Example 32. X-Ray Crystallography of (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate

(S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)-amino)propanoate, $C_{18}H_{17}NPO_5F_5$, crystallizes in the triclinic space group P1 with a = 5.2641(6) Å, b = 12.0548(13) Å, c = 16.4307(15) Å, α = 74.960(4)°, β = 83.959(4)°, γ = 80.275(4)°, V = 990.40(18) Å^3, Z = 2, and d_{calc}=1.520 g/cm^3. X-ray intensity data were collected on a Bruker APEXII CCD area detector employing graphite-monochromated Mo-Kα radiation (λ = 0.71073 Å) at a temperature of 143(1)K. Preliminary indexing was performed from a series of thirty-six 0.5° rotation frames with exposures of 20 seconds. A total of 3593 frames were collected with a crystal to detector distance of 37.600 mm, rotation widths of 0.5° and exposures of 20 seconds:

scan type	2θ	ω	φ	χ	frames
φ	-15.50	258.48	-351.72	19.46	739
φ	-20.50	-17.45	-37.67	-73.06	725
ω	-10.50	-53.05	-87.93	99.72	80
φ	19.50	-32.21	-88.94	36.30	219
ω	-10.50	-14.33	80.80	-60.33	122
ω	17.00	-38.90	-41.64	83.36	116
ω	17.00	-37.89	-175.56	82.07	114
φ	19.50	59.55	-11.29	-26.26	739
φ	-10.50	318.39	-335.56	52.47	739

Rotation frames were integrated using SAINT (Bruker (2009) SAINT. Bruker AXS Inc., Madison, Wisconsin, USA.), producing a listing of unaveraged F^2 and $\sigma(F^2)$ values which were then passed to the SHELXTL (Bruker (2009) SHELXTL. Bruker AXS Inc., Madison, Wisconsin, USA.) program package for further processing and structure solution on a Dell Pentium 4 computer. A total of 17880 reflections were measured over the ranges $1.77 \leq \theta \geq 25.12°$, $-6 \leq h \leq 6$, $-14 \leq k \leq 14$, $-19 \leq l \leq 19$ yielding 6897 unique reflections (Rint = 0.0212). The intensity data were corrected for Lorentz and polarization effects and for absorption using SADABS (Sheldrick, G.M. (2007) SADABS. University of Gottingen, Germany.) (minimum and maximum transmission 0.6887, 0.7452).

The structure was solved by direct methods (SHELXS-97(Sheldrick, G.M. (2008) Acta Cryst. A64,112-122.)). Refinement was by full-matrix least squares based on

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F^2 using SHELXL-97 (Sheldrick, G.M. (2008) Acta Cryst. A64,112-122.). All reflections were used during refinement. The weighting scheme used was $w=1/[\sigma^2(F_o^2)+ (0.0344P)^2 + 0.1102P]$ where $P = (F_o^2 + 2F_c^2)/3$. Non-hydrogen atoms were refined anisotropically and hydrogen atoms were refined using a riding model. Refinement converged to R1=0.0259 and wR2=0.0609 for 6527 observed reflections for which $F > 4\sigma(F)$ and R1 = 0.0284 and wR2 = 0.0621 and GOF = 1.040 for all 6897 unique, non-zero reflections and 548 variables. ($R1 = \Sigma||F_o| - |F_c|| / \Sigma |F_o|$; $wR2 = [\Sigma w(F_o^2 - F_c^2)^2/\Sigma w(F_o^2)^2]^{1/2}$; $GOF = [\Sigma w(F_o^2 - F_c^2)^2/(n - p)]^{1/2}$; where n = the number of reflections and p = the number of parameters refined.) The maximum Δ/σ in the final cycle of least squares was 0.001 and the two most prominent peaks in the final difference Fourier were +0.254 and -0.236 e/Å^3. **Figs. 22A** and **22B** are ORTEP (30% probability thermal ellipsoids) of molecules 1 and 2 of the asymmetric unit.

Table 18. Summary of Structure Determination of (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate

Empirical formula	$C_{18}H_{17}NPO_5F_5$
Formula weight	453.30
Temperature	143(1) K
Wavelength	0.71073 Å
Crystal system	triclinic
Space group	P1
Cell constants:	
a	5.2641(6) Å
b	12.0548(13) Å
c	16.4307(15) Å
α	74.960(4)°
β	83.959(4)°
γ	80.275(4)°
Volume	990.40(18) Å^3
Z	2
Density (calculated)	1.520 Mg/m^3
Absorption coefficient	0.216 mm^{-1}
F(000)	464

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Crystal size	0.45 x 0.05 x 0.04 mm^3
Theta range for data collection	1.77 to 25.12°
Index ranges	$-6 \leq h \leq 6$, $-14 \leq k \leq 14$, $-19 \leq l \leq 19$
Reflections collected	17880
Independent reflections	6897 [R(int) = 0.0212]
Completeness to theta = 25.12°	99.5 %
Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	0.7452 and 0.6887
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	6897 / 3 / 548
Goodness-of-fit on F^2	1.040
Final R indices [I>2sigma(I)]	R1 = 0.0259, wR2 = 0.0609
R indices (all data)	R1 = 0.0284, wR2 = 0.0621
Absolute structure parameter	-0.01(5)
Largest diff. peak and hole	0.254 and -0.236 $e.Å^{-3}$

Example 33. Biological Activity

Replicon containing cells were seeded at either 3,000 cells/well (50 μL) in 96-well white/opaque plates, or 1,500 cells/well (25 μL) in 384-well white/opaque plates. 50 μL of 2X compound were added in the 96 well plate or 25 μL of 2X compound were added in the 384 well plate. The plates were incubated at 37°C in a humidified 5% CO_2 atmosphere for 4 days. After incubation, Bright-Glo reagent (50 μL for 96-well plate, or 25 μL for 384-well plate) was added to measure the firefly luciferase reporter for HCV replication. Percent inhibition was calculated against the no drug control.

Compound	HCV Replicon Activity (μM)
4	0.58
R_P-**4**	2.87
S_P-**4**	0.13

R_P-**4** and S_P-**4** have been demonstrated to have broad genotype coverage. For example, both have been shown to be active against hepatitis C virus, genotypes 1-4.

This application is a continuation-in-part of U.S. Patent Application No. 12/783,680 filed on May 20, 2010, which claims priority to U.S. Provisional Patent

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Application Nos. 61/179,923, filed May 20, 2009, and 61/319,513, filed March 31, 2010, the subject matter of which is incorporated by reference in its entirety.

The subject matter of U.S. Patent Application Nos. 12/783,680 and 12/053,015 and U.S. Provisional Patent Application Nos. 61/179,923, filed May 20, 2009, and 61/319,513, filed March 31, 2010, is hereby incorporated by reference in its entirety. The subject matter of all cited references is hereby incorporated by reference. In the event that the meaning of an incorporated term conflicts with the meaning of a term defined herein, the meaning of the terms contained in the present disclosure control over the meaning of the incorporated terms.

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Claims

1. Crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate.

2. Crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate having:

(1) XRPD 2θ-reflections (°) at about: 5.2, 7.5, 9.6, 16.7, 18.3, and 22.2;

(2) XRPD 2θ-reflections (°) at about: 5.0, 7.3, 9.4, and 18.1;

(3) XRPD 2θ-reflections (°) at about: 4.9, 6.9, 9.8, 19.8, 20.6, 24.7, and 26.1;

(4) XRPD 2θ-reflections (°) at about: 6.9, 9.8, 19.7, 20.6, and 24.6;

(5) XRPD 2θ-reflections (°) at about: 5.0, 6.8, 19.9, 20.6, 20.9, and 24.9;

(6) XRPD 2θ-reflections (°) at about: 5.2, 6.6, 7.1, 15.7, 19.1, and 25.0; or

(7) XRPD 2θ-reflections (°) at about: 6.1, 8.2, 10.4, 12.7, 17.2, 17.7, 18.0, 18.8, 19.4, 19.8, 20.1, 20.8, 21.8, and 23.3.;

3. Crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate of claim 2 having:

(1) XRPD 2θ-reflections (°) at about: 5.2, 7.5, 9.6, 16.7, 18.3, and 22.2;

(2) XRPD 2θ-reflections (°) at about: 5.0, 7.3, 9.4, and 18.1; or

(7) XRPD 2θ-reflections (°) at about: 6.1, 8.2, 10.4, 12.7, 17.2, 17.7, 18.0, 18.8, 19.4, 19.8, 20.1, 20.8, 21.8, and 23.3.;

4. Crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate of claim 2 having:

(1) XRPD 2θ-reflections (°) at about: 5.2, 7.5, 9.6, 16.7, 18.3, and 22.2;

(2) XRPD 2θ-reflections (°) at about: 5.0, 7.3, 9.4, and 18.1; or

(7) XRPD 2θ-reflections (°) at about: 6.1, 8.2, 10.4, 12.7, 17.2, 17.7, 18.0, 18.8, 19.4, 19.8, 20.1, 20.8, 21.8, and 23.3.;

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5. Crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate of claim 2 having XRPD 2θ-reflections (°) at about: 5.2, 7.5, 9.6, 16.7, 18.3, and 22.2.

6. Crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate of claim 2 having XRPD 2θ-reflections (°) at about: 5.0, 7.3, 9.4, and 18.1.

7. Crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate of claim 2 having XRPD 2θ-reflections (°) at about: 6.1, 8.2, 10.4, 12.7, 17.2, 17.7, 18.0, 18.8, 19.4, 19.8, 20.1, 20.8, 21.8, and 23.3..

8. A composition comprising crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate of claim 1.

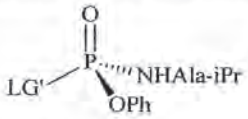
9. A pharmaceutical composition comprising crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate of claim 1and a pharmaceutically acceptable medium.

10. A method of treating a hepatitis C virus infection in a subject in need thereof, which comprises:

administering to the subject an effective amount of crystalline (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate of claim 1.

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11. A compound represented by the structural formula



where LG' is a leaving group.

12. The compound of claim 11, wherein LG' is tosylate, camphorsulfonate, a benzo[d]thiazolide-2(3H)-thione, an aryloxide, or an aryloxide substituted with at least one electron withdrawing group.

13. The compound of claim 11, wherein LG' is 2,4-dinitrophenoxide, 4-nitrophenoxide, 2-nitrophenoxide, 2-chloro-4-nitrophenoxide, 2,4-dichlorophenoxide, or pentafluorophenoxide.

14. (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino) propanoate.

15. Crystalline (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy) phosphoryl)amino)propanoate.

16. A process for preparing the compound of claim 11, which comprises:

crystallizing the compound from a composition, comprising

a) a first composition;

b) a second leaving group precursor;

c) a non-nucleophilic base; and

d) a liquid composition;

wherein the first composition comprises the compound and its corresponding P-based diastereomer.

17. The process of claim 16, wherein the mole amount of the compound and the mole amount of its P-based diastereomer are the same or different.

18. The process claim 17, wherein the mole amount of the compound is greater than the mole amount of its corresponding P-based diastereomer.

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19. The process of claim 16, wherein the second leaving group precursor is 2,4-dinitrophenol, 4-nitrophenol, 2-nitrophenol, 2-chloro-4-nitrophenol, 2,4-dichlorophenol, or pentafluorophenol.

20. The process of claim 19, wherein LG' is pentafluorophenoxide.

21. The process of claim 20, wherein the second leaving group precursor is pentafluorophenol.

22. The process of claim 21, wherein the amount of pentafluorophenol ranges from about 0.01 mole equivalents to about 10 mole equivalents relative to the mole amount of the compound and its P-based diastereomer.

23. The process of claim 21, wherein the amount of pentafluorophenol ranges from about 0.1 mole equivalents to about 1 mole equivalents relative to the mole amount of the compound and its P-based diastereomer.

24. The process of claim 16, wherein the crystallizing occurs at a temperature that ranges from about -10°C to about +40°C.

25. The process of claim 16, wherein the crystallizing occurs at about room temperature.

26. The process of claim 16, wherein the non-nucleophilic base is selected from among potassium carbonate, cesium carbonate, di-isopropylamine, di-isopropylethylamine, triethylamine, quinuclidine, naphthalene-1,8-diamine, 2,2,6,6-tetramethylpiperidine, 1,8-diazabicycloundec-7-ene, 4-dimethylamino-pyridine, pyridine, a 2,6-di-C_{1-6}-alkyl-pyridine, a 2,4,6-tri-C_{1-6}-alkyl-pyridine, and mixtures thereof.

27. The process of claim 16, wherein the non-nucleophilic base is triethylamine.

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28. The process of claim 16, wherein the non-nucleophilic base is present in an amount that ranges from about 0.01 equivalents mol to about 10 mol equivalents relative to the total mole amount of the compound and its P-based diastereomer.

29. The process of claim 16, wherein the non-nucleophilic base is present in an amount that ranges from about 0.1 mol equivalents to about 1 mol equivalents relative to the total mole amount of the compound and its P-based diastereomer.

30. The process of claim 16, wherein the solubility of the compound is less than the solubility of its corresponding P-based diastereomer in the liquid composition.

31. The process of claim 16, wherein the liquid composition comprises at least one of a solvent and an anti-solvent.

32. The process of claim 16, wherein the liquid composition comprises at least one of a C_1 to C_8 alcohol, a C_2 to C_8 ether, a C_3 to C_7 ketone, a C_3 to C_7 ester, a C_1 to C_2 chlorocarbon, a C_2 to C_7 nitrile, a C_5 to C_{12} saturated hydrocarbon, and a C_6 to C_{12} aromatic hydrocarbon.

33. The process of claim 16, wherein the liquid composition comprises at least one of a C_2 to C_8 ether, a C_3 to C_7 ester, a C_5 to C_{12} saturated hydrocarbon, and a C_6 to C_{12} aromatic hydrocarbon.

34. The process of claim 16, wherein the liquid composition comprises at least one of a C_2 to C_8 ether, a C_3 to C_7 ester, and a C_5 to C_{12} saturated hydrocarbon.

35. The process of claim 34, wherein the liquid composition comprises at least one of ethyl acetate, t-butyl-methylether, and hexane.

36. The process of claim 34, wherein the liquid composition comprises ethyl acetate and hexane.

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37. The process of claim 34, wherein the liquid composition comprises t-butyl-methylether and hexane.

38. The process of claim 16, wherein the amount of liquid composition ranges from about 1 mL to about 10 mL for every gram of the first composition.

39. The process of claim 16, which further comprises adding crystalline compound to the composition.

40. The process of claim 16, which further comprises adding about 0.1 to about 1 wt. % of crystalline compound to the first composition.

41. The process of claim 16, which further comprises

a) reacting $PhOP(O)(LG)_2$ and ^{i}Pr-Ala-NH_2•HCl in the presence of a first base to obtain (PhO)P(O)(LG)(NHAla-^{i}Pr);

b) reacting (PhO)P(O)(LG)(NHAla-^{i}Pr) with a first leaving group precursor (LG'H) in the presence of a second base to obtain the composition comprising the compound and its P-based diastereomer;

wherein LG and LG', independent of each other, are leaving groups;

wherein the first leaving group precursor and the second leaving group precursor are the same or different; and

wherein the first base and the second base are the same or different.

42. A process for preparing crystalline (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate, which comprises:

crystallizing (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl) amino)propanoate from a second composition comprising

a) a first composition;

b) pentafluorophenol;

c) a non-nucleophilic base; and

d) a liquid composition;

wherein the second composition comprises (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate and (S)-

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isopropyl 2-(((R)-(perfluorophenoxy)(phenoxy)phosphoryl)amino) propanoate.

43. The process of claim 42, wherein the mole amount of the (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate and the mole amount of (S)-isopropyl 2-(((R)-(perfluorophenoxy)(phenoxy)phosphoryl)amino) propanoate are the same or different.

44. The process of claim 42, wherein the mole amount of the (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate is greater than the mole amount of (S)-isopropyl 2-(((R)-(perfluorophenoxy)(phenoxy)phosphoryl) amino)propanoate.

45. The process of claim 43, wherein the amount of pentafluorophenol ranges from about 0.01 mole equivalents to about 10 mole equivalents relative to the mole amount of (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl) amino)propanoate and (S)-isopropyl 2-(((R)-(perfluorophenoxy)(phenoxy) phosphoryl)amino)propanoate.

46. The process of claim 42, wherein the crystallizing occurs at a temperature that ranges from about -10°C to about +40°C.

47. The process of claim 42, wherein the crystallizing occurs at about room temperature.

48. The process of claim 42, wherein the non-nucleophilic base is selected from among potassium carbonate, cesium carbonate, di-isopropylamine, di-isopropylethylamine, triethylamine, quinuclidine, naphthalene-1,8-diamine, 2,2,6,6-tetramethylpiperidine, 1,8-diazabicycloundec-7-ene, 4-dimethylamino-pyridine, pyridine, a 2,6-di-C_{1-6}-alkyl-pyridine, a 2,4,6-tri-C_{1-6}-alkyl-pyridine, and mixtures thereof.

49. The process of claim 42, wherein the non-nucleophilic base is triethylamine.

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50. The process of claim 42, wherein the non-nucleophilic base is present in an amount that ranges from about 0.1 to about 1 mol equivalents relative to the total mole amount of (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl) amino)propanoate and (S)-isopropyl 2-(((R)-(perfluorophenoxy)(phenoxy) phosphoryl)amino)propanoate.

51. The process of claim 42, wherein the solubility of (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate is less than the solubility of (S)-isopropyl 2-(((R)-(perfluorophenoxy)(phenoxy)phosphoryl)amino) propanoate in the liquid composition.

52. The process of claim 42, wherein the liquid composition comprises at least one of a solvent and an anti-solvent.

53. The process of claim 42, wherein the liquid composition comprises at least one of a C_1 to C_8 alcohol, a C_2 to C_8 ether, a C_3 to C_7 ketone, a C_3 to C_7 ester, a C_1 to C_2 chlorocarbon, a C_2 to C_7 nitrile, a C_5 to C_{12} saturated hydrocarbon, and a C_6 to C_{12} aromatic hydrocarbon.

54. The process of claim 42, wherein the liquid composition comprises at least one of a C_2 to C_8 ether, a C_3 to C_7 ester, a C_5 to C_{12} saturated hydrocarbon, and a C_6 to C_{12} aromatic hydrocarbon.

55. The process of claim 42, wherein the liquid composition comprises at least one of a C_2 to C_8 ether, a C_3 to C_7 ester, and a C_5 to C_{12} saturated hydrocarbon.

56. The process of claim 55, wherein the liquid composition comprises at least one of ethyl acetate, t-butyl-methylether, and hexane.

57. The process of claim 55, wherein the liquid composition comprises ethyl acetate and hexane.

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58. The process of claim 55, wherein the liquid composition comprises t-butyl-methylether and hexane.

59. The process of claim 42, wherein the amount of liquid composition ranges from about 1 to about 10 mL for every gram of the first composition.

60. The process of claim 42, which further comprises adding crystalline (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate to the second composition.

61. The process of claim 42, which further comprises adding about 0.1 to about 1 wt. % of crystalline (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy) phosphoryl)amino)propanoate based on the total weight of (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate in the first composition.

62. Crystalline (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy) phosphoryl)amino)propanoate obtained by the process of claim 42.

63. A process for preparing (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate, which comprises:

crystallizing (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl) amino)propanoate from a second composition comprising

a) a first composition;

b) pentafluorophenol;

c) a non-nucleophilic base; and

d) a liquid composition;

wherein the first composition comprises (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl)amino)propanoate and (S)-isopropyl 2-(((R)-(perfluorophenoxy)(phenoxy)phosphoryl)amino) propanoate.

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64. A process for preparing (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate, which comprises:

contacting (S)-isopropyl 2-(((S)-(perfluorophenoxy)(phenoxy)phosphoryl) amino)propanoate with a product obtained by reacting a t-butylmagnesium halide with 1-((2R,3R,4R,5R)-3-fluoro-4-hydroxy-5-(hydroxymethyl)-3-methyltetrahydrofuran-2-yl)pyrimidine-2,4(1H,3H)-dione with a t-butylmagnesium halide.

65. The process of claim 64, wherein the contacting occurs in a medium having a temperature that ranges from about 0°C to about 40°C.

66. The process of claim 64, wherein the contacting occurs in a medium having a temperature that ranges from about 0°C to about 30°C.

67. The process of claim 64, wherein the mole ratio of t-butylmagnesium halide to 1-((2R,3R,4R,5R)-3-fluoro-4-hydroxy-5-(hydroxymethyl)-3-methyltetrahydrofuran-2-yl)pyrimidine-2,4(1H,3H)-dione ranges from about 2 to about 2.2.

68. The process of claim 64, wherein the mole ratio of t-butylmagnesium halide to 1-((2R,3R,4R,5R)-3-fluoro-4-hydroxy-5-(hydroxymethyl)-3-methyltetrahydrofuran-2-yl)pyrimidine-2,4(1H,3H)-dione is about 2.1.

69. The process of claim 64, wherein the t-butylmagnesium halide is t-butylmagnesium chloride.

70. A process for preparing substantially pure (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate, which comprises:

obtaining (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-

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yl)methoxy)(phenoxy)phosphoryl)amino)propanoate according to the process of claim 35 and

crystallizing the so-formed (S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate.

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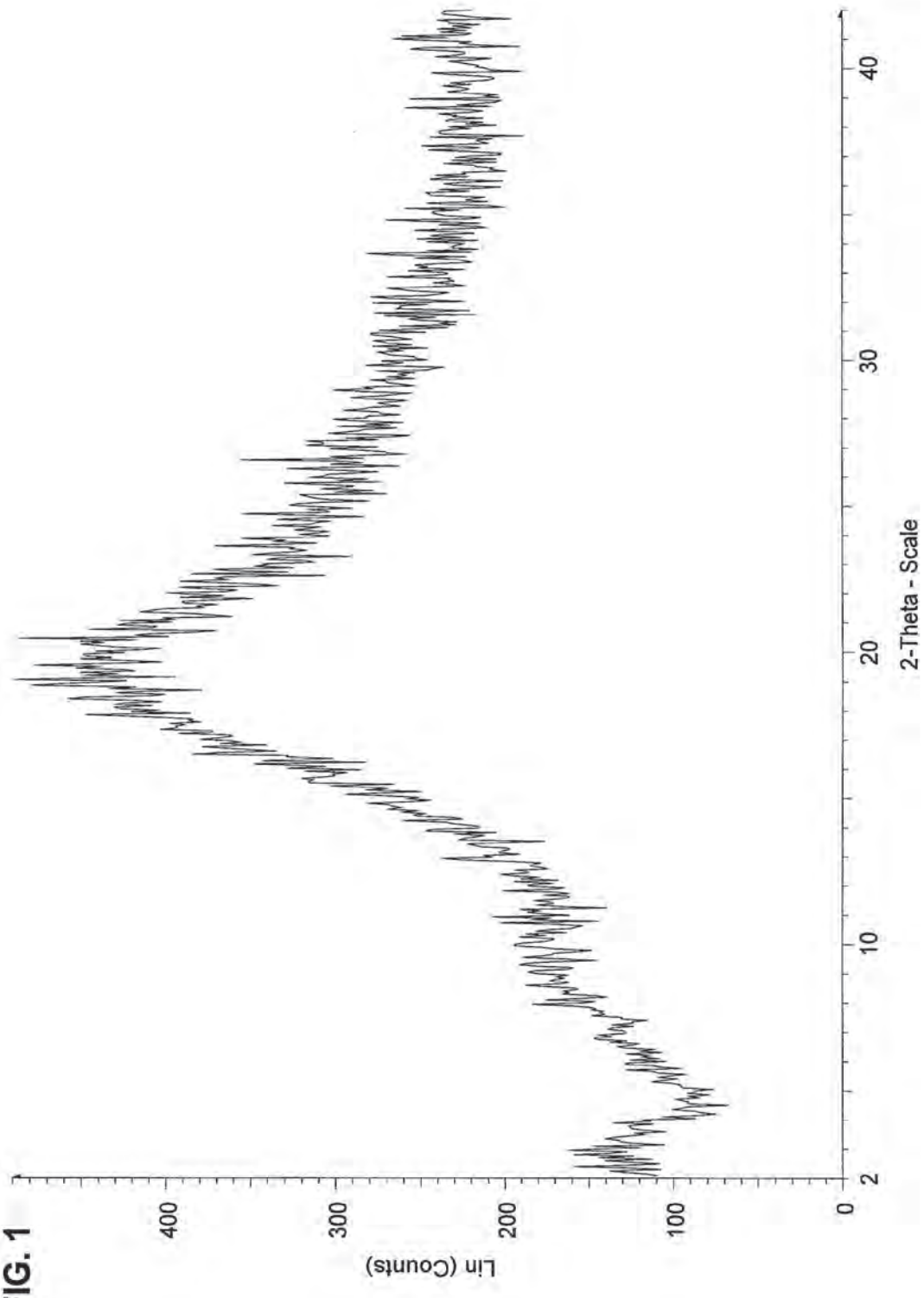
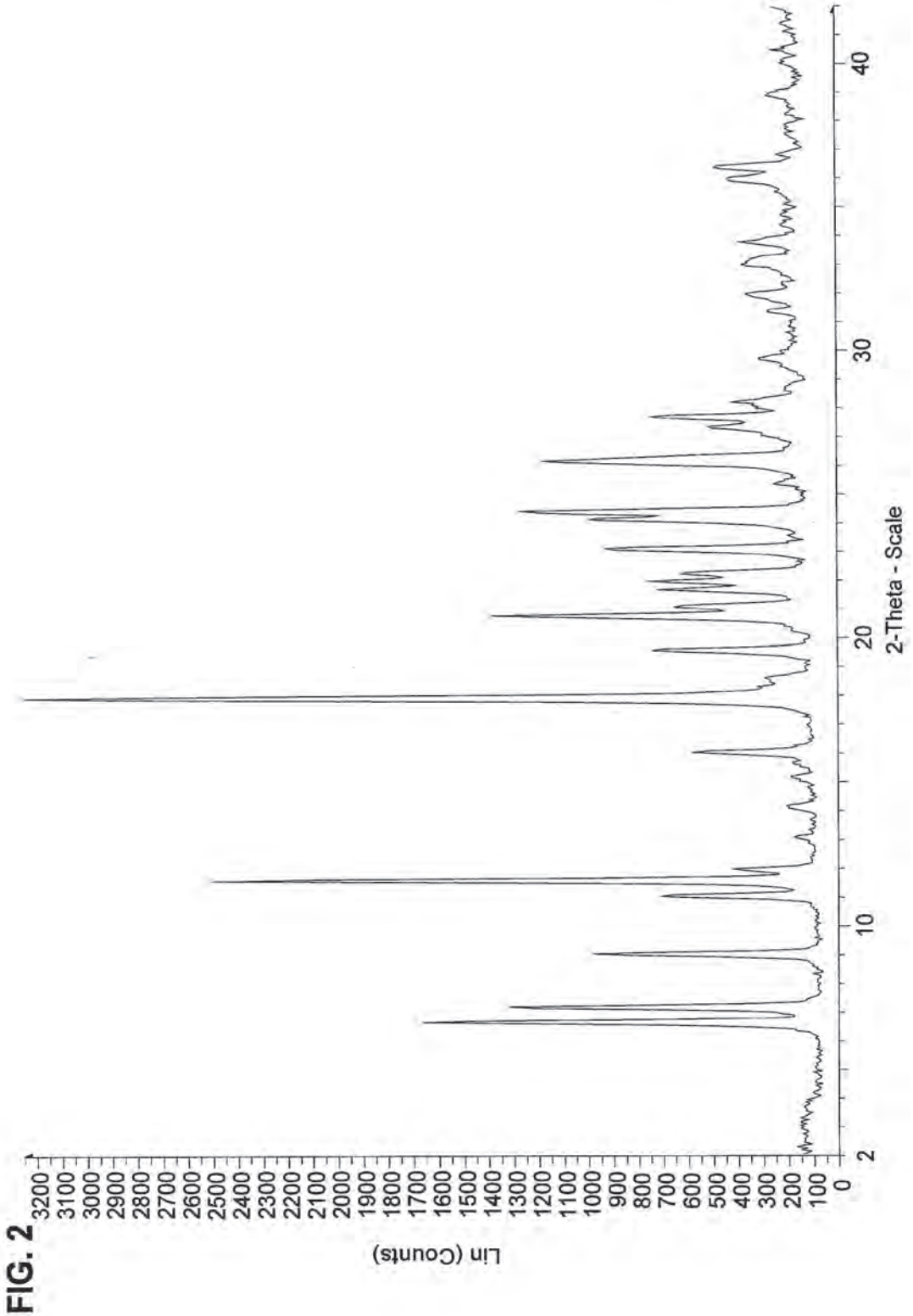


FIG. 1

FIG. 2


Lin (Counts)
3200
3100
3000
2900
2800
2700
2600
2500
2400
2300
2200
2100
2000
1900
1800
1700
1600
1500
1400
1300
1200
1100
1000
900
800
700
600
500
400
300
200
100
0
2
10
20
30
40
2-Theta - Scale

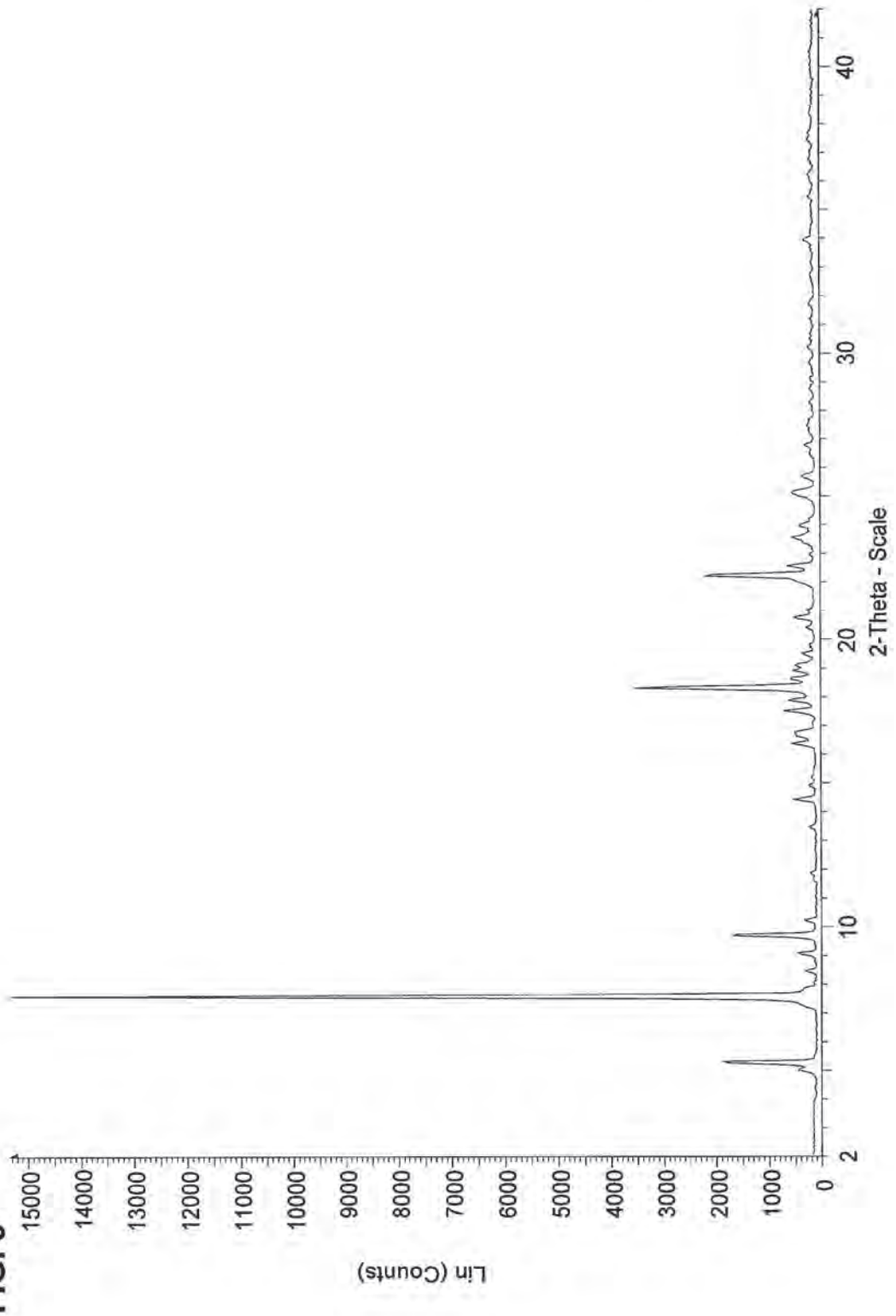
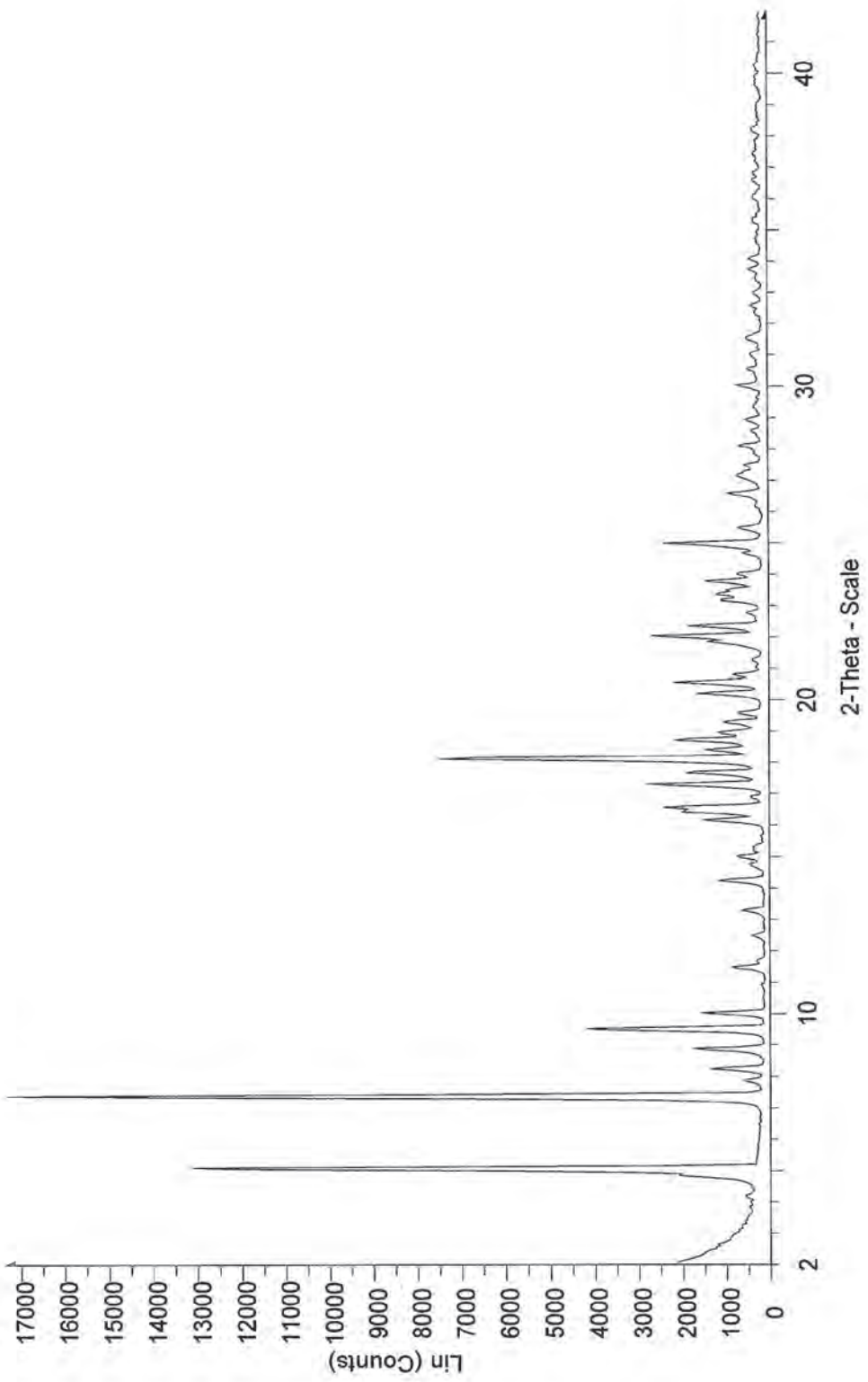

Lin (Counts)
15000
14000
13000
12000
11000
10000
9000
8000
7000
6000
5000
4000
3000
2000
1000
0
2
10
20
30
40
2-Theta - Scale

FIG. 3

FIG. 4


Lin (Counts)
17000
16000
15000
14000
13000
12000
11000
10000
9000
8000
7000
6000
5000
4000
3000
2000
1000
0
2
10
20
30
40
2-Theta - Scale

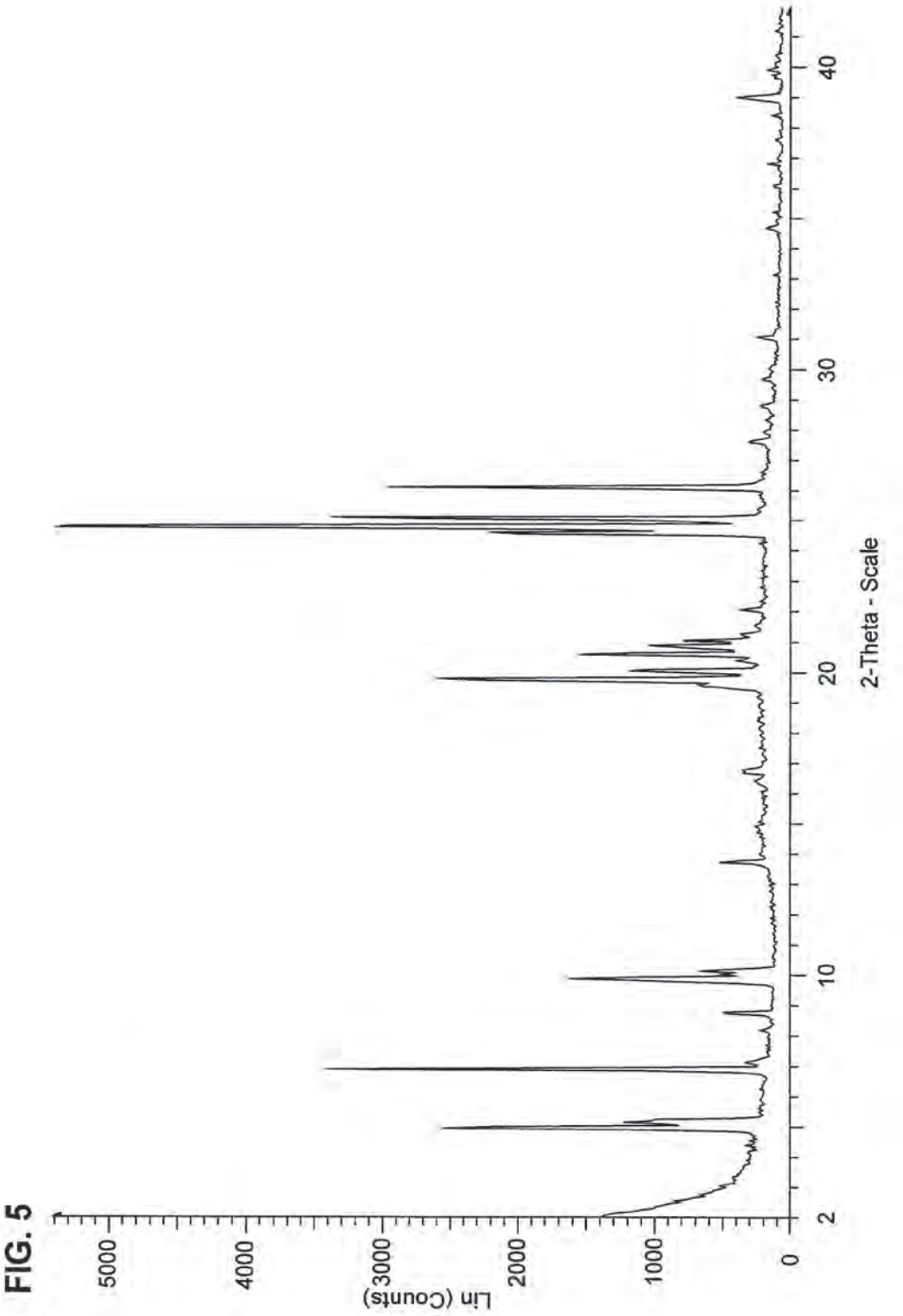

Lin (Counts)
0
1000
2000
3000
4000
5000
2
10
20
30
40
2-Theta - Scale

FIG. 5

FIG. 6

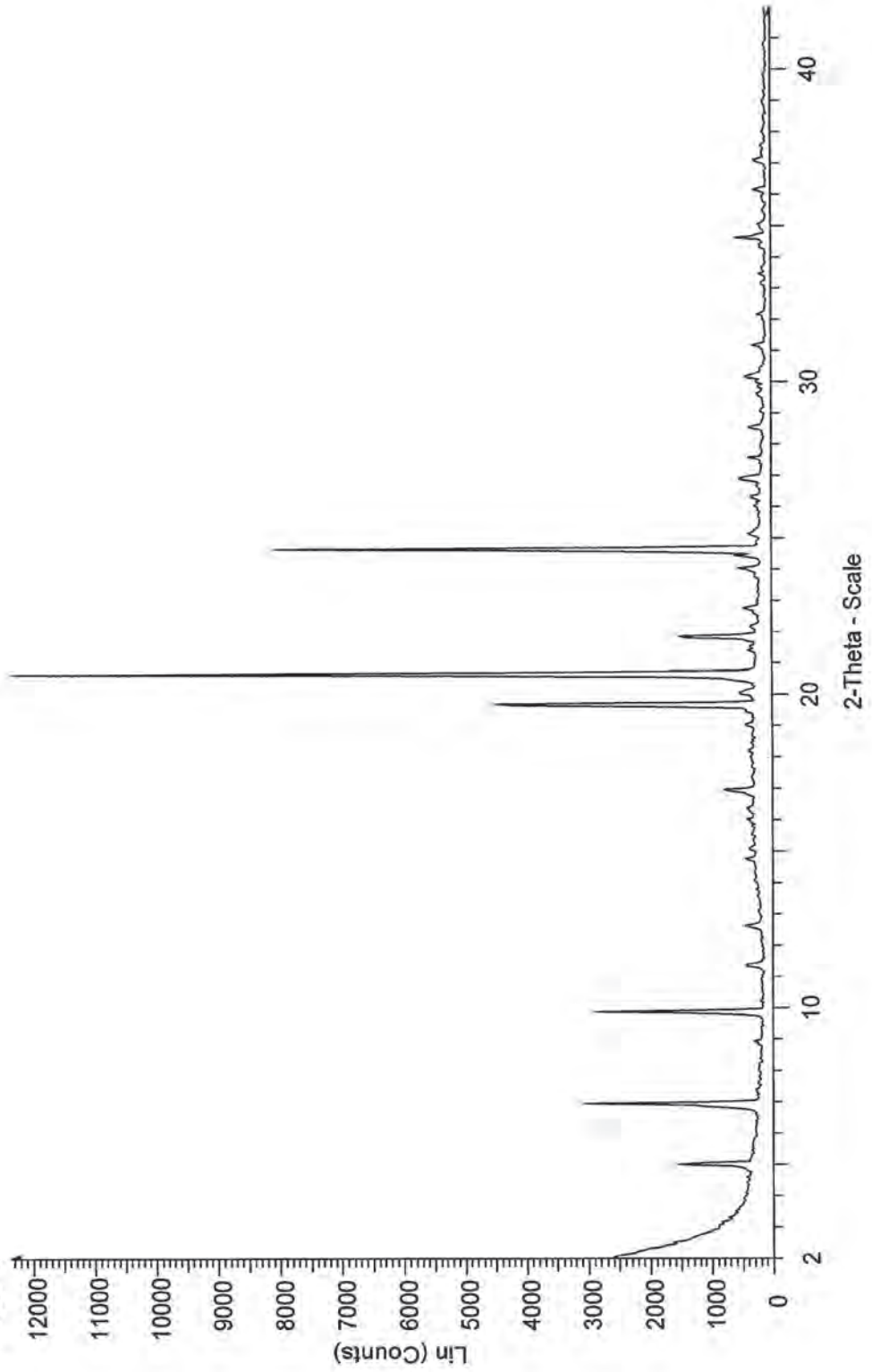

Lin (Counts)
12000
11000
10000
9000
8000
7000
6000
5000
4000
3000
2000
1000
0
2
10
20
30
40
2-Theta - Scale

FIG. 7

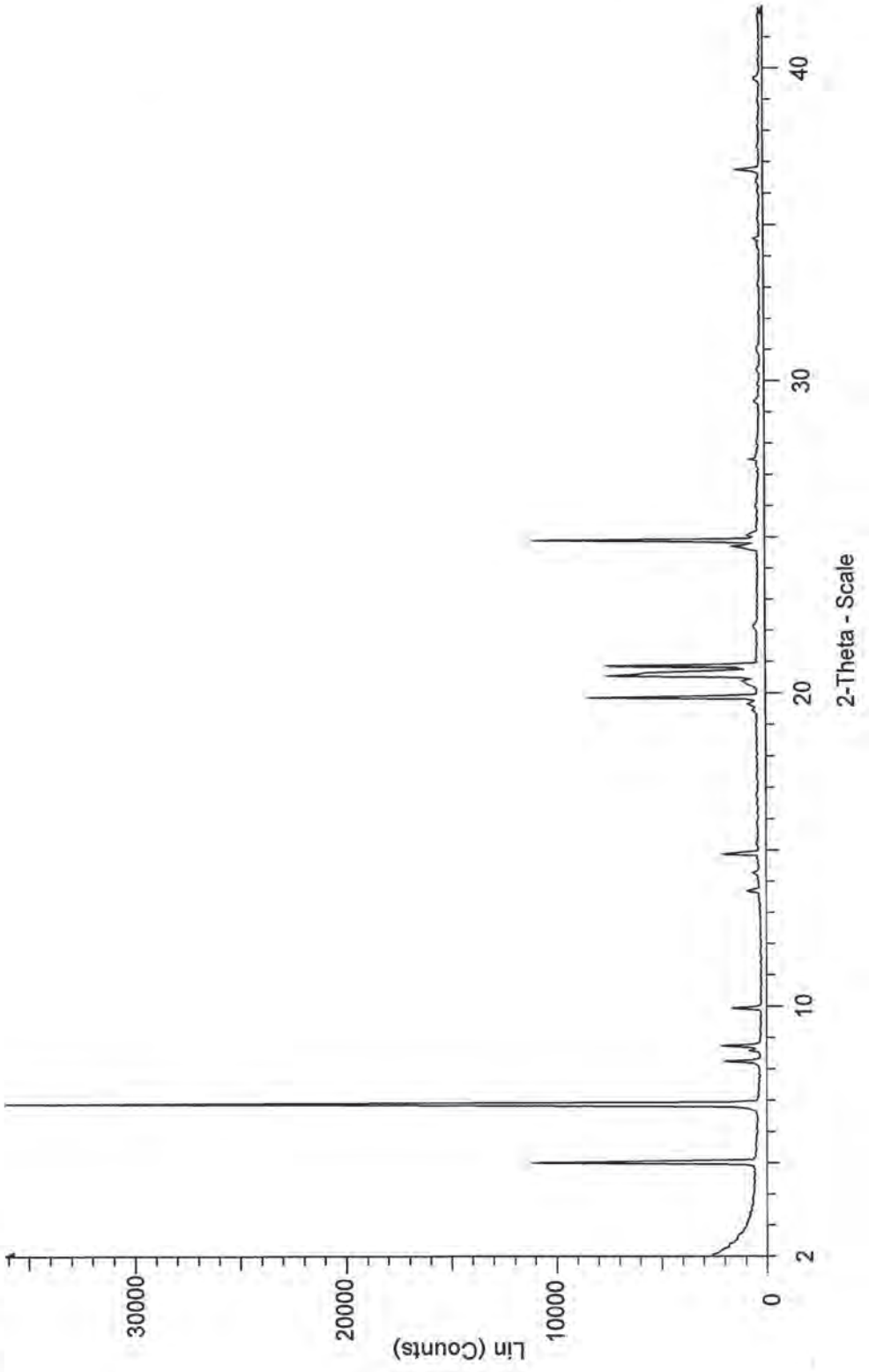
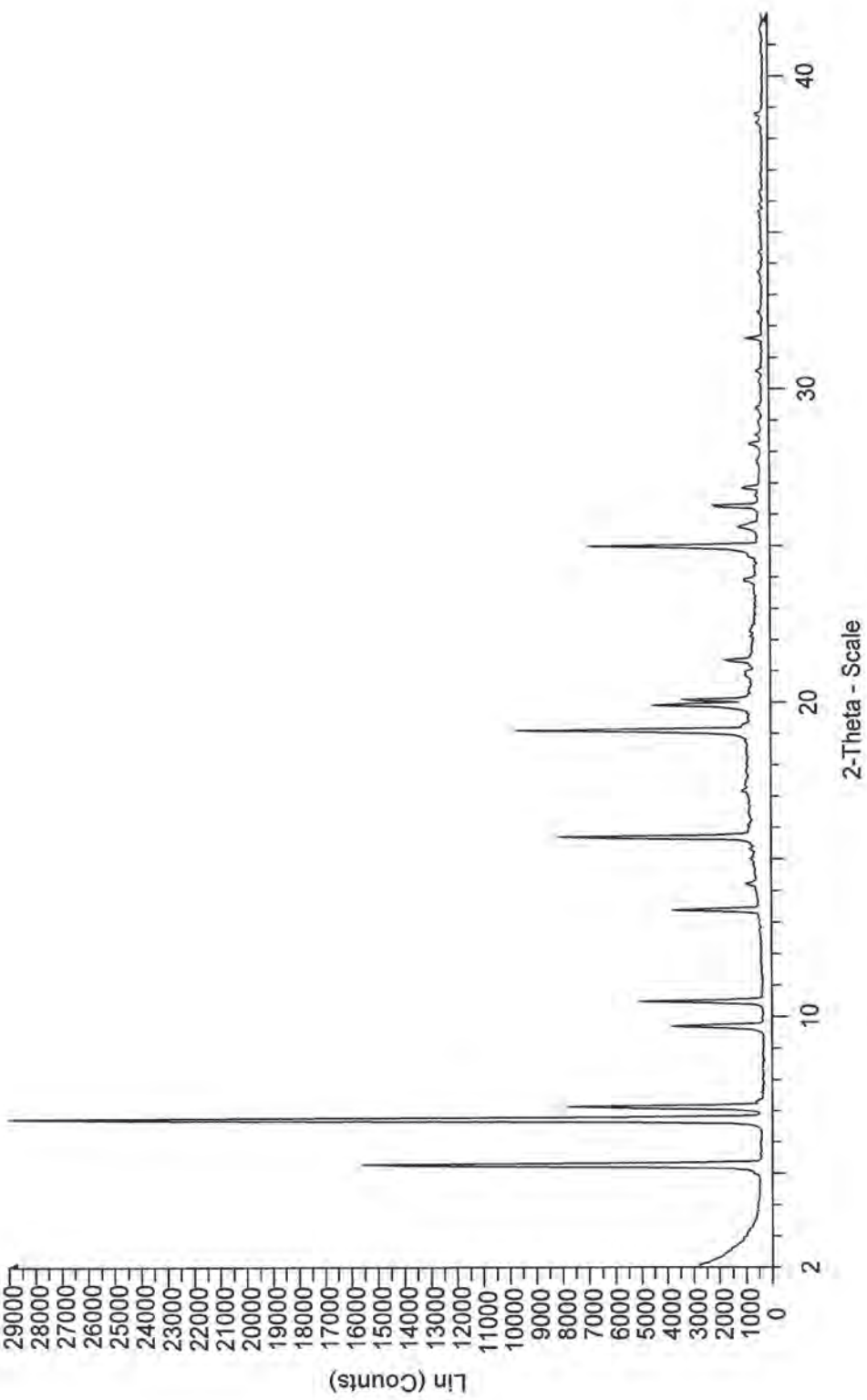


FIG. 8


Lin (Counts)
29000
28000
27000
26000
25000
24000
23000
22000
21000
20000
19000
18000
17000
16000
15000
14000
13000
12000
11000
10000
9000
8000
7000
6000
5000
4000
3000
2000
1000
0
2
10
20
30
40
2-Theta - Scale

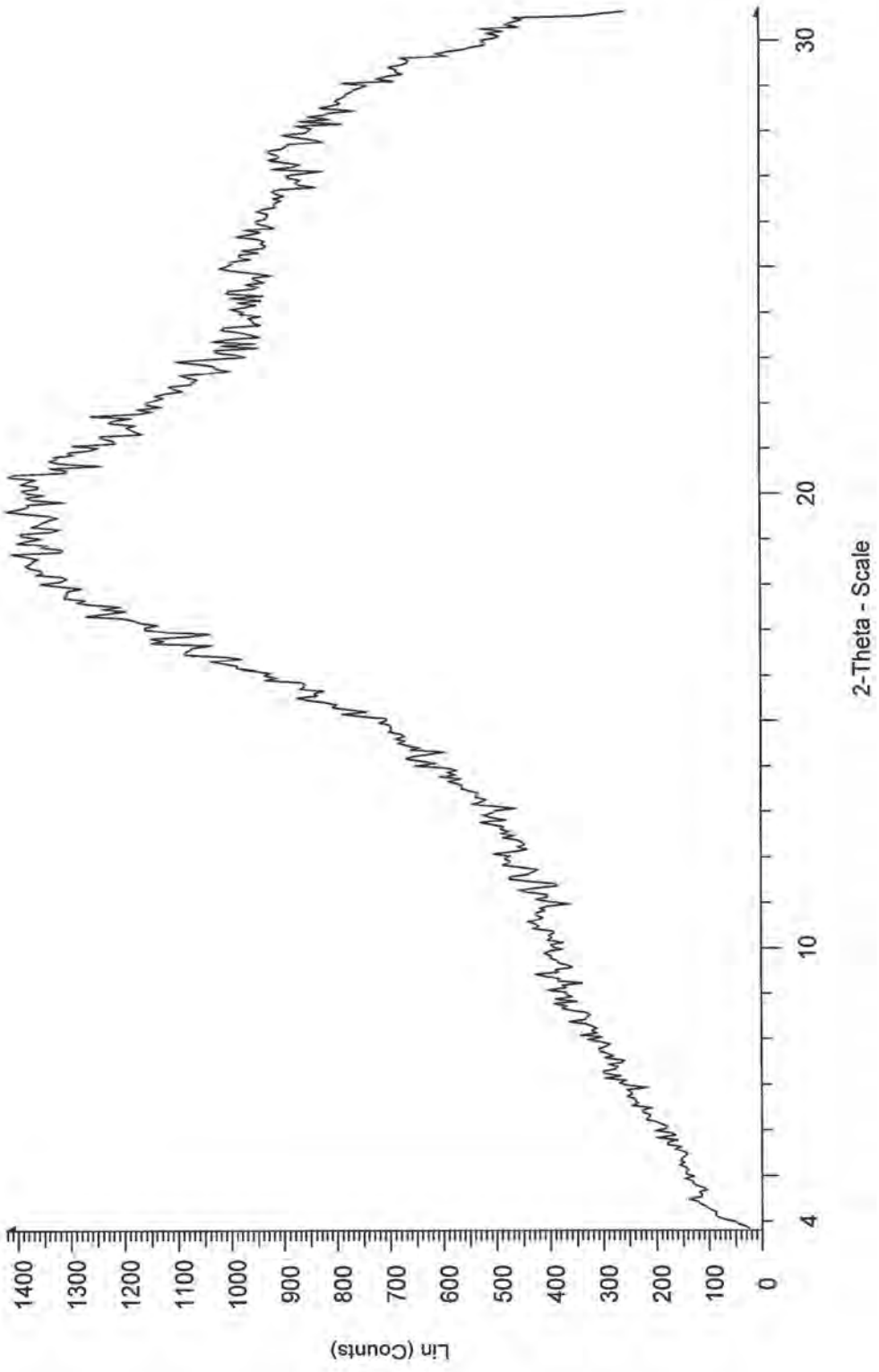

Lin (Counts)
0
100
200
300
400
500
600
700
800
900
1000
1100
1200
1300
1400
4
10
20
30
2-Theta - Scale

FIG. 9

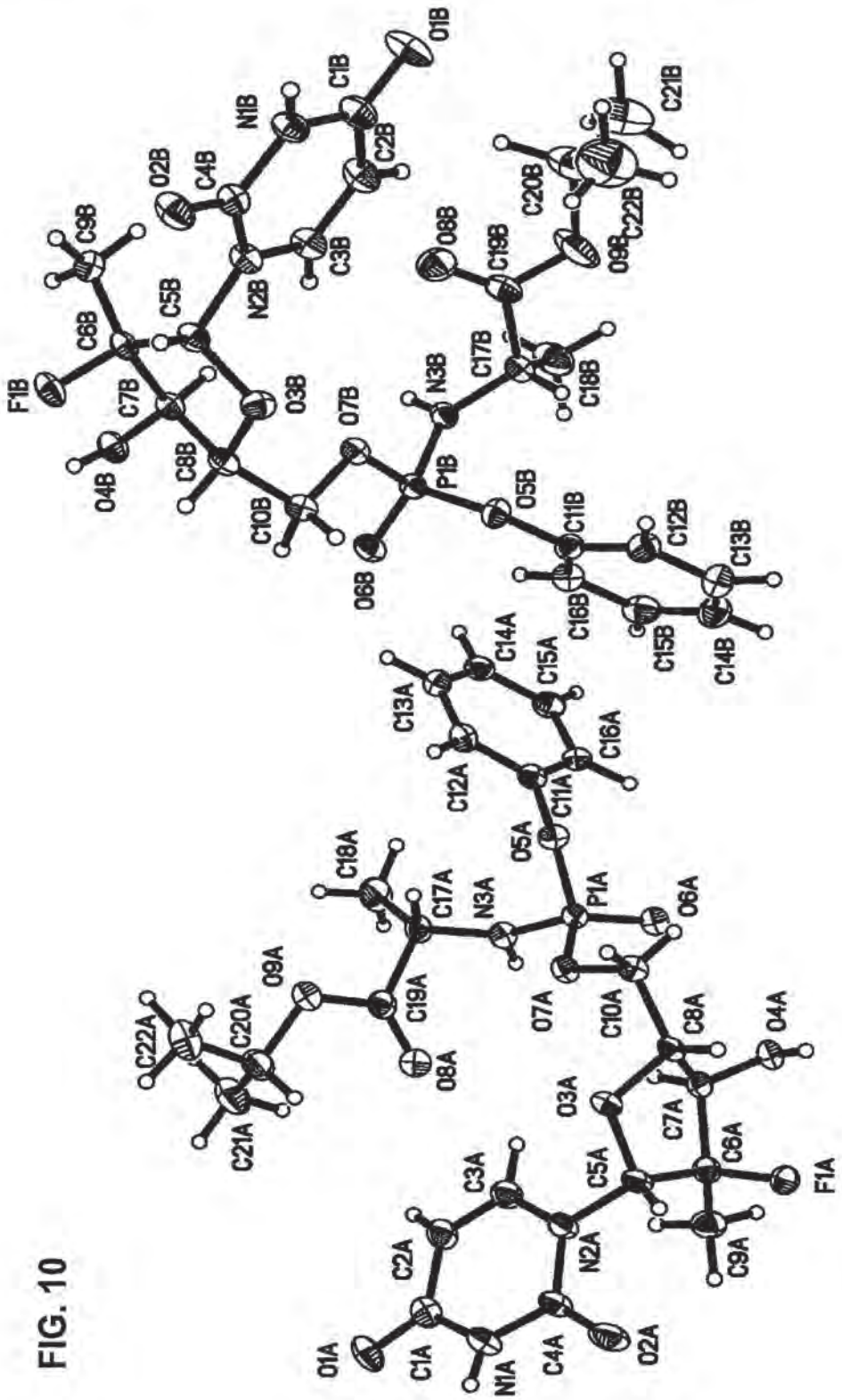
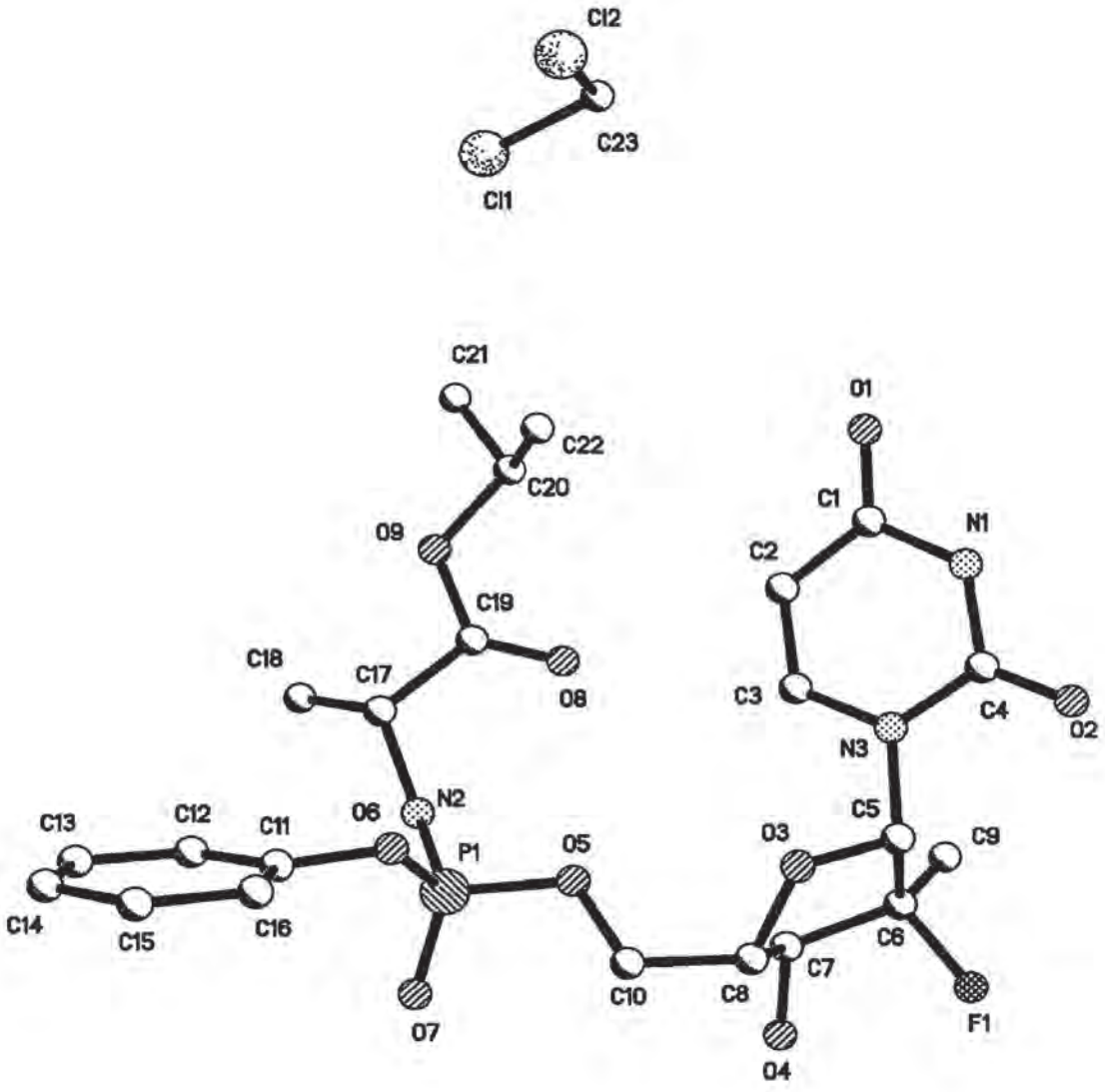

O1B
C1B
N1B
C2B
O2B
C4B
C3B
C9B
C5B
N2B
C6B
F1B
C7B
O3B
O4B
C8B
C10B
O7B
N3B
C17B
C18B
O8B
C19B
C20B
C21B
C22B
O9B
P1B
O5B
O6B
C11B
C12B
C13B
C16B
C15B
C14B
C14A
C15A
C13A
C16A
C12A
C11A
O5A
C18A
C17A
N3A
P1A
O6A
O9A
C19A
O7A
C10A
C8A
O4A
C22A
C20A
O8A
O3A
C7A
C6A
F1A
C21A
C3A
C5A
C9A
C2A
N2A
O1A
C1A
N1A
C4A
O2A

FIG. 10

FIG. 11


Cl2
C23
Cl1
C21
C22
C20
O9
C19
C18
C17
O8
N2
O6
C13
C12
C11
C14
C15
C16
P1
O5
O7
C10
C8
C7
O4
O3
C5
C6
C9
F1
O1
C1
N1
C2
C3
C4
O2
N3

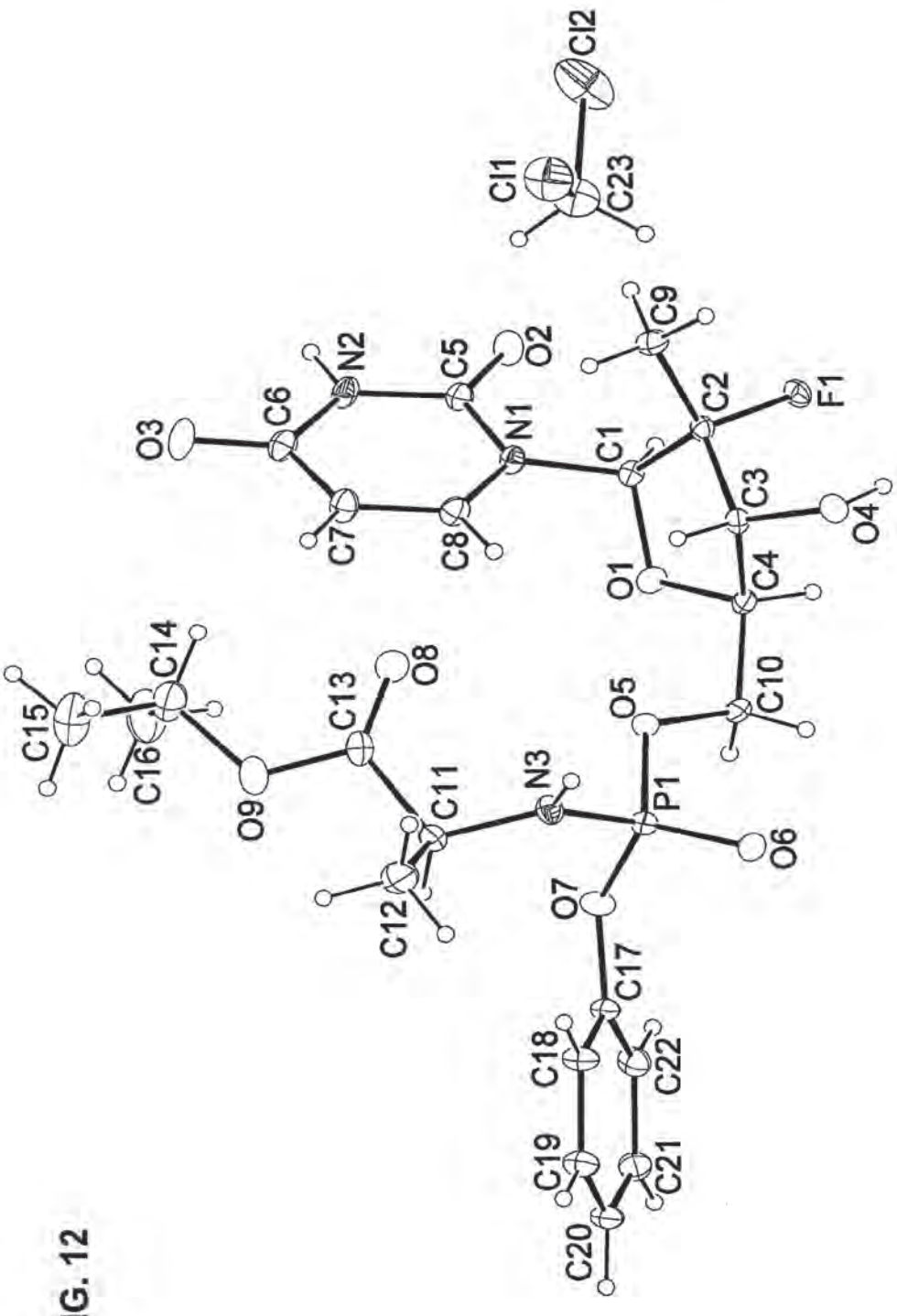

Cl2
Cl1
C23
C9
O2
C5
N2
C6
O3
N1
C1
C2
F1
C7
C8
O1
C3
O4
C4
C14
C15
C13
O8
C10
O5
C16
O9
C11
N3
P1
O6
C12
O7
C17
C18
C22
C19
C21
C20

FIG. 12

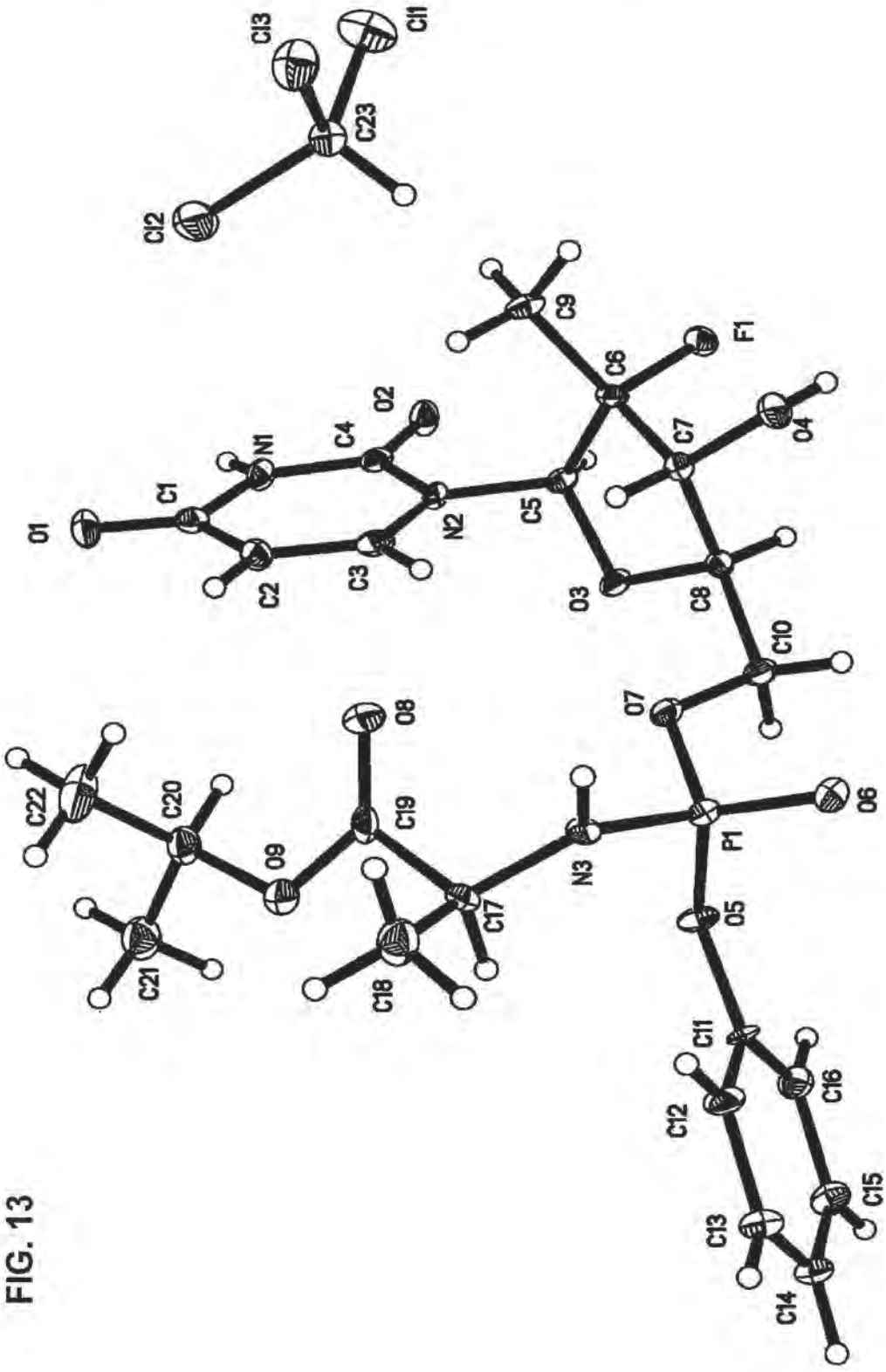

Cl3
Cl1
C23
Cl2
C9
F1
C6
O2
C4
N1
C7
O4
C1
O1
N2
C5
C2
C3
O3
C8
C10
O7
O8
C22
C20
C19
O6
O9
N3
P1
C17
O5
C21
C18
C11
C12
C16
C15
C13
C14

FIG. 13

FIG. 14

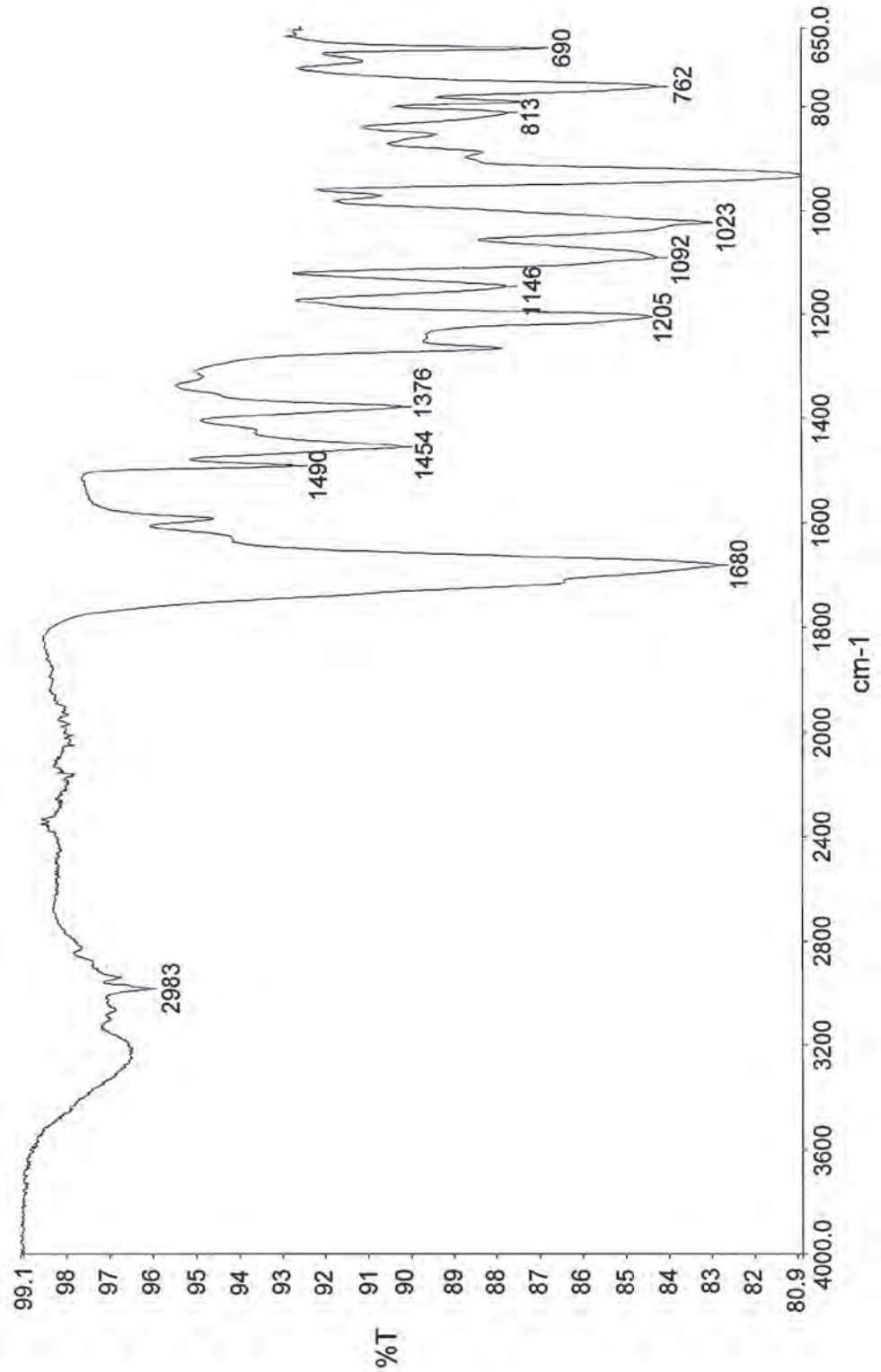

%T
cm-1
99.1
98
97
96
95
94
93
92
91
90
89
88
87
86
85
84
83
82
80.9
4000.0
3600
3200
2800
2400
2000
1800
1600
1400
1200
1000
800
650.0
2983
1680
1490
1454
1376
1205
1146
1092
1023
813
762
690

FIG. 15

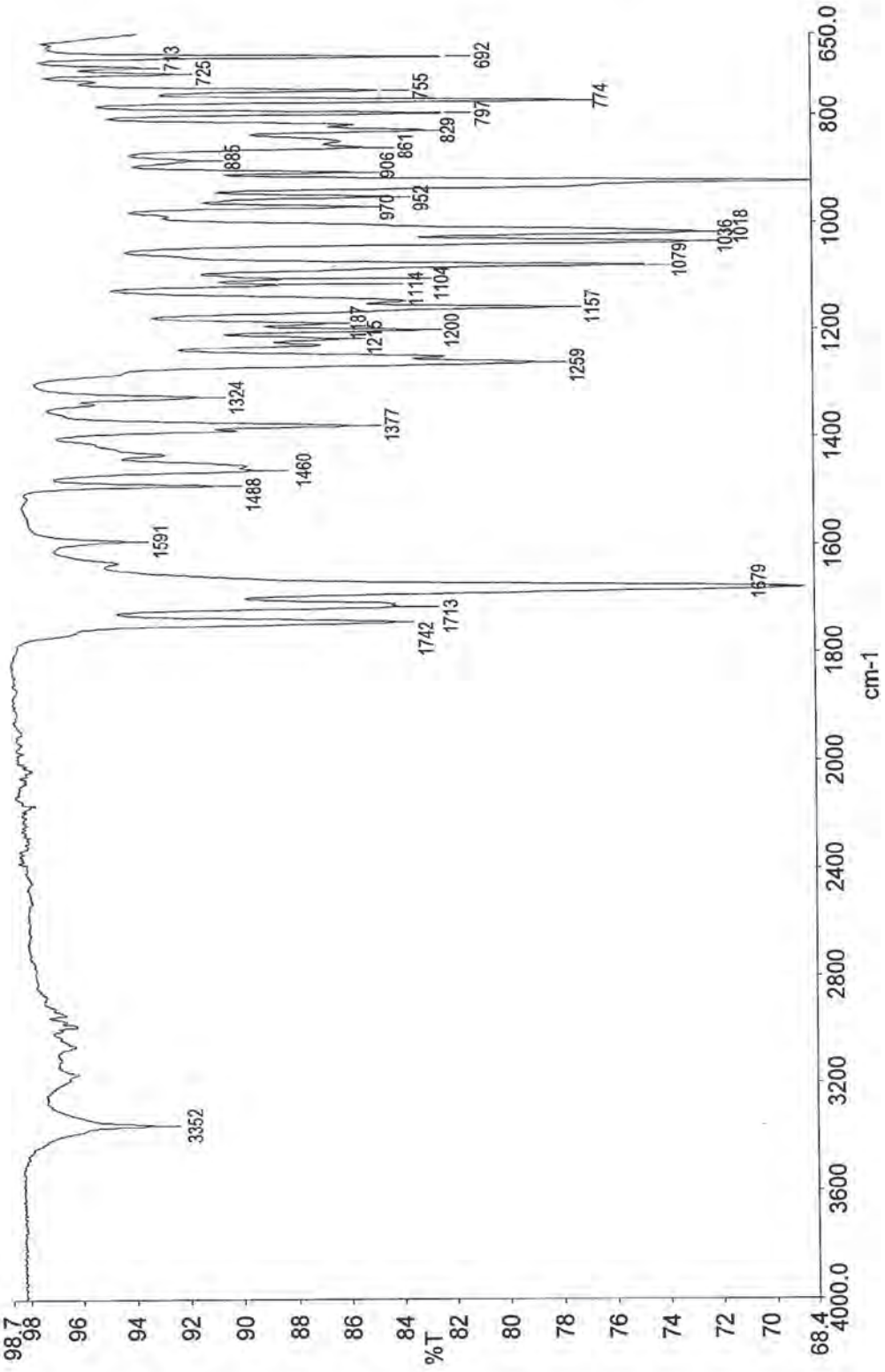
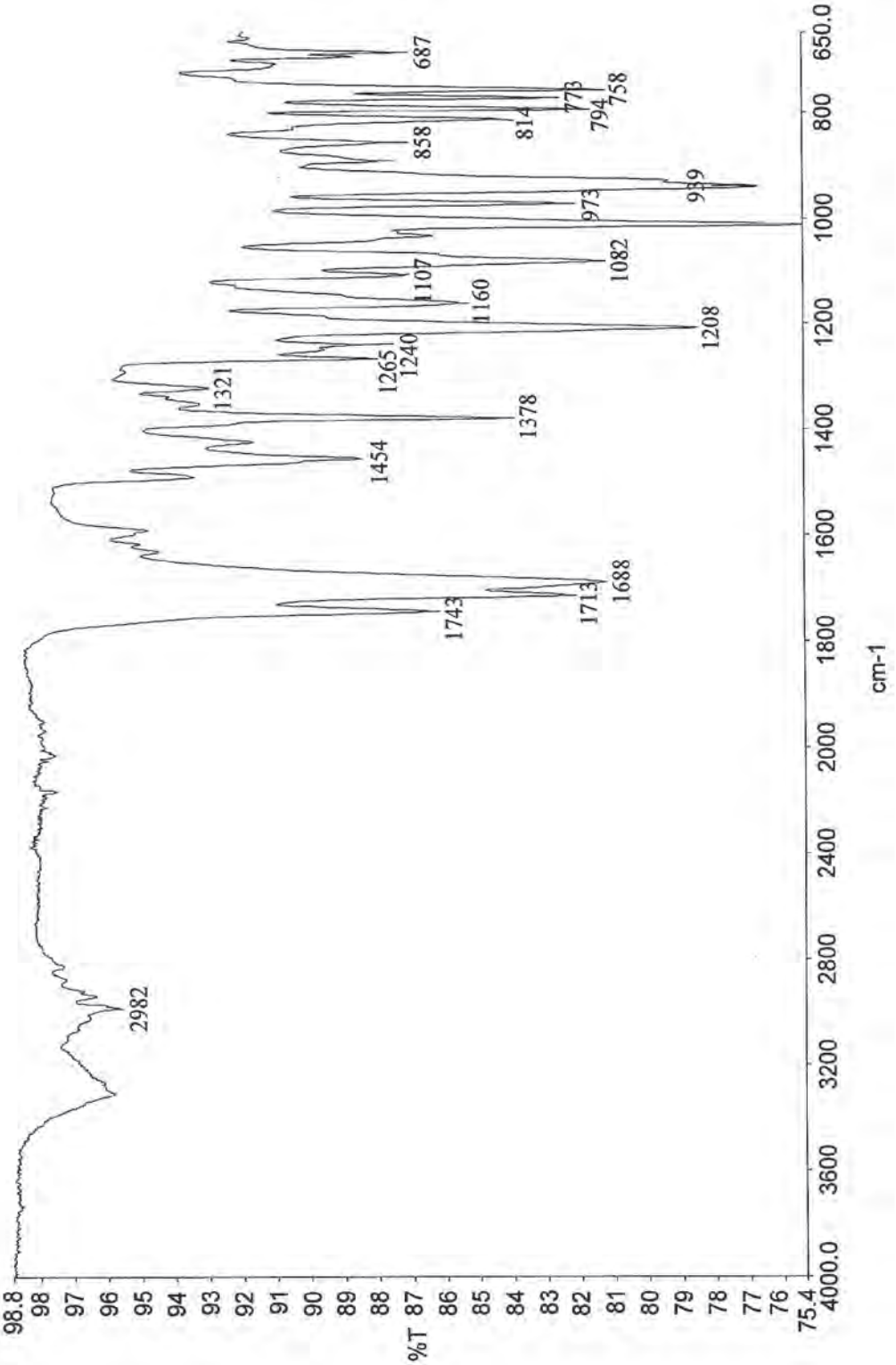

98.7
98
96
94
92
90
88
86
84
%T
82
80
78
76
74
72
70
68.4
4000.0
3600
3200
2800
2400
2000
1800
1600
1400
1200
1000
800
650.0
cm-1
3352
1742
1713
1679
1591
1488
1460
1377
1324
1259
1215
1200
1187
1157
1114
1104
1079
1036
1018
970
952
906
885
861
829
797
774
755
725
713
692

FIG. 16


%T
cm-1
98.8
75.4
4000.0
3600
3200
2800
2400
2000
1800
1600
1400
1200
1000
800
650.0
2982
1743
1713
1688
1454
1378
1321
1265
1240
1208
1160
1107
1082
973
939
858
814
794
758
687

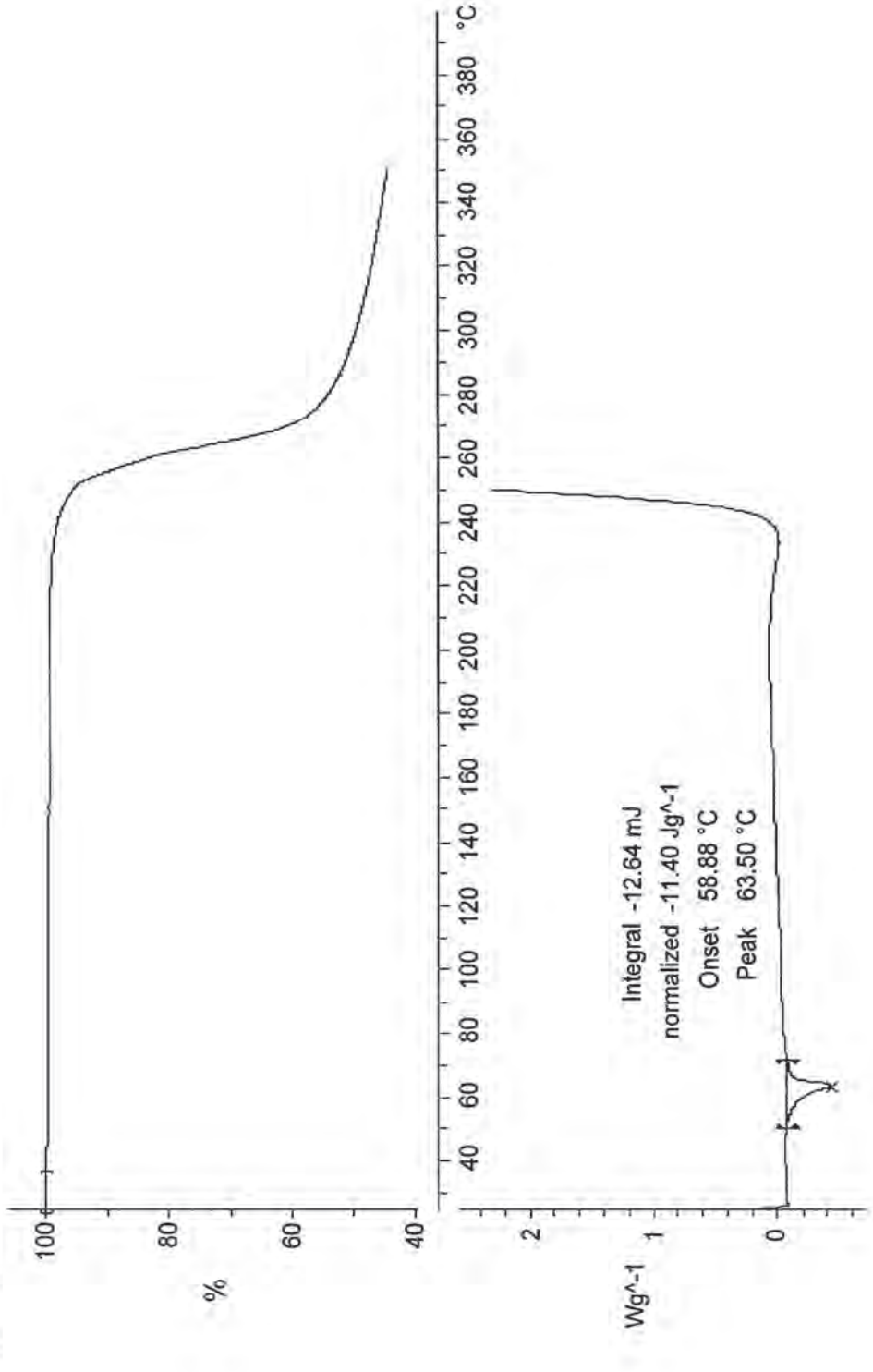

100
80
60
40
%
40 60 80 100 120 140 160 180 200 220 240 260 280 300 320 340 360 380 °C
2
1
0
Wg^-1
Integral -12.64 mJ
normalized -11.40 Jg^-1
Onset 58.88 °C
Peak 63.50 °C

FIG. 17

FIG.18

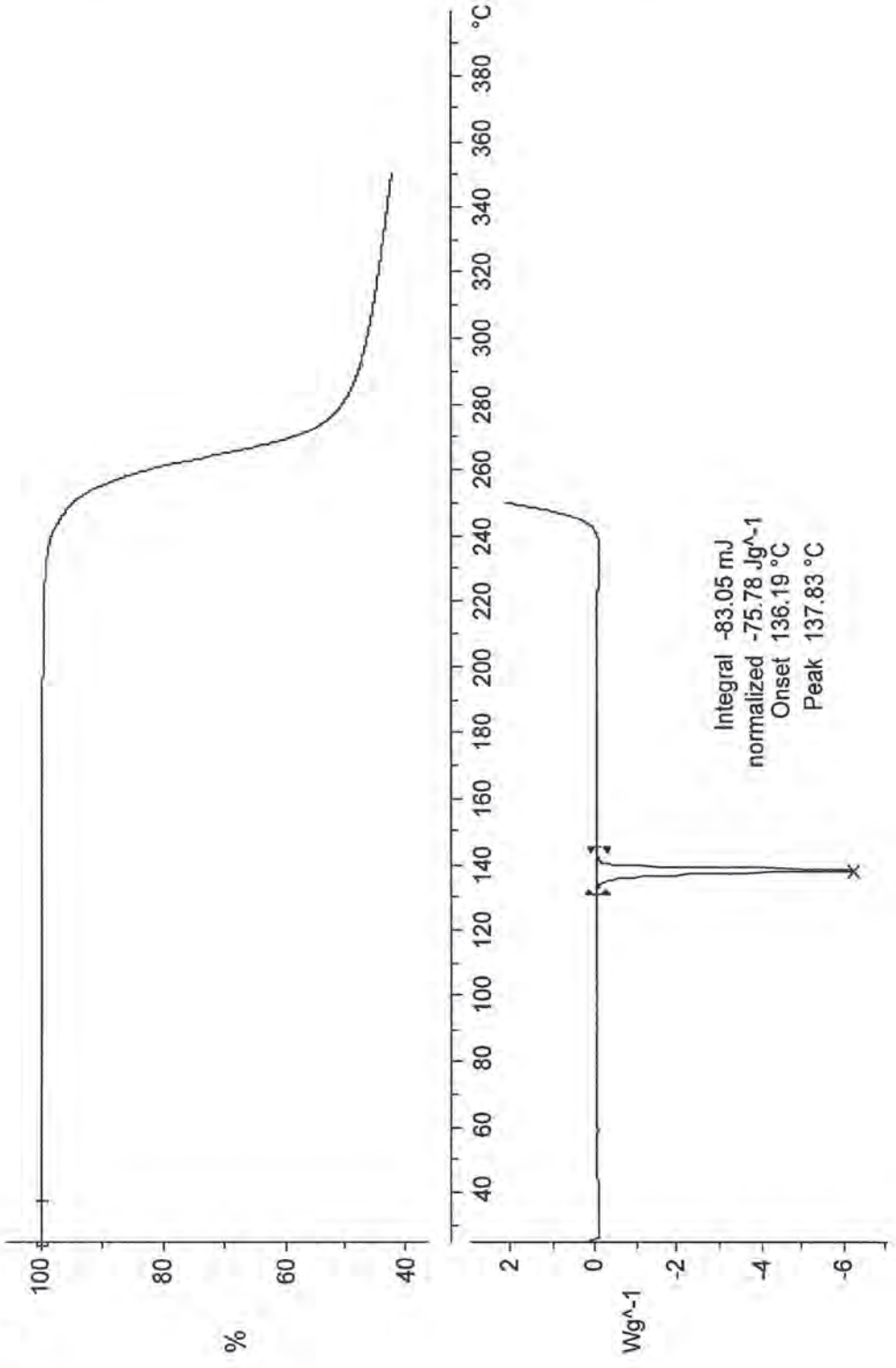
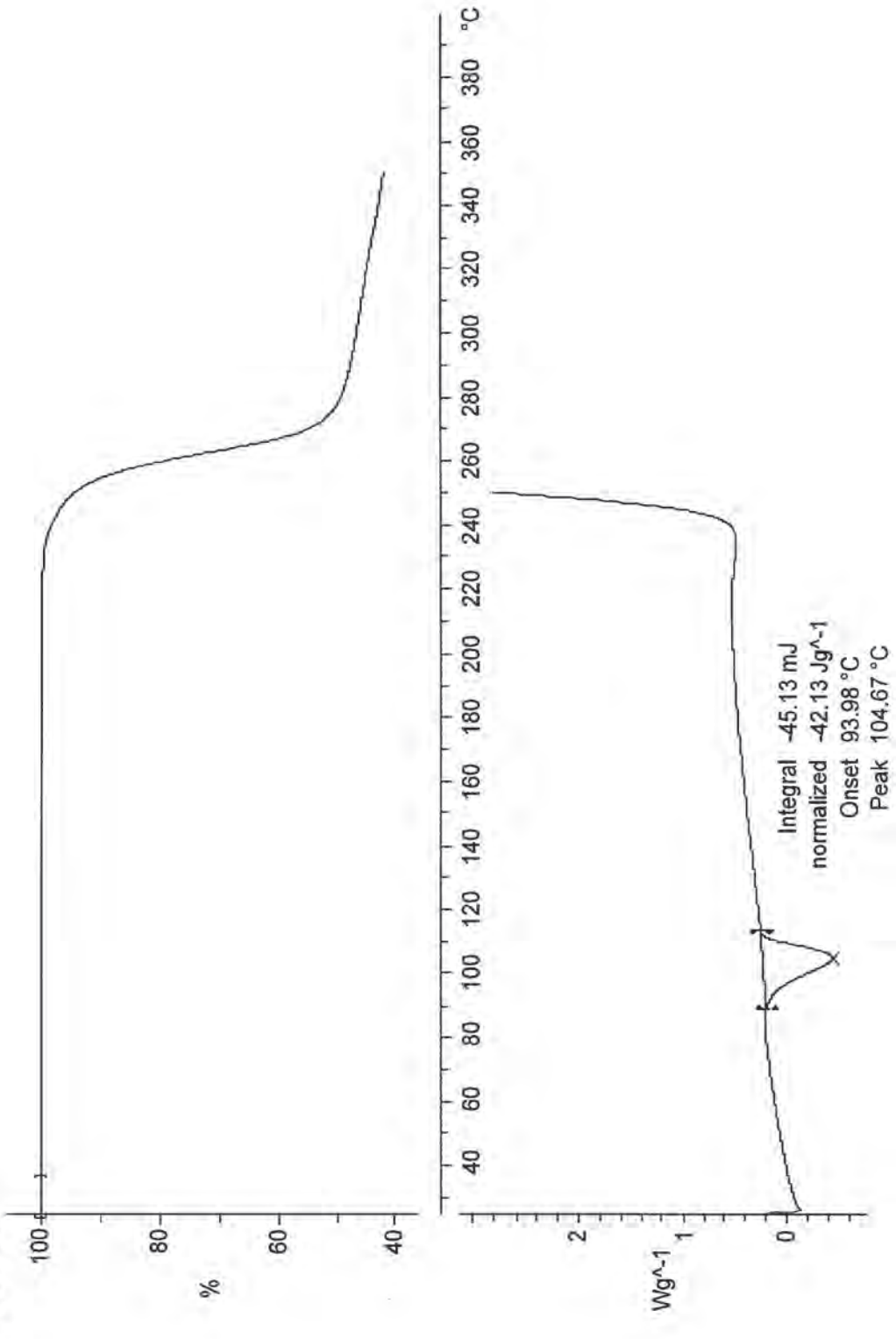

100
80
60
40
%
40
60
80
100
120
140
160
180
200
220
240
260
280
300
320
340
360
380
°C
2
0
-2
-4
-6
Wg^-1
Integral -83.05 mJ
normalized -75.78 Jg^-1
Onset 136.19 °C
Peak 137.83 °C

FIG. 19


100
80
60
40
%
40
60
80
100
120
140
160
180
200
220
240
260
280
300
320
340
360
380
°C
2
1
0
Wg^-1
Integral -45.13 mJ
normalized -42.13 Jg^-1
Onset 93.98 °C
Peak 104.67 °C

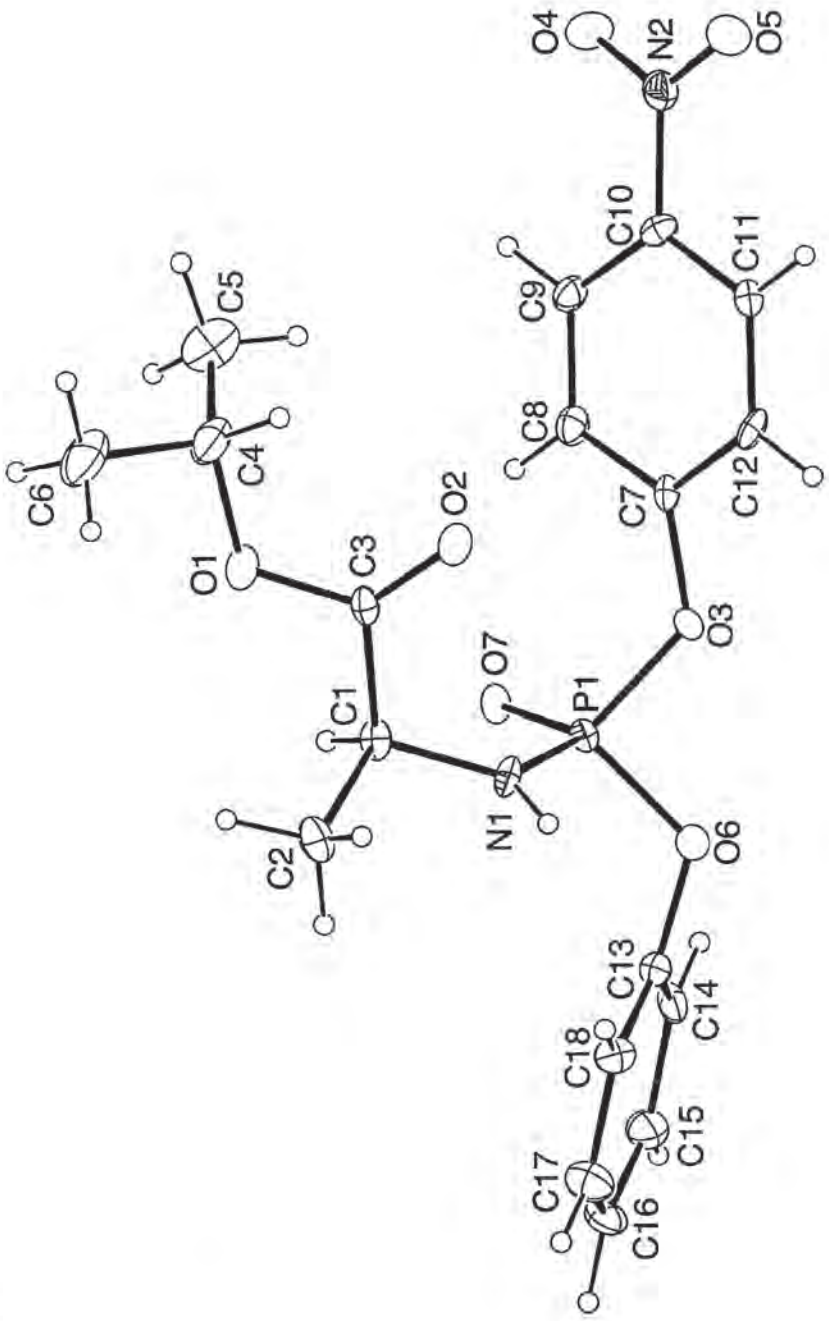

O4
N2
O5
C10
C11
C9
C8
C12
C7
C5
C6
C4
O2
O1
C3
O3
O7
C1
P1
N1
C2
O6
C13
C14
C18
C15
C17
C16

FIG. 20A

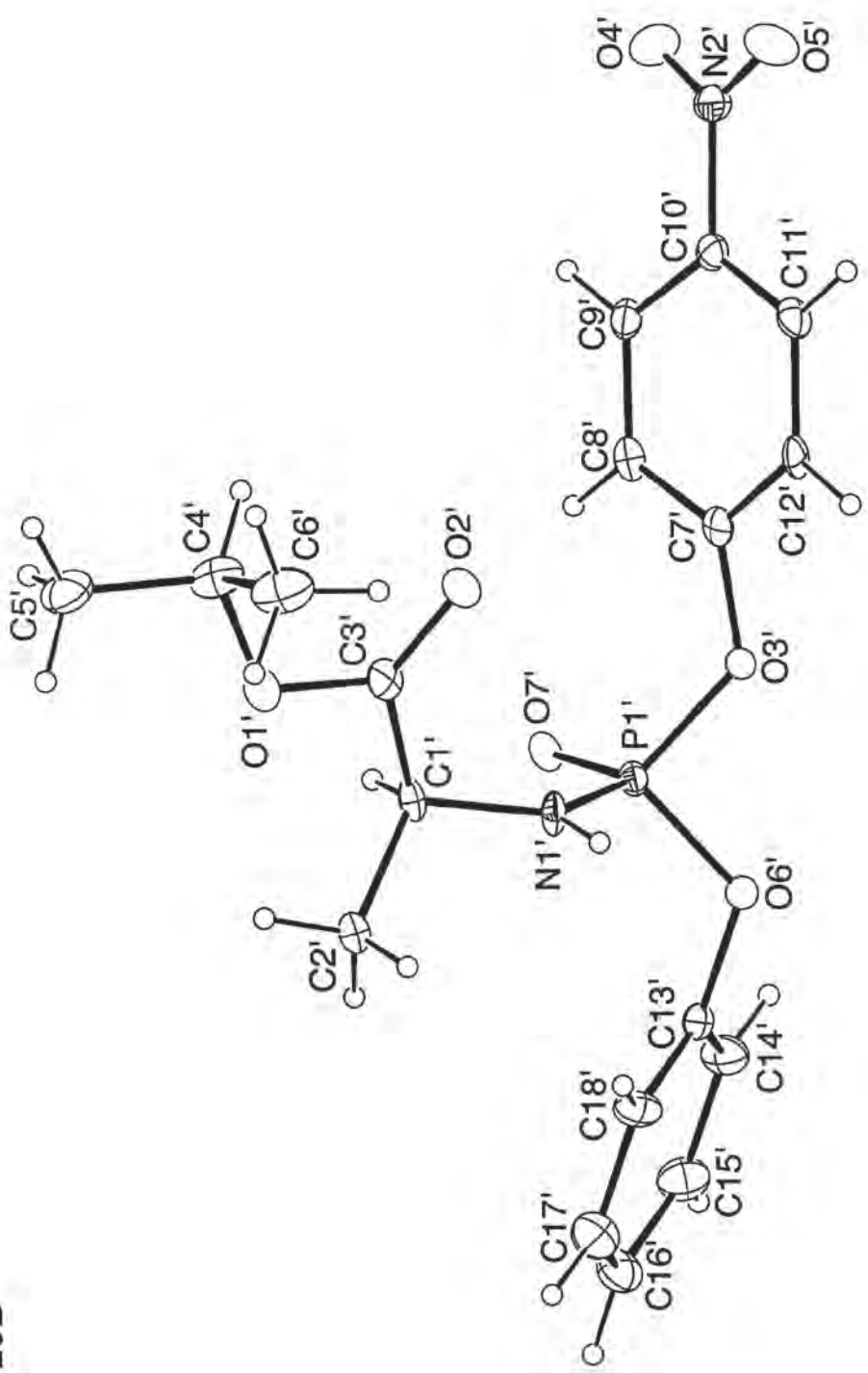

O4'
N2'
O5'
C10'
C11'
C9'
C8'
C12'
C7'
O3'
C4'
C6'
O2'
C5'
C3'
O1'
O7'
P1'
C1'
N1'
O6'
C2'
C13'
C14'
C18'
C15'
C17'
C16'

FIG. 20B

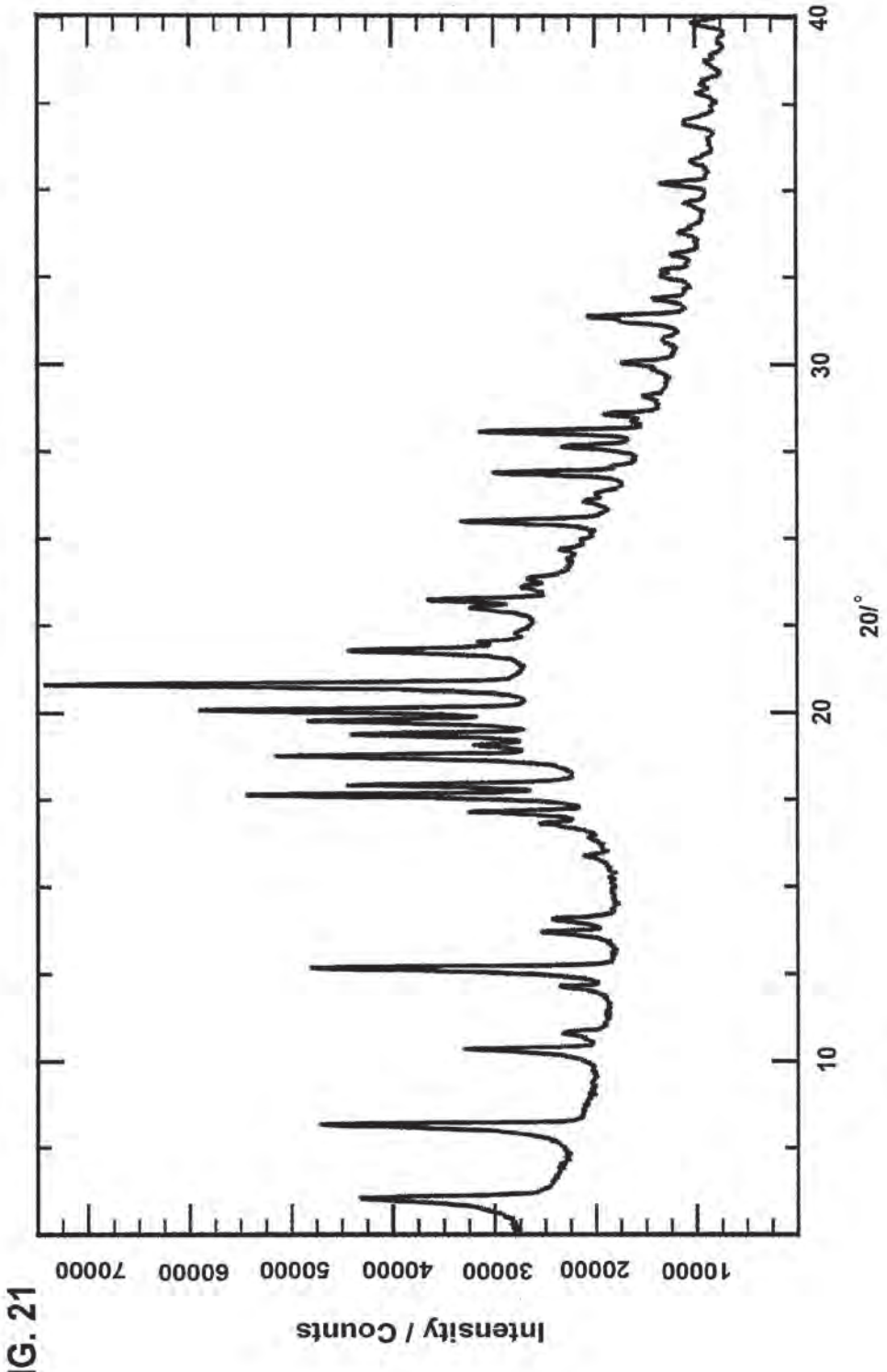

Intensity / Counts
10000
20000
30000
40000
50000
60000
70000
10
20
30
40
2θ/°

FIG. 21

FIG. 22A

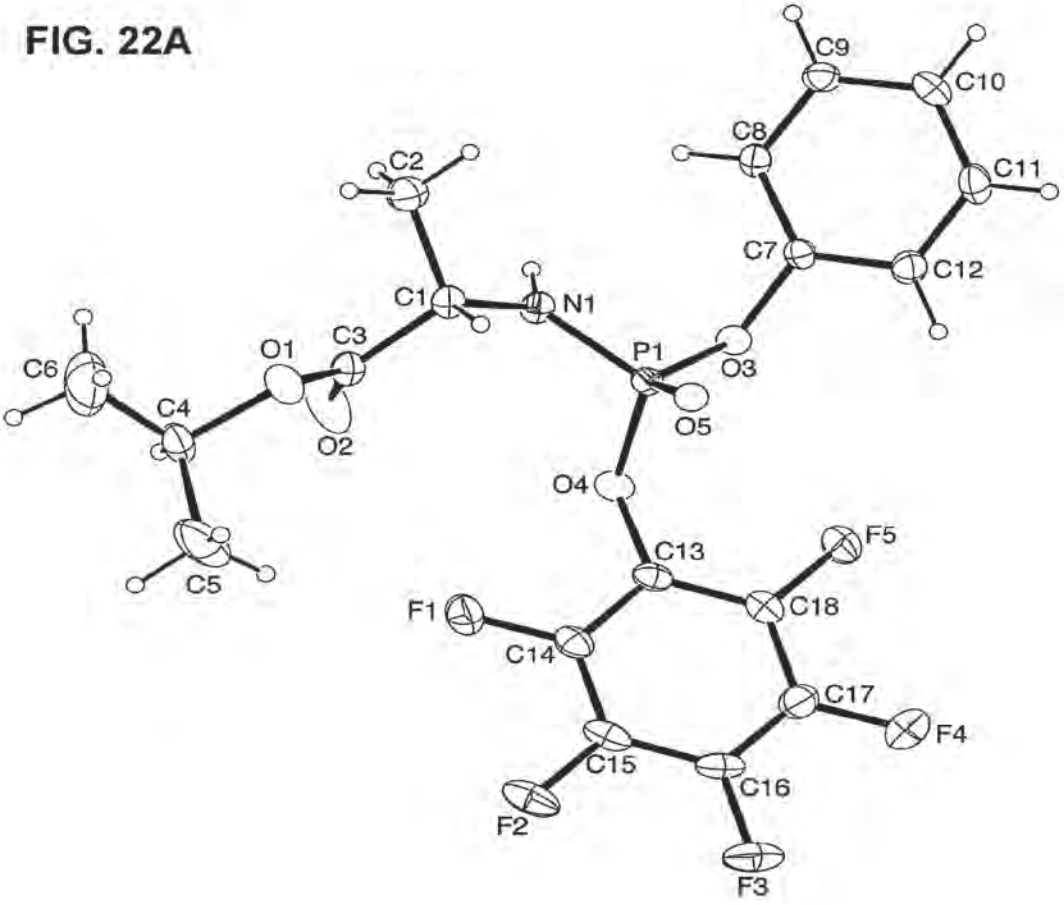
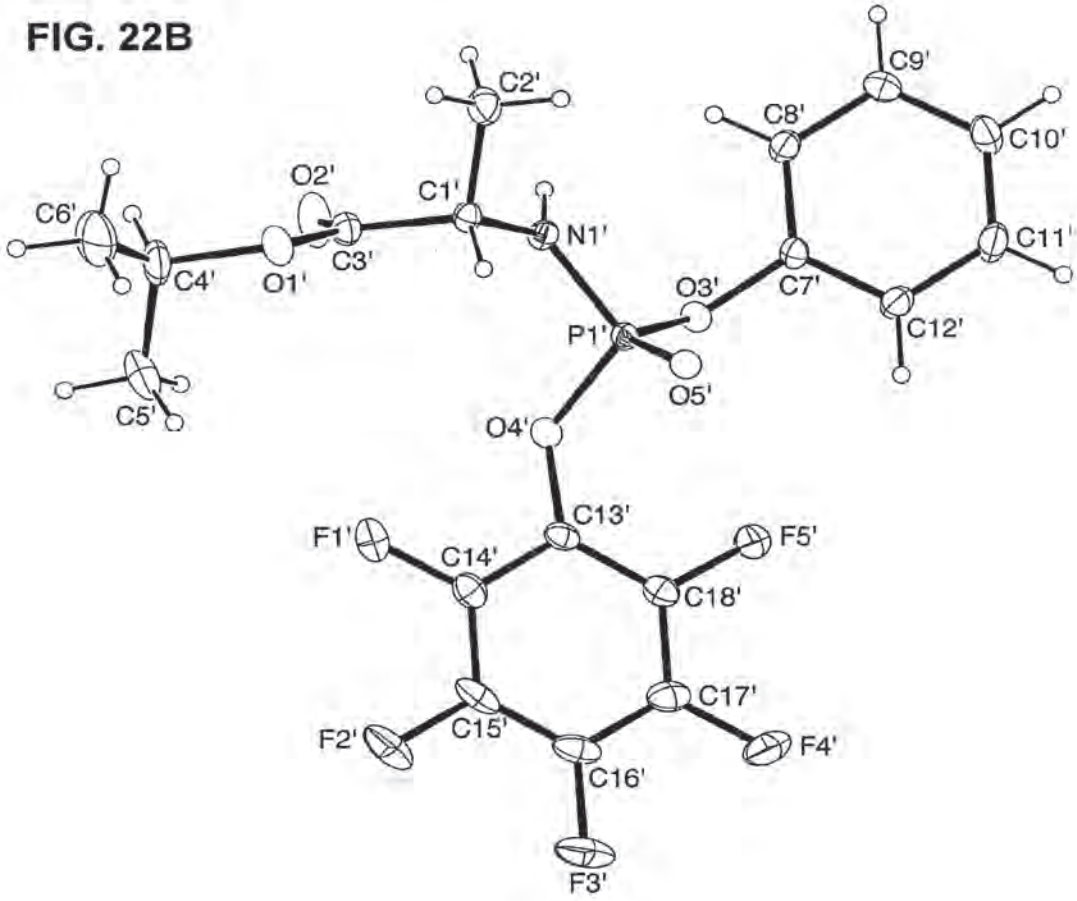

C9
C10
C8
C11
C2
C7
C12
C1
N1
O1
C3
P1
O3
C6
C4
O2
O5
O4
C13
F5
C5
F1
C18
C14
C17
F4
C15
C16
F2
F3

FIG. 22B


C9'
C2'
C8'
C10'
O2'
C1'
C6'
N1'
C11'
C3'
C4'
O1'
O3'
C7'
P1'
C12'
O5'
C5'
O4'
C13'
F1'
F5'
C14'
C18'
C17'
C15'
F2'
F4'
C16'
F3'

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A61K 31/519 (2006.01) *A61P 1/16* (2006.01)
A61K 31/52 (2006.01) *A61P 31/14* (2006.01)
A61K 31/53 (2006.01) *A61P 35/00* (2006.01)

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05102810.8 8 April 2005 (08.04.2005) EP

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(74) Agent: **DAELEMANS, Frank**; Tibotec-Virco Comm. VA, Generaal De Wittelaan L 11B 3, B-2800 Mechelen (BE).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:
— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*
— *of inventorship (Rule 4.17(iv))*

Published:
— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: HCV INHIBITING BI-CYCLIC PYRIMIDINES

(57) Abstract: The present invention relates to the use of bi-cyclic pyrimidines as inhibitors of HCV replication as well as their use in pharmaceutical compositions aimed to treat or combat HCV infections. In addition, the present invention relates to processes for preparation of such pharmaceutical compositions. The present invention also concerns combinat ions of the present bi-cyclic pyrimidines with other anti-HCV agents.

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HCV INHIBITING BI-CYCLIC PYRIMIDINES

The present invention relates to the use of bi-cyclic pyrimidines as inhibitors of HCV replication as well as their use in pharmaceutical compositions aimed to treat or combat HCV infections. In addition, the present invention relates to processes for preparation of such pharmaceutical compositions. The present invention also concerns combinations of the present bi-cyclic pyrimidines with other anti-HCV agents.

Following its discovery in 1989 as the agent implicated in the majority of viral non-A, non-B hepatitis (Choo et al., *Science* 244, 359-362, 1989), hepatitis C virus (HCV) has become a focus of considerable medical research (Lauer, G.M and Walker, B.D., *New Eng. J Med.* 345, 41-52, 2001). HCV is a member of the *Flaviviridae* family of viruses in the *hepacivirus* genus, and is closely related to the *flavivirus* genus, which includes a number of viruses implicated in human disease, such as dengue virus and yellow fever virus, and to the animal *pestivirus* family, which includes bovine viral diarrhea virus (BVDV). HCV is a positive-sense, single-stranded RNA virus, with a genome of around 9,600 bp. The genome comprises both 5' and 3' untranslated regions which adopt RNA secondary structures, and a central open reading frame that encodes a single polyprotein of around 3,010-3,030 amino acids. The polyprotein encodes ten gene products which are generated from the precursor polyprotein by an orchestrated series of co- and post-translational endoproteolytic cleavages mediated by both host and viral proteases. The viral structural proteins include the core nucleocapsid protein, and two envelope glycoproteins E1 and E2. The non-structural (NS) proteins encode some essential viral enzymatic functions (helicase, polymerase, protease), as well as proteins of unknown function. Replication of the viral genome is mediated by an RNA-dependent RNA polymerase, encoded by non-structural protein 5b (NS5B). In addition to the polymerase, the viral helicase and protease functions, both encoded in the bifunctional NS3 protein, have been shown to be essential for replication of HCV RNA in chimpanzee models of infection (Kolykhalov, A.A., Mihalik, K., Feinstone, S.M., and Rice, C.M. *J Virol.* 74, 2046-2051, 2000). In addition to the NS3 serine protease, HCV also encodes a metalloproteinase in the NS2 region.

HCV replicates preferentially in hepatocytes but is not directly cytopathic, leading to persistent infection. In particular, the lack of a vigorous T-lymphocyte response and the high propensity of the virus to mutate appear to promote a high rate of chronic infection. There are 6 major HCV genotypes and more than 50 subtypes, which are differently distributed geographically. HCV type 1 is the predominant genotype in the

US and Europe. For instance, HCV type 1 accounts for 70 to 75 percent of all HCV infections in the United States. The extensive genetic heterogeneity of HCV has important diagnostic and clinical implications, perhaps explaining difficulties in vaccine development and the lack of response to therapy. An estimated 170 million persons worldwide are infected with hepatitis C virus (HCV). Following the initial acute infection, a majority of infected individuals develop chronic hepatitis, which can progress to liver fibrosis leading to cirrhosis, end-stage liver disease, and HCC (hepatocellular carcinoma) (National Institutes of Health Consensus Development Conference Statement: Management of Hepatitis C. *Hepatology*, 36, 5 Suppl. S3-S20, 2002). Liver cirrhosis due to HCV infection is responsible for about 10,000 deaths per year in the U.S.A. alone, and is the leading cause for liver transplantations. Transmission of HCV can occur through contact with contaminated blood or blood products, for example following blood transfusion or intravenous drug use. The introduction of diagnostic tests used in blood screening has led to a downward trend in post-transfusion HCV incidence. However, given the slow progression to the end-stage liver disease, the existing infections will continue to present a serious medical and economic burden for decades (Kim, W.R. *Hepatology*, 36, 5 Suppl. S30-S34, 2002).

The treatment of this chronic disease is an unmet clinical need, since current therapy is only partially effective and limited by undesirable side effects.

Current HCV therapies are based on (pegylated) interferon-alpha (IFN-α) in combination with ribavirin. This combination therapy yields a sustained virologic response in more than 40% of patients infected by genotype 1 viruses and about 80% of those infected by genotypes 2 and 3. Beside the limited efficacy on HCV type 1, combination therapy has significant side effects and is poorly tolerated in many patients. For instance, in registration trials of pegylated interferon and ribavirin, significant side effects resulted in discontinuation of treatment in approximately 10 to 14 percent of patients. Major side effects of combination therapy include influenza-like symptoms, hematologic abnormalities, and neuropsychiatric symptoms. The development of more effective, convenient and tolerated treatments is a major public health objective. Thus, there is a high medical need for low molecular weight compounds that lead to an inhibition of HCV replication. By inhibiting the HCV replication, a rapid reduction in the viral loads of patients infected with the hepatitis C virus is achieved. A reduction of the viral load is a proof of principle of the clinical antiviral activity of HCV inhibitors. By maintaining low to undetectable levels of viral load in patients, disease progression is delayed or even stopped, avoiding thus the

development of chronic hepatitis, liver fibrosis, cirrhosis, end-stage liver disease, and HCC (hepatocellular carcinoma).

Resistance of viruses, and in particular the HCV virus, against inhibitors is also a cause of therapy failure. Many patients receiving anti-HCV therapy do not respond fully to the treatment, mainly because of resistance of the virus to one or more drugs used. Moreover, it has been shown that resistant virus is carried over to newly infected individuals, resulting in severely limited therapy options for these drug-naive patients. Therefore, there is a need in the art for new compounds for antiviral therapy, more particularly for hepatitis therapy. The need in the art is particularly acute for compounds that are active not only on wild type HCV virus, but also on the increasingly more common resistant HCV viruses.

The compounds used in the present invention are derivatives of pyrimidine or triazine. PCT publication WO01/47921 describes pyrimidine and triazine compounds that are inhibitors of kinase activities associated with various inflammatory conditions. In addition, PCT publications WO00/12497 and WO02/076976 describe quinazoline derivatives that are inhibitors of TGFβR receptor kinase and TGF-β mediated signaling.

WO04/087056 relates to bi-cyclic pyrimidine inhibitors of TGF-β, in which a pyrimidine nucleus is bridged at the (5) and (6) position and are further substituted at positions (2) and (4) with substituents comprising aromatic moieties. These compounds are useful in treating subjects with conditions ameliorated by inhibition of TGFβ activity.

WO03/097615 concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small molecule inhibitors of TGF-β specifically binding to the type I TGF-β receptor (TGFβ-R1). The inhibitors are quinazoline derivatives.

WO04/065392 relates to condensed pyridines and pyrimidines and their use as ALK-5 receptor ligands. These compounds are therapeutically active, particularly in the treatment or prophylaxis of disorders characterised by overexpression of transforming growth factor β (TGF-β). Pharmaceutical compositions for use in such therapy are disclosed.

WO03/078426 discloses azolylaminoazines as inhibitors of protein kinases, pharmaceutically acceptable compositioins comprising said compounds, and methods of using the compositions in the treatment of various disease, conditions, or disorders.

WO03/078427 discloses azolylaminoazines as inhibitors of protein kinases, pharmaceutically acceptable compositions comprising said compounds, and methods of using the compositions in the treatment of various disease, conditions, or disorders.

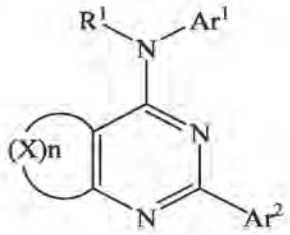
WO2003077921 discloses azinylaminoazoles as inhibitors of protein kinases, pharmaceutically acceptable compositions comprising said compounds, and methods of using the compositions in the treatment of various disease, conditions, or disorders.

WO03/078423 discloses compounds useful as inhibitors of protein kinases, pharmaceutically acceptable compositions comprising said compounds, and methods of using the compositions in the treatment of various disease, conditions, or disorders.

WO02/22601 describes pyrazole compositions comprising a pharmaceutically acceptable carrier and compounds which are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

Disclosure of the Invention

The compounds of the present invention are pyrimidine derivatives which contain a fused ring bridging positions 5 and 6. The compounds are of the formula



(1)

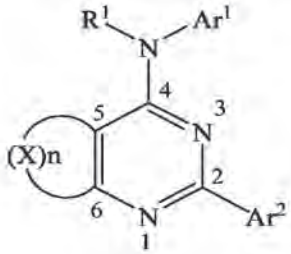
or a pharmaceutically acceptable salt thereof, wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring is saturated, unsaturated or aromatic. The fused ring is an optionally substituted ring and contains 4-7 members, where each member is independently C, N, O or S. However, if said fused ring contains 6 members, it is not aromatic.

Each of $\mathbf{Ar^1}$ and $\mathbf{Ar^2}$ is independently an optionally substituted aromatic moiety or optionally substituted heteroaromatic moiety wherein said heteroaromatic moiety contains one or more O, S, and/or N; typically these moieties contain 5-12 members.

$\mathbf{R^1}$ is H, or optionally substituted alkyl (1-10C), alkenyl (2-10C), or alkynyl (2-10C).

The compounds useful in the invention are derivatives of pyrimidine containing a bridge at positions 5-6 and mandatory substituents at positions corresponding to the 2- and 4-positions of pyrimidine. Further non-interfering substituents may also be included.

The present invention relates to the use of a compound of the formula



(1)

or a pharmaceutically acceptable salt thereof;
wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring is an optionally substituted saturated, unsaturated or aromatic ring containing 4-7 members, wherein X is an atom selected from N, O, or S;
n is 0, 1, 2, or 3;
each of $\mathbf{Ar^1}$ and $\mathbf{Ar^2}$ is independently an optionally substituted aromatic moiety or optionally substituted heteroaromatic moiety wherein said heteroaromatic moiety contains one or more O, S, and/or N, and these aromatic or heteroaromatic moieties contain 5-12 members;
$\mathbf{R^1}$ is H, or optionally substituted alkyl (1-10C), alkenyl (2-10C), or alkynyl (2-10C);
with the proviso that if said fused ring bridging positions 5 and 6 of the pyrimidine ring contains 6 members, it is not an aromatic ring;
for the manufacture of a medicament useful for inhibiting HCV activity, preventing or treating conditions associated with HCV, in a mammal infected with HCV.

In one embodiment of the present invention, there is provided the use of a compound of the formula (1) for the manufacture of a medicament useful for preventing disease

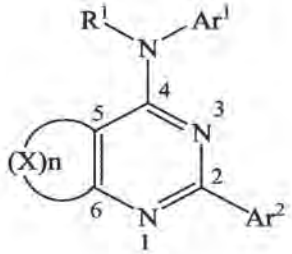
progression towards chronic hepatitis, liver fibrosis, cirrhosis, end-stage liver disease, HCC (hepatocellular carcinoma) and the like, in a mammal infected with HCV.

In one embodiment of the present invention, there is provided the use of a compound of the formula (1) for the manufacture of a medicament useful for treating chronic hepatitis, liver fibrosis, cirrhosis, end-stage liver disease, HCC (hepatocellular carcinoma) and the like, in a mammal infected with HCV.

The compounds useful in the invention are derivatives of pyrimidine containing a bridge at positions 5-6 and mandatory substituents at positions corresponding to the 2- and 4-positions of pyrimidine. Further non-interfering substituents may also be included.

In one embodiment of the present invention, the $\mathbf{Ar^1}$ and $\mathbf{Ar^2}$ are each independently an optionally substituted aromatic moiety or optionally substituted heteroaromatic moiety wherein said heteroaromatic moiety contains one or two O, S, and/or N, and these aromatic or heteroaromatic moieties contain 5-12 members.

In one embodiment, the present invention relates to the use of a compound of the formula

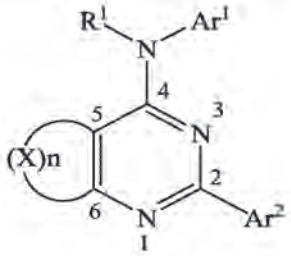


(I)

or a pharmaceutically acceptable salt thereof;
wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring is an optionally substituted saturated, unsaturated or aromatic ring containing 5 or 6 members, wherein X is an atom selected from N or O;
n is 0, 1, or 2;
each of $\mathbf{Ar^1}$ and $\mathbf{Ar^2}$ is independently an optionally substituted aromatic moiety or optionally substituted heteroaromatic moiety wherein said heteroaromatic moiety contains one or two N, and these aromatic or heteroaromatic moieties contain 5-7 members;
$\mathbf{R^1}$ is H, or optionally substituted alkyl (1-10C);

with the proviso that if said fused ring bridging positions 5 and 6 of the pyrimidine ring contains 6 members, it is not an aromatic ring;
for the manufacture of a medicament useful for inhibiting HCV activity, preventing or treating conditions associated with HCV, in a mammal infected with HCV.

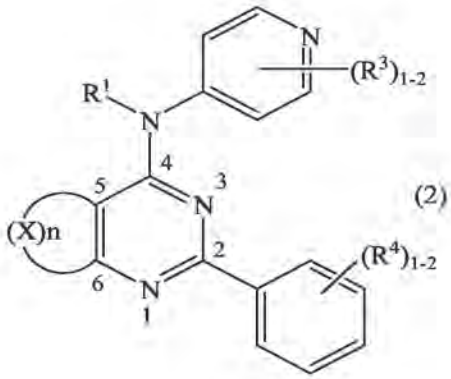
In one embodiment, the present invention relates to the use of a compound of the formula



(1)

or a pharmaceutically acceptable salt thereof;
wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring is an optionally substituted saturated, unsaturated or aromatic ring containing 5 or 6 members, wherein X is an atom selected from N or O;
n is 0, 1, or 2;
each of **Ar**^{1} and **Ar**^{2} is independently an optionally substituted phenyl or an optionally substituted pyridiyl;
R^1 is H, or optionally substituted alkyl (1-6C);
with the proviso that if said fused ring bridging positions 5 and 6 of the pyrimidine ring contains 6 members, it is not an aromatic ring;
for the manufacture of a medicament useful for inhibiting HCV activity, preventing or treating conditions associated with HCV, in a mammal infected with HCV.

In one embodiment, the present invention relates to the use of a compound of the formula



(2)

or a pharmaceutically acceptable salt thereof;

wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring is an optionally substituted saturated, unsaturated or aromatic ring containing 5 or 6 members, wherein

X is an atom selected from N or O;

n is 0, 1, or 2;

$\mathbf{R^1}$ is H, or optionally substituted alkyl (1-6C);

each $\mathbf{R^3}$ is, independently, hydrogen, halo, cyano, nitro, alkyl(1-6C), polyhaloalkyl(1-6C), -COR, -$CONR_2$, -COOR, -OR, -OCOR, -NR_2, or -NRCOR;

each $\mathbf{R^4}$ is, independently, hydrogen, halo, cyano, nitro, polyhaloalkyl(1-6C), or alkyl(1-6C);

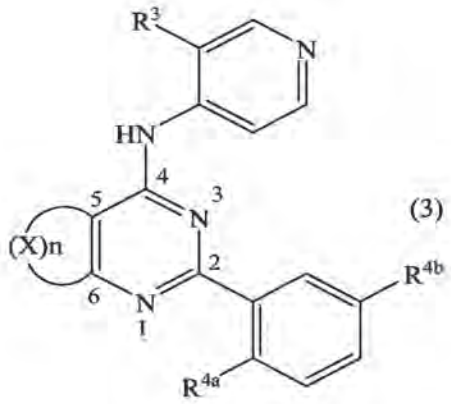
wherein each **R** is independently hydrogen, hydroxy, amino, mono- or dialkyl(1-6C)amino, cycloalkyl(3-7C), Het, or alkyl(1-6C) optionally substituted with one or two substituents selected from hydroxy, cycloalkyl(3-7C), amino, mono- or dialkyl(1-6C)amino, and Het;

Het is a 5 or 6 membered saturated, partially unsaturated or completely unsaturated heterocyclic ring containing 1 to 2 heteroatoms each independently selected from nitrogen, oxygen and sulfur, and wherein the group Het as a whole may be optionally substituted with one, two or three substituents each independently selected from the group consisting of halo, alkyl(1-6C), hydroxy, and oxo;

with the proviso that if said fused ring bridging positions 5 and 6 of the pyrimidine ring contains 6 members, it is not an aromatic ring;

for the manufacture of a medicament useful for inhibiting HCV activity, preventing or treating conditions associated with HCV, in a mammal infected with HCV.

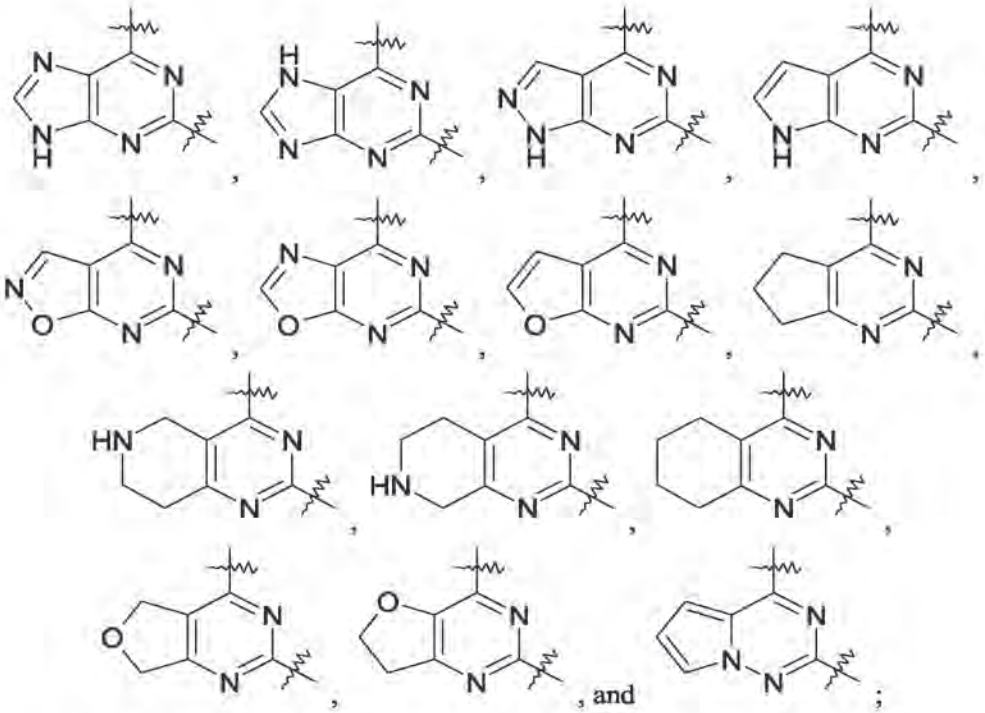
In one embodiment, the present invention relates to the use of a compound of the formula



(3)

or a pharmaceutically acceptable salt thereof;

wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring together with the pyrimidine ring forms a group selected from



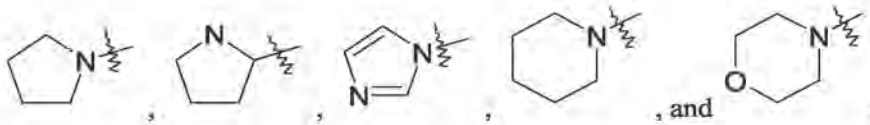
wherein any of these groups may be optionally substituted with one or two substituents selected from alkyl(1-6C), phenyl, and benzyl;

$\mathbf{R^3}$ is hydrogen, halo, alkyl(1-6C), $-CF_3$, -COR, $-CONR_2$, or -COOR;

each $\mathbf{R^{4a}}$ $\mathbf{R^{4b}}$ are, independently, hydrogen or halo;

wherein each **R** is independently hydrogen, hydroxy, amino, mono- or dialkyl(1-6C)amino, cycloalkyl(3-7C), Het, or alkyl(1-6C) optionally substituted with one or two substituents selected from hydroxy, cycloalkyl(3-7C), amino, mono- or dialkyl(1-6C)amino, and Het;

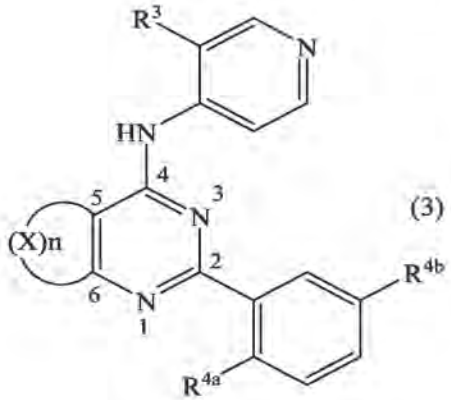
Het is a group selected from



wherein the group Het may be optionally substituted with one or two substituents each independently selected from the group consisting of alkyl(1-6C), and oxo;

for the manufacture of a medicament useful for inhibiting HCV activity, preventing or treating conditions associated with HCV, in a mammal infected with HCV.

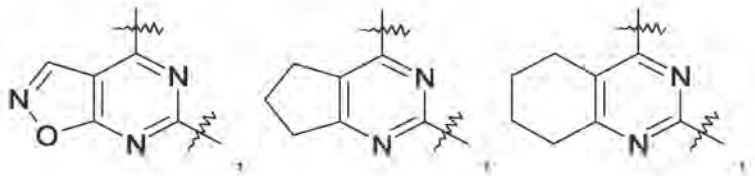
In one embodiment, the present invention relates to the use of a compound of the formula

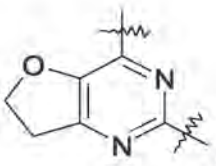


(3)

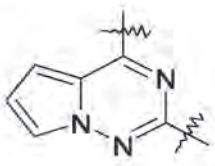
or a pharmaceutically acceptable salt thereof;

wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring together with the pyrimidine ring forms a group selected from





, and



;

wherein any of these groups may be optionally substituted with one or two alkyl(1-6C);
$\mathbf{R^3}$ is hydrogen, alkyl(1-6C), or -$CONR_2$;
each $\mathbf{R^{4a}}$ $\mathbf{R^{4b}}$ are, independently, hydrogen or halo;
wherein each **R** is independently hydrogen, amino, mono- or dialkyl(1-6C)amino, or alkyl(1-6C) optionally substituted with one hydroxy;
for the manufacture of a medicament useful for inhibiting HCV activity, preventing or treating conditions associated with HCV, in a mammal infected with HCV.

As used herein, a "noninterfering substituent" is a substituent which leaves the ability of the compound of formula (1) to inhibit HCV activity qualitatively intact. Thus, the substituent may alter the degree of inhibition, but as long as the compound of formula (1) retains the ability to inhibit activity, the substituent will be classified as "noninterfering."

As used herein, the term "alkyl," "alkenyl" and "alkynyl" include straight-chain, branched-chain and cyclic monovalent substituents, containing only C+H when they are unsubstituted. Examples include methyl, ethyl, isobutyl, cyclohexyl, cyclopentylethyl, 2-propenyl, 3-butynyl, and the like. Typically, the alkyl, alkenyl and alkynyl substituents contain 1-10C (alkyl) or 2-10C (alkenyl or alkynyl). Preferably they contain 1-6C (lower alkyl) or 2-6C (lower alkenyl or lower alkynyl).

Heteroalkyl, heteroalkenyl and heteroalkynyl are similarly defined but may contain 1 or more O, S or N heteroatoms or combinations thereof within the backbone residue.

As used herein, "acyl" encompasses the definitions of alkyl, alkenyl, alkynyl, and heteroacyl includes the related heteroforms, each of which are coupled to an additional residue through a carbonyl group.

"Aromatic" moiety or "aryl" moiety refers to a monocyclic or fused bicyclic moiety such as phenyl or naphthyl; "heteroaromatic" also refers to monocyclic or fused bicyclic ring systems containing one or more heteroatoms selected from O, S and N. The inclusion of a heteroatom permits inclusion of 5-membered rings as well as

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6-membered rings. Thus, typical aromatic/heteroaromatic systems include pyridyl, pyrimidyl, indolyl, benzimidazolyl, benzotriazolyl, isoquinolyl, quinolyl, benzothiazolyl, benzofuranyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl and the like. Because tautomers are theoretically possible, phthalimido is also considered aromatic. Any monocyclic or fused ring bicyclic system which has the characteristics of aromaticity in terms of electron distribution throughout the ring system is included in this definition. Typically, the ring systems contain 5-12 ring member atoms.

Similarly, "arylalkyl" and "heteroarylalkyl" refer to aromatic and heteroaromatic systems which are coupled to another residue through a carbon chain, including substituted or unsubstituted, saturated or unsaturated, carbon chains, typically of 1-8C, or the hetero forms thereof. These carbon chains may also include a carbonyl group, thus making them able to provide substituents as an acyl or heteroacyl moiety.

The term "polyhaloalkyl(1-6C)" as a group or part of a group is defined as mono- or polyhalo substituted alkyl(1-6C), in particular alkyl(1-6C) substituted with up to one, two, three, four, five, six, or more halo atoms, such as methyl or ethyl with one or more fluoro atoms, for example, difluoromethyl, trifluoromethyl, trifluoroethyl. Preferred is trifluoromethyl. Also included are perfluoroalkyl(1-6C) groups, which are alkyl(1-6C) groups wherein all hydrogen atoms are replaced by fluoro atoms, e.g. pentafluoroethyl. In case more than one halogen atom is attached to an alkyl group within the definition of polyhaloalkyl(1-6C), the halogen atoms may be the same or different.

In general, any alkyl, alkenyl, alkynyl, acyl, or aryl group contained in a substituent may itself optionally be substituted by additional substituents. The nature of these substituents is similar to those recited with regard to the primary substituents themselves. Thus, where an embodiment of a substituent is alkyl, this alkyl may optionally be substituted by the remaining substituents listed as substituents where this makes chemical sense, and where this does not undermine the size limit of alkyl *per se*; *e.g.*, alkyl substituted by alkyl or by alkenyl would simply extend the upper limit of carbon atoms for these embodiments. However, alkyl substituted by aryl, amino, alkoxy, and the like would be included. The features of the invention compounds are defined by formulae (1), (2), and (3) and the nature of the substituents is less important as long as the substituents do not interfere with the stated biological activity of this basic structure.

The term halo is generic to fluoro, chloro, bromo and iodo.

As used herein before, the term oxo or (=O) forms a carbonyl moiety when attached to a carbon atom. Whenever a ring or ring system is substituted with an oxo group, the carbon atom to which the oxo is linked is a staturated carbon.

Radicals used in the definitions of the variables include all possible isomers unless otherwise indicated. For instance pyridyl includes 1-pyridyl, 2-pyridyl, 3-pyridyl and 4-pyridyl; pentyl includes 1-pentyl, 2-pentyl and 3-pentyl.

When any variable occurs more than one time in any constituent, each definition is independent.

Non-interfering substituents on **Ar**^{1} or **Ar**^{2}, include, but are not limited to, alkyl, alkenyl, alkynyl, halo, -OR, -NR_2, -SR, -SOR, -SO_2R, -OCOR, -NRCOR, -$NRCONR_2$, -NRCOOR, -$OCONR_2$, -RCO, -COOR, -NRSOR, -$NRSO_2$R, -SO_3R, -$CONR_2$, SO_2NR_2, wherein each R is independently H or alkyl (1-8C), -CN, -CF_3, and NO_2, and like substituents. Non-interfering substituents on **Ar**^{1} or **Ar**^{2}, include, but are not limited to, alkyl, alkenyl, alkynyl, halo, -OR, -NR_2, -SR, -SOR, -SO_2R, -OCOR, -NRCOR, -$NRCONR_2$, -NRCOOR, -$OCONR_2$, -RCO, -COR, -COOR, -NRSOR, -$NRSO_2$R, -SO_3R, -$CONR_2$, SO_2NR_2, wherein each R is independently H or alkyl (1-8C), -CN, -CF_3, and NO_2, and like substituents. Preferred embodiments for R are H, alkyl (1-10C) or a heteroatom-containing form thereof, each optionally substituted, especially (1-4C) alkyl; alkoxy (1-8C), acylamido, aryloxy, arylalkyloxy, especially wherein the aryl group is a phthalimido group, and alkyl or arylalkyl amine.

Preferably **Ar**^{1} and **Ar**^{2} are optionally substituted phenyl, 2-, 3- or 4-pyridyl, indolyl, 2- or 4-pyrimidyl, pyridazinyl, benzotriazolyl or benzimidazolyl. More preferably **Ar**^{1} and **Ar**^{2} are phenyl, pyridyl, or pyrimidyl. Preferably Ar^1 is pyridyl or pyrimidyl and **Ar**^{2} is phenyl. Each of these embodiments may optionally be substituted with a group such as alkyl, alkenyl, alkynyl, aryl, -O-aryl, -O-alkylaryl, -O-aroyl, -NR-aryl, -N-alkylaryl, -NR-aroyl, halo, -OR, -NR_2, -SR, -OOCR, -NROCR, -RCO, -COOR, -$CONR_2$, and/or SO_2NR_2, wherein each R is independently H or alkyl (1-8C), and/or by -CN, -CF_3, and/or NO_2. Each of these embodiments may optionally be substituted with one or two groups such as alkyl, alkenyl, alkynyl, aryl, -O-aryl, -O-alkylaryl, -O-aroyl, -NR-aryl, -N-alkylaryl, -NR-aroyl, halo, -OR, -NR_2, -SR, -OOCR, -OCOR, -NROCR, -NRCOR, -RCO, -COR, -COOR, -$CONR_2$, and/or SO_2NR_2, wherein each R is independently H or alkyl (1-8C), and/or by -CN, -CF_3, and/or NO_2. Alkyl, alkenyl, alkynyl and aryl portions of these may be further substituted by similar substituents.

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Preferred substituents on Ar^1 or Ar^2 include alkyl, alkenyl, alkynyl, halo, -OR, -SR, $-NR_2$ wherein R is H or alkyl (1-4C); and/or arylamino, arylalkylamino, including alkylamino which is substituted by more than one aryl. As stated above, any aryl or alkyl group included within a substituent may itself be substituted similarly. These substituents may occupy all available positions of the ring, preferably 1-2 positions, or more preferably only one position.

Any of the aryl moieties, including those depicted in formulae (1), (2), and (3) especially the phenyl moieties, may also comprise two substituents which, when taken together, form a 5-7 membered carbocyclic or heterocyclic aliphatic ring. The bridge between positions 5 and 6 of the pyrimidine ring forms a fused ring system wherein, if the fused ring contains 6 members, it is not aromatic. However, the bridge may contain pi bonds and may contain one or more heteroatoms which are selected from N, O, and S. Preferred embodiments include those wherein the bridge results in a 5-membered ring optionally containing one or two nitrogens, a nitrogen and an oxygen, an oxygen, an additional double bond, a saturated bridge, or a 6-membered ring formed by a bridge which is saturated. In one embodiment, the 6-membered saturated bridge-generated ring contains one or two nitrogen. The ring formed by the bridge may itself be substituted. The substituents described above for Ar^1 and Ar^2 may also be present as fused ring systems.

Whenever used hereinafter, the term "compounds of formula (1)", "compounds of formula (2)", "compounds of formula (3)", or "the present compounds" or similar terms, it is meant to include the compounds of formulae (1), (2), and (3), their prodrugs, *N*-oxides, addition salts, quaternary amines, metal complexes, and stereochemically isomeric forms. One embodiment comprises the compounds of formula (1) or any subgroup of compounds of formula (1) specified herein, including compounds of formula (2) and (3), as well as the *N*-oxides, salts, as the possible stereoisomeric forms thereof. Another embodiment comprises the compounds of formula (1) or any subgroup of compounds of formula (1) specified herein, as well as the salts as the possible stereoisomeric forms thereof.

For therapeutic use, salts of the compounds of formula (1) are those wherein the counter-ion is pharmaceutically acceptable. However, salts of acids and bases which are non-pharmaceutically acceptable may also find use, for example, in the preparation or purification of a pharmaceutically acceptable compound. All salts, whether

pharmaceutically acceptable or not are included within the ambit of the present invention.

The pharmaceutically acceptable acid and base addition salts as mentioned hereinabove are meant to comprise the therapeutically active non-toxic acid and base addition salt forms which the compounds of formula (1) are able to form. The pharmaceutically acceptable acid addition salts can conveniently be obtained by treating the base form with such appropriate acid. Appropriate acids comprise, for example, inorganic acids such as hydrohalic acids, e.g. hydrochloric or hydrobromic acid, sulfuric, nitric, phosphoric and the like acids; or organic acids such as, for example, acetic, propanoic, hydroxyacetic, lactic, pyruvic, oxalic (i.e. ethanedioic), malonic, succinic (i.e. butanedioic acid), benzoic, maleic, fumaric, malic (i.e. hydroxybutanedioic acid), tartaric, citric, methanesulfonic, ethanesulfonic, benzenesulfonic, *p*-toluenesulfonic, cyclamic, salicylic, *p*-aminosalicylic, pamoic and the like acids.

Conversely said salt forms can be converted by treatment with an appropriate base into the free base form.

The compounds of formula (1) containing an acidic proton may also be converted into their non-toxic metal or amine addition salt forms by treatment with appropriate organic and inorganic bases. Thus, if a carboxyl moiety is present on the compound of formula (1), the compound may also be supplied as a salt with a pharmaceutically acceptable cation. Appropriate base salt forms comprise, for example, the ammonium salts, the alkali and earth alkaline metal salts, e.g. the lithium, sodium, potassium, magnesium, calcium salts and the like, salts with organic bases, e.g. the benzathine, *N*-methyl-D-glucamine, hydrabamine salts, and salts with amino acids such as, for example, arginine, lysine and the like.

The term addition salt as used hereinabove also comprises the solvates which the compounds of formula (1) as well as the salts thereof, are able to form. Such solvates are for example hydrates, alcoholates and the like.

The compounds of formula (1) may also be supplied in the form of a "prodrug" which is designed to release the compound of formula (1) when administered to a subject. The term "prodrug" as used herein means the pharmacologically acceptable derivatives such as esters, amides and phosphates, such that the resulting *in vivo* biotransformation product of the derivative is the active drug as defined in the compounds of formula (I). The reference by Goodman and Gilman (The Pharmacological Basis of Therapeutics,

8th ed, McGraw-Hill, Int. Ed. 1992, "Biotransformation of Drugs", p 13–15) describing prodrugs generally is hereby incorporated. Prodrugs preferably have excellent aqueous solubility, increased bioavailability and are readily metabolized into the active inhibitors *in vivo*. Prodrugs of a compound of the present invention may be prepared by modifying functional groups present in the compound in such a way that the modifications are cleaved, either by routine manipulation or *in vivo*, to the parent compound.

Prodrug formed designs are well known in the art, and depend on the substituents contained in the compound of formula (1). For example, a substituent containing sulfhydryl could be coupled to a carrier which renders the compound biologically inactive until removed by endogenous enzymes or, for example, by enzymes targeted to a particular receptor or location in the subject.

Preferred are pharmaceutically acceptable ester prodrugs that are hydrolysable *in vivo* and are derived from those compounds of formula (1) having a hydroxy or a carboxyl group. An *in vivo* hydrolysable ester is an ester, which is hydrolysed in the human or animal body to produce the parent acid or alcohol. Suitable pharmaceutically acceptable esters for carboxy include alkoxymethyl esters for example methoxymethyl, alkanoyloxymethyl esters for example pivaloyloxymethyl, phthalidyl esters, cycloalkoxycarbonyloxyalkyl esters for example 1-cyclohexylcarbonyloxyethyl; 1,3-dioxolen-2-onylmethyl esters for example 5-methyl-1,3-dioxolen-2-onylmethyl; and alkoxycarbonyloxyethyl esters for example 1-methoxycarbonyloxyethyl which may be formed at any carboxy group in the compounds of this invention.

An *in vivo* hydrolysable ester of a compound of the formula (1) containing a hydroxy group includes inorganic esters such as phosphate esters and α-acyloxyalkyl ethers and related compounds which as a result of the *in vivo* hydrolysis of the ester breakdown to give the parent hydroxy group. Examples of α-acyloxyalkyl ethers include acetoxymethoxy and 2,2-dimethylpropionyloxy-methoxy. A selection of *in vivo* hydrolysable ester forming groups for hydroxy include alkanoyl, benzoyl, phenylacetyl and substituted benzoyl and phenylacetyl, alkoxycarbonyl (to give alkyl carbonate esters), dialkylcarbamoyl and N-(dialkylaminoethyl)-N-alkylcarbamoyl (to give carbamates), dialkylaminoacetyl and carboxyacetyl. Examples of substituents on benzoyl include morpholino and piperazino linked from a ring nitrogen atom via a methylene group to the 3- or 4-position of the benzoyl ring.

The term "quaternary amine" as used hereinbefore defines the quaternary ammonium salts which the compounds of formula (1) are able to form by reaction between a basic nitrogen of a compound of formula (1) and an appropriate quaternizing agent, such as, for example, an optionally substituted alkylhalide, arylhalide or arylalkylhalide, e.g. methyliodide or benzyliodide. Other reactants with good leaving groups may also be used, such as alkyl trifluoromethanesulfonates, alkyl methanesulfonates, and alkyl p-toluenesulfonates. A quaternary amine has a positively charged nitrogen. Pharmaceutically acceptable counterions include chloro, bromo, iodo, trifluoroacetate and acetate. The counterion of choice can be introduced using ion exchange resins.

The *N*-oxide forms of the present compounds are meant to comprise the compounds of formula (1) wherein one or several nitrogen atoms are oxidized to the so-called *N*-oxide.

It will be appreciated that the compounds of formula (1) may have metal binding, chelating, complex forming properties and therefore may exist as metal complexes or metal chelates. Such metalated derivatives of the compounds of formula (1) are intended to be included within the scope of the present invention.

Some of the compounds of formula (1) may also exist in their tautomeric form. Such forms although not explicitly indicated in the above formula are intended to be included within the scope of the present invention.

The compounds of formula (1) have several centers of chirality and exist as stereochemically isomeric forms. The term "stereochemically isomeric forms" as used herein defines all the possible compounds made up of the same atoms bonded by the same sequence of bonds but having different three-dimensional structures which are not interchangeable, which the compounds of formula (1) may possess.

With reference to the instances where (*R*) or (*S*) is used to designate the absolute configuration of a chiral atom within a substituent, the designation is done taking into consideration the whole compound and not the substituent in isolation.

In the event that any of the substituents of formula (1) contain chiral centers, as some, indeed, do, the compounds of formula (1) include all stereoisomeric forms thereof, both as isolated stereoisomers and mixtures of these stereoisomeric forms. Said mixtures may contain all diastereomers and/or enantiomers of the basic molecular structure of said compound. All stereochemically isomeric forms of the compounds of the present

invention both in pure form or mixed with each other are intended to be embraced within the scope of the present invention.

Pure stereoisomeric forms of the compounds and intermediates as mentioned herein are defined as isomers substantially free of other enantiomeric or diastereomeric forms of the same basic molecular structure of said compounds or intermediates. In particular, the term "stereoisomerically pure" concerns compounds or intermediates having a stereoisomeric excess of at least 80% (i.e. minimum 90% of one isomer and maximum 10% of the other possible isomers) up to a stereoisomeric excess of 100% (i.e. 100% of one isomer and none of the other), more in particular, compounds or intermediates having a stereoisomeric excess of 90% up to 100%, even more in particular having a stereoisomeric excess of 94% up to 100% and most in particular having a stereoisomeric excess of 97% up to 100%. The terms "enantiomerically pure" and "diastereomerically pure" should be understood in a similar way, but then having regard to the enantiomeric excess, and the diastereomeric excess, respectively, of the mixture in question.

Pure stereoisomeric forms of the compounds and intermediates of this invention may be obtained by the application of art-known procedures. For instance, enantiomers may be separated from each other by the selective crystallization of their diastereomeric salts with optically active acids or bases. Examples thereof are tartaric acid, dibenzoyltartaric acid, ditoluoyltartaric acid and camphosulfonic acid. Alternatively, enantiomers may be separated by chromatographic techniques using chiral stationary phases. Said pure stereochemically isomeric forms may also be derived from the corresponding pure stereochemically isomeric forms of the appropriate starting materials, provided that the reaction occurs stereospecifically. Preferably, if a specific stereoisomer is desired, said compound iswill be synthesized by stereospecific methods of preparation. These methods will advantageously employ enantiomerically pure starting materials.

The diastereomeric racemates of the compounds of formula (1) can be obtained separately by conventional methods. Appropriate physical separation methods that may advantageously be employed are, for example, selective crystallization and chromatography, e.g. column chromatography.

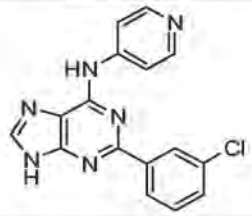
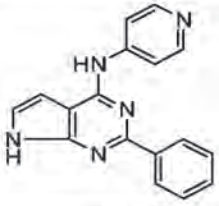
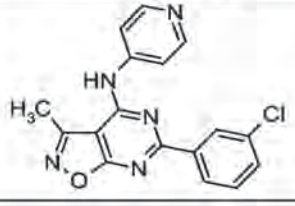
For some of the compounds of formula (1), their prodrugs, *N*-oxides, salts, solvates, quaternary amines, or metal complexes, and the intermediates used in the preparation thereof, the absolute stereochemical configuration was not experimentally determined.

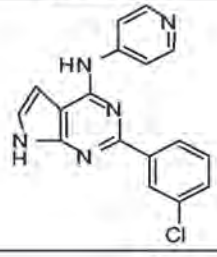
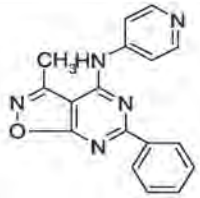
A person skilled in the art is able to determine the absolute configuration of such compounds using art-known methods such as, for example, X-ray diffraction.

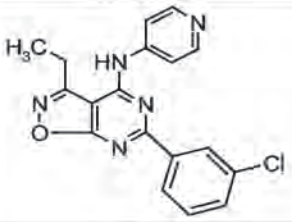
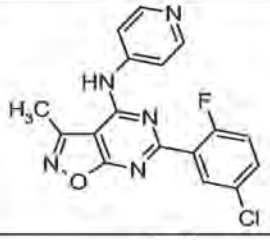
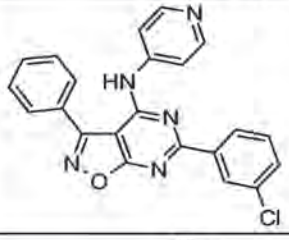
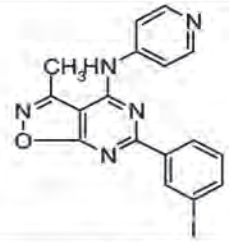
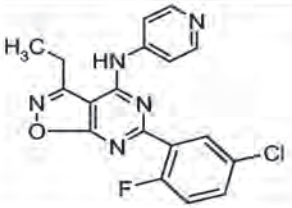
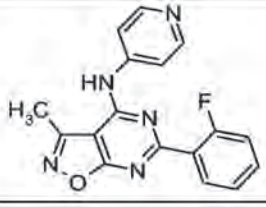
The present invention is also intended to include all isotopes of atoms occurring on the present compounds. Isotopes include those atoms having the same atomic number but different mass numbers. By way of general example and without limitation, isotopes of hydrogen include tritium and deuterium. Isotopes of carbon include C-13 and C-14.

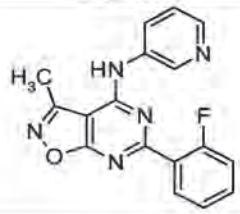
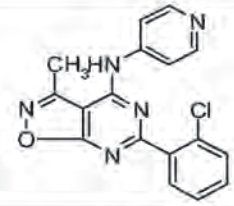
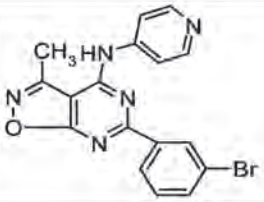
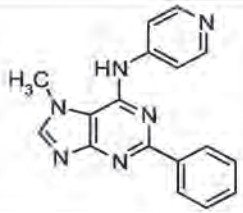
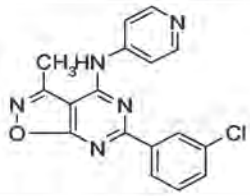
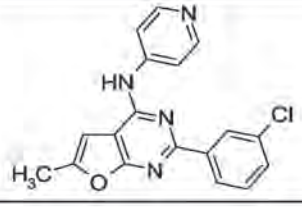
Synthesis of the Invention Compounds

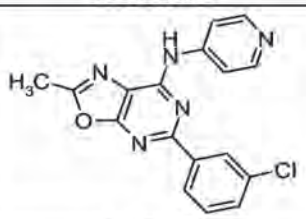
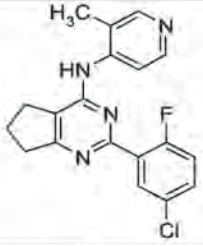
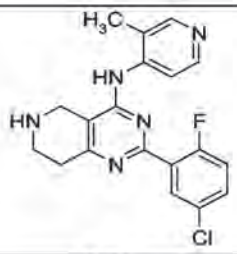
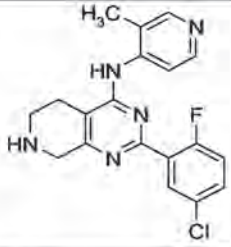
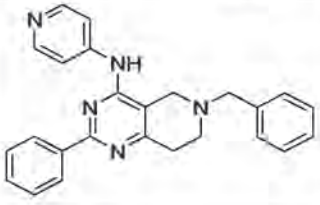
A number of synthetic routes may be employed to produce the compounds of the invention. In general, they may be synthesized using reactions known in the art. Any art-known method for synthesis may be employed. However, the following synthetic routes are convenient for preparation of the invention compounds. Typical invention compounds are as shown below:

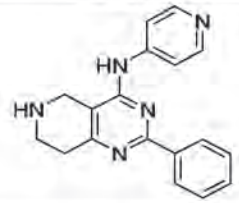
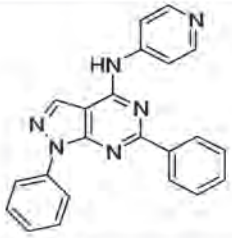
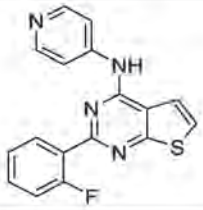
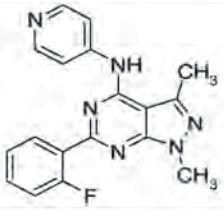
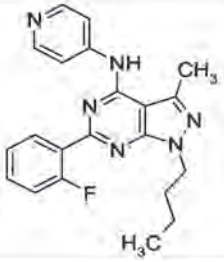
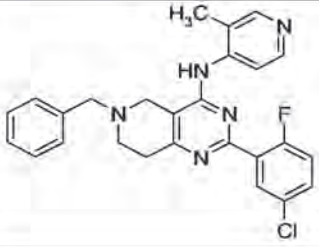
Co. No	Structure
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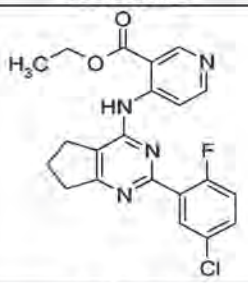
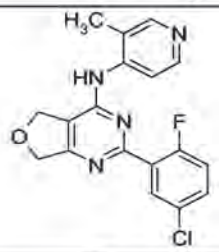
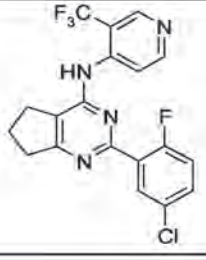
Co. No	Structure
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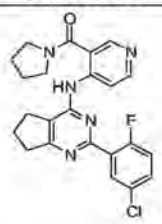
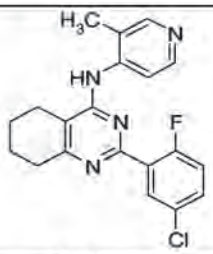
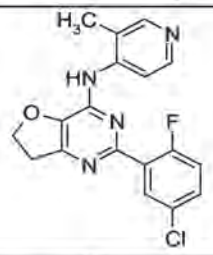
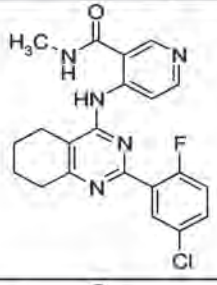
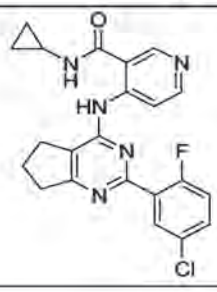
Co. No	Structure
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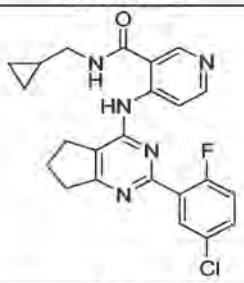
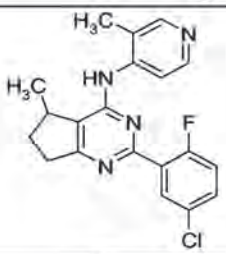
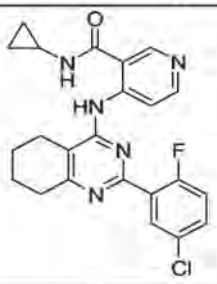
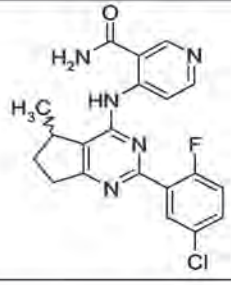
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17	
18	

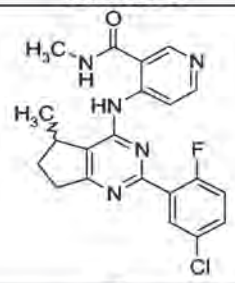
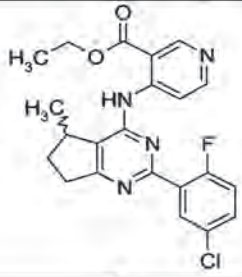
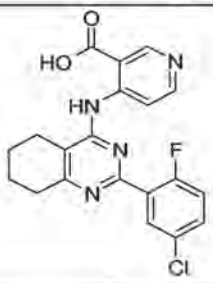
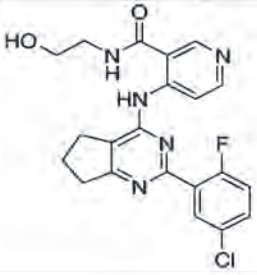
Co. No	Structure
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21	
22	
23	
24	

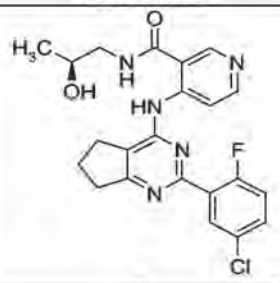
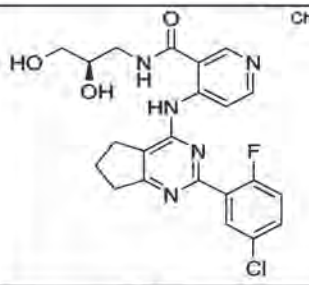
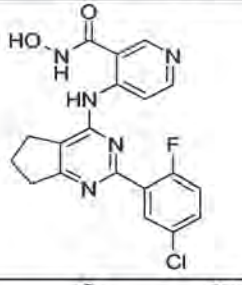
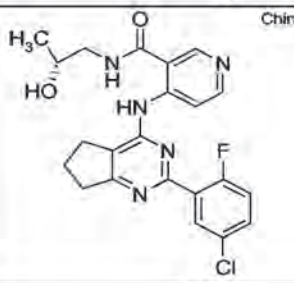
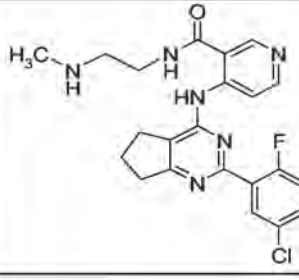
Co. No	Structure
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26	
27	
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30	

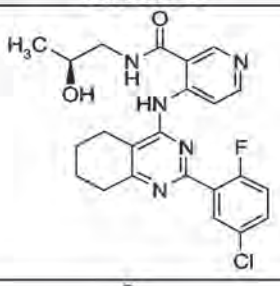
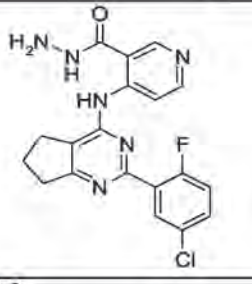
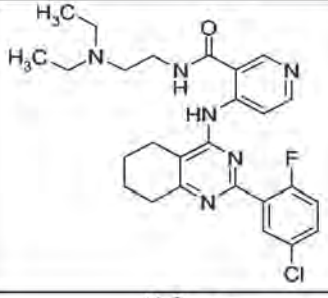
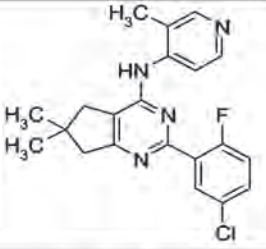
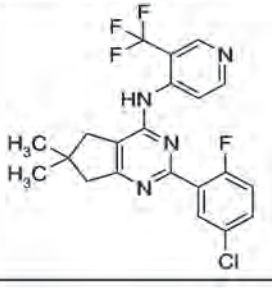
Co. No	Structure
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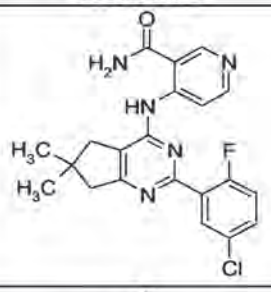
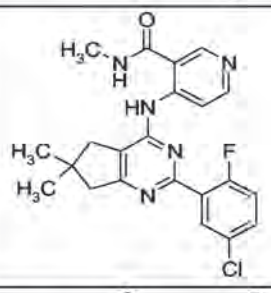
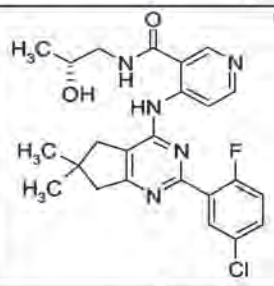
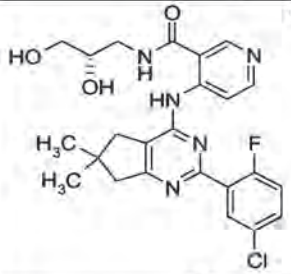
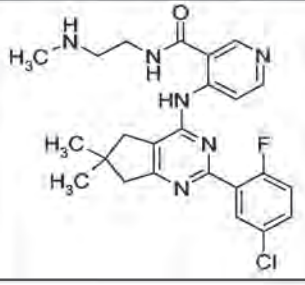
Co. No	Structure
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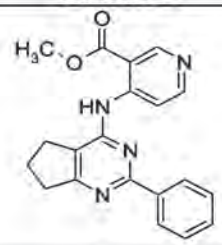
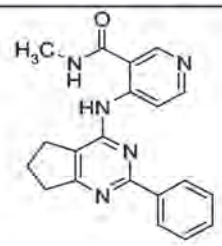
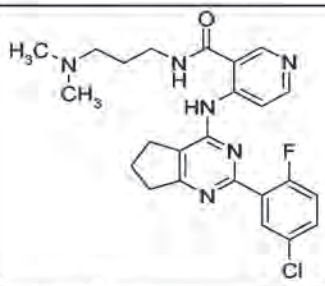
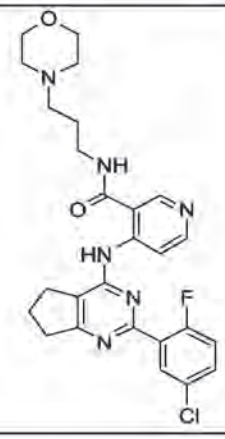
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44	
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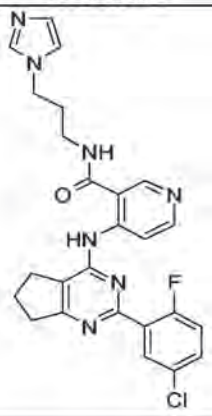
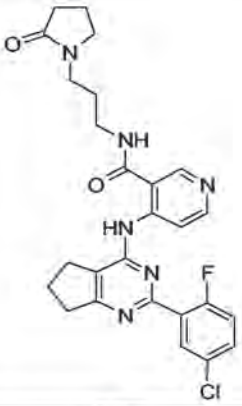
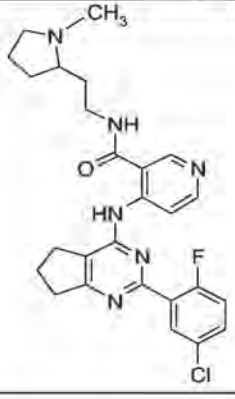
Co. No	Structure
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49	
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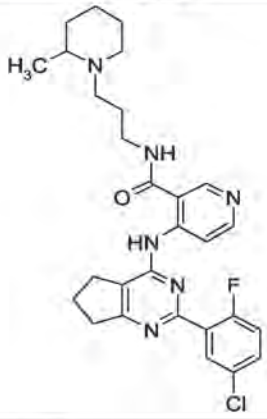
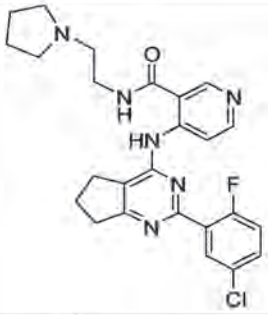
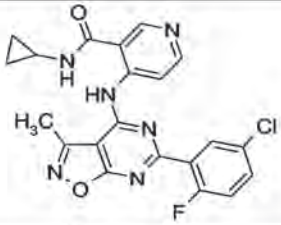
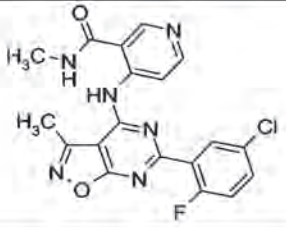
Co. No	Structure
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54	
55	 Chiral
56	

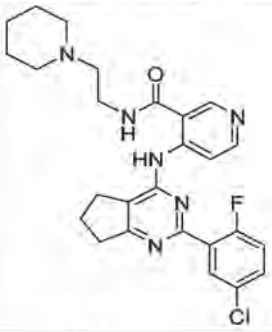
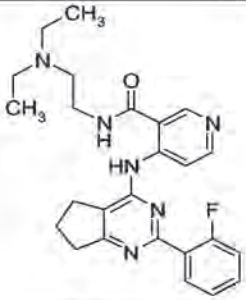
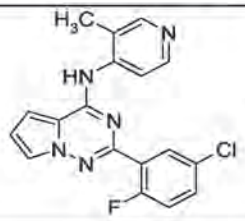
Co. No	Structure
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59	
60	
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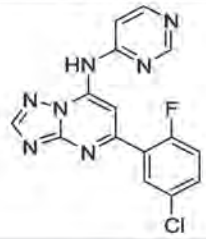
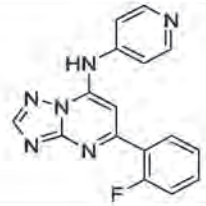
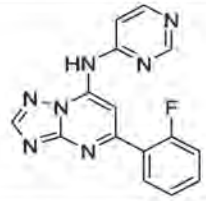
Co. No	Structure
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64	 Chiral
65	 Chiral
66	

Co. No	Structure
67	
68	
69	
70	

Co. No	Structure
71	
72	
73	

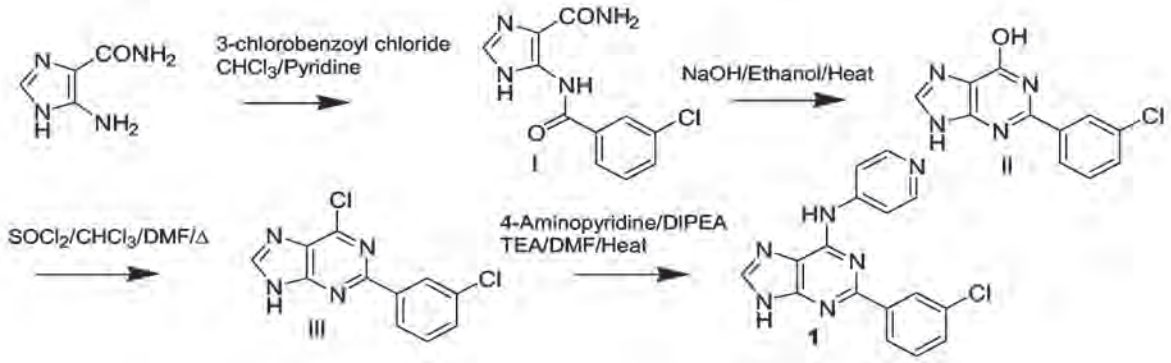
Co. No	Structure
74	
75	
76	
77	

Co. No	Structure
78	
79	
80	

Co. No	Structure
81	
82	
83	

Scheme A (Synthesis of Compounds 1 and 26):

This general scheme was used to prepare compounds 1 and 16.



Preparation of compound of formula (I):

2.53 g of 4-amino-5-imidazolecarboxamide was dissolved in 30 mL chloroform and 30 mL dimethylformamide. To this solution was added at 0°C 3.02 mL of 3-chlorobenzoylchloride, followed by 5.4 mL di-isopropylethylamine. The reaction mixture was allowed to warm to room temperature and maintained at room temperature overnight. The reaction mixture was diluted with chloroform and washed with water, 10% aqueous sodium carbonate solution and brine. The organic layer was dried over anhydrous sodium sulfate and filtered. The crude residue obtained after concentration was taken up in the minimal amount of chloroform and chromatographed on silica gel, using ethyl acetate, 5% methanol to give 4.81 g of compound of formula (I).

Note: For the synthesis of compound 16, methyl-4-amino-5-imidazolecarboxamide, was used.

Preparation of compound of formula (II):

2.74 g of compound of formula (I) was suspended in 75 mL ethanol, added 5 mL 10 N sodium hydroxide to the reaction mixture and the reaction mixture was refluxed for four hours. After cooling to room temperature the reaction mixture was concentrated to remove ethanol and then diluted with water. The solution was then acidified by the addition of 1 N hydrochloric acid, at 0°C, to pH 6.5. The white precipitate that formed was collected by filtration, washed with water and ether and dried under high vacuum to give 0.84 g of compound of formula (II).

Preparation of compound of formula (III):

0.84 g of compound of formula (II) was suspended in 60 mL chloroform, to this suspension was added 1.1 mL thionyl chloride and 2 mL dimethylformamide. The resulting mixture was refluxed under nitrogen for three hours. The reaction mixture was cooled to room temperature and concentrated to a yellow residue. This residue was taken up in chloroform and ice was added to the reaction mixture. The cold solution was washed with 5% aqueous sodium bicarbonate solution and brine. The organic layer was dried over anhydrous sodium sulfate and filtered. After concentration, the residue that was obtained was treated with cold ethyl acetate. A white solid separates. This solid was filtered and washed with ethyl acetate, to give 0.46 g of compound of formula (III).

Preparation of compound of formula (1):

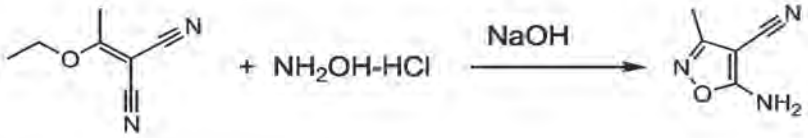
0.46 g of compound of formula (III) was dissolved in 10 mL dry dimethylformamide, to this was added 0.67 ml di-isopropylethylamine. The reaction mixture was heated to 60°C, and to this was added a solution of 0.21 g 4-aminopyridine. The reaction

mixture was heated under reflux for one hour. After cooling to room temperature the reaction mixture was concentrated to a minimal volume and the product purified by preparative reverse phase HPLC, using a C18 Vydac® column, using a gradient of water, acetonitrile (both containing 0.1% trifluoroacetic acid). 15 mg of compound of formula (1) was obtained after lyophilization of fractions containing desired product. Analysis: ^{1}H NMR d_6 DMSO, LCMS, M^+ 323.

Preparation of compound of formula (26):

Compound 26 was prepared according to the procedures outline in Scheme A, using N-1-phenyl-2-aminoimidazole-3-carboxamide and benzoyl chloride.

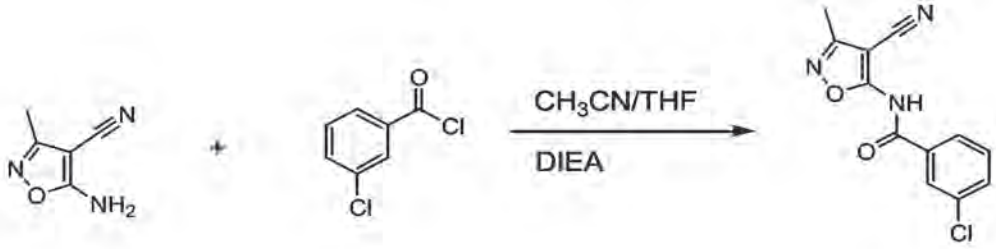
Scheme B (Synthesis of Compounds 3, 5-15 and 17):



Preparation of 3-amino-4-cyano-5-methyl isoxazole:

Hydroxylamine hydrochloride (12.78g, 0.184 mole) was dissolved in 40 ml water, treated with sodium hydroxide (7.36 g, 0.184 mole). 60 ml ethanol (anhydrous) was added and while stirring (1-ethoxyethylidene)malonitrile (25 g, 0.184 mole) was added carefully. The reaction mixture was heated to 50°C for 30 min, then stirred overnight at room temperature. Ethanol was removed under vacuum, the filtered solid product was washed with water, dried under vacuum to obtain 21.93 g (96.8% yield).

Note: For the synthesis of 7 and 11, the corresponding ethyl hydroxylamine was used and for the synthesis of 9, the corresponding phenyl hydroxylamine was used.

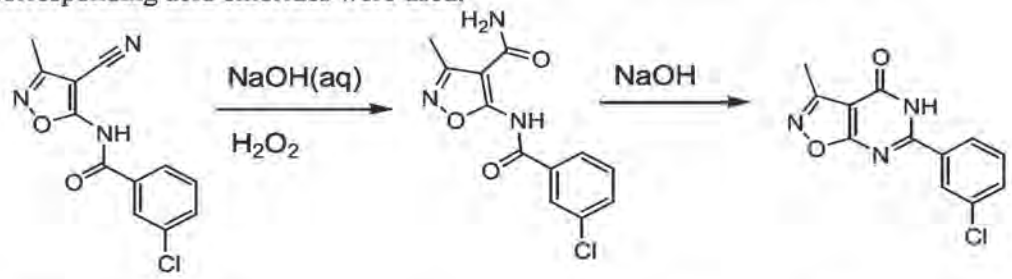


Preparation of N-(3-chlorobenzoyl)-4-cyano-3-methyl-5-carboxamide:

3-amino-4-cyano-5-methyl isoxazole (6.0 g, 0.0487 mole) was suspended in acetonitrile/tetrahydrofuran (30 ml/10 ml). Diisopropylethylamine (8.26 ml,

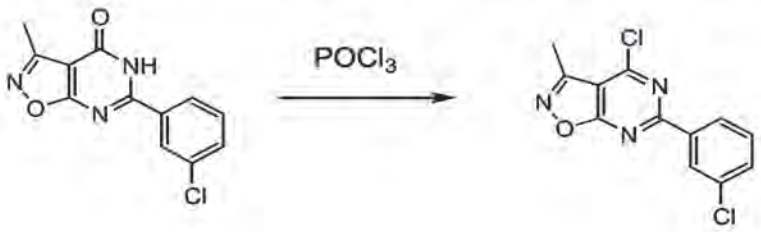
0.0487 mole) was added followed by dropwise addition of 3-chlorobenzoyl chloride. The mixture was stirred at room temperature overnight. The precipitated product was isolated by filtration, washed with chloroform. 1.31 g product were obtained (11% yield).

Note: For the synthesis of compounds 3, 5, 6, 8, 10, 12, 13, 14, 15 and 17, the corresponding acid chlorides were used.



Preparation of 3-methyl-6-(3-chlorophenyl) isoxazole[5,4d]pyrimidone:

N-(3-chlorobenzoyl)-4-cyano-3-methyl-5-carboxamide (1.0 g, 15 mmole) was suspended in 20 ml 1 M sodium hydroxide and treated with 8 ml 30% hydrogen peroxide. The mixture was refluxed overnight. The cooled reaction mixture was placed on an ice batch and treated with 1 M hydrochloric acid to pH 6. Filtered the product as a white precipitate and dried under vacuum to give 537 mg (53% yield).



Preparation of 3-methyl-4-chloro-6-(3-chlorophenyl) isoxazole[5,4d]pyrimidine:

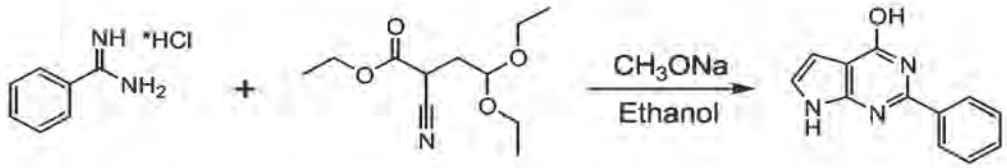
3-methyl-6-(3-chlorophenyl) isoxazole[5,4d]pyrimidone (535 mg, 2.04 mmole) was suspended in phosphorus oxychloride (6 ml) and heated to reflux for 4 hours. The excess of phosphorus oxychloride was removed, ice and chloroform (10 ml) were added, basified with saturated sodium bicarbonate, the product into chloroform was extracted and the extracts dried over sodium sulfate (anh). The product was purified by silica gel chromatography eluting with chloroform. 200 mg product was obtained.



Preparation of 3-methyl-4-(4-aminopyridyl) –6-(3-chlorophenyl) isoxazole[5,4d] pyrimidine (17):

4-aminopyridine (80.6 mg, 0.859 mmole) was dissolved in N-methylpyrrolidone, diisopropylethylamine (149 microliters) was added followed by 3-methyl-4-chloro-6-(3-chlorophenyl) isoxazole[5,4d]pyrimidine (120 mg, 0.428 mmole). The mixture was heated to 50°C with stirring for 1 hour. Product was purified by preparative HPLC on C18 column.

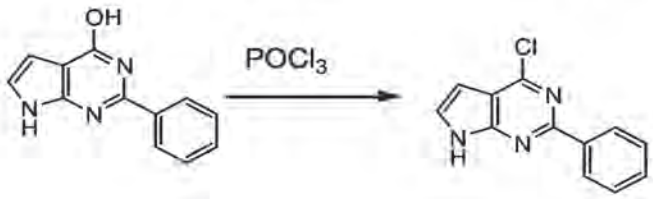
Scheme C (Synthesis of Compounds 2 and 4):



Preparation of 2-phenyl-pyrrolo[2,3d]pyrimidinone:

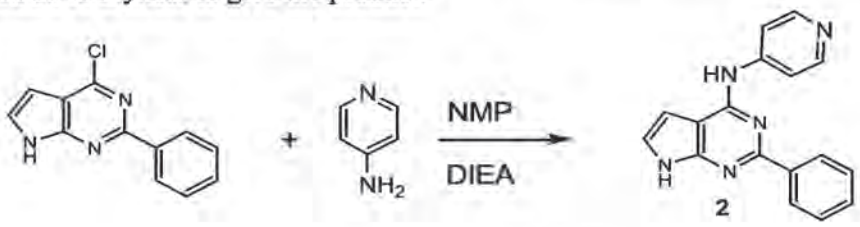
Benzamidine hydrochloride (4.0 g, 0.25 mol) was dissolved in 64 ml of ethanol. To this 8.0 ml of a 25 wt % solution of sodium methoxide was added. Reaction was then stirred at room temperature for 5 hrs, and filtered. Filtrate was then added to ethyl-2-cyano-4, 4-diethoxybutyrate (4.80 g, 0.21 mol). This solution was refluxed for 5 hrs. Half of solvent was removed under reduced pressure, then 80 ml of ice water was added, and the pH was adjusted to 7 with acetic acid. Material was then chilled for 6 hrs and product was isolated by vacuum filtration.

Note: For the synthesis of compound 4, 3-chlorobenzamidine was used.



Preparation of 4-chloro-2-phenyl-pyrrolo[2,3d]pyrimidine:

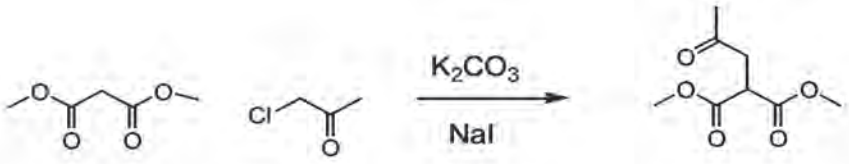
2-phenyl-pyrrolo[2,3d]pyrimidinone (1.0 g 4.73 mmol) was treated with phosphorous oxychloride (7 ml, 27.7 mmol) and refluxed for 5 hrs. Excess phosphorous oxychloride was removed under reduced pressure and then extracted with chloroform, washed with sodium bicarbonate. The organic layer was dried over sodium sulfate(anh), then concentrated to dryness to give the product.



Preparation of 4-(4-aminopyridyl)-2-phenyl-pyrrolo[2,3d]pyrimidine (2):

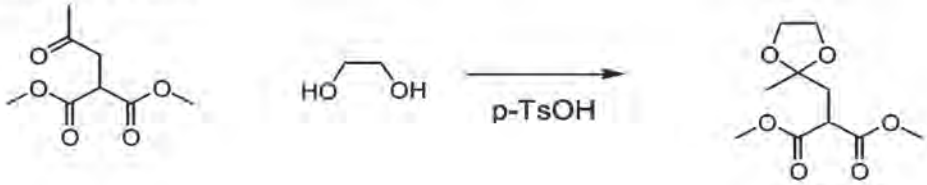
4-chloro-2-phenyl-pyrrolo[2,3d]pyrimidine (0.12 g, 1.27 mmol) was dissolved in 4 ml of NMP. N,N'-Diisopropylethylamine (0.229 ml) was added followed by 4-amino-pyridine (0.15 g, 0.635 mmol). Reaction mixture was heated to reflux for 2 hours, cooled and purified by preparative HPLC.

Scheme D (Synthesis of Compound 18):



Preparation of Acetylmethyl-dimethylmalonate:

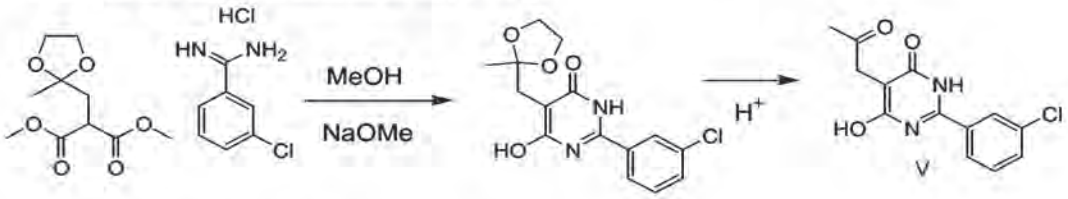
Dimethyl malonate (5 g, 0.189 mole) was treated with potassium carbonate (34.78 g, 0.25 mole), sodium iodide (1.00 g, 0.0067 mole) and then warmed while chloroacetone (23.1 g, 0.25 mole) was added rapidly batchwise. The reaction mixture was heated to 100°C for 20 min. To the cooled reaction mixture 50 ml ethanol were added, and the filtered solid material was washed with ethanol. Ethanol was removed from filtrate under vacuum. Product was isolated by vacuum distillation. 11.26g product were obtained (32% yield).



IV

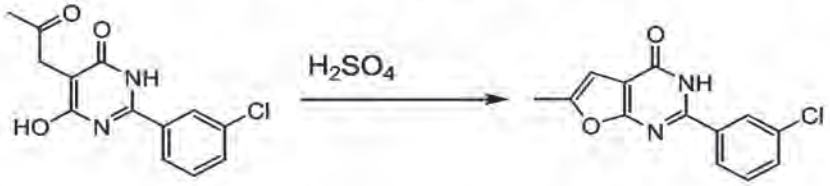
Preparation of compound of formula (IV):

Ethylene glycol (3.90 g, 0.0628 mole), the acetylmethyldimethylmalonate (11.26 g, 0.06 mole), and p-toluene sulfonic acid (0.21 g, 0.0011 mole) were combined in 25 ml benzene. The reaction mixture was heated to reflux collecting water in a Dean Stark trap overnight. The reaction mixture was washed with sodium 10% sodium bicarbonate (2 x 10 ml) and the benzene was dried over sodium sulfate. Solvent was removed to obtain product as an oil, 14.1 g.



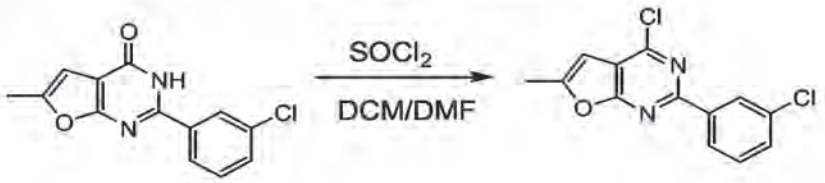
Preparation of compound of formula (V):

Protected dimethyl malonate derivative (5.0 g, 0.0215 mole) was dissolved in methanol (20 ml), 3-chlorobenzamidine hydrochloride was added, followed by 25% sodium methoxide (16 ml, 0.0646 mole). The reaction mixture was stirred at room temperature for 3 days. Mixture was diluted with water (50 ml) and 60 ml 1 M HCl was added and stirred for 1 hour room temp, then 4 ml concentrated HCl were added and stirred overnight, to give final product. Methanol was removed under vacuum, and product was obtained by filtration and vacuum drying. 5 g product were obtained.

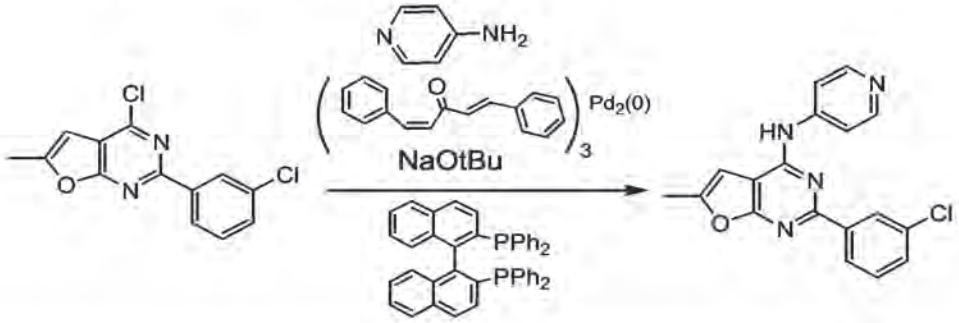


Preparation of 6-methyl-2-chlorophenyl-furano[3,2d]pyrimidone:

6-hydroxy-5-acetylmethyl-2-(3-chlorophenyl)pyrimidone (5.0 g) was treated with concentrated sulfuric acid (80 ml). The reaction mixture was stirred at room temperature for 4 hours, then neutralized with sodium carbonate and extracted with chloroform, the chloroform extract was washed with water, dried over sodium sulfate (anh) and the solvent was removed to give product (1.10g).

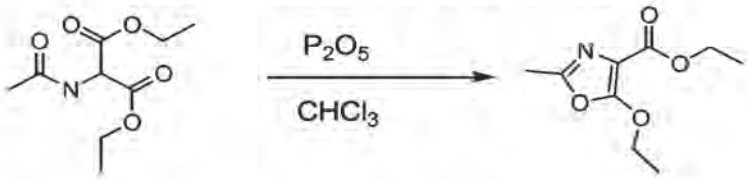


Preparation of 6-methyl4-chloro-2-chlorophenyl-furano[3,2d]pyrimidine:
6-methyl-2-chlorophenyl-furano[3,2-d]pyrimidone (480 mg, 1.84 mmole) was suspended in dichloromethane (4 ml). Thionyl chloride (1.6 ml, 22.5 mmole) and dimethylformamide (0.5 ml)was added and heated to reflux for 3 hours. Excess solvent was removed, the residue was treated with ice, extracted into chloroform, washed with 10% sodium bicarbonate and water, dried over sodium sulfate (anh) and the solvent was removed to give 480 mg product.



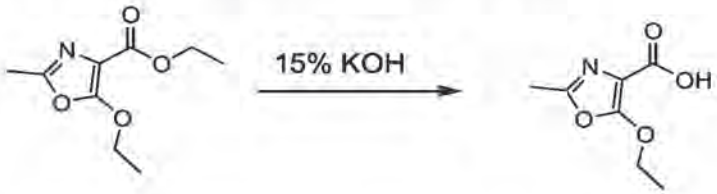
Preparation of 6-methyl4-(4-aminopyridyl)-2-chlorophenylfurano[3,2d]pyrimidine (18):
6-methyl4-chloro-2-chlorophenyl-furano[3,2d]pyrimidine (480 mg, 1.72 mmole, 1 eq), BINAP (8 mg, 0.013 mmole, 0.0075 eq), $Pd_2(dba)_3$ (3.9 mg, 0.0043 mmole, 0.0025 eq), sodium t-butoxide (231 mg, 2.4 mmole, 1.4 eq), 4-aminopyridine (194 mg, 2.06 mmole, 1.2 eq) were combined in 5 ml dioxane and heated to 50°C for 5 hours. Product was isolated by preparative HPLC on C18 column.

Scheme E (Synthesis of compound 19)



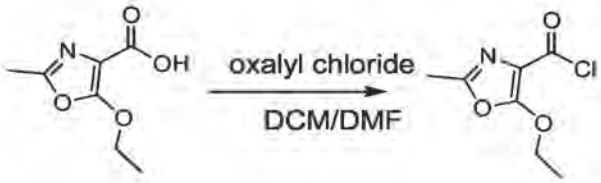
Preparation of Ethyl –2-methyl-5-ethoxy-4-oxazole-4-carboxylate:
Diethyl Acetamidomalonate (15.0 g, 69.1 mmol) was dissolved in 60 ml of chloroform then treated with 60 g of phosphorous pentoxide. Reaction mixture was refluxed for 6 hours then cooled to room temperature. This solution was treated with sodium hydroxide (1 M) to neutralize the reaction mixture. The organic layer was washed with

water and dried over sodium sulfate(anh). Crude product was vacuum distilled to isolate product. 8.26 g of product were obtained (60% yield).



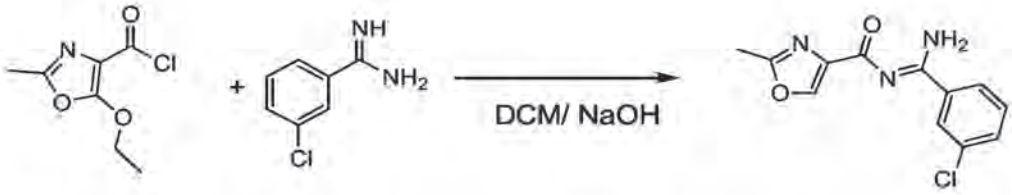
Preparation of 2-methyl-5-ethoxy-4-oxazole-4-carboxylic acid:

Ethyl –2-methyl-5-ethoxy-4-oxazole-4-carboxylate (8.26 g, 41.5 mmol) was treated with 74 ml of a 15% solution of KOH. This was refluxed for 15 minutes then cooled and acidified using a 10% HCl solution. Product was collected by vacuum filtration.



Preparation of 2-methyl-5-ethoxy-4-oxazole-4-carbonyl chloride:

2-methyl-5-ethoxy-4-oxazole-4-carboxylic acid (2.56 g, 14.9 mmol) was dissolved in dichloromethane. Two drops of dimethylformamide was added and the reaction was cooled in an ice bath. Oxalyl chloride (12 ml, 22.3 mmol) was added dropwise. The ice bath was removed and the reaction was stirred at room temperature for 2 hours. Solvent was removed by reduced pressure.

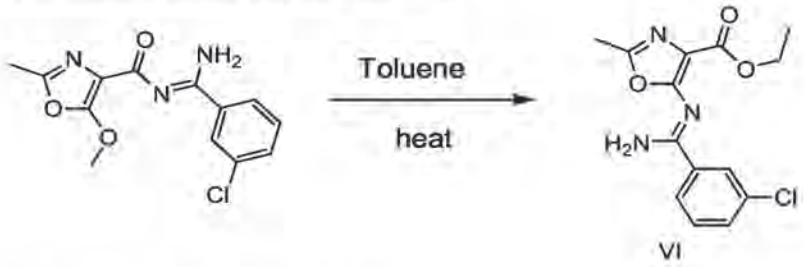


Preparation of 4-(3-chlorobenzamidinamide)-2-methyl-5-ethoxy-4-oxazole-4-carbonyl chloride:

3-chlorobenzamidine (2.29 g, 14.8 mmol) was dissolved in 40 ml of DCM and brought to 0°C. A 15 ml 2.0 M solution of sodium hydroxide was then added. 2-methyl-5-ethoxy-4-oxazole-4-carbonyl chloride (2.8 g, 14.8 mmol), dissolved in 30 ml of DCM was added dropwise to the reaction mixture and stirred at room temperature for

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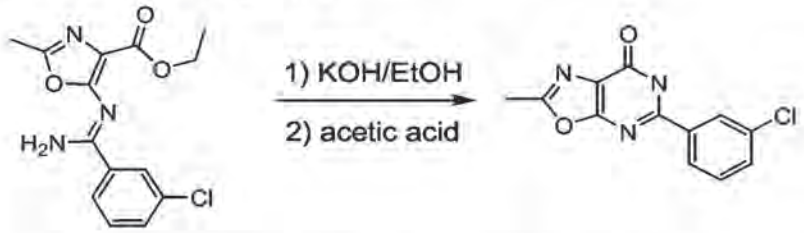
3 hours. Organic solvent was then washed with water followed by sodium bicarbonate, then dried with sodium sulfate and concentrated.



VI

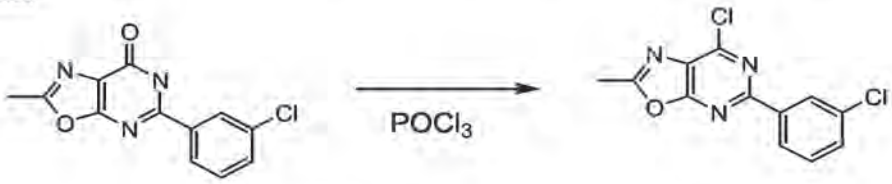
Preparation of compound of formula (VI):

4-(3-chlorobenzamidinamide)-2-methyl-5-ethoxy-4-oxazole-4-carbonyl chloride (2.0 g, 6.5 mmol) was dissolved in 30 ml of toluene and refluxed for 1.5 hours. The solvent was then removed by reduced pressure.



Preparation of 7-methyl-2-(3-chlorophenyl)-oxazolo[2,3d]pyrimidone:

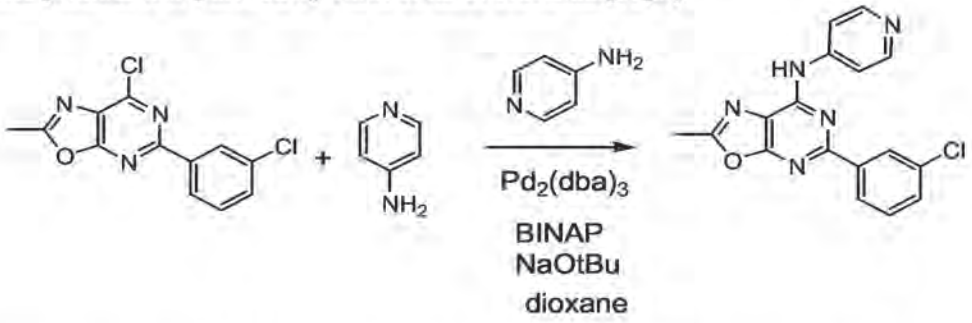
1.86 g, 5.93 mmol, of the oxazole ester was treated with 0.86 g, 15.4 mmol of KOH in 20 l of ethanol. This was stirred at room temperature overnight. The organic solvent was evaporated under reduced pressure and the compound was dissolved in water and acidified using a 15% solution of HCl. Solid product was collected by vacuum filtration.



Preparation of 7-methyl-4-chloro-2-(3-chlorphenyl)-oxazolo[2,3d]pyrimidine:

7-methyl-2-(3-chlorophenyl)-oxazolo[2,3d]pyrimidone (1.32 g, 5.06 mmol) was treated with phosphorus oxychloride (13.2 ml, 141.7 mmol and refluxed for three hours. Reaction was cooled, solvent was removed by reduced pressure and residue was taken up in chloroform. Ice was added to the organic solvent, then organic solvent was

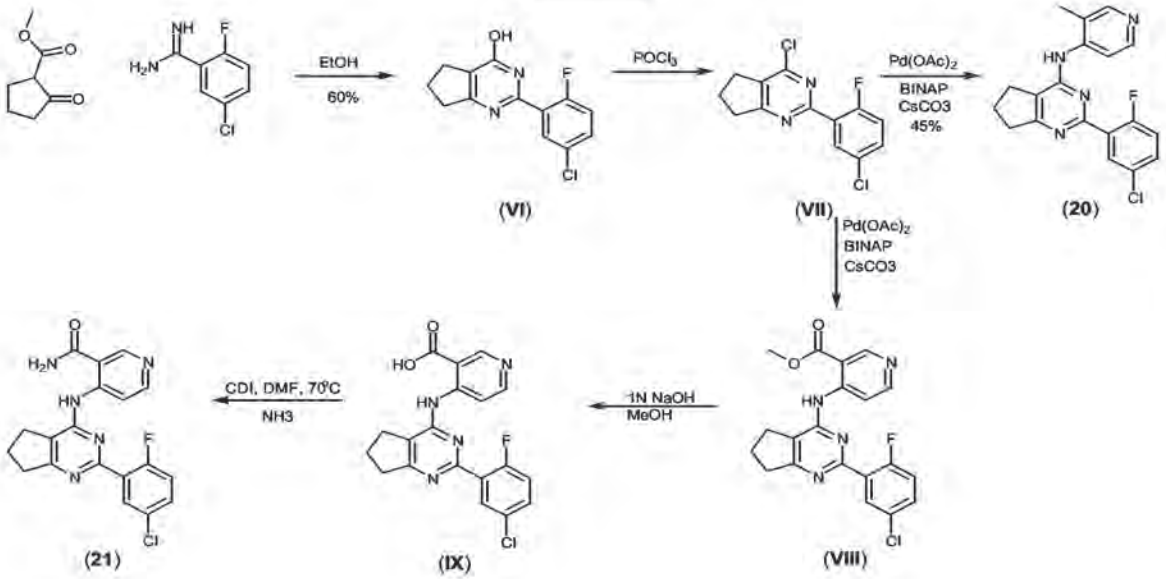
washed with sodium bicarbonate, dried over sodium sulfate and then concentrated. Crude product was purified by flash column chromatography.



Preparation of 7-methyl-4-(4-aminopyridyl)-2-(3-chlorophenyl)oxazolo[2,3d] pyrimidine (19):

7-methyl-4-chloro-2-(3-chlorophenyl)-oxazolo[2,3d]pyrimidine (0.100 g, 0.358 mmol), 4-aminopyridine (0.040g, 0.430mmol), sodium t-butoxide (0.048g, 0.501 mmol), Bis (diphenylphosphino)-1,1'-binaphthyl (0.0009 g, 0.0014 mmol), and $Pd_2(dba)_3$ (0.0004 g, 0.0043 mmol) were combined and dissolved in 2 ml of dry dioxane and refluxed for 3.5 hours. Reaction was cooled, then filtered through Celite®, and then purified by HPLC.

Scheme F



Preparation of compound of formula (VI):

To a solution of methyl-2-oxocyclopentane carboxylate (4.10 g, 28.9 mmol, 1 eq), in dry ethanol (20 ml) was added a solution of 2-fluoro-5-chlorobenzamidine (5.0 g,

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28.9 mmol, 1 eq) in ethanol (20 ml) and the reaction mixture was heated to 80°C overnight. The reaction mixture was cooled to room temperature (r.t.) and the white precipitate was filtered and washed with cold ethyl acetate (2 x 20 ml). The crude residue was partitioned between chloroform and water. The aqueous layer was acidified to pH 4 and the product was extracted with chloroform (3 x 50 ml). The organic layers were combined, washed with brine, dried over $MgSO_4$, filtered and concentrated *in vacuo* to give a crude white solid (VI) (4.5 g, 60%) which was not further purified.

Preparation of compound of formula (VII):

A suspension of compound of formula (VI) (200 mg, 0.757 mmol) in $POCl_3$ (5 ml) was stirred under reflux for 1 h. The solution was then cooled to room temperature and concentrated under reduced pressure to give a white solid which was dissolved in dry methylene chloride. The solution was cooled to 0°C and ice was added followed by sat. $NaHCO_3$. The organic layer was separated, washed with brine, dried ($MgSO_4$), filtered and evaporated *in vacuo* to provide a crude white solid which was not further purified.

Preparation of compound of formula (20): *(General Buchwald Reaction Procedure)*:

The crude imino chloride compound of formula (VII) (210 mg, 0.76 mmol, 1 eq) was dissolved in dioxane (5 ml) and to this was added $Pd(OAc)_2$ (9 mg, 0.04 mmol, 0.05 eq) followed by BINAP (35 mg, 0.056 mmol, 0.075 eq), 4-amino-3-picoline (82 mg, 0.760 mmol, 1 eq) and Cs_2CO_3 (370 mg, 1.13 mmol, 1.5 eq). The reaction mixture was heated to 80°C for 15 h. The reaction mixture was cooled to r.t. and filtered through Celite® and the crude material was purified by flash column chromatography (3:2/ethyl acetate:hexane) to give compound of formula (20) (110 mg, 41%).

Preparation of compound of formula (IX):

To a suspension of compound of formula (VIII) (100 mg, 0.25 mmol, 1 eq) in MeOH (5 ml) was added a 1 N $NaOH_{(aq)}$ solution (500 µl, 0.50 mmol, 2 eq) and the reaction mixture was refluxed for 2 h. The mixture was cooled to r.t. and concentrated *in vacuo*. Water (10 ml) was added to the crude material and the aqueous layer was acidified to pH 4. The solid was filtered, washed with water (2 x 5 ml) and dried overnight to give compound of formula (IX) (50 mg, 52%) as a cream colored solid.

Preparation of compound of formula (21):

To a suspension of compound of formula (IX) (50 mg, 0.13 mmol, 1 eq) in dry DMF (2 ml) was added 1-1'-carbonyldiimidazole (42 mg, 0.26 mmol, 2 eq) and the reaction

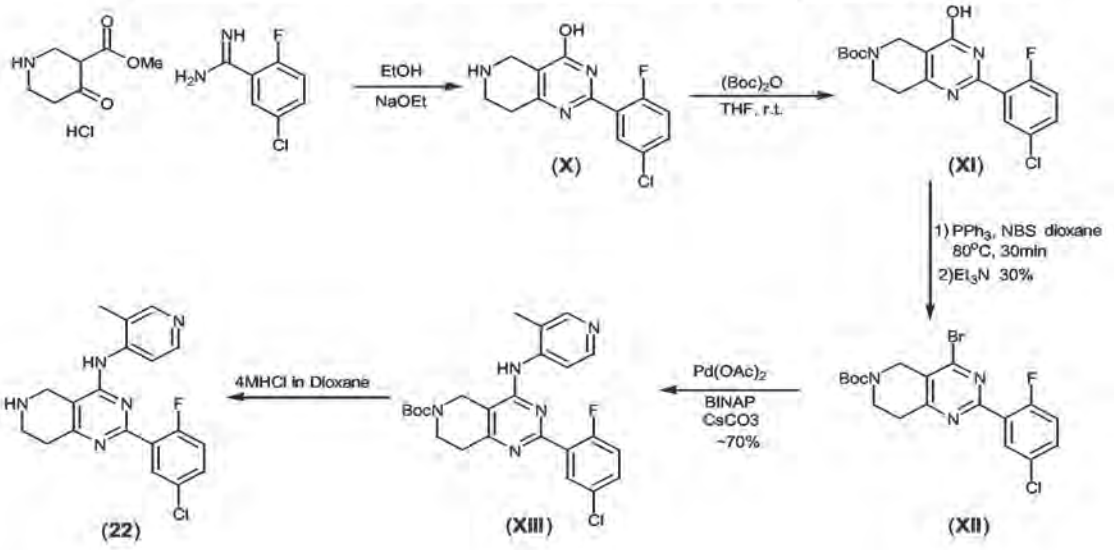
mixture was warmed to 70°C for 2h. The mixture was cooled to r.t. and $NH_{3(g)}$ was bubbled through for 10 min. The reaction mixture was stirred at r.t. for a further 1 h. The reaction was concentrated *in vacuo*. Water (10 ml) was added to the crude material and solid was filtered, washed with water (2 x 5 ml) and dried overnight to give compound of formula (21) (30 mg, 60%) as a cream colored solid.

Additional Compounds prepared according to Scheme F

Compound of formula (32) was prepared according to the procedure outlined in scheme F, for the preparation of compound of formula (VIII), using 4-aminooyrdine-3-carboxylic acid ethyl ester. Compound of formula (36) was prepared by the method described for the synthesis of compound of formula (20) employing 4-amino-3-trifluoromethyl-picoline in place of 4-amino-3-picoline. Compound of formula (35) was prepared by the method described for the synthesis of compound of formula (21) employing methyl amine in place of ammonia. Compound of formula (37) was prepared by the method described for the synthesis of compound of formula (21) employing pyrrolidine in place of ammonia. Compound of formula (41) was prepared by the method described for the synthesis of compound of formula (21) employing cyclopropylamine in place of ammonia. Compound of formula (42) was prepared by the method described for the synthesis of compound of formula (21) employing cyclopropylmethylamine in place of ammonia. Compound of formula (51) was prepared by the method described for the synthesis of compound of formula (21) employing 2-amino-ethanol in place of ammonia. Compound of formula (52) was prepared by the method described for the synthesis of compound of formula (21) employing 1-amino-propan-2-(S)-ol in place of ammonia. Compound of formula (53) was prepared by the method described for the synthesis of compound of formula (21) employing 3-amino-propane 1, 2(S)-diol in place of ammonia. Compound of formula (54) was prepared by the method described for the synthesis of compound of formula (21) employing HO-NH_2 in place of ammonia. Compound of formula (55) was prepared by the method described for the synthesis of compound of formula (21) employing 1-amino-propan-2-(R)-ol in place of ammonia. Compound of formula (56) was prepared by the method described for the synthesis of compound of formula (21) employing N-methylethylenediamine in place of ammonia. Compound of formula (58) was prepared by the method described for the synthesis of compound of formula (21) employing hydrazine in place of ammonia. Compound of formula (67) was prepared by the method described for the synthesis of compound of formula (21) employing benzamidine. Compound of formula (68) was prepared by the method described for the synthesis of compound of formula (21) employing methylamine in place of ammonia and benzamidine in place of 2-F, 3-Cl-benzamidine. Compound of formula

(69) was prepared by the method described for the synthesis of compound of formula (21) employing *N,N'*-dimethyl-1,3-propanediamine in place of ammonia. Compound of formula (70) was prepared by the method described for the synthesis of compound of formula (21) employing 4-(3-aminopropyl)morpholine in place of ammonia. Compound of formula (71) was prepared by the method described for the synthesis of compound of formula (21) employing 1-(3-aminopropyl)imidazole in place of ammonia. Compound of formula (72) was prepared by the method described for the synthesis of compound of formula (21) employing 1-(3-aminopropyl)-2-pyrrolidinone in place of ammonia. Compound of formula (73) was prepared by the method described for the synthesis of compound of formula (21) employing 2-(2-aminoethyl)-1-methylpyrrolidine in place of ammonia. Compound of formula (74) was prepared by the method described for the synthesis of compound of formula (21) employing 1-(3-aminopropyl)-2-pipecoline in place of ammonia. Compound of formula (75) was prepared by the method described for the synthesis of compound of formula (21) employing 1-(2-aminoethyl)pyrrolidine in place of ammonia. Compound of formula (78) was prepared by the method described for the synthesis of compound of formula (21) employing 1-(2-aminoethyl)piperdine in place of ammonia. Compound of formula (79) was prepared by the method described for the synthesis of compound of formula (21) employing *N,N*-diethylethenediamine in place of ammonia.

Scheme G (Synthesis of Compound of formula (22), (24), (25), and (30))



Preparation of compound of formula (X):

To a solution of 2-fluoro-5-chlorobenzamidine (1.79 g, 10.4 mmol, 1 eq) in EtOH (10 ml) was added solid NaOEt (705 mg, 10.4 mmol, 1 eq) followed by methyl-4-oxo-3-piperidine carboxylate.HCl (2.0 g, 10.4 mmol, 1 eq). The reaction mixture was heated to 70°C for 2 h, then cooled to r.t. The precipitate was filtered and washed with ethyl acetate (2 x 20 ml) to give a white solid which (2.2 g, 76%) was not further purified.

Preparation of compound of formula (XI):

To a suspension of compound of formula (X) (300 mg, 1.08 mmol, 1 eq) in dry THF (10 ml) was added a solution of Boc_2O (258 mg, 1.18 mmol, 1.1 eq) in dry THF (10 ml) at r.t. The reaction mixture was stirred at r.t. for 2 h and then the solution was concentrated *in vacuo* to give a crude residue which was purified by flash column chromatography to give compound of formula (XI) (320 mg, 79%) as a white solid.

Preparation of compound of formula (XII):

To a solution of PPh_3 (813 mg, 3.03 mmol, 5 eq) in dry dioxane (20 ml) was added NBS (540 mg, 3.03 mmol, 5 eq) at once and the suspension was stirred at r.t. for 30 min. A solution of compound of formula (XI) (230 mg, 0.61 mmol, 1 eq) in dry dioxane (5 ml) was added and the reaction mixture was heated to 80°C for 45 min. The reaction mixture was cooled to r.t. and Et_3N (160 µl, 1.21 mmol, 2 eq) was added. The mixture was concentrated in vacuo and the crude residue was purified by flash column chromatography (1:9 ethyl acetate:hexane) to give compound of formula (XII) (72 mg, 30%).

Preparation of compound of formula (XIII):

To a solution of compound of formula (XII) (72 mg, 0.16 mmol, 1 eq) in dry dioxane (2 ml) was added $Pd(OAc)_2$ (2 mg, 0.008 mmol, 0.05 eq) followed by BINAP (8 mg, 0.001 mmol, 0.075 eq), 4-amino-3-picoline (18 mg, 0.16 mmol, 1 eq) and Cs_2CO_3 (80 mg, 0.24 mmol, 1.5 eq). The reaction mixture was heated to 80°C for 15 h. The reaction mixture was cooled to r.t. and filtered through Celite® and the crude material was purified by flash column chromatography (7:3/ethyl acetate:hexane) to give compound of formula (XIII) (65 mg, 85%).

Preparation of compound of formula (22):

To a solution of compound of formula (XIII) (65 mg, 0.14 mmol, 1 eq) in dry dioxane (2 ml) was added a 4 M HCl solution in dioxane (1 ml). The resultant suspension was stirred at r.t. for 2 h. The precipitate was filtered and washed with chloroform (1 x

5 ml), ethyl acetate (1 x 5 ml) and cold methanol (1 x 2 ml) to give compound of formula (22) (35 mg, 68%) as a white solid.

Preparation of compound of formula (24):

Compound of formula (24) was prepared according to the procedure outlined in scheme G, using ethyl 1-benzyl-4-oxopiperidine-3-carboxylate and benzamidine.

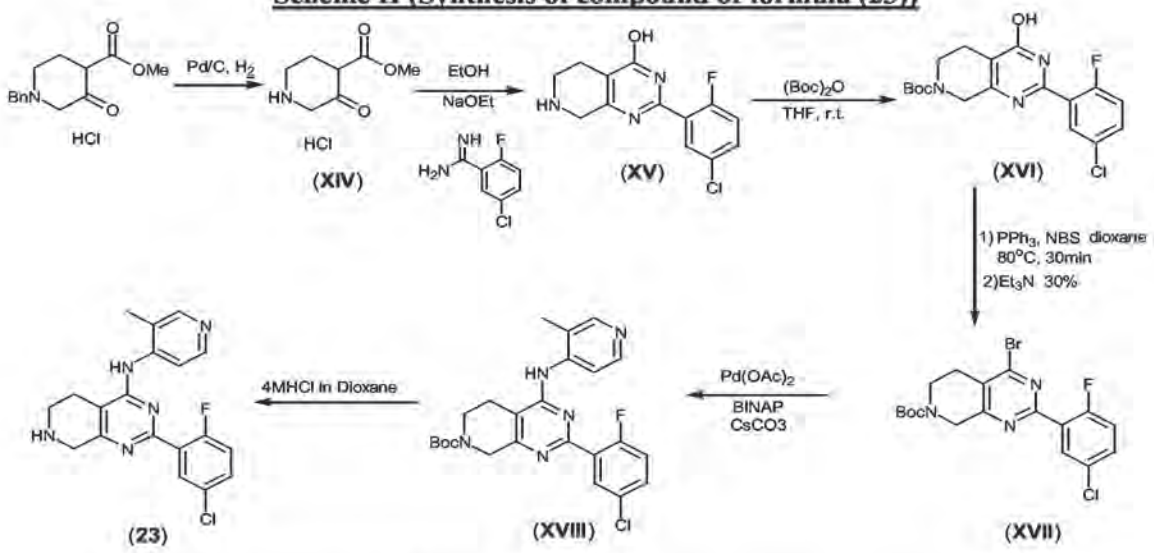
Preparation of compound of formula (25):

Compound of formula (25) was prepared according to the procedure outlined in scheme G, using benzamidine.

Preparation of compound of formula (30):

Compound of formula (30) was prepared according to the procedure outlined in scheme G, using ethyl 1-benzyl-4-oxopiperidine-3-carboxylate.

Scheme H (Synthesis of compound of formula (23))



Preparation of compound of formula (XIV):

To a solution of ethyl-*N*-benzyl-3-oxo-4-piperdine carboxylate.HCl (2 g, 6.73 mmol, 1 eq) in ethanol (60 ml) was added 10% Pd/C. The air was evacuated and replaced with hydrogen *via* a balloon. The reaction mixture was left to stir at r.t. for 4 h. The reaction mixture was filtered through a short pad of Celite® to give compound of formula (XIV) which was not further purified.

Preparation of compound of formula (XV):

To a solution of 2-fluoro-5-chlorobenzamidine (1.16 g, 6.71 mmol, 1 eq) in EtOH (10 ml) was added solid NaOEt (457 mg, 6.71 mmol, 1 eq) followed by compound of formula (XIV) (1.39 g, 6.71 mmol, 1 eq). The reaction mixture was heated to 70°C for 2 h and then cooled to r.t. The precipitate was filtered and washed with ethyl acetate (2 x 20 ml) to give compound of formula (XV) (1.12 g, 60%) as a white solid which was not further purified.

Preparation of compound of formula (XVI):

To a suspension of crude compound of formula (XV) (1.12 mg, 4.01 mmol, 1 eq) in dry THF (10 ml) was added a solution of Boc_2O (960 mg, 4.42 mmol, 1.1 eq) in dry THF (10 ml) at r.t. The reaction mixture was stirred at r.t. for 2 h and then the solution was concentrated *in vacuo* to give a crude residue which was purified by flash column chromatography to give compound of formula (XVI) (750 mg, 50%) as a white solid.

Preparation of compound of formula (XVII):

To a solution of PPh_3 (2.28 g, 8.70 mmol, 5 eq) in dry dioxane (20 ml) was added NBS (1.55 mg, 8.71 mmol, 5 eq) at once and the suspension was stirred at r.t. for 30 min. A solution of compound of formula (XVI) (660 mg, 1.74 mmol, 1 eq) in dry dioxane (5 ml) was added and the reaction mixture was heated to 80°C for 45 min. The reaction mixture was cooled to r.t. and 2 eq of Et_3N were added. The mixture was concentrated *in vacuo* and the crude residue was purified by flash column chromatography (1:9 ethyl acetate:hexane) to give compound of formula (XVII) (230 mg, 30%).

Preparation of compound of formula (XVIII):

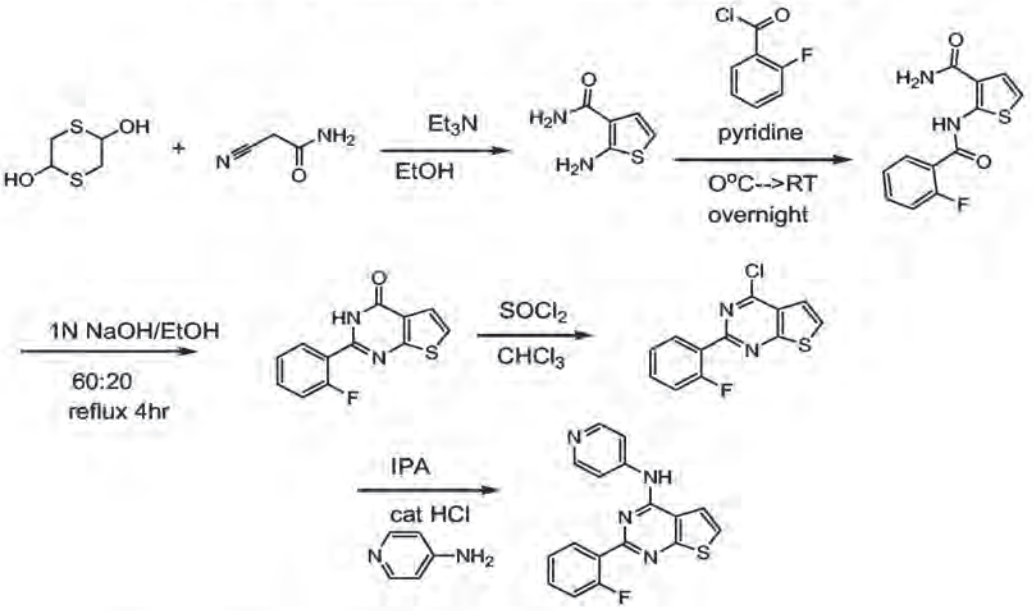
To a solution of compound of formula (XVII) (230 mg, 0.52 mmol, 1 eq) in dry dioxane (5 ml) was added $Pd(OAc)_2$ (6 mg, 0.03 mmol, 0.05 eq) followed by BINAP (8 mg, 0.004 mmol, 0.075 eq), 4-amino-3-picoline (67 mg, 0.62 mmol, 1.2 eq) and Cs_2CO_3 (271 mg, 0.83 mmol, 1.5 eq). The reaction mixture was heated to 80°C for 15 h. The reaction mixture was cooled to r.t. and filtered through Celite® and the crude material was purified by flash column chromatography (9:1/ethyl acetate:hexane) to give compound of formula (XVIII) (38 mg, 16%).

Preparation of compound of formula (23):

To a solution of compound of formula (XVIII) (38 mg, 0.08 mmol, 1 eq) in dry dioxane (2 ml) was added a 4 M HCl solution in dioxane (1 ml). The resultant suspension was stirred at r.t. for 2 h. The precipitate was filtered and washed with chloroform (1 x

3 ml), ethyl acetate (1 x 3 ml) and cold methanol (1 x 1 ml) to give compound of formula (23) (32 mg, 95%) as a white solid.

Scheme I (Synthesis of compound of formula (27))



2-Amino-thiophene-3-carboxylic acid amide:

1,4-dithian-2,5-diol (4.56g, 30mmole) and 2-cyanoacetamide (2.52 g, 30 mmole) were combined in ethanol (50 ml). Triethylamine (6 ml) was added and heated to 70°C for 1 hour. The volume of solvent was reduced under vacuum, and the product was isolated by filtration. Product was recrystallized from ethanol to give 2.71g of product (yield 64%).

2-(2-Fluoro-benzoylamino)-thiophene-3-carboxylic acid amide:

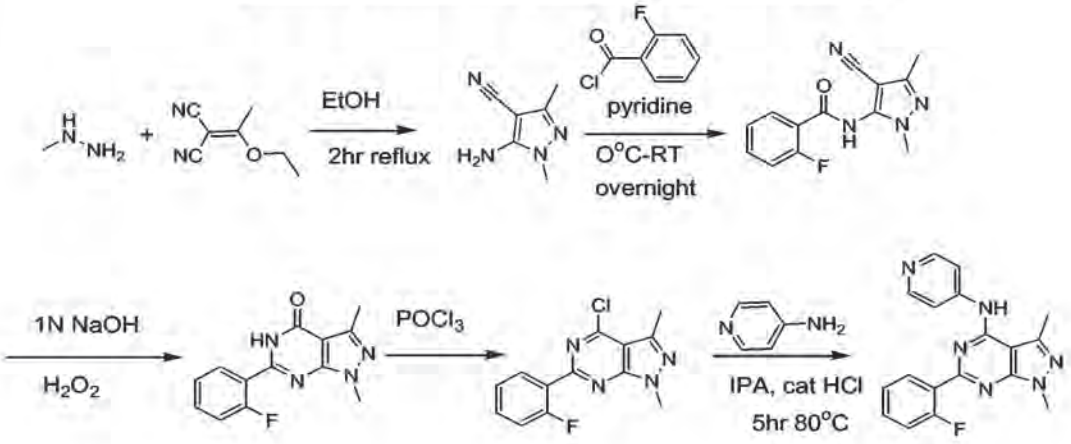
2-Amino-thiophene-3-carboxylic acid amide (8.73 g, 61.4 mmole) was dissolved in pyridine (100 ml), cooled to 0°C, and 2-fluorobenzoyl chloride was added dropwise over 20min, then the reaction was allowed to warm to room temperature with stirring overnight. The pyridine was removed under vacuum, dichloromethane and water were added. The product was precipitated as a grey solid and was washed with diluted hydrochloric acid, water and air dried. The dichloromethane layer was separated, washed with diluted hydrochloric acid, and water, dried over sodium sulfate (anh.) and the solvent was removed to give a total of 12.45g product (77% yield).

2-(2-Fluoro-phenyl)-3H-thieno[2,3-d]pyrimidin-4-one:
2-(2-Fluoro-benzoylamino)-thiophene-3-carboxylic acid amide (8.56 g, 32.4 mmole) was dissolved in a mixture of 20 ml 1 M sodium hydroxide and 60 ml ethanol. The mixture was brought to reflux for 4 hours. The reaction mixture was cooled and poured onto ice. The solution was acidified with dilute hydrochloric acid and the product was isolated by filtration. Upon vacuum drying 5.42 g of product was obtained (Yield: 68%).

4-Chloro-2-(2-fluoro-phenyl)-thieno[2,3-d]pyrimidine:
2-(2-Fluoro-phenyl)-3H-thieno[2,3-d]pyrimidin-4-one (900 mg, 3.65 mmole) was dissolved in chloroform and thionyl chloride (0.532 ml, 7.30 mmole) was added to the mixture followed by 1 ml dimethylformamide. The reaction mixture was heated to reflux for 2.5 hours, the cooled mixture was washed with 10% sodium carbonate, the chloroform solution was dried over sodium sulfate (anh) and the solvent was removed. The crude product was chromatographed on silica gel, eluting with chloroform. Upon removal of solvent 438 mg of product was obtained (yield: 45%).

[2-(2-Fluoro-phenyl)-thieno[2,3-d]pyrimidin-4-yl]-pyridin-4-yl-amine:
4-Chloro-2-(2-fluoro-phenyl)-thieno[2,3-d]pyrimidine (110 mg, 0.41 mmole) and 4-aminopyridine (78 mg, 0.830 mmole) were combined in isopropanol (3ml), then 4 drops of 4 M HCl/dioxane were added and the reaction mixture was heated to 80°C for 7 hours. The reaction mixture was cooled and the product was isolated by filtration, washed with minimum cold methanol and dried under vacuum to give 116 mg of product (yield: 86%).

Scheme J (Synthesis of compound of formula (28))



5-Amino-1,3-dimethyl-1H-pyrazole-4-carbonitrile:
Methylhydrazine (5 g, 108.5 mmole) was added dropwise to a solution of (1-ethoxy-ethylidene)-malonitrile (14.7 g, 108.5 mmole) in 250 ml ethanol. The mixture was then heated to reflux for 2.5 hours. The reaction mixture was allowed to cool, the volume was reduced to about 70 ml and the product was isolated by filtration, washed with cold ethanol and dried to obtain 13.5g of product (yield: 91%).

N-(4-Cyano-2,5-dimethyl-2H-pyrazol-3-yl)-2-fluoro-benzamide:
5-Amino-1,3-dimethyl-1H-pyrazole-4-carbonitrile (10 g, 73.4 mmole) was suspended in pyridine (90 ml) and 2-fluorobenzoyl chloride was added dropwise while cooling the reaction mixture on an ice bath. The mixture reaction was stirred overnight at room temperature. Most of the pyridine was removed and 100 ml of cold water was added to precipitate the product. The product was isolated by filtration, washed with cold water and a small amount of cold ethanol, and dried under vacuum to obtain 9.15 g of product (yield: 50%).

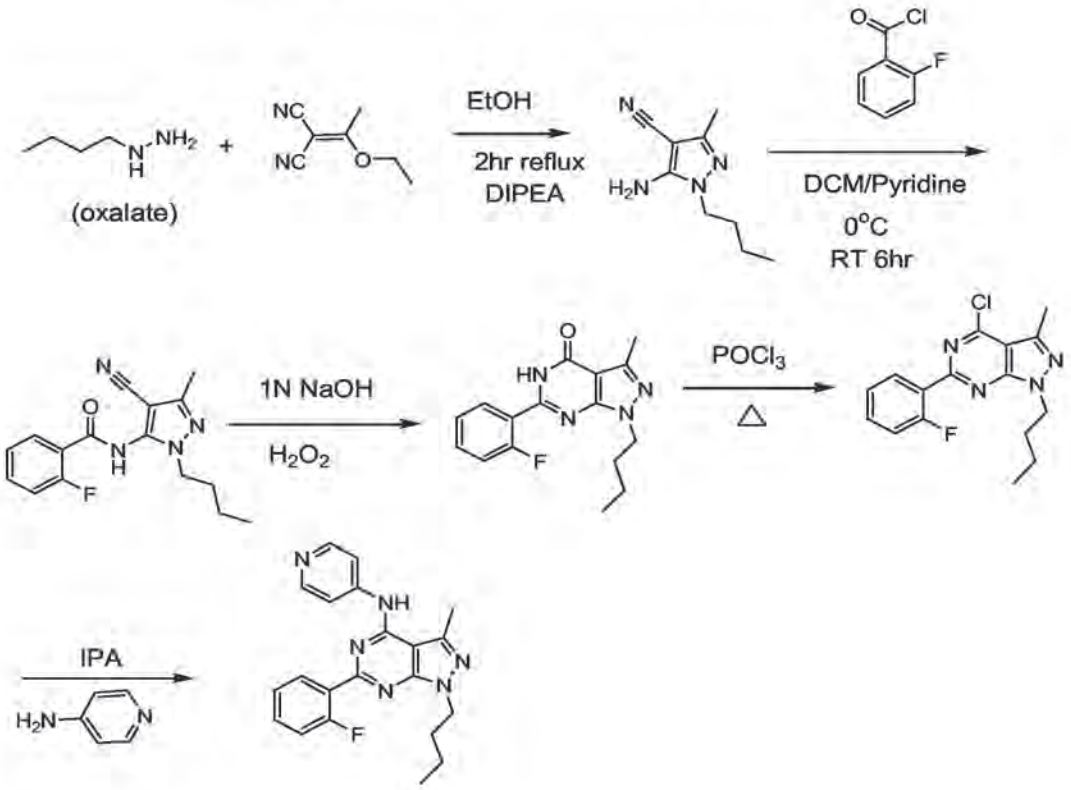
6-(2-Fluoro-phenyl)-1,3-dimethyl-1,5-dihydro-pyrazolo[3,4-d]pyrimidin-4-one:
N-(4-Cyano-2,5-dimethyl-2H-pyrazol-3-yl)-2-fluoro-benzamide (5.0 g, 19.36 mmole) was suspended in 38 ml 1M sodium hydroxide and heated to 90°C for 3 hours followed by addition of 30% hydrogen peroxide (10ml) and further heating overnight. The reaction mixture was cooled to room temperature and acidified with dilute hydrochloric acid. The product was isolated by filtration, washed with water, and dried overnight under vacuum to obtain 3.39 g of product. (Yield 67%).

4-Chloro-6-(2-fluoro-phenyl)-1,3-dimethyl-1H-pyrazolo[3,4-d]pyrimidine:
6-(2-Fluoro-phenyl)-1,3-dimethyl-1,5-dihydro-pyrazolo[3,4-d]pyrimidin-4-one (2.0 g, 7.74 mmole) was treated with 40 ml phosphorous oxychloride and heated to reflux overnight. The excess phosphorous oxychloride was removed under vacuum and ice water was added to the residue. The product was extracted with ethyl acetate, washed with 10% sodium carbonate, water, dried over sodium sulfate (anh) and the solvent was removed to give crude product. Crude product was chromatographed on silica gel column eluting with chloroform to give 1.10 g of pure product (yield: 51%).

[6-(2-Fluoro-phenyl)-1,3-dimethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-pyridin-4-yl-amine:
4-Chloro-6-(2-fluoro-phenyl)-1,3-dimethyl-1H-pyrazolo[3,4-d]pyrimidine (84 mg, 0.304 mmole) and 4-aminopyridine (57 mg, 0.608 mmole) were combined in 4ml

isopropanol, 3 drops 4M HCl/dioxane were added and the reaction mixture heated to 80°C for 5 hours. The reaction mixture was cooled and the product was filtered to obtain 83 mg after vacuum drying (yield: 81%).

Scheme K (Synthesis of compound of formula (29))



5-Amino-1-butyl-3-methyl-1H-pyrazole-4-carbonitrile:

Butylhydrazine oxalate (14.25 g, 80 mmole) and (1-Ethoxyethylidine)-malonitrile (10.82 g, 80 mmole) were combined in ethanol (200 ml), and the mixture was treated with diisopropylethylamine (10.39 g, 80 mmole). The mixture was refluxed for 2 hours, then stirred at room temperature overnight. Most of the solvent was removed under vacuum and triturated with chloroform, some solid was filtered, and the chloroform filtrate was kept. The chloroform filtrate was washed with water, dried over sodium sulfate (anh) and the solvent was removed to give the product as a solid, 13.13 g (yield: 74%).

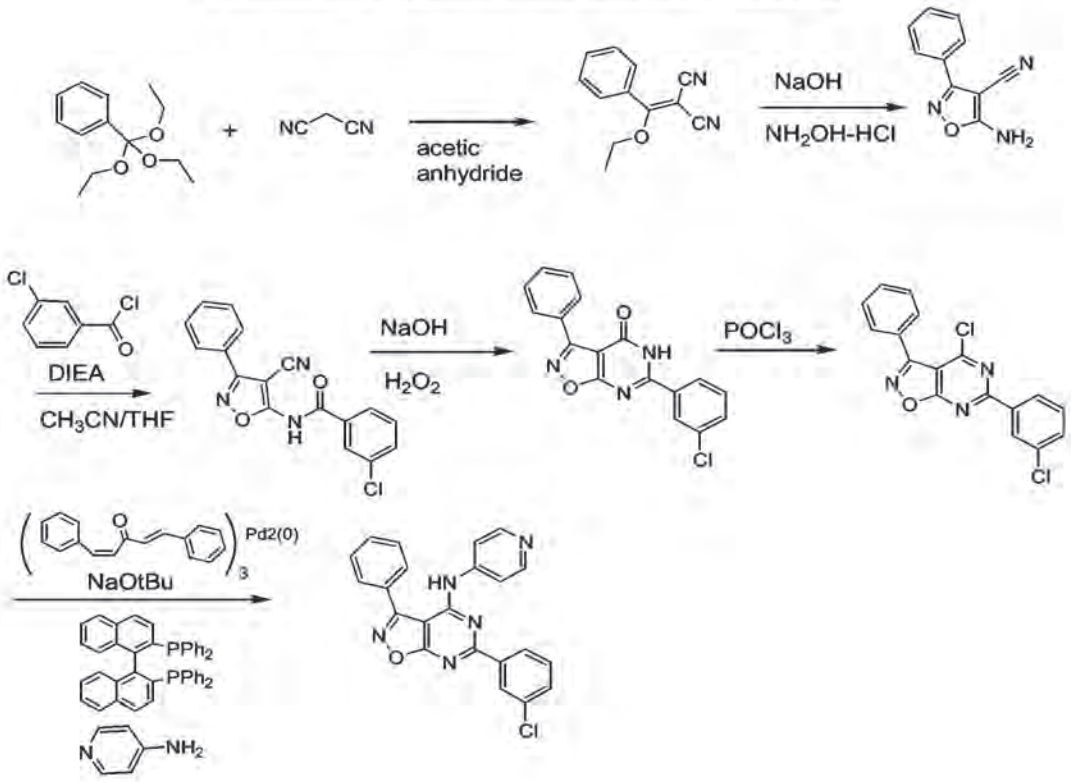
N-(2-Butyl-4-cyano-5-methyl-2H-pyrazol-3-yl)-2-fluoro-benzamide:
5-Amino-1-butyl-3-methyl-1H-pyrazole-4-carbonitrile (10.0 g, 56 mmole) was dissolved in a mixture of dichloromethane/pyridine (45ml/15ml) and cooled to 0°C. 2-fluorobenzoyl chloride (8.87 g, 56 mmole) was added dropwise and the reaction mixture was stirred for 1 hour at 0 °C, and at room temperature overnight. The solvent was removed under vacuum. The residue was taken up in ethyl acetate, washed with diluted hydrochloric acid, 0.1 M sodium hydroxide, and water, and dried over sodium sulfate (anh). The solvent was removed and the solid triturated in 30% ethyl acetate/hexanes. The product was filtered to obtain 4.16 g product (yield: 24%).

1-Butyl-6-(2-fluoro-phenyl)-3-methyl-1,5-dihydro-pyrazolo[3,4-d]pyrimidin-4-one:
N-(2-Butyl-4-cyano-5-methyl-2H-pyrazol-3-yl)-2-fluoro-benzamide (4.0g, 13.3mmole) was suspended in 26ml 1M sodium hydroxide, and 30% hydrogen peroxide (10ml) and ethanol (5ml) were added. The reaction mixture was heated to reflux for 4 hours, then more 30% hydrogen peroxide (10ml) was added and heated to reflux overnight. The reaction mixture was cooled and acidified with diluted hydrochloric acid to pH 6.0. The product was collected by filtration and dried under vacuum to obtain 1.29 g of product (yield: 32%).

1-Butyl-4-chloro-6-(2-fluoro-phenyl)-3-methyl-1H-pyrazolo[3,4-d]pyrimidine:
1-Butyl-6-(2-fluoro-phenyl)-3-methyl-1,5-dihydro-pyrazolo[3,4-d]pyrimidin-4-one (1.23 g, 4.1 mmole) was dissolved in phosphorous oxychloride (15 ml) and heated to reflux overnight. The excess phosphorous oxychloride was removed under vacuum, the residue was treated with ice water, the product was extracted into ethyl acetate, washed with water, saturated sodium chloride, then dried over sodium sulfate (anh.) and the solvent was removed to give the crude product. The product was chromatographed on silica gel eluting with chloroform to give 723 mg of purified product (yield: 55%).

[1-Butyl-6-(2-fluoro-phenyl)-3-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-pyridin-4-yl-amine:
1-Butyl-4-chloro-6-(2-fluoro-phenyl)-3-methyl-1H-pyrazolo[3,4-d]pyrimidine (100 mg, 0.31 mmole) and 4-aminopyridine (58 mg, 0.626 mmole) were combined in ethyleneglycol dimethoxy ether and heated to reflux for 4 hours. The reaction mixture was cooled and the product was isolated by filtration, washed with minimum cold solvent and dried to give 132 mg of product. 50 mg of this material was subjected to HPLC purification on reversed phase C18 column, eluting with gradient of water/acetonitrile/0.1%trifluoroacetic acid.

Scheme L (Synthesis of compound of formula (9))



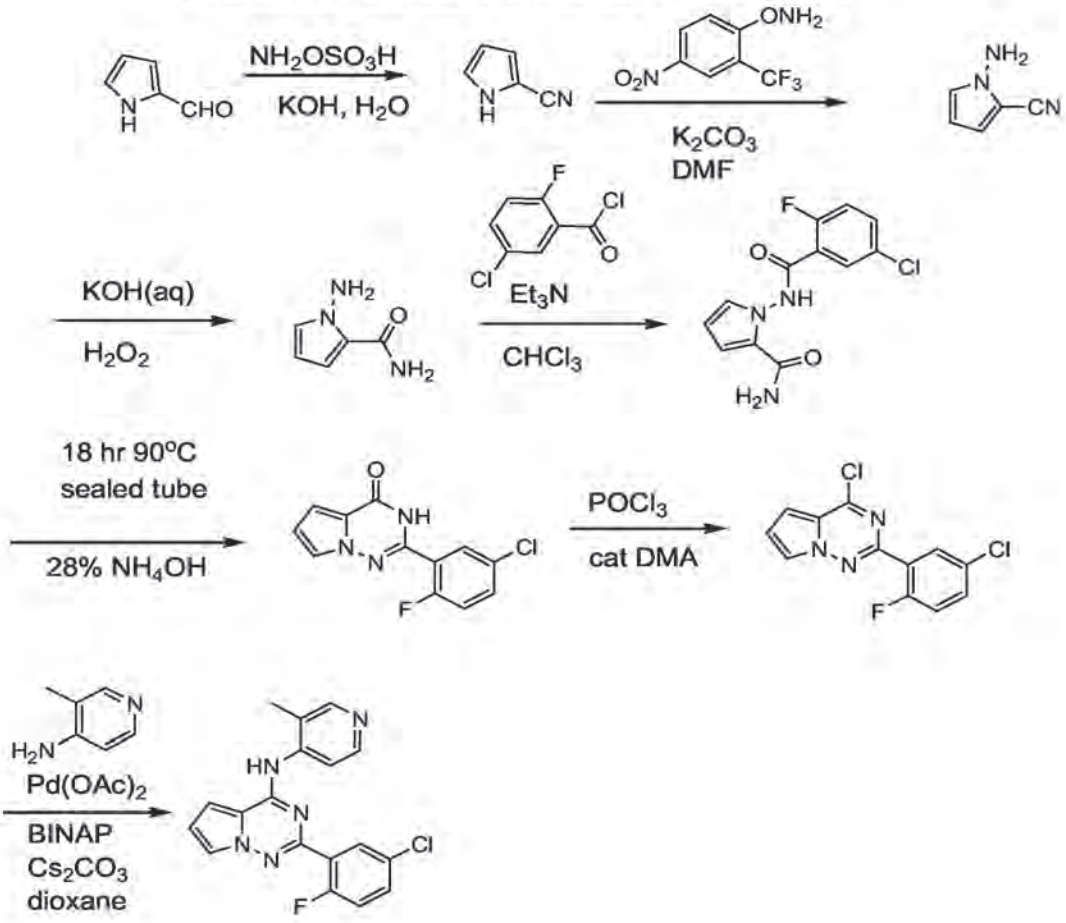
2-(Ethoxy-phenyl-methylene)-malononitrile:

Triethylorthobenzoate (25 g, 0.112 mole), malonitrile (9.07 g, 0.137 mole and acetic anhydride (50 ml) were brought to reflux overnight. The excess acetic anhydride was removed under vacuum and the product was chromatographed over silica gel eluting with 30% ethylacetate/hexanes to give 21.7 g of product (yield: 97%).

5-Amino-3-phenyl-isoxazole-4-carbonitrile:

Hydroxylamine hydrochloride was suspended in water (30 ml) and sodium hydroxide (4.4 g, 0.11 mole) and then ethanol (40 ml) was added, followed by batchwise addition of 2-(ethoxy-phenyl-methylene)-malononitrile(21.7 g, 0.11 mole). The reaction mixture was heated to 50°C for 2 hours. The ethanol was removed under vacuum and the precipitate was filtered. The precipitate was redissolved in 50% ethyl acetate/ hexanes and chromatographed on silica gel to give 8.2 g of product after removal of solvent (yield: 40%). The rest of the sequence was made by analogy to the methyl replacement of the phenyl analog.

Scheme M (Synthesis of compound of formula (80))



1H-Pyrrole-2-carbonitrile:

Pyrrole-2-carboxaldehyde (3.00 g, 0.0316 mole) was combined with hydroxylamine-O-sulfonic acid (12.5 g, 0.11 mole) in 100 ml water and stirred at room temperature overnight. The reaction mixture was cooled to 0°C and a solution of potassium hydroxide (12.06 g, 0.603 mole) in 80ml water was added dropwise over 1 hour time period. The reaction mixture was stirred at room temperature for 3 hours. The reaction mixture was extracted with dichloromethane (3 x 100 ml), the extract was dried over sodium sulfate (anh.). The solvent was removed to give 3.36 g of product as a liquid (yield: 100%).

1-Amino-1H-pyrrole-2-carbonitrile:

1H-Pyrrole-2-carbonitrile (3.36 g, 36.5 mmole) was dissolved in 100 ml dimethyl-formamide to which potassium carbonate (7.51 g, 54.75 mmole) was added followed

by O-(4-Nitro-2-trifluoromethyl-phenyl)-hydroxylamine (12.15 g, 54.73 mmole). The mixture was stirred at room temperature overnight. 80 ml water was added and the precipitate was filtered. The pH of the filtrate was adjusted to 10, and extracted with ethyl acetate (3 x 100 ml). The extract was washed with water, saturated sodium chloride and dried over sodium sulfate. The solvent was removed under vaccum to give 6.31 g product containing residual dimethyl formamide. The yield was estimated by NMR at 64%.

1-Amino-1H-pyrrole-2-carboxylic acid amide:

1-Amino-1H-pyrrole-2-carbonitrile (2.52 g , 23.5 mmole) was suspended in 75 ml water, treated with potassium hydroxide (32 g, 0.57 mole), 30% hydrogen peroxide (2 ml) and stirred overnight at room temperature. The reaction mixture was cooled to 0°C for 30min and the product was isolated by filtration, washed with cold water and dried under vacuum to give 2.55 g of product (yield: 87%).

1-(5-Chloro-2-fluoro-benzoylamino)-1H-pyrrole-2-carboxylic acid amide:

1-Amino-1H-pyrrole-2-carboxylic acid amide (1.25 g, 10 mmole) was partially dissolved in 45 ml acetonitrile, triethylamine (1.39 ml, 10 mmole) was added followed by dropwise addition of 5-chloro-2-fluorobenzoyl chloride (1.93 g, 10 mmole) in 3 ml chloroform. The reaction mixture was stirred at room temperature overnight, the solvent was removed under vacuum and the residue was taken up in chloroform, washed with 10% sodium bicarbonate, water, and dried over sodium sulfate (anh.). A solid crystallized from solution upon standing. Additional product was obtained by chromatographing the filtrate on silica gel eluting with 3% methanol/chloroform. 600 mg of product was obtained (yield: 21%).

2-(5-Chloro-2-fluoro-phenyl)-3H-pyrrolo[2,1-f][1,2,4]triazin-4-one:

1-(5-Chloro-2-fluoro-benzoylamino)-1H-pyrrole-2-carboxylic acid amide (200 mg, 0.71 mmole) was dissolved in 5 ml of 28% ammonium hydroxide in a sealed tube and heated to 80°C overnight. The solution was purged with nitrogen to remove excess ammonia, and acidified with 1M hydrochloric acid to pH 2. The product was isolated by filtration, washed with water and vacuum dried to give 90 mg product (yield: 48%).

4-Chloro-2-(5-chloro-2-fluoro-phenyl)-pyrrolo[2,1-f][1,2,4]triazine:

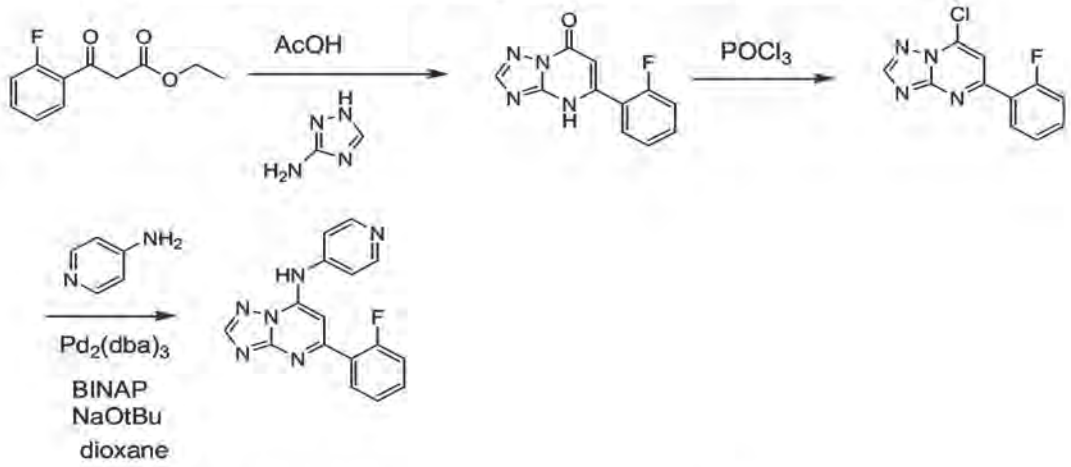
2-(5-Chloro-2-fluoro-phenyl)-3H-pyrrolo[2,1-f][1,2,4]triazin-4-one (60 mg, 0.228 mmole) was added to phosphorous oxychloride (1 ml). 57 microliters N,N-dimethylaniline (catalyst) were added and heated to 110°C overnight. The excess phosphorous oxychloride was removed under vacuum, and the residue was treated with

ice, and the product extracted with chloroform. The chloroform extract was dried over sodium sulfate (anh.) and the solvent was removed to give crude product. The product was purified by silica gel chromatography eluting with chloroform to give 36 mg of product (yield: 56%).

[2-(5-Chloro-2-fluoro-phenyl)-pyrrolo[2,1-f][1,2,4]triazin-4-yl]-(3-methyl-pyridin-4-yl)-amine:

4-Chloro-2-(5-chloro-2-fluoro-phenyl)-pyrrolo[2,1-f][1,2,4]triazine (30 mg, 0.106 mmole), cesium carbonate (48.5 mg, 0.149 mmole), palladium (II) acetate (1.19 mg, 0.0053 mmole), BINAP (4.96 mg, 0.0080 mmole), and 4-amino-picoline (13.8 mg, 0.128 mmole) were combined in 4 ml dioxane (anh.) and heated to 90°C with stirring overnight. The reaction mixture was filtered to remove solid material, the filtrate was evaporated to dryness, the residue was dissolved in chloroform (8ml), washed with 0.5 M sodium hydroxide (1 ml), dried over sodium sulfate (anh.), evaporated to dryness, and then the residue was redissolved in dimethylformamide and purified by reversed phase HPLC. The product was isolated.

Scheme N (Synthesis of compounds of formula (81) and (82))



5-(2-Fluoro-phenyl)-4H-[1,2,4]triazolo[1,5-a]pyrimidin-7-one:

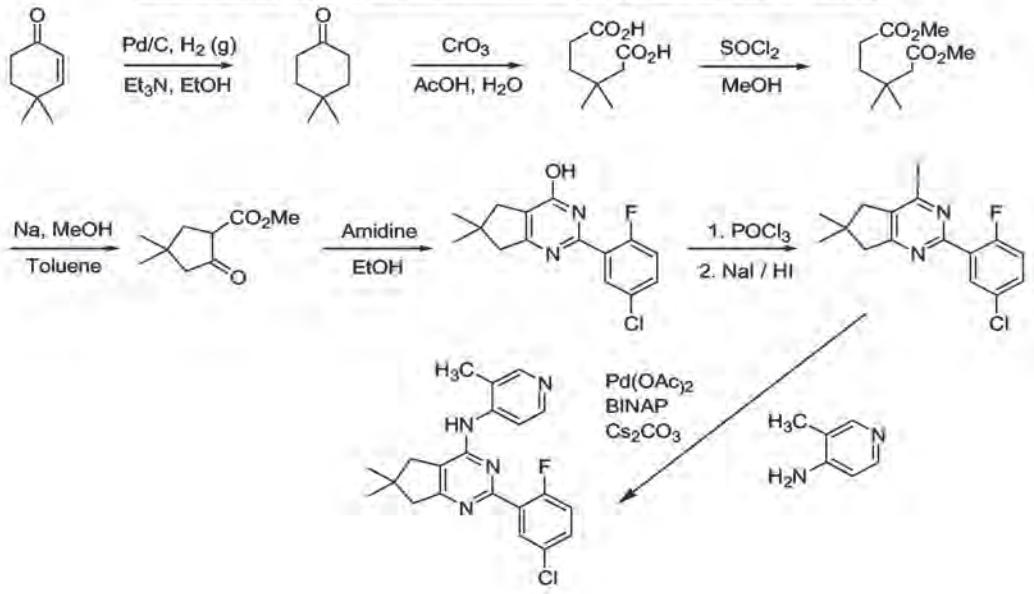
3-amino-1,2,4-triazole (3.64 g, 43.25 mmole) and ethyl 2-fluorobenzoyl acetate (10 g, 47.57 mmole) were combined in acetic acid (45 ml) and heated to reflux overnight. The reaction mixture was cooled and the product was filtered, washed with diethyl ether to give 3.47 g (yield: 35%).

7-Chloro-5-(2-fluoro-phenyl)-[1,2,4]triazolo[1,5-a]pyrimidine:
5-(2-Fluoro-phenyl)-4H-[1,2,4]triazolo[1,5-a]pyrimidin-7-one (840 mg, 3.64 mmole) was suspended in phosphorous oxychloride (5 ml) and heated to reflux for 45 min. The excess phosphorous oxychloride was removed under vacuum, the residue was treated with ice, the product was extracted with chloroform, then the chloroform was washed with 10% sodium bicarbonate, dried over sodium sulfate and the solvent was removed under vacuum to give 420 mg of product (yield 46%).

[5-(2-Fluoro-phenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-pyridin-4-yl-amine:
7-Chloro-5-(2-fluoro-phenyl)-[1,2,4]triazolo[1,5-a]pyrimidine (124 mg, 0.5 mmole) was suspended in dioxane (5 ml) , 4-aminopyridine (56.4 mg, 0.6 mmole), sodium t-butoxide (67 mg, 0.7 mmole), BINAP (2.3 mg, 0.00375 mmole), and $Pd_2(dba)_3$ (1.14 mg, 0.00125 mole) were added and heated to 90°C overnight. Dioxane was removed under vacuum, the residue was taken up in methanol, filtered and purified by reversed phase HPLC, and the fractions were lyophilized to obtain product as trifluoroacetate salt.

Compound of formula (81) was prepared using a procedure similar to the one described above, using ethyl 5-chloro-2-fluorobenzoyl acetate. Compound of formula (83) was prepared using a procedure similar to the one described above, using 4-amino-pyrimidine.

Scheme O (Synthesis of compound of formula (60) and (61))



Preparation of 4,4-Dimethylcyclohexanone:

Ref: Tetrahedron Lett., 1992, 33(35), 5009.

A solution of 4,4-dimethylcyclohexen-2-one (10 g, 78.11 mmol) and triethylamine (10.89 mL, 78.11 mmol) in 100% ethanol (30 mL) was subjected to hydrogenation at 30 psi in a Parr apparatus, at r.t. overnight. Filtration of the contents through Celite® and evaporation of the filtrate gave clean product as a colorless oil (10.08 g, 99% yield).

Preparation of 3,3-Dimethylhexanedioic acid:

Ref: J. Med. Chem. 1970, 13(3), 531.

To a solution of 4,4-dimethylcyclohexanone (2 g, 15.85 mmol) in glacial AcOH (100 mL) was added a solution of CrO_3 (4.75 g, 47.54 mmol) in glacial AcOH (20 mL) and water (20 mL). The mixture was stirred at 60°C overnight, then cooled and diluted with 40% aq. NaOH to pH 14. The mixture was washed with diethyl ether (4 x 100 mL), and the aqueous layer was re-acidified with conc. HCl (aq.) to pH 1. The solution was extracted with diethyl ether (4 x 100 mL); the organic extracts were dried (brine and $MgSO_4$) and evaporated to give crude diacid that was esterified directly.

Preparation of 3,3-Dimethylhexanedioic acid dimethyl ester:

The crude 3,3-Dimethylhexanedioic acid was dissolved in methanol (50 mL) and thionyl chloride (1 mL) was added and the solution heated at 60°C for 6h, then it was cooled and evaporated to give the crude diester, which was purified by chromatography (1:1 hexane/ethyl acetate) to give the pure product as a colorless oil (2.90 g, 91% yield over 2 steps).

Preparation of 4,4-Dimethyl-2-oxo-cyclopentanecarboxylic acid methyl ester:

Ref: J. Chem. Soc. Perkin Trans. 1, 1984, 799.

To a solution of 3,3-Dimethylhexanedioic acid dimethyl ester (2.90 g, 14.36 mmol) and methanol (100 µL) in dry toluene (10 mL) was added sodium metal (0.66 g, 28.72 mmol). The mixture was heated to reflux overnight, then cooled and evaporated. The residue was purified by chromatography (1:1 hexane/ethyl acetate) to give the desired cyclized product as a colorless oil (2.08 g, 85% yield).

Preparation of 2-(5-Chloro-2-fluoro-phenyl)-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ol:

A solution of 4,4-Dimethyl-2-oxo-cyclopentanecarboxylic acid methyl ester (2.08 g, 12.21 mmol) and 5-Chloro-2-fluoro-benzamidine (2.32 g, 13.44 mmol) in 100% ethanol (40 mL) was heated to reflux overnight, then cooled and evaporated. The

residue was dissolved in 1N (aq.) NaOH (50 mL) and washed with methylene chloride (2 x 50 mL). The aqueous layer was then acidified with glacial acetic acid to pH 4 and extracted with methylene chloride (2 x 100 mL). The organic extracts were dried (brine and $MgSO_4$) and evaporated to give crude product, which was purified by chromatography (CH_2Cl_2, 0-10% MeOH) to give the desired product as a cream solid (2.10 g, 59% yield).

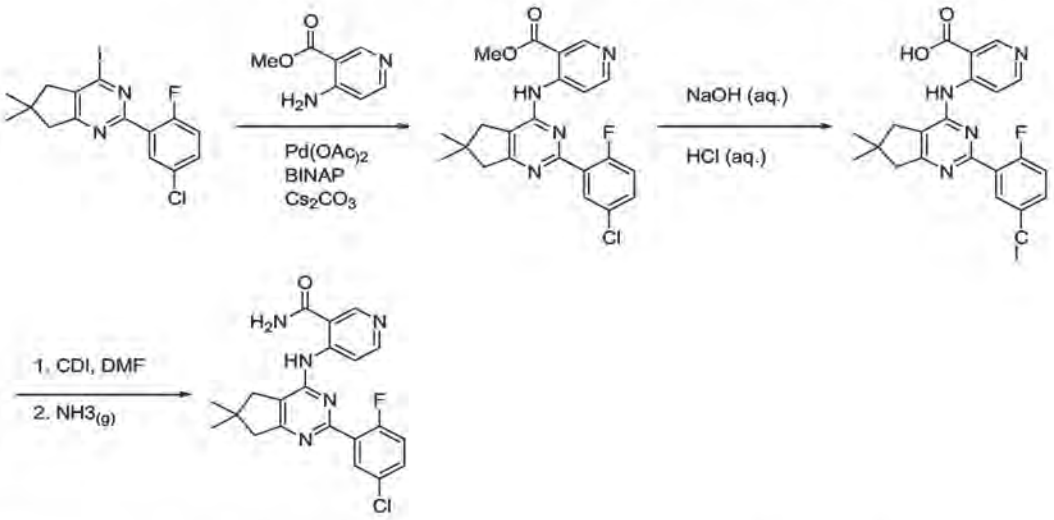
Preparation of 2-(5-Chloro-2-fluoro-phenyl)-4-iodo-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidine:

A suspension of 2-(5-Chloro-2-fluoro-phenyl)-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ol (2.10 g, 7.16 mmol) in phosphorus oxychloride (40 mL) was heated to reflux for 2h, then cooled and evaporated. The residue was dissolved in methylene chloride and the solution filtered through a short pad of silica gel. The filtrate was evaporated to give a residue that was suspended in hydriodic acid (10 mL) and heated at 90°C with sodium iodide (5.37 g, 35.82 mmol) for 3h. The mixture was cooled and diluted with water (50 mL). Aqueous sodium thiosulfate solution (50 mL) was added and the mixture was shaken with methylene chloride (3 x 100mL). The organic extracts were dried over $MgSO_4$ and evaporated to give crude product, which was purified by flash chromatography (CH_2Cl_2) to give the desired iodo product as a cream solid (1.75 g, 66% yield).

Preparation of [2-(5-Chloro-2-fluoro-phenyl)-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine:

To a solution of 2-(5-Chloro-2-fluoro-phenyl)-4-iodo-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidine (100 mg, 0.25 mmol), 3-Methyl-pyridin-4-ylamine (30 mg, 0.27 mmol), $Pd(OAc)_2$ (3 mg, 12.42 µmol) and *Rac*-BINAP (12 mg, 18.63 µmol) in dry dioxane (3 mL) was added Cs_2CO_3 (121 mg, 0.37 mmol). The mixture was heated for 48 h at 85°C, cooled and evaporated. HPLC purification gave, after lyophilization, the desired product of formula (60) as the TFA salt, which was a white solid (6.4 mg). The same procedure was used to prepare compound of formula (61).

Scheme P (Synthesis of compounds of formula (62) to (66))



Preparation of 4-[2-(5-Chloro-2-fluoro-phenyl)-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid methyl ester:

To a solution of 2-(5-Chloro-2-fluoro-phenyl)-4-iodo-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidine (500 mg, 1.24 mmol), 4-amino-nicotinic acid methyl ester (208 mg, 1.37 mmol), $Pd(OAc)_2$ (14 mg, 62.09 µmol) and *Rac*-BINAP (60 mg, 93.14 µmol) in dry dioxane (10 mL) was added Cs_2CO_3 (607 mg, 1.86 mmol). The mixture was heated for 12 h at 85°C, cooled and evaporated. The residue was purified by chromatography (CH_2Cl_2, 0-10% MeOH) to give the desired product (178 mg, 34% yield).

Preparation of 4-[2-(5-Chloro-2-fluoro-phenyl)-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid:

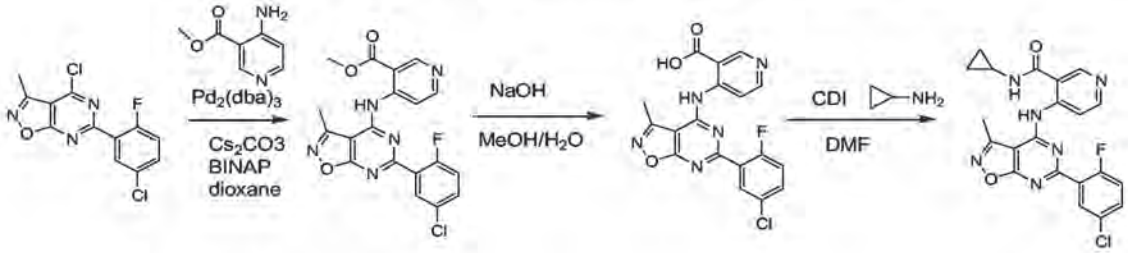
To a solution of 4-[2-(5-Chloro-2-fluoro-phenyl)-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid methyl ester (178 mg, 0.42 mmol) in dioxane (10 mL) was added NaOH (aq.) (451 µL, 0.44 mmol, 0.97N solution). The mixture was heated at 60°C for 1 h, then cooled, and HCl (aq.) (425 µL, 0.44 mmol, 1.03N solution) was added. On addition, the acid precipitated from solution and was filtered and dried *in vacuo*, to give 137 mg of product.

Preparation of 4-[2-(5-Chloro-2-fluoro-phenyl)-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinamide:

A suspension of 4-[2-(5-Chloro-2-fluoro-phenyl)-6,6-dimethyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid (25 mg, 60.56 µmol) and carbonyl-diimidazole (20 mg, 121.11 µmol) in dry DMF (3 mL) was heated at 70°C for 1 h and then cooled to r.t. A stream of NH_3 gas was passed through the solution for 30min., giving clean conversion to the amide product. Evaporation of the solution, followed by HPLC purification gave, after lyophilization, the pure amide of formula (62) as the TFA salt (20 mg).

Compounds of formula (63) to (66) were prepared using the same procedure.

Scheme Q (Synthesis of compounds of formula (76) and (77))



4-[6-(5-Chloro-2-fluoro-phenyl)-3-methyl-isoxazolo[5,4-d]pyrimidin-4-ylamino]-nicotinic acid methyl ester:

4-Chloro-6-(5-chloro-2-fluoro-phenyl)-3-methyl-isoxazolo[5,4-d]pyrimidine (prepared by method used for compound of formula (43) (298 mg, 1 mmole) was dissolved in dioxane (4 ml), and BINAP (4.67 mg, 0.0075 mmole), 4-Amino-nicotinic acid methyl ester (182mg, 1.2 mmole), cesium carbonate (456mg, 1.4mmole), and $Pd_2(dba)_3$ (2.29mg, 0.0025mmole) were added to the mixture and heated to 90°C overnight. Dioxane was removed under vacuum and the residue was triturated with ethyl acetate (5 ml) and the product was isolated by filtration. 525 mg of solid were obtained which also contained cesium carbonate as by-product.

4-[6-(5-Chloro-2-fluoro-phenyl)-3-methyl-isoxazolo[5,4-d]pyrimidin-4-ylamino]-nicotinic acid:

4-[6-(5-Chloro-2-fluoro-phenyl)-3-methyl-isoxazolo[5,4-d]pyrimidin-4-ylamino]-nicotinic acid methyl ester (525 mg) was suspended in methanol (4 ml), 1M sodium hydroxide solution (4 ml) was added, and then heated to 70°C for 30 min. The methanol was removed under vacuum and the solution was acidified to pH 4 with 6 M

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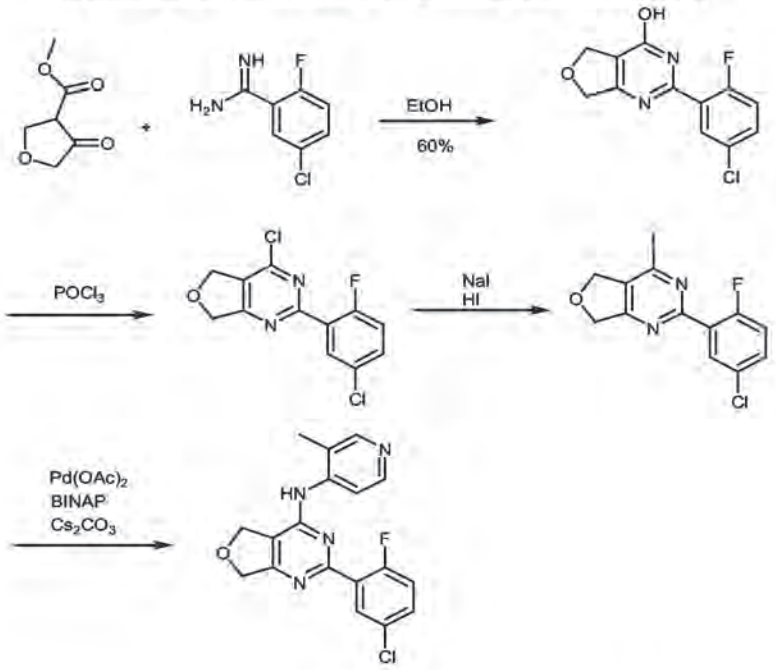
hydrochloric acid. The solid was filtered, washed with water and dried in the oven to give 137 mg product.

4-[6-(5-Chloro-2-fluoro-phenyl)-3-methyl-isoxazolo[5,4-d]pyrimidin-4-ylamino]-N-cyclopropyl-nicotinamide:

4-[6-(5-Chloro-2-fluoro-phenyl)-3-methyl-isoxazolo[5,4-d]pyrimidin-4-ylamino]-nicotinic acid (130 mg, 0.325 mmole) was combined with carbonyl diimidazole (105 mg, 0.650 mmole) in 2 ml dimethylformamide and heated to 70°C for 1 hour. The mixture was cooled to room temperature, cyclopropylamine (74 mg, 1.3 mmole) was added and stirred for 1 hour at room temperature. The solution was filtered and the filtrate was subjected to HPLC purification on reversed phase HPLC. Upon lyophilization of the fractions containing pure product, 13.7 mg of pure product was obtained.

Compound of formula (77) was prepared using a procedure described above, using methylamine.

Scheme R (Synthesis of compound of formula (33))



2-(5-Chloro-2-fluorophenyl)-5,7-dihydrofuro[3,4-d]pyrimidin-4-ol:

To a suspension of 4-oxo-tetrahydrofuran-3-carboxylic acid methyl ester (prepared according to Dowd, P.; Choi, S-C. *Tetrahedron*, 1991, 47, 4847-4860; 800 mg,

5.55 mmol, 1eq) in ethanol (20 ml) was added a solution of 2-fluoro-5-chloro-benzamidine (961 mg, 5.55 mmol, 1 eq) in EtOH (10 ml). The reaction mixture was heated to 80°C overnight. The reaction mixture was cooled to r.t. and the white precipitate was filtered and washed with cold ethyl actetate (2 x 20 ml). The crude residue was partitioned between chloroform and water. The aqueous layer was acidified to pH 4 and the product was extracted with chloroform (3 x 50 ml). The organic layers were combined, washed with brine, dried over $MgSO_4$, filtered and concentrated in *vacuo* to give a crude solid which was purified by flash column chromatography (5% MeOH in EtOAc) to give a white solid 2-(5-chloro-2-fluoro-phenyl)-5,7-dihydrofuro[3,4-d]pyrimidin-4-ol (440mg, 30%).

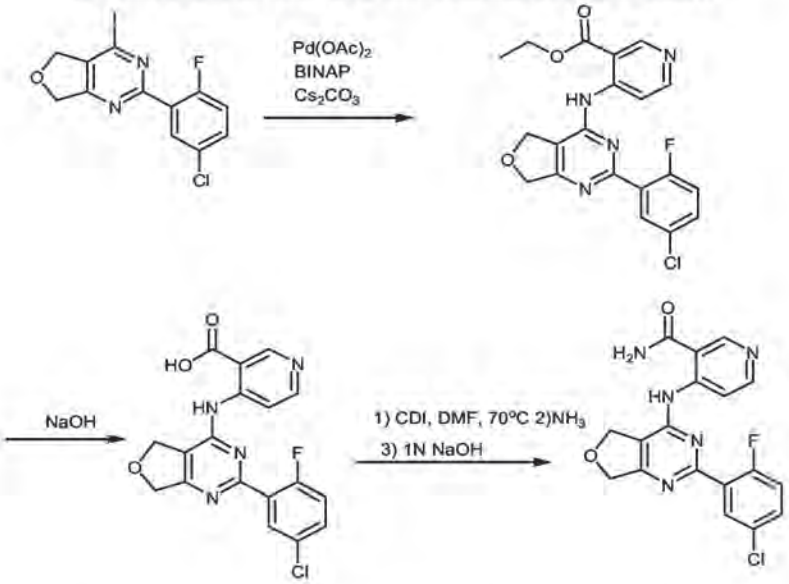
4-Chloro-2-(5-chloro-2-fluorophenyl)-5,7-dihydrofuro[3,4-d]pyrimidine:
A suspension of 2-(5-chloro-2-fluorophenyl)-5,7-dihydrofuro[3,4-d]pyrimidin-4-ol (100 mg, 0.36 mmol, 1 eq) in $POCl_3$ (5 ml) was stirred under reflux for 1h. The solution was then cooled to room temperature and concentrated under reduced pressure to give a white solid which was dissolved in dry methylene chloride. The solution was cooled to 0°C and ice was added followed by sat. $NaHCO_3$. The organic layer was separated, washed with brine, dried ($MgSO_4$), filtered and evaporated in *vacuo* to provide a crude white solid which was purified by flash column chromatography (1:9 EtOAc:Hexane) to give 4-Chloro-2-(5-chloro-2-fluorophenyl)-5,7-dihydrofuro[3,4-d]-pyrimidine (78mg, 73%) as a white solid.

2-(5-Chloro-2-fluorophenyl)-4-iodo-5,7-dihydrofuro[3,4-d]pyrimidine:
To a suspension of 4-chloro-2-(5-chloro-2-fluorophenyl)-5,7-dihydrofuro[3,4-d]-pyrimidine (78 mg, 0.275 mmol, 1 eq) in 57% $HI_{(aq)}$ (2 ml) at r.t. was added NaI (206 mg, 1.37 mmol, 5 eq). The reaction mixture was stirred at r.t. overnight and then was poured onto ice. The product was extracted with chloroform and the aqueous layer was neutralized with $NaHCO_3$ and extracted further with chloroform. The organic layers were combined washed with brine, dried ($MgSO_4$), filtered and evaporated in *vacuo* to provide a crude residue of 2-(5-Chloro-2-fluorophenyl)-4-iodo-5,7-dihydro-furo[3,4-d]pyrimidine which was not further purified.

[2-(5-Chloro-2-fluorophenyl)-5,7-dihydrofuro[3,4-d]pyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine, compound of formula (33):
To a solution of 2-(5-chloro-2-fluorophenyl)-4-iodo-5,7-dihydrofuro[3,4-d]pyrimidine (80 mg, 0.21 mmol, 1 eq) in anhydrous dioxane (5 ml) was added $Pd(OAc)_2$ (2 mg, 0.01 mmol, 0.05 eq) followed by BINAP (10 mg, 0.02 mmol, 0.075 eq), 4-amino-3-picoline (25 mg, 0.23 mmol, 1.2 eq) and Cs_2CO_3 (100 mg, 0.32 mmol, 1.5 eq). The

reaction mixture was heated to 80°C for 15h. The reaction mixture was cooled to r.t. and filtered through Celite® and the crude material was purified by flash column chromatography (9:1/ethyl acetate:hexane) to afford [2-(5-Chloro-2-fluorophenyl)-5,7-dihydrofuro[3,4-d]pyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine, compound of formula (33) (20 mg, 26%) as a white solid.

Scheme S (Synthesis of compound of formula (34))



4-[2-(5-Chloro-2-fluoro-phenyl)-5,7-dihydro-furo[3,4-d]pyrimidin-4-ylamino]-nicotinic acid ethyl ester:

Following the general reaction procedure used for the synthesis of [2-(5-Chloro-2-fluorophenyl)-5,7-dihydrofuro[3,4-d]pyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine, i.e. compound of formula (33), 4-[2-(5-chloro-2-fluoro-phenyl)-5,7-dihydro-furo[3,4-d]pyrimidin-4-ylamino]-nicotinic acid ethyl ester was isolated in 73% yield.

4-[2-(5-Chloro-2-fluoro-phenyl)-5,7-dihydro-furo[3,4-d]pyrimidin-4-ylamino]-nicotinic acid:

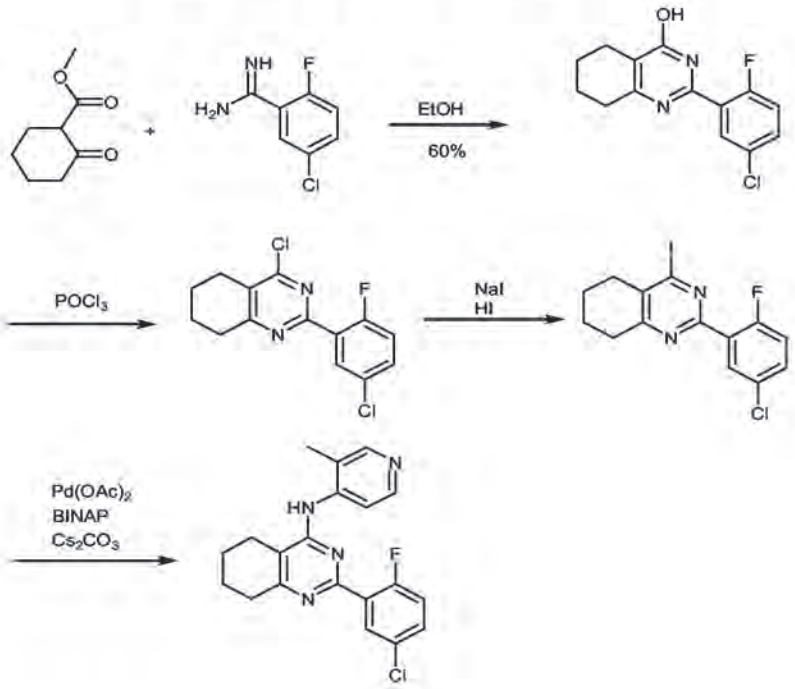
To a suspension of 4-[2-(5-chloro-2-fluoro-phenyl)-5,7-dihydro-furo[3,4-d]pyrimidin-4-ylamino]-nicotinic acid ethyl ester (60 mg, 0.14 mmol, 1 eq) in MeOH (5 ml) was added a 1 N $NaOH_{(aq)}$ solution (300 µl, 0.30 mmol, 2 eq) and the reaction mixture was heated to reflux for 2h. The solution was cooled to r.t. and concentrated in *vacuo*. Water (20 ml) was added to the crude material and the aqueous layer was acidified to pH 4. The solid was filtered, washed with water (2 x 5 ml) and dried overnight to

afford 4-[2-(5-Chloro-2-fluoro-phenyl)-5,7-dihydro-furo[3,4-d]pyrimidin-4-ylamino]-nicotinic acid (50 mg, 90%).

4-[2-(5-Chloro-2-fluoro-phenyl)-5,7-dihydro-furo[3,4-d]pyrimidin-4-ylamino]-nicotinic amide, compound of formula (34):

To a suspension of 4-[2-(5-chloro-2-fluoro-phenyl)-5,7-dihydro-furo[3,4-d]pyrimidin-4-ylamino]-nicotinic acid (50 mg, 0.13 mmol, 1 eq) in DMF (2 ml) was added 1-1'-carbonyldiimidazole (50 mg, 0.31 mmol, 2.4 eq) and the reaction mixture was warmed to 70°C for 2h. The mixture was cooled to r.t. and $NH_{3(g)}$ was bubbled through for 10 min. The reaction mixture was stirred at r.t. for an additional 1 h. The reaction was concentrated in *vacuo* and the residue was triturated with water (2 x 5 ml). To the crude residue was added 1 N NaOH (5 ml) and the suspension was heated to 100°C for 2 h. The reaction mixture was cooled to room temperature and neutralized with 1 N HCl and the solid was filtered to give 4-[2-(5-Chloro-2-fluoro-phenyl)-5,7-dihydro-furo[3,4-d]pyrimidin-4-ylamino]-nicotinic amide, compound of formula (34) (25 mg, 50%) as a white solid.

Scheme T (Synthesis of compound of formula (38))



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2-(5-Chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-ol:
To a solution of methyl-2-oxocyclopentane carboxylate (2 g, 11.8 mmol, 1 eq), in dry ethanol (20 ml) was added a solution of 2-fluoro-5-chlorobenzamidine (2.04 g, 11.8 mmol, 1 eq) in ethanol (20 ml) and the reaction mixture was heated to 80°C overnight. The reaction mixture was cooled to r.t. and the solvent was removed in *vacuo* to afford a crude residue which was purified by recrystallization from hot ethyl acetate to afford 2-(5-chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-ol (2.56 g, 78%) as a white solid.

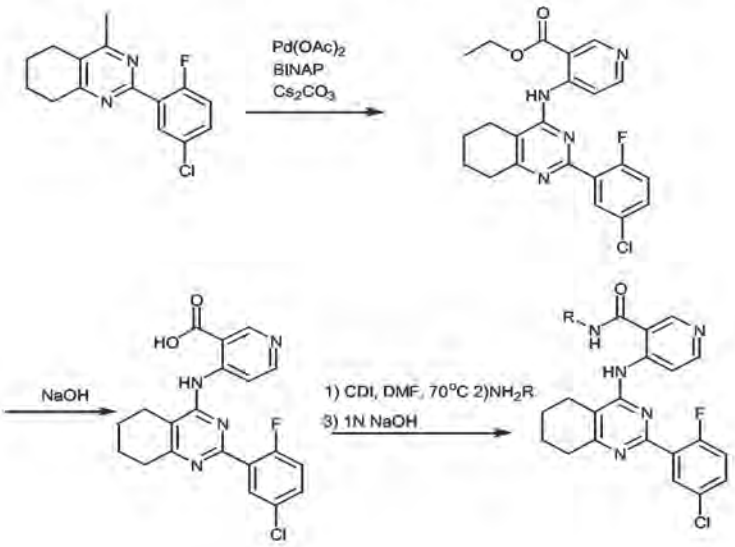
4-Chloro-2-(5-chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazoline:
A suspension of 2-(5-chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-ol (500 mg, 1.89 mmol) in $POCl_3$ (6 ml) was stirred under reflux for 1 h. The solution was then cooled to room temperature and concentrated under reduced pressure to afford a white solid which was dissolved in methylene chloride. The solution was cooled to 0°C and ice was added followed by sat. $NaHCO_3$. The organic layer was separated, washed with brine, dried ($MgSO_4$), filtered and evaporated in *vacuo* to provide a crude white solid 4-Chloro-2-(5-chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazoline which was not further purified.

2-(5-Chloro-2-fluorophenyl)-4-iodo-5,6,7,8-tetrahydroquinazoline:
To a suspension of 4-chloro-2-(5-chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazoline (534 mg, 1.89 mmol, 1 eq) in a 57% HI solution in water (10 ml) at r.t. was added NaI (1.42 g, 9.47 mmol, 5 eq). The reaction mixture was stirred at r.t. overnight and then poured onto ice. The product was extracted with chloroform and the aqueous layer was neutralized with $NaHCO_3$ and extracted further with more chloroform. The organic layers were combined, washed with brine, dried ($MgSO_4$), filtered and evaporated in *vacuo* to provide a crude white solid 2-(5-Chloro-2-fluorophenyl)-4-iodo-5,6,7,8-tetrahydroquinazoline which was not further purified.

[2-(5-Chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-yl]-(3-methylpyridin-4-yl)-amine, compound of formula (38):
The crude 2-(5-chloro-2-fluorophenyl)-4-iodo-5,6,7,8-tetrahydroquinazoline (130 mg, 0.35 mmol, 1 eq) was dissolved in dioxane (5 ml) and to this was added $Pd(OAc)_2$ (4 mg, 0.02 mmol, 0.05 eq) followed by BINAP (16 mg, 0.03 mmol, 0.075 eq), 4-amino-3-picoline (49 mg, 0.45 mmol, 1.3 eq) and Cs_2CO_3 (170 mg, 0.52 mmol, 1.5 eq). The reaction mixture was heated to 80°C for 15 h. The reaction mixture was cooled to r.t. and filtered through Celite® and the crude material was purified by flash column chromatography (1:1/ethyl acetate:hexane) to afford [2-(5-chloro-2-fluoro-

phenyl)-5,6,7,8-tetrahydroquinazolin-4-yl]-(3-methylpyridin-4-yl)-amine, compound of formula (38) (110 mg, 86%).

Scheme U (Synthesis of compounds of formula (50), (40), (45), (57), (59))



4-[2-(5-Chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-ylamino]-nicotinic acid ethyl ester:

Following the general reaction procedure for the synthesis of [2-(5-chloro-2-fluoro-phenyl)-5,6,7,8-tetrahydroquinazolin-4-yl]-(3-methylpyridin-4-yl)-amine, i.e. compound of formula (38), 4-[2-(5-Chloro-2-fluorophenyl)-5,6,7,8-tetrahydro-quinazolin-4-ylamino]-nicotinic acid ethyl ester was isolated in 67% yield.

4-[2-(5-Chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-ylamino]-nicotinic acid, 50:

To a suspension of 4-[2-(5-chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-yl-amino]-nicotinic acid ethyl ester (150 mg, 0.35 mmol, 1 eq) in MeOH (5 ml) was added a 1N $NaOH_{(aq)}$ solution (423 µl, 0.42 mmol, 1.2 eq) and the reaction mixture was refluxed for 1 h. The solution was cooled to r.t. and concentrated in *vacuo*. Water (20 ml) was added to the crude material and the aqueous layer was acidified to pH 4. The solid was filtered, washed with water (2 x 5 ml) and dried overnight to give 4-[2-(5-chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-ylamino]-nicotinic acid, compound of formula (50) (132 mg, 94%), as a cream colored solid.

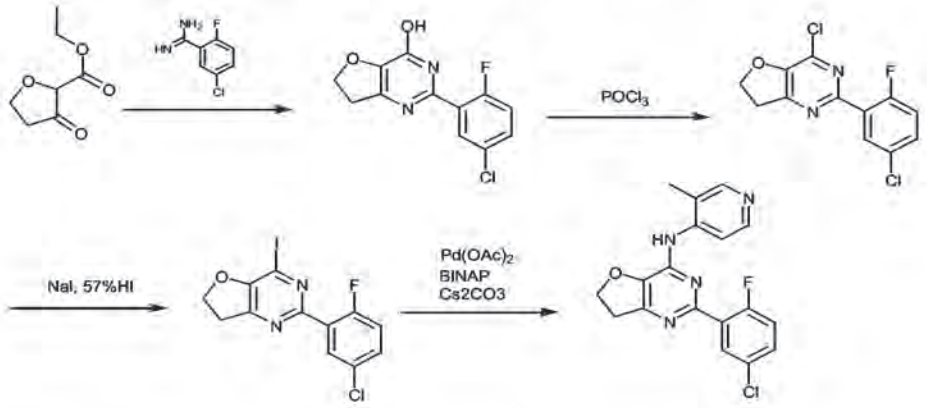
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4-[2-(5-Chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-ylamino]-N-cyclopropyl nicotinamide, compound of formula (45):

To a suspension of 4-[2-(5-chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-yl-amino]-nicotinic acid (40 mg, 0.10 mmol, 1 eq) in dry DMF (1 ml) was added triethylamine (15 µl, 0.11 mmol, 1.1 eq) followed by cyclopropylamine (70 µl, 0.10 mmol, 10 eq). To the suspension was added a solution of PyBrOP (56 mg, 0.21 mmol, 1.2 eq) in DMF (500 µl) dropwise. The reaction mixture was stirred at room temperature for 16 h. The reaction was concentrated in *vacuo* and the residue was triturated with ether (2 x 20 ml). The crude residue was purified by flash column chromatography (0-5% MeOH in $CHCl_3$) to give 4-[2-(5-Chloro-2-fluorophenyl)-5,6,7,8-tetrahydroquinazolin-4-ylamino]-N-cyclopropyl nicotinamide, compound of formula (45), (15 mg, 34%) as a white solid.

Compound of formula (40) was prepared by the method described for the synthesis of compound of formula (45) employing methylamine in place of cyclopropylamine. Compound of formula (57) was prepared by the method described for the synthesis of compound of formula (45) employing 1-amino-propan-2-(S)-ol in place of cyclopropylamine. Compound of formula (59) was prepared by the method described for the synthesis of compound of formula (45) employing *N,N*-diethylethenediamine in place of cyclopropylamine

Scheme V (Synthesis of compound of formula (39))



2-(5-Chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ol:

To a solution of 2-fluoro-5-chlorobenzamidine (1.05 g, 6.08 mmol, 1.2 eq) in EtOH (20 ml) was added 3-oxo-tetrahydrofuran-2-carboxylic acid ethyl ester (prepared according to Moyer, M.P; Feldman, P.L.; Rapoport, H. *J.Org. Chem*, 1985, 50, 5223-

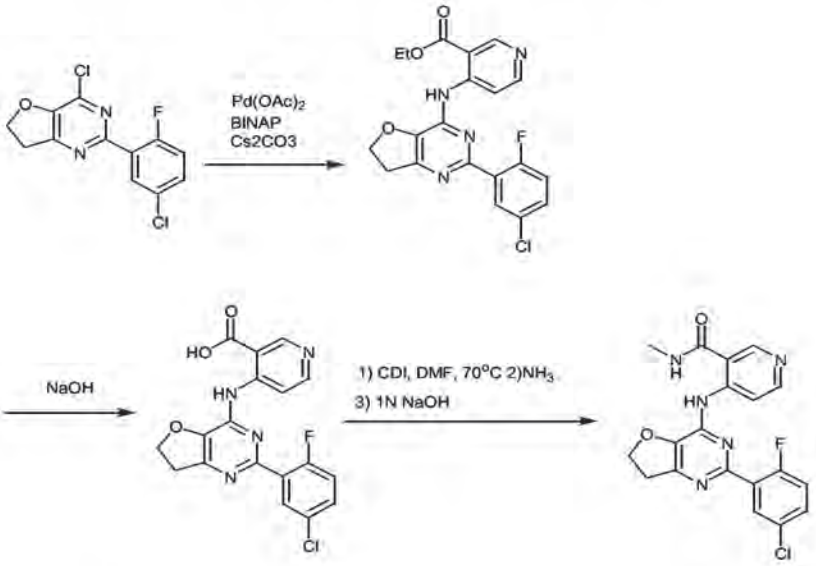
5230; 800 mg, 5.06 mmol, 1 eq) in ethanol (5 ml). The reaction mixture was heated to 80°C overnight. The reaction mixture was cooled to r.t. and the crude residue was purified by flash column chromatography (5% MeOH in $CHCl_3$) to afford a white solid 2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ol (650 mg, 53%).

4-Chloro-2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidine:
A suspension of 2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ol (100 mg, 0.36 mmol, 1 eq) in $POCl_3$ (5 ml) was stirred under reflux for 1 h. The solution cooled to r.t. and concentrated under reduced pressure to give a white solid which was dissolved in methylene chloride. The solution was cooled to 0°C and ice was added followed by sat. $NaHCO_3$. The organic layer was separated, washed with brine, dried ($MgSO_4$), filtered and evaporated in *vacuo* to provide a crude white solid which was purified by flash column chromatography (1:9 EtOAc:Hexane) to afford 4-chloro-2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidine (78 mg, 73%) as a white solid.

2-(5-Chloro-2-fluorophenyl)-4-iodo-6,7-dihydrofuro[3,2-d]pyrimidine:
To a suspension of 4-chloro-2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]-pyrimidine (80 mg, 0.28 mmol, 1 eq) in a 57% HI solution in water (2 ml) at rt. was added NaI (206 mg, 1.41 mmol, 5 eq). The reaction mixture was stirred at r.t. overnight and then poured onto ice. The product was extracted with chloroform and the aqueous layer was neutralized with $NaHCO_3$ and extracted further with more chloroform. The organic layers were combined washed with brine, dried ($MgSO_4$), filtered and evaporated in *vacuo* to provide a crude residue 2-(5-chloro-2-fluoro-phenyl)-4-iodo-6,7-dihydrofuro[3,2-d]pyrimidine that was not further purified.

[2-(5-Chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine, compound of formula (39):
To a solution of 2-(5-chloro-2-fluorophenyl)-4-iodo-6,7-dihydrofuro[3,2-d]pyrimidine (106 mg, 0.28 mmol, 1 eq) in dioxane (5 ml) was added $Pd(OAc)_2$ (3 mg, 0.01 mmol, 0.05 eq) followed by BINAP (13 mg, 0.02 mmol, 0.075 eq), 4-amino-3-picoline (40 mg, 0.37 mmol, 1.3 eq) and Cs_2CO_3 (138 mg, 0.42 mmol, 1.5 eq). The reaction mixture was heated to 80°C for 15 h. The reaction mixture was cooled to r.t. and filtered through Celite® and the crude material was purified by flash column chromatography (4:1/ethyl acetate:hexane-100%ethylacetate) to afford [2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine, i.e. compound of formula (39) (30 mg, 30%) as a white solid.

Scheme W (Synthesis of compound of formula (44))



4-[2-(5-Chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ylamino]-nicotinic acid ethyl ester:

To a solution of 4-chloro-2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]-pyrimidine (320 mg, 1.13 mmol, 1 eq) in dioxane (5 ml) was added $Pd(OAc)_2$ (13 mg, 0.06 mmol, 0.05 eq) followed by BINAP (53 mg, 0.08 mmol, 0.075 eq), 4-amino-nicotinic acid ethyl ester (206 mg, 1.24 mmol, 1.1 eq) and Cs_2CO_3 (478 mg, 1.46 mmol, 1.5 eq). The reaction mixture was heated to 80°C for 15 h. The reaction mixture was cooled to r.t. and filtered through Celite® and the crude material was purified by flash column chromatography (0-5%MeOH in $CHCl_3$) to afford 4-[2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ylamino]-nicotinic acid ethyl ester (100 mg, 21%) as a white solid.

4-[2-(5-Chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ylamino]-nicotinic acid:

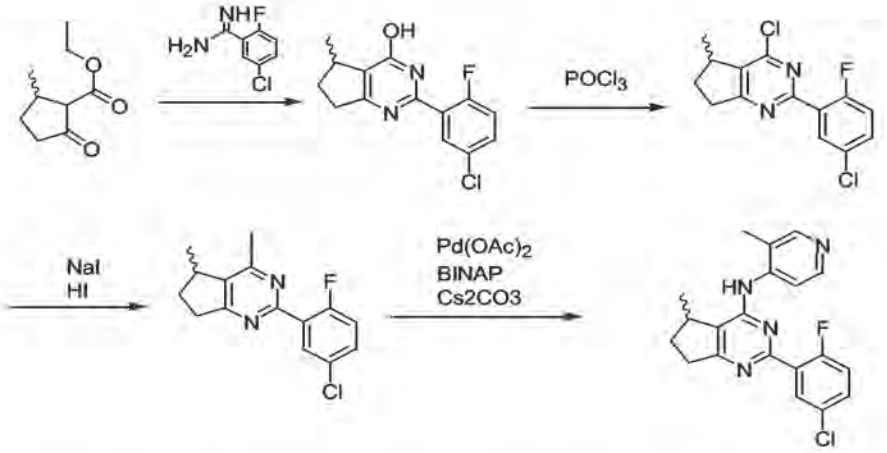
To a suspension of 4-[2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ylamino]-nicotinic acid ethyl ester (100 mg, 0.24 mmol, 1 eq) in MeOH (5 ml) was added a 1 N $NaOH_{(aq)}$ solution (290 µl, 0.29 mmol, 1.2 eq) and the reaction mixture was refluxed for 5 h. The solution was cooled to r.t. and concentrated in *vacuo*. Water (20 ml) was added to the crude material and the aqueous layer was acidified to pH 4. The solid was filtered, washed with water (2 x 5 ml) and dried overnight to afford

4-[2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ylamino]-nicotinic acid (88 mg, 94%) as a cream colored solid.

4-[2-(5-Chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ylamino]-N-methyl nicotinamide, compound of formula (44):

To a suspension of 4-[2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ylamino]-nicotinic acid (75 mg, 0.19 mmol, 1 eq) in DMF (2 ml) was added triethylamine (30 µl, 0.21 mmol, 1.1 eq) followed by methylamine (1.17 ml, 3.89 mmol, 2 M solution in THF, 20 eq). To the suspension was added a solution of PyBrOP (100 mg, 0.21 mmol, 1.2 eq) in DMF (1 ml) dropwise. The reaction mixture was stirred at room temperature for 16 h. The reaction was concentrated in *vacuo* and the residue was triturated with ether (2 x 20 ml). The crude residue was purified by flash column chromatography (5% MeOH in $CHCl_3$) to afford 4-[2-(5-chloro-2-fluorophenyl)-6,7-dihydrofuro[3,2-d]pyrimidin-4-ylamino]-N-methyl nicotinamide, i.e. compound of formula (44) (20 mg, 26%) as a white solid.

Scheme X (Synthesis of compound of formula (43))



2-(5-Chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ol :

To a solution of 2-fluoro-5-chlorobenzamidine (1.95 g, 11.27 mmol, 1.1 eq) in EtOH (20 ml) was added a solution of 2-methyl-5-oxo cyclopentanecarboxylic acid ethyl ester (prepared according to Wang, C.; Gu, X.; Yu, M.S.; Curran, D.P.: *Tetrahedron*, 1998, 29, 8355-8370; 1.60 g, 10.26 mmol, 1 eq) in ethanol (5 ml). The reaction mixture was heated to 80°C overnight. The reaction mixture was cooled to r.t. and the solvent was removed in *vacuo* to give a crude residue which was purified by

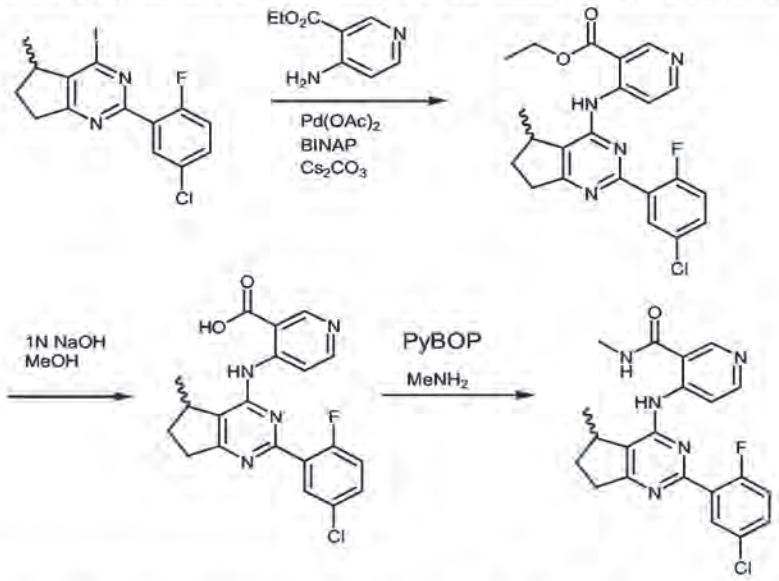
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recrystallization from hot ethyl acetate to give 2-(5-chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ol (1.0 g, 35%) as a white crystalline solid and the filtrate was further purified by flash column chromatography to give another 850 mg of 2-(5-chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ol.

2-(5-Chloro-2-fluorophenyl)-4-iodo-5-methyl-6,7-dihydro-5H-cyclopentapyrimidine:
A suspension of 2-(5-chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ol (200 mg, 0.72 mmol, 1 eq) in $POCl_3$ (5 ml) was stirred under reflux for 1 h. The solution was then cooled to room temperature and concentrated under reduced pressure to give a white solid. The residual $POCl_3$ was removed by azeotrope with chloroform. To a suspension of the crude iminochloride in a 57% HI solution in water (5 ml) at r.t. was added NaI (540 mg, 3.60 mmol, 5 eq). The reaction mixture was stirred at r.t. overnight and then poured onto ice. The product was extracted with chloroform and the aqueous layer was neutralized with $NaHCO_3$ and extracted further with chloroform. The organic layers were combined washed with brine, dried ($MgSO_4$), filtered and evaporated in *vacuo* to provide a crude residue 2-(5-chloro-2-fluorophenyl)-4-iodo-5-methyl-6,7-dihydro-5H-cyclopentapyrimidine which was not further purified.

[2-(5-Chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine, compound of formula (43):
To a solution of 2-(5-chloro-2-fluorophenyl)-4-iodo-5-methyl-6,7-dihydro-5H-cyclopentapyrimidine (275 mg, 0.71 mmol, 1 eq) in dioxane (5 ml) was added $Pd(OAc)_2$ (8 mg, 0.04 mmol, 0.05 eq) followed by BINAP (33 mg, 0.05 mmol, 0.075 eq), 4-amino-3-picoline (84 mg, 0.78 mmol, 1.1 eq) and Cs_2CO_3 (347 mg, 1.06 mmol, 1.5 eq). The reaction mixture was heated to 80°C for 15 h. The reaction mixture was cooled to r.t. and filtered through Celite® and the crude material was purified by flash column chromatography (1:1/ethyl acetate:hexane-100% ethyl acetate) to afford [2-(5-chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine, compound of formula (43) (250 mg, 96%).

Scheme Y (Synthesis of compounds of formula (48), (49), (47), (46))



4-[2-(5-Chloro-2-fluoro-phenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid ethyl ester, compound of formula (48):

Following the general reaction procedure for the synthesis of [2-(5-chloro-2-fluoro-phenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-(3-methyl-pyridin-4-yl)-amine, i.e. compound of formula (43), 4-[2-(5-chloro-2-fluoro-phenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid ethyl ester, compound of formula (48), was isolated in 68% yield.

4-[2-(5-Chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid, compound of formula (49):

To a suspension of 4-[2-(5-chloro-2-fluoro-phenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid ethyl ester (180 mg, 0.42 mmol, 1 eq) in MeOH (5 ml) was added a 1 N $NaOH_{(aq)}$ solution (634 µl, 0.63 mmol, 1.5 eq) and the reaction mixture was heated to reflux for 1 h. The solution was cooled to r.t. and concentrated in *vacuo*. Water (20 ml) was added to the crude material and the aqueous layer was acidified to pH 4. The solid was filtered, washed with water (2 x 5 ml) and dried overnight to give 4-[2-(5-chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid, compound of formula (49) (160 mg, 95%) as a white solid.

4-[2-(5-Chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-N-methyl-nicotinamide, compound of formula (47):

To a suspension of 4-[2-(5-chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-nicotinic acid (20 mg, 0.05 mmol, 1 eq) in DMF (2 ml) was added triethylamine (8 µl, 0.05 mmol, 1.1 eq) followed by methylamine (250 µl, 3.89 mmol, 2 M solution in THF, 10 eq). To the suspension was added a solution of PyBOP (40 mg, 0.08 mmol, 1.5 eq) in DMF (1 ml) dropwise. The reaction mixture was stirred at room temperature for 16 h. The reaction was concentrated in *vacuo* and the residue was triturated with ether (2 x 20 ml). The crude residue was purified by flash column chromatography (100% ethylacetate) to afford 4-[2-(5-chloro-2-fluorophenyl)-5-methyl-6,7-dihydro-5H-cyclopentapyrimidin-4-ylamino]-N-methyl-nicotinamide, i.e. compound of formula (47), (12mg, 58%) as a white solid.

Compound of formula (46) was prepared by the method described for the synthesis of compound of formula (47) employing ammonia in place of methylamine.

Compounds of formula (1) may be converted into each other following art-known functional group transformation reactions, comprising those described hereinafter. A number of the intermediates used to prepare the compounds of formula (1) are known compounds or are analogs of known compounds, which can be prepared following modifications of art-known methodologies readily accessible to the skilled person.

The compounds of formula (1) may be converted to the corresponding *N*-oxide forms following art-known procedures for converting a trivalent nitrogen into its *N*-oxide form. Said *N*-oxidation reaction may generally be carried out by reacting the starting material of formula (1) with an appropriate organic or inorganic peroxide. Appropriate inorganic peroxides comprise, for example, hydrogen peroxide, alkali metal or earth alkaline metal peroxides, e.g. sodium peroxide, potassium peroxide; appropriate organic peroxides may comprise peroxy acids such as, for example, benzenecarboperoxoic acid or halo substituted benzenecarboperoxoic acid, e.g. 3-chlorobenzenecarboperoxoic acid, peroxoalkanoic acids, e.g. peroxoacetic acid, alkylhydroperoxides, e.g. *tert*-butyl hydro-peroxide. Suitable solvents are, for example, water, lower alcohols, e.g. ethanol and the like, hydrocarbons, e.g. toluene, ketones, e.g. 2-butanone, halogenated hydrocarbons, e.g. dichloromethane, and mixtures of such solvents.

Pure stereochemically isomeric forms of the compounds of formula (1) may be obtained by the application of art-known procedures. Diastereomers may be separated by physical methods such as selective crystallization and chromatographic techniques, e.g., counter-current distribution, liquid chromatography and the like.

The compounds of formula (1) may be obtained as racemic mixtures of enantiomers which can be separated from one another following art-known resolution procedures. The racemic compounds of formula (1), which are sufficiently basic or acidic may be converted into the corresponding diastereomeric salt forms by reaction with a suitable chiral acid, respectively chiral base. Said diastereomeric salt forms are subsequently separated, for example, by selective or fractional crystallization and the enantiomers are liberated therefrom by alkali or acid. An alternative manner of separating the enantiomeric forms of the compounds of formula (1) involves liquid chromatography, in particular liquid chromatography using a chiral stationary phase. Said pure stereochemically isomeric forms may also be derived from the corresponding pure stereochemically isomeric forms of the appropriate starting materials, provided that the reaction occurs stereospecifically. Preferably if a specific stereoisomer is desired, said compound may be synthesized by stereospecific methods of preparation. These methods may advantageously employ enantiomerically pure starting materials.

The manner of administration and formulation of the compounds useful in the invention and their related compounds will depend on the nature of the condition, the severity of the condition, the particular subject to be treated, and the judgment of the practitioner; formulation will depend on mode of administration. The compounds of the present invention or any subgroup thereof may be formulated into various pharmaceutical forms for administration purposes. As appropriate compositions there may be cited all compositions usually employed for systemically administering drugs.

To prepare the pharmaceutical compositions of this invention, a therapeutically effective amount of the particular compound, optionally in addition salt form or metal complex, as the active ingredient is combined in intimate admixture with a pharmaceutically acceptable carrier, which carrier may take a wide variety of forms depending on the form of preparation desired for administration. A therapeutically effective amount in this context is an amount sufficient to prophylactically act against, to stabilize or to reduce viral infection, and in particular HCV viral infection, or to prevent disease progression towards chronic hepatitis, liver fibrosis, cirrhosis, end-stage liver disease, HCC (hepatocellular carcinoma) and the like, in infected subjects or subjects being at risk of being infected.

The pharmaceutical compositions are desirable in unitary dosage form suitable, particularly, for administration orally, rectally, percutaneously, or by parenteral injection. In preparing the compositions in oral dosage form, any of the usual

pharmaceutical media may be employed such as, for example, water, glycols, oils, alcohols and the like in the case of oral liquid preparations such as suspensions, syrups, elixirs, emulsions and solutions; or solid carriers such as starches, sugars, kaolin, lubricants, binders, disintegrating agents and the like in the case of powders, pills, capsules, and tablets. Because of their ease in administration, tablets and capsules represent the most advantageous oral dosage unit forms, in which case solid pharmaceutical carriers are obviously employed. For parenteral compositions, the carrier will usually comprise sterile water, at least in large part, though other ingredients, for example, to aid solubility, may be included. Injectable solutions, for example, may be prepared in which the carrier comprises saline solution, glucose solution or a mixture of saline and glucose solution. Injectable suspensions may also be prepared in which case appropriate liquid carriers, suspending agents and the like may be employed. Also included are solid form preparations which are intended to be converted, shortly before use, to liquid form preparations. In the compositions suitable for percutaneous administration, the carrier optionally comprises a penetration enhancing agent and/or a suitable wetting agent, optionally combined with suitable additives of any nature in minor proportions, which additives do not introduce a significant deleterious effect on the skin.

As the compounds of the invention are small molecules, they are conveniently administered by oral administration by compounding them with suitable pharmaceutical excipients so as to provide tablets, capsules, syrups, and the like. Suitable formulations for oral administration may also include minor components such as buffers, flavoring agents and the like. Typically, the amount of active ingredient in the formulations will be in the range of 5%-95% of the total formulation, but wide variation is permitted depending on the carrier. Suitable carriers include sucrose, pectin, magnesium stearate, lactose, peanut oil, olive oil, water, and the like.

The compounds useful in the invention may also be administered through suppositories or other transmucosal vehicles. Typically, such formulations will include excipients that facilitate the passage of the compound through the mucosa such as pharmaceutically acceptable detergents.

The compounds may also be administered topically, for topical conditions such as psoriasis, or in formulation intended to penetrate the skin. These include lotions, creams, ointments and the like which can be formulated by known methods.

The compounds may also be administered by injection, including intravenous, intramuscular, subcutaneous or intraperitoneal injection. Typical formulations for such use are liquid formulations in isotonic vehicles such as Hank's solution or Ringer's solution.

The compounds of the present invention may also be administered via oral inhalation or insufflation by means of methods and formulations employed in the art for administration via this way. Thus, in general the compounds of the present invention may be administered to the lungs in the form of a solution, a suspension or a dry powder, a solution being preferred. Any system developed for the delivery of solutions, suspensions or dry powders via oral inhalation or insufflation are suitable for the administration of the present compounds.

Thus, the present invention also provides a pharmaceutical composition adapted for administration by inhalation or insufflation through the mouth comprising a compound of formula (1) and a pharmaceutically acceptable carrier. Preferably, the compounds of the present invention are administered via inhalation of a solution in nebulized or aerosolized doses.

Alternative formulations include nasal sprays, liposomal formulations, slow-release formulations, and the like, as are known in the art.

Any suitable formulation may be used. A compendium of art-known formulations is found in Remington's Pharmaceutical Sciences, latest edition, Mack Publishing Company, Easton, PA. Reference to this manual is routine in the art.

It is especially advantageous to formulate the aforementioned pharmaceutical compositions in unit dosage form for ease of administration and uniformity of dosage. Unit dosage form as used herein refers to physically discrete units suitable as unitary dosages, each unit containing a predetermined quantity of active ingredient calculated to produce the desired therapeutic effect in association with the required pharmaceutical carrier. Examples of such unit dosage forms are tablets (including scored or coated tablets), capsules, pills, suppositories, powder packets, wafers, injectable solutions or suspensions and the like, and segregated multiples thereof.

The dosages of the compounds of the invention will depend on a number of factors which will vary from patient to patient. However, it is believed that generally, the daily oral dosage will utilize 0.001-100 mg/kg total body weight, preferably from

0.01-50 mg/kg and more preferably about 0.01 mg/kg-10 mg/kg. The dose regimen will vary, however, depending on the conditions being treated and the judgment of the practitioner.

In general it is contemplated that an antiviral effective daily amount would be from 0.01 mg/kg to 500 mg/kg body weight, more preferably from 0.1 mg/kg to 50 mg/kg body weight. It may be appropriate to administer the required dose as two, three, four or more sub-doses at appropriate intervals throughout the day. Said sub-doses may be formulated as unit dosage forms, for example, containing 1 to 1000 mg, and in particular 5 to 200 mg of active ingredient per unit dosage form.

The exact dosage and frequency of administration depends on the particular compound of formula (I) used, the particular condition being treated, the severity of the condition being treated, the age, weight, sex, extent of disorder and general physical condition of the particular patient as well as other medication the individual may be taking, as is well known to those skilled in the art. Furthermore, it is evident that said effective daily amount may be lowered or increased depending on the response of the treated subject and/or depending on the evaluation of the physician prescribing the compounds of the instant invention. The effective daily amount ranges mentioned hereinabove are therefore only guidelines.

Due to their favorable antiviral properties, as will be apparent from the examples, the compounds of the present invention are useful in the treatment of individuals infected by HCV and for the prophylaxis of these individuals. In general, the compounds of the present invention may be useful in the treatment of warm-blooded animals infected with flaviviruses. Conditions which may be prevented or treated with the compounds of the present invention, especially conditions associated with HCV and other pathogenic flaviviruses, such as Yellow fever, Dengue fever (types 1-4), St. Louis encephalitis, Japanese encephalitis, Murray valley encephalitis, West Nile virus and Kunjin virus. The conditions associated with HCV include progressive liver fibrosis, inflammation and necrosis leading to cirrhosis, end-stage liver disease, and HCC; and for the other pathogenic flaviruses the conditions include yellow fever, dengue fever, haemorraghic fever and encephalitis.

The compounds of the present invention or any subgroup thereof may therefore be used as medicines against the above-mentioned conditions. Said use as a medicine or method of treatment comprises the systemic administration to HCV-infected subjects of an amount effective to combat the conditions associated with HCV and other

pathogenic flaviviruses. Consequently, the compounds of the present invention can be used in the manufacture of a medicament useful for treating conditions associated with HCV and other pathogenic flaviviruses.

In an embodiment, the invention relates to the use of a compound of formula (1) or any subgroup thereof as defined herein in the manufacture of a medicament for treating or combating infection or disease associated with HCV infection in a mammal. The invention also relates to a method of treating a flaviviral infection, or a disease associated with flavivirus infection comprising administering to a mammal in need thereof an effective amount of a compound of formula (1) or a subgroup thereof as defined herein.

In another embodiment, the present invention relates to the use of formula (1) or any subgroup thereof as defined herein for the manufacture of a medicament useful for inhibiting HCV activity in a mammal infected with flaviviruses, in particular HCV.

In another embodiment, the present invention relates to the use of formula (1) or any subgroup thereof as defined herein for the manufacture of a medicament useful for inhibiting HCV activity in a mammal infected with flaviviruses, wherein said HCV is inhibited in its replication.

It should be noted that the compounds of formula (1) can be administered as individual active ingredients, or as mixtures of several embodiments of this formula. The compounds of the invention may be used as single therapeutic agents or in combination with other therapeutic agents.

As such, the combination of previously known anti-HCV compound, such as, for instance, interferon-α (IFN-α), pegylated interferon-α and/or ribavirin, and a compound of the present invention can be used as a medicine in a combination therapy. The term "combination therapy" relates to a product containing mandatory (a) a compound of the present invention, and (b) optionally another anti-HCV compound, as a combined preparation for simultaneous, separate or sequential use in treatment of HCV infections, in particular, in the treatment of infections with HCV type 1. Thus, to combat or treat HCV infections, the compounds of this invention may be co-administered in combination with for instance, interferon-α (IFN-α), pegylated interferon-α and/or ribavirin, as well as therapeutics based on antibodies targeted against HCV epitopes, small interfering RNA (Si RNA), ribozymes, DNAzymes, antisense RNA, small

molecule antagonists of for instance NS3 protease, NS3 helicase and NS5B polymerase.

Accordingly, the present invention relates to the use of a compound of formula (1) or any subgroup thereof as defined above for the manufacture of a medicament useful for inhibiting HCV activity in a mammal infected with HCV viruses, wherein said medicament is used in a combination therapy, said combination therapy preferably comprising a compound of formula (1) and (pegylated) IFN-α and/or ribavirin, and possibly an anti-HIV compound.

It will be appreciated by the person skilled in the art that the compounds of formula (1) may be tested in a cellular HCV replicon system based on Lohmann et al. (1999) Science 285:110-113, with the further modifications described by Krieger et al. (2001) Journal of Virology 75: 4614-4624 (incorporated herein by reference), which is further exemplified in the examples section. This model, while not a complete infection model for HCV, is widely accepted as the most robust and efficient model of autonomous HCV RNA replication currently available. Compounds exhibiting anti-HCV activity in this cellular model are considered as candidates for further development in the treatment of HCV infections in mammals. It will be appreciated that it is important to distinguish between compounds that specifically interfere with HCV functions from those that exert cytotoxic or cytostatic effects in the HCV replicon model, and as a consequence cause a decrease in HCV RNA or linked reporter enzyme concentration. Assays are known in the field for the evaluation of cellular cytotoxicity based for example on the activity of mitochondrial enzymes using fluorogenic redox dyes such as resazurin. Furthermore, cellular counter-screens exist for the evaluation of non-selective inhibition of linked reporter gene activity, such as firefly luciferase. Appropriate cell types can be equipped by stable transfection with a luciferase reporter gene whose expression is dependent on a constitutively active gene promoter, and such cells can be used as a counterscreen to eliminate non-selective inhibitors.

All patents, patent applications and articles referred to before or below are incorporated herein by reference.

Examples

The following examples are intended to illustrate the present invention and not to limit it thereto.

Example 1: Activity of compounds of formula (1) in HCV replicon assays

Stable replicon cell reporter assays:

The compounds of the present invention were examined for activity in the inhibition of HCV RNA replication in a cellular assay. The assay demonstrated that the present compounds exhibited activity against HCV replicons functional in a cell culture. The cellular assay was based on a bicistronic expression construct, as described by Lohmann et al. (1999) Science vol. 285 pp. 110-113 with modifications described by Krieger et al. (2001) Journal of Virology 75: 4614-4624, in a multi-target screening strategy. In essence, the method was as follows.

The assay utilized the stably transfected cell line Huh-7 luc/neo (hereafter referred to as Huh-Luc). This cell line harbored an RNA encoding a bicistronic expression construct comprising the wild type NS3-NS5B regions of HCV type 1b translated from an Internal Ribosome Entry Site (IRES) from encephalomyocarditis virus (EMCV), preceded by a reporter portion (FfL-luciferase), and a selectable marker portion (neo^R, neomycine phosphotransferase). The construct was bordered by 5' and 3' NTRs (non-translated regions) from HCV type 1b. Continued culture of the replicon cells in the presence of G418 (neo^R) was dependent on the replication of the HCV RNA. The stably transfected replicon cells that expressed HCV RNA, which replicated autonomously and to high levels, encoding *inter alia* luciferase, were used for screening the antiviral compounds.

Cellular Assay Experimental Method:

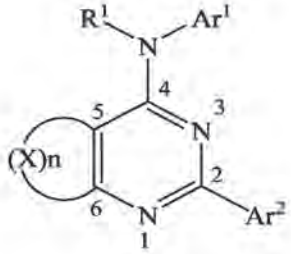
The replicon cells were plated in 384 well plates in the presence of the test and control compounds which were added in various concentrations. Following an incubