹')₂, COR¹'', and -SO₂C₁₋₆ alkyl; (R¹' is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R¹'' is -OR' or -N(R¹')₂);

(b) R² is hydrogen or CH₃;

(c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR³')₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR³'', aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R³ᵃ and R³ᵇ both are C₁₋₆ alkyl; (iii) R³ᵃ and R³ᵇ together are (CH₂)_f so as to form a spiro ring; (iv) R³ᵃ is hydrogen and R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R³ᵇ is hydrogen and R³ᵃ and R² together are (CH₂)_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 R³' is independently hydrogen

- or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;
- (d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ 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) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1-6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- (g) X is H, OH, F, OMe, halogen, NH₂, or N₃;
- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl),

- OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;
- 5
- 10 (i) R¹⁰ is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

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

- 15 (j) Z is N or CR¹²; and

R¹² is H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

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

wherein

- (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- 25 (b) R² is hydrogen or CH₃;

- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;
- 5 (d) R^4 is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, 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, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH₃ or CH₂F, R^5 cannot be H;
- 10 (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- (g) X is H, OH, F, OCH₃, halogen, NH₂, or N₃;
- (h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;
- (i) R^{10} is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR',
- 15 CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

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 CR¹²; and
- 20 R^{12} is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that R^{12} is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

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

- 25 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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , 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;
- 10 (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 $OC(O)CH_3$;
- 15 (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 $C(O)(\text{lower alkyl})$ 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
- 20 (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) $-C\equiv C-H$, (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, *p*-chloro-phenyl, *p*-fluorophenyl;
- 5 (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, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-
10 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;
- 15 (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 $C(O)(\text{lower alkyl})$ or
20 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) $-C\equiv C-H$, (ii) $-C=CH_2$, or (iii) $-NO_2$.

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

wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- 10 (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, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , 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
15 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 ;
- 20 (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 $CH=CHCO_2R'$,

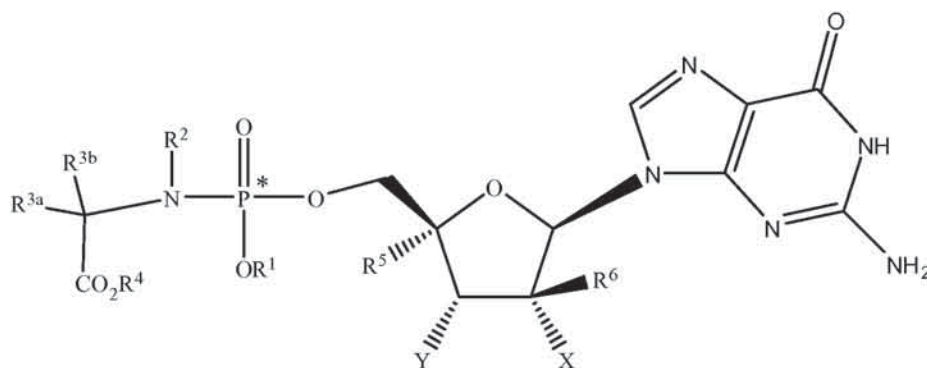
wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR¹²; and

- 5 R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

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 R¹,
10 R², R^{3a}, R^{3b}, R⁴, R⁵, R⁶, X, and Y are defined in the Summary of the Invention section above.

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



I-9

wherein

- (a) R¹ 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 C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆
20

alkyl, $-\text{SO}_2\text{N}(\text{R}^1)_2$, $\text{COR}^{1''}$, and $-\text{SO}_2\text{C}_{1-6}$ 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, $\text{R}^{1''}$ is $-\text{OR}'$ or $-\text{N}(\text{R}^1)_2$);

(b) R^2 is hydrogen or CH_3 ;

- 5 (c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(\text{CH}_2)_c(\text{NR}^{3'})_2$, C_{1-6} hydroxyalkyl, $-\text{CH}_2\text{SH}$, $-(\text{CH}_2)_2\text{S}(\text{O})_d\text{Me}$, $-(\text{CH}_2)_3\text{NHC}(=\text{NH})\text{NH}_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(\text{CH}_2)_e\text{COR}^{3''}$, 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 $(\text{CH}_2)_f$ so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(\text{CH}_2)_n$ 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 $(\text{CH}_2)_n$ 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 $\text{R}^{3'}$ is independently hydrogen or C_{1-6} alkyl and $\text{R}^{3''}$ is $-\text{OR}'$ or $-\text{N}(\text{R}^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $\text{CH}(\text{CH}_3)_2$, $\text{CH}_2\text{CH}(\text{CH}_3)_2$, $\text{CH}(\text{CH}_3)\text{CH}_2\text{CH}_3$, CH_2Ph , CH_2 -indol-3-yl, $-\text{CH}_2\text{CH}_2\text{SCH}_3$, $\text{CH}_2\text{CO}_2\text{H}$, $\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{COOH}$, $\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{NHC}(\text{NH})\text{NH}_2$, CH_2 -imidazol-4-yl, CH_2OH , $\text{CH}(\text{OH})\text{CH}_3$, $\text{CH}_2((4'\text{-OH})\text{-Ph})$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-\text{CH}_2\text{CH}_3$, $\text{CH}(\text{CH}_3)_2$, $\text{CH}_2\text{CH}(\text{CH}_3)_2$, $\text{CH}(\text{CH}_3)\text{CH}_2\text{CH}_3$, CH_2Ph , CH_2 -indol-3-yl, $-\text{CH}_2\text{CH}_2\text{SCH}_3$, $\text{CH}_2\text{CO}_2\text{H}$, $\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{COOH}$, $\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{NHC}(\text{NH})\text{NH}_2$, CH_2 -imidazol-4-yl, CH_2OH , $\text{CH}(\text{OH})\text{CH}_3$, $\text{CH}_2((4'\text{-OH})\text{-Ph})$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where $\text{R}^{3'}$ 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, $\text{R}^{3''}$ is $-\text{OR}'$ or $-\text{N}(\text{R}^{3'})_2$;
- 10
15
20
25

(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), $OS(O)_2(C_{1-4}$ 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 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 , 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, i 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;
- 10 (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 ;
- 15 A third aspect of the fifth 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;
- 20 (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, i 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;

(c) 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;

5 (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 fifth embodiment is directed to a compound represented by formula **I-9**

10 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;

15 (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;

20 (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, NH₂, OCH₃, or OC(O)CH₃;

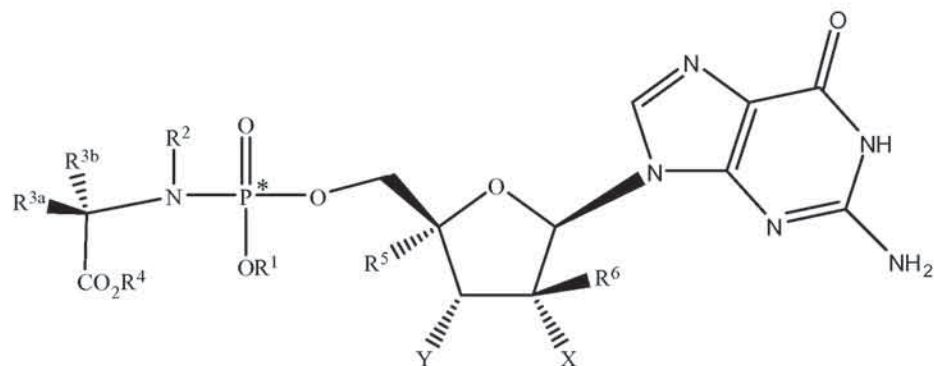
A fifth aspect of the fifth embodiment is directed to a compound represented by formula **I-9**

wherein

- 5 (a) R¹ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R² is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- 10 (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, 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) R⁵ is H, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵
- 15 cannot be H;
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, or F;
- (g) X is H, OH, OCH₃, F, NH₂ or N₃;
- (h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

A sixth aspect of the fifth embodiment is directed to a compound represented by

20 formula **I-10**



I-10

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or
 5 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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
 10 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 , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -
 15 $CH_2CH_2CH_2NHC(NH)NH_2$, 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
 20 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;

5 (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**

10 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 ;

15 (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;

20 (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-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, OCH₃, Cl, Br, I, NH₂, or N₃; and

(h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃.

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

5 wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R² is hydrogen or CH₃;

(c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
10 CH₂Ph, or lower cycloalkyl;

(d) R⁴ is hydrogen, CH₃, 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) R⁵ is H, CN, CH₂F, F, Cl, Br, or I, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, or F;

(g) X is H, OH, F, OCH₃, F, Cl, Br, I, NH₂ or N₃;

(h) Y is H, OH, CH₃, F, Cl, Br, I, NH₂ or N₃, OCH₃, or OC(O)CH₃;

20 A ninth 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*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 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;
- 10 (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 $OC(O)CH_3$;
- 15 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;
- 20 (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;

(c) 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;

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

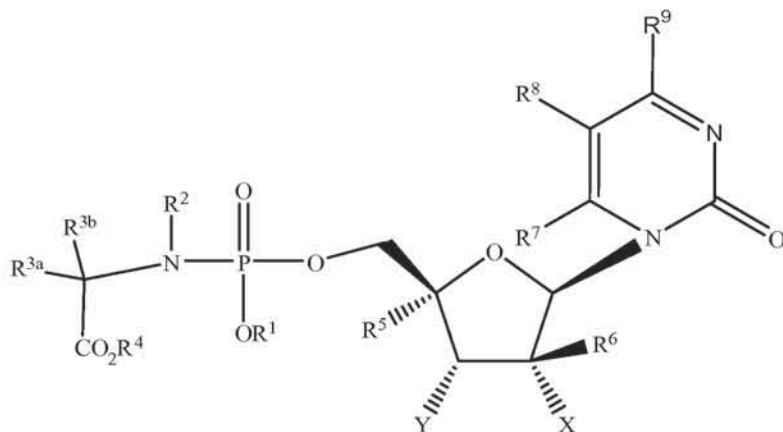
(g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;

(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.

10 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 ,
15 X, and Y. In each of the presented tables, the phosphoramidate 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
20 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
25 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-substituent projects towards the viewer

while the OR¹ substituent 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.



5

II

Table II-1.

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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-1-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-2-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-3.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-3-1	<i>i</i> Pr	H	H	H	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-3-2	<i>i</i> Pr	H	H	CH ₃	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-3-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-3-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-3-5	<i>i</i> Pr	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-3-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-3-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-3-8	<i>i</i> Pr	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-4.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-4-1	<i>t</i> Bu	H	H	H	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-4-2	<i>t</i> Bu	H	H	CH ₃	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-4-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-4-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-4-5	<i>t</i> Bu	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-4-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-4-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-4-8	<i>t</i> Bu	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-5.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-5-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-6-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-7-6	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-9.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-9-6	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-10.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-10-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-11-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-12.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-12-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table II-13.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-13-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-14.

No	R ¹	R ²	R	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
			3a									
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-14-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-15-1	Ph	H	H	H	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-15-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table II-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-16-1	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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-16-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-17.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-17-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-18.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-19.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-19-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-19-8	p-Br-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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-I-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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-20-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-8	CH ₃	*	H	*	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-22.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-22-6	Et	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-22-8	Et	*	H	*	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-23.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-23-1	<i>i</i> Pr	H	H	H	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-23-2	<i>i</i> Pr	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-23-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-23-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-23-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-23-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-23-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-23-8	<i>i</i> Pr	*	H	*	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-24.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-24-1	<i>t</i> Bu	H	H	H	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-24-2	<i>t</i> Bu	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-24-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-24-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-24-5	<i>t</i> Bu	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-24-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-24-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-24-8	<i>t</i> Bu	*	H	*	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-25.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-25-1	Ph	H	H	H	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-25-2	Ph	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-25-3	Ph	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	OH	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-25-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-26.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-26-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-27.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-27-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-28-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-29.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-29-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-30.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-30-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-31.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-31-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-32.

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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-32-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-33.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-33-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-34-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-35-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table II-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-36-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-37-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-38-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table II-39.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-39-1	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-39-5	p-Br-Ph	H	H	CH ₂ Ph	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
II-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-40.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-41.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-41-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table II-42.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-42-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-43-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-44-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-45-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-46.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-46-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-47.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-48.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-48-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-48-8	p-Cl-Ph	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-49.

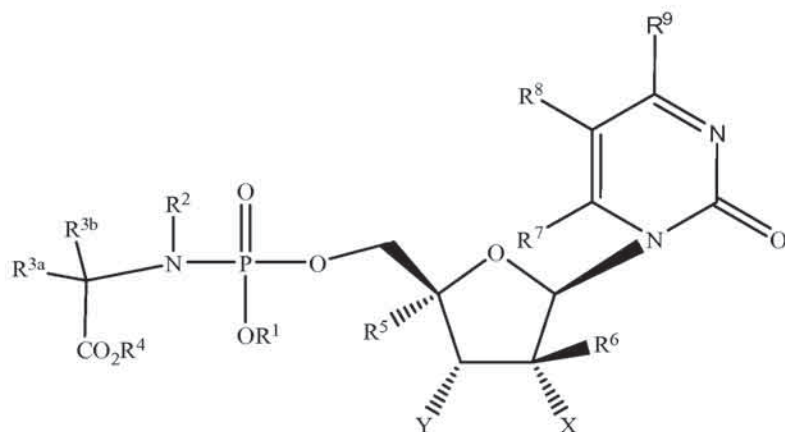
No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-49-1	p-Br-Ph	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table II-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-50-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



III

Table III-1.

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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-1-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-2-1	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
III-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-2-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-3-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-4-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-5-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-6.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-6-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-7.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-7-6	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-8.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-8-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-9.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-9-6	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-10.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-10-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-11.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-11-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-12.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-12-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-13.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-13-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-14.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-14-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-15-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-16-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-17.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-17-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-18.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-18-1	p-Cl-Ph	H	H	H	Et	H	CH ₃	F	OH	H	H	NH ₂
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-18-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-19.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-19-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-20-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-22.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-6	Et	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-23.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-23-1	<i>i</i> Pr	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-23-2	<i>i</i> Pr	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-23-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-23-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-23-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-23-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-23-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-23-8	<i>i</i> Pr	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-24.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-24-1	<i>t</i> Bu	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-24-2	<i>t</i> Bu	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-24-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-24-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-24-5	<i>t</i> Bu	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-24-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-24-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-24-8	<i>t</i> Bu	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-25-1	Ph	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-25-2	Ph	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-25-3	Ph	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-25-5	Ph	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-25-6	Ph	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂
III-25-8	Ph	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-26.

N ^o	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-26-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-27.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-27-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-28.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-28-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-29.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-29-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-30.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-30-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-31.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-31-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-32.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-32-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-33.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-33-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-34.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-34-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-35-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-36-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-37-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-38.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-38-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-39.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-39-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-40.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-40-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-41.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-41-5	CH ₃	H	H	CH ₂ Ph	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
III-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-42.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-42-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table III-43.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-43-1	<i>i</i> Pr	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-2	<i>i</i> Pr	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-5	<i>i</i> Pr	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-8	<i>i</i> Pr	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-44.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-45.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-45-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-46-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-46-8	p-Mc-Ph	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-47.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-48.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-48-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-49.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂

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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-50-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5

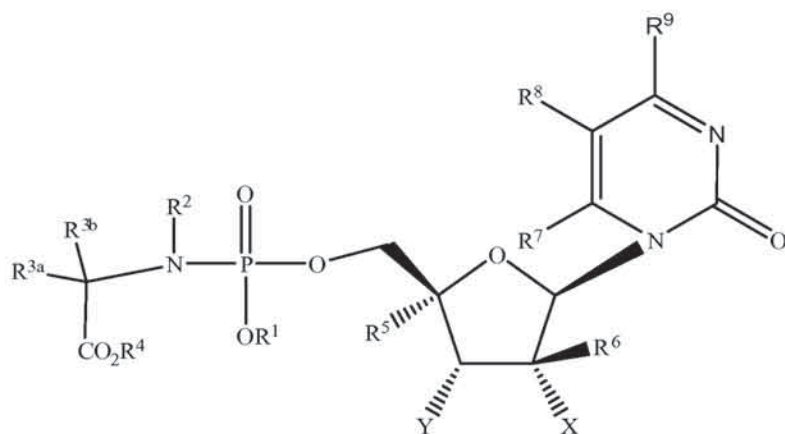
**IV**

Table IV-1.

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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-1-2	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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-1-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-2-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-3-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-4-1	^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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
IV-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-5-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-6-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-7.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-7-6	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-8.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-8-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-9.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-9-6	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-10.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-10-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-11.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-11-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-12.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-12-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-12-8	Et	*	H	*	Et	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-13-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-14.

N ₂	R ¹	R ²	R	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
			3a									
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-14-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-15-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-15-8	Ph	*	H	*	Et	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-16-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-17-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-18.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-18-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-19.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-19-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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
IV-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-20-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-21-1	CH ₃	H	H	H	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-21-2	CH ₃	H	H	CH ₃	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-21-3	CH ₃	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-21-5	CH ₃	H	H	CH ₂ Ph	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-21-8	CH ₃	*	H	*	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-22.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-22-1	Et	H	H	H	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-22-2	Et	H	H	CH ₃	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-22-3	Et	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-22-5	Et	H	H	CH ₂ Ph	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-22-6	Et	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-22-8	Et	*	H	*	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-23.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-23-1	<i>i</i> Pr	H	H	H	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-23-2	<i>i</i> Pr	H	H	CH ₃	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-23-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-23-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-23-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-23-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-23-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂
IV-23-8	<i>i</i> Pr	*	H	*	<i>i</i> Pr	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-24.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-24-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-25.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-25-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-26.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-26-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-27.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-27-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-28-1	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
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-28-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-29-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-30.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-30-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-31.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-31-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-32.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-32-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-33.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-33-1	<i>i</i> Pr	H	H	H	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-33-2	<i>i</i> Pr	H	H	CH ₃	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-33-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-33-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-33-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-33-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-33-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-33-8	<i>i</i> Pr	*	H	*	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-34.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-34-1	<i>t</i> Bu	H	H	H	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-34-2	<i>t</i> Bu	H	H	CH ₃	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-34-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-34-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-34-5	<i>t</i> Bu	H	H	CH ₂ Ph	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-34-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-34-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-34-8	<i>t</i> Bu	*	H	*	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-35.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-35-1	Ph	H	H	H	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-35-2	Ph	H	H	CH ₃	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-35-3	Ph	H	H	CH(CH ₃) ₂	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-35-5	Ph	H	H	CH ₂ Ph	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-35-6	Ph	H	H	CH ₂ -indol-3-yl	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂
IV-35-8	Ph	*	H	*	<i>n</i> Bu	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-36-1	p-Mc-Ph	H	H	H	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-36-2	p-Mc-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-36-3	p-Mc-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-36-4	p-Mc-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-36-5	p-Mc-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-36-6	p-Mc-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-36-7	p-Mc-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-36-8	p-Mc-Ph	*	H	*	ⁿ Bu	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-39.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-40.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table IV-41.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-41-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-42-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-42-8	Et	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-43-1	ⁱ Pr	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-43-8	ⁱ Pr	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-44.

N ₂	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	^t Bu	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-44-2	^t Bu	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-44-8	^t Bu	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-45.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-45-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-45-8	Ph	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-46-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-47.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-47-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-47-8	p-F-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-48.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-48-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-49.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-49-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

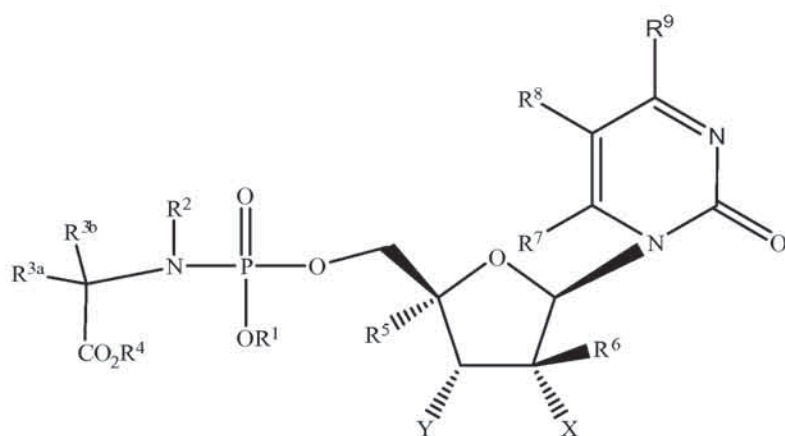
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-50.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



V

5 Table V-1.

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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-1-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-2-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-3.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-3-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-4.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-4-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-5.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-5-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-6.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-6-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-7.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-7-6	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-8.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-8-5	p-Cl-Ph	H	H	CH ₂ Ph	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
V-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-9.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-9-6	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table V-10.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-10-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-11.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-11-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-12.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-12-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	H	F	OH	H	H	NH ₂
V-12-8	Et	*	H	*	Et	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table V-13.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-13-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-14.

No	R ¹	R ²	R	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
			3a									
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-14-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-15-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	H	F	OH	H	H	NH ₂
V-15-8	Ph	*	H	*	Et	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table V-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-16-1	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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-16-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-17.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-17-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-18.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-18-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-19.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-19-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	H	F	OH	H	H	NH ₂

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-19-8	p-Br-Ph	*	H	*	Et	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-20-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-21-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-22.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH	H	H	NH ₂

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-22-6	Et	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-22-8	Et	*	H	*	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-23-1	<i>i</i> Pr	H	H	H	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-23-2	<i>i</i> Pr	H	H	CH ₃	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-23-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-23-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-23-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-23-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-23-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-23-8	<i>i</i> Pr	*	H	*	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-24.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-24-1	<i>t</i> Bu	H	H	H	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-24-2	<i>t</i> Bu	H	H	CH ₃	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-24-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-24-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-24-5	<i>t</i> Bu	H	H	CH ₂ Ph	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-24-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-24-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-24-8	<i>t</i> Bu	*	H	*	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-25.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-25-1	Ph	H	H	H	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-25-2	Ph	H	H	CH ₃	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂
V-25-3	Ph	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	H	F	OH	H	H	NH ₂

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-25-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-26.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-26-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-27-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-28.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	H	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-28-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-29-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-30.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-30-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-31.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-31-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-32.

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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-32-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-33.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-33-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-34.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-34-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-35.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-35-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table V-36.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-36-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-37.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-37-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-38.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-38-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table V-39.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-39-5	p-Br-Ph	H	H	CH ₂ Ph	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
V-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-40.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-40-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-41.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-41-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table V-42.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-42-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-42-8	Et	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-43-1	<i>i</i> Pr	H	H	H	Bz	H	H	F	OH	H	H	NH ₂
V-43-2	<i>i</i> Pr	H	H	CH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-43-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-43-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-43-5	<i>i</i> Pr	H	H	CH ₂ Ph	Bz	H	H	F	OH	H	H	NH ₂
V-43-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH	H	H	NH ₂
V-43-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-43-8	<i>i</i> Pr	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-44-1	<i>t</i> Bu	H	H	H	Bz	H	H	F	OH	H	H	NH ₂
V-44-2	<i>t</i> Bu	H	H	CH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-44-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-44-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-44-5	<i>t</i> Bu	H	H	CH ₂ Ph	Bz	H	H	F	OH	H	H	NH ₂
V-44-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH	H	H	NH ₂
V-44-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-44-8	<i>t</i> Bu	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-45-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-45-8	Ph	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-46.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-46-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-47.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-47-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-48.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-48-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-48-8	p-Cl-Ph	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-49.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-49-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-50.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-50-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

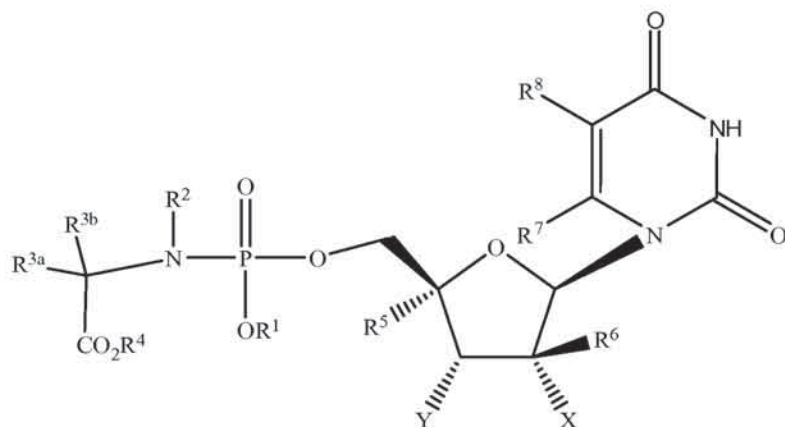
**VI**

Table VI-1.

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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-1-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-1-8	CH ₃	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-2-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-2-8	Et	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-3-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-3-8	ⁱ Pr	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-4-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-4-8	^t Bu	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-5-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-5-8	Ph	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-6.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-6-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-6-8	p-Me-Ph	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-7.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-7-6	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-7-20	p-F-Ph	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-8.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-8-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-8-8	p-Cl-Ph	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-9.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-9-6	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-10.

N ₂	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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-10-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-10-8	p-I-Ph	*	H	*	CH ₃	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-11.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-11-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-11-8	CH ₃	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-12.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-12-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-12-8	Et	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-13.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-13-1	<i>i</i> Pr	H	H	H	Et	H	F	H	OH	H	H
VI-13-2	<i>i</i> Pr	H	H	CH ₃	Et	H	F	H	OH	H	H
VI-13-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-13-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-13-5	<i>i</i> Pr	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H
VI-13-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H
VI-13-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-13-8	<i>i</i> Pr	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-14.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-14-1	<i>t</i> Bu	H	H	H	Et	H	F	H	OH	H	H
VI-14-2	<i>t</i> Bu	H	H	CH ₃	Et	H	F	H	OH	H	H
VI-14-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-14-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-14-5	<i>t</i> Bu	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H
VI-14-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H
VI-14-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-14-8	<i>t</i> Bu	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-15-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-15-8	Ph	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-16-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-16-8	p-Me-Ph	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-17-5	p-F-Ph	H	H	CH ₂ Ph	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
VI-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-17-8	p-F-Ph	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-18.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-18-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-18-8	p-Cl-Ph	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-19.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-19-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-19-8	p-Br-Ph	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-20.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-20-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-20-8	p-I-Ph	*	H	*	Et	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-21.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-21-1	CH ₃	H	H	H	<i>i</i> Pr	H	F	H	OH	H	H
VI-21-2	CH ₃	H	H	CH ₃	<i>i</i> Pr	H	F	H	OH	H	H
VI-21-3	CH ₃	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	F	H	OH	H	H
VI-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	F	H	OH	H	H
VI-21-5	CH ₃	H	H	CH ₂ Ph	<i>i</i> Pr	H	F	H	OH	H	H
VI-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	F	H	OH	H	H
VI-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	F	H	OH	H	H
VI-21-8	CH ₃	*	H	*	<i>i</i> Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-22.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-22-1	Et	H	H	H	<i>i</i> Pr	H	F	H	OH	H	H
VI-22-2	Et	H	H	CH ₃	<i>i</i> Pr	H	F	H	OH	H	H
VI-22-3	Et	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	F	H	OH	H	H
VI-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	F	H	OH	H	H
VI-22-5	Et	H	H	CH ₂ Ph	<i>i</i> Pr	H	F	H	OH	H	H
VI-22-6	Et	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	F	H	OH	H	H
VI-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	F	H	OH	H	H
VI-22-8	Et	*	H	*	<i>i</i> Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-23.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-23-1	<i>i</i> Pr	H	H	H	<i>i</i> Pr	H	F	H	OH	H	H
VI-23-2	<i>i</i> Pr	H	H	CH ₃	<i>i</i> Pr	H	F	H	OH	H	H
VI-23-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	F	H	OH	H	H
VI-23-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	F	H	OH	H	H
VI-23-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>i</i> Pr	H	F	H	OH	H	H
VI-23-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	F	H	OH	H	H
VI-23-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	F	H	OH	H	H
VI-23-8	<i>i</i> Pr	*	H	*	<i>i</i> Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-24.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-24-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-24-8	^t Bu	*	H	*	ⁱ Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-25-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-25-8	Ph	*	H	*	ⁱ Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-26.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-26-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-27.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-27-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-28-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-29-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-30.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-30-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-31.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H
VI-31-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-31-8	CH ₃	*	H	*	ⁿ Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-32.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H
VI-32-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-32-8	Et	*	H	*	ⁿ Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-33.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-33-1	<i>i</i> Pr	H	H	H	<i>n</i> Bu	H	F	H	OH	H	H
VI-33-2	<i>i</i> Pr	H	H	CH ₃	<i>n</i> Bu	H	F	H	OH	H	H
VI-33-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>n</i> Bu	H	F	H	OH	H	H
VI-33-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>n</i> Bu	H	F	H	OH	H	H
VI-33-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>n</i> Bu	H	F	H	OH	H	H
VI-33-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>n</i> Bu	H	F	H	OH	H	H
VI-33-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>n</i> Bu	H	F	H	OH	H	H
VI-33-8	<i>i</i> Pr	*	H	*	<i>n</i> Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-34-1	<i>t</i> Bu	H	H	H	<i>n</i> Bu	H	F	H	OH	H	H
VI-34-2	<i>t</i> Bu	H	H	CH ₃	<i>n</i> Bu	H	F	H	OH	H	H
VI-34-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	<i>n</i> Bu	H	F	H	OH	H	H
VI-34-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	<i>n</i> Bu	H	F	H	OH	H	H
VI-34-5	<i>t</i> Bu	H	H	CH ₂ Ph	<i>n</i> Bu	H	F	H	OH	H	H
VI-34-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	<i>n</i> Bu	H	F	H	OH	H	H
VI-34-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	<i>n</i> Bu	H	F	H	OH	H	H
VI-34-8	<i>t</i> Bu	*	H	*	<i>n</i> Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-35-1	Ph	H	H	H	<i>n</i> Bu	H	F	H	OH	H	H
VI-35-2	Ph	H	H	CH ₃	<i>n</i> Bu	H	F	H	OH	H	H
VI-35-3	Ph	H	H	CH(CH ₃) ₂	<i>n</i> Bu	H	F	H	OH	H	H
VI-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	<i>n</i> Bu	H	F	H	OH	H	H
VI-35-5	Ph	H	H	CH ₂ Ph	<i>n</i> Bu	H	F	H	OH	H	H
VI-35-6	Ph	H	H	CH ₂ -indol-3-yl	<i>n</i> Bu	H	F	H	OH	H	H
VI-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	<i>n</i> Bu	H	F	H	OH	H	H
VI-35-8	Ph	*	H	*	<i>n</i> Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-36-1	p-Mc-Ph	H	H	H	ⁿ Bu	H	F	H	OH	H	H
VI-36-2	p-Mc-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-36-3	p-Mc-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H
VI-36-4	p-Mc-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H
VI-36-5	p-Mc-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH	H	H
VI-36-6	p-Mc-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH	H	H
VI-36-7	p-Mc-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-36-8	p-Mc-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H
VI-37-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H
VI-38-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-39.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H
VI-39-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H
VI-40-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VI-41.

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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-41-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-41-8	CH ₃	*	H	*	Bz	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-42.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-42-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-42-8	Et	*	H	*	Bz	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-43.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-43-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-43-8	ⁱ Pr	*	H	*	Bz	H	F	H	OH	H	H

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-44.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-44-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-44-8	^t Bu	*	H	*	Bz	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-45.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-45-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-45-8	Ph	*	H	*	Bz	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-46.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-46-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-46-8	p-Me-Ph	*	H	*	Bz	H	F	H	OH	H	H

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-47-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-47-8	p-F-Ph	*	H	*	Bz	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-48-5	p-Cl-Ph	H	H	CH ₂ Ph	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
VI-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-48-8	p-Cl-Ph	*	H	*	Bz	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-49-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-49-8	p-Br-Ph	*	H	*	Bz	H	F	H	OH	H	H

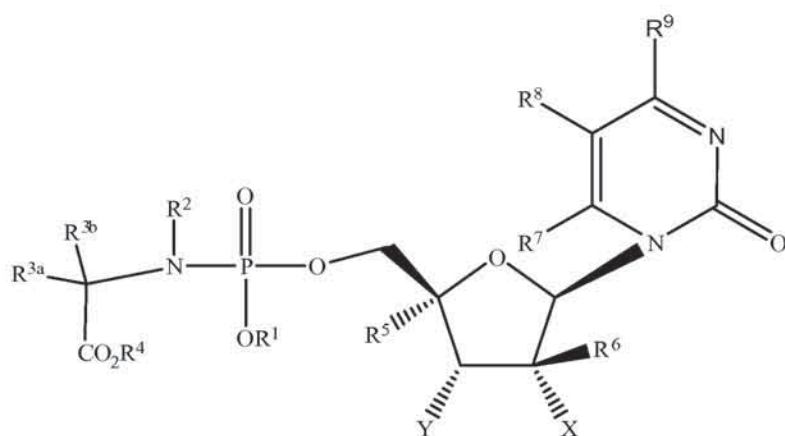
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VI-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-50-8	p-I-Ph	*	H	*	Bz	H	F	H	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



VII

5

Table VII-1.

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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-1-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-2-1	Et	H	H	H	CH ₃	H	F	H	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-2-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-3-1	<i>i</i> Pr	H	H	H	CH ₃	H	F	H	OH	H	H	NH ₂
VII-3-2	<i>i</i> Pr	H	H	CH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-3-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-3-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-3-5	<i>i</i> Pr	H	H	CH ₂ Ph	CH ₃	H	F	H	OH	H	H	NH ₂
VII-3-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH	H	H	NH ₂
VII-3-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-3-8	<i>i</i> Pr	*	H	*	CH ₃	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-4-1	<i>t</i> Bu	H	H	H	CH ₃	H	F	H	OH	H	H	NH ₂
VII-4-2	<i>t</i> Bu	H	H	CH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-4-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-4-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-4-5	<i>t</i> Bu	H	H	CH ₂ Ph	CH ₃	H	F	H	OH	H	H	NH ₂
VII-4-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH	H	H	NH ₂
VII-4-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-4-8	<i>t</i> Bu	*	H	*	CH ₃	H	F	H	OH	H	H	NH ₂

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-5.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-5-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-6.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-6-1	p-Mc-Ph	H	H	H	CH ₃	H	F	H	OH	H	H	NH ₂
VII-6-2	p-Mc-Ph	H	H	CH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-6-3	p-Mc-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-6-4	p-Mc-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-6-5	p-Mc-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH	H	H	NH ₂
VII-6-6	p-Mc-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH	H	H	NH ₂
VII-6-7	p-Mc-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-6-8	p-Mc-Ph	*	H	*	CH ₃	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-7.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-7-6	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-8.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-8-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-9.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-9-6	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-10.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-10-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-11.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-11-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-12.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-12-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H	NH ₂
VII-12-8	Et	*	H	*	Et	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-13-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-14.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-14-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-15-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H	NH ₂
VII-15-8	Ph	*	H	*	Et	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-16-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-17-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-19.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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
VII-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-19-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-20-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-21-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-22.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-22-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-23.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-23-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-24.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-24-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-25.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-25-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-26.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-26-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-27.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-27-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-28.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-28-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-29.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-29-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-30.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-30-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-31.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-31-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-32.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-32-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-33.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-33-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-34.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-34-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-35.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-35-1	Ph	H	H	H	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-35-8	Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-36.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-37.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-38.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-39.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-40.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-41.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-41-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VII-42.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-42-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-42-8	Et	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-43.

N ₂	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>i</i> Pr	H	H	H	Bz	H	F	H	OH	H	H	NH ₂
VII-43-2	<i>i</i> Pr	H	H	CH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-43-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-43-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-43-5	<i>i</i> Pr	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
VII-43-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H	NH ₂
VII-43-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-43-8	<i>i</i> Pr	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-44-1	<i>t</i> Bu	H	H	H	Bz	H	F	H	OH	H	H	NH ₂
VII-44-2	<i>t</i> Bu	H	H	CH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-44-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-44-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-44-5	<i>t</i> Bu	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
VII-44-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H	NH ₂
VII-44-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-44-8	<i>t</i> Bu	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-45-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-45-8	Ph	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-46.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-46-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-47.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-47-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-48.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-48-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-48-8	p-Cl-Ph	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-49.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-49-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-50.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
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 ₂ CH ₂ SCH 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

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

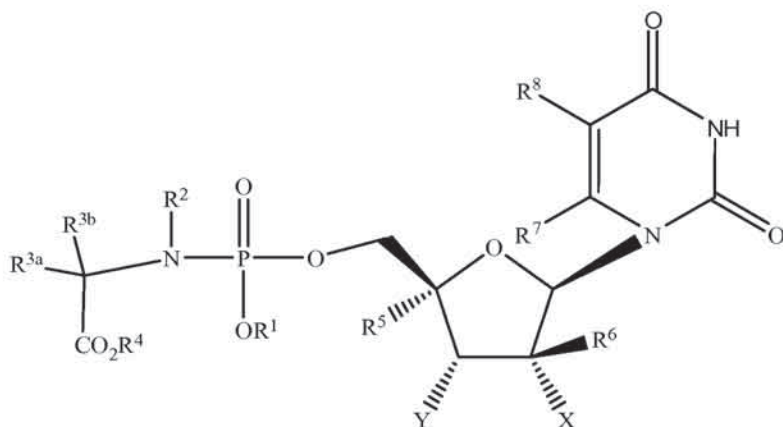
**VIII**

Table VIII-1.

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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-1-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VIII-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-2-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-2-8	Et	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-3.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VIII-3-1	<i>i</i> Pr	H	H	H	CH ₃	H	CH ₃	OH	OH	H	H
VIII-3-2	<i>i</i> Pr	H	H	CH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-3-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-3-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-3-5	<i>i</i> Pr	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H
VIII-3-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H
VIII-3-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-3-8	<i>i</i> Pr	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-4.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VIII-4-1	<i>t</i> Bu	H	H	H	CH ₃	H	CH ₃	OH	OH	H	H
VIII-4-2	<i>t</i> Bu	H	H	CH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-4-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-4-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-4-5	<i>t</i> Bu	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H
VIII-4-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H
VIII-4-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-4-8	<i>t</i> Bu	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VIII-5.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-5-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-5-8	Ph	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-6.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-6-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-7.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-7-6	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VIII-8.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-8-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-9.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-9-6	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-10.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-10-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VIII-11.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-11-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-11-8	CH ₃	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-12.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-12-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-12-8	Et	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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
VIII-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-13-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-13-8	ⁱ Pr	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VIII-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-14-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-14-8	^t Bu	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-15-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-15-8	Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-16-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-16-8	p-Me-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VIII-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-17-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-17-8	p-F-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-18.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-18-8	p-Cl-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VIII-19.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-19-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-19-8	p-Br-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table VIII-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-20-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-20-8	p-I-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.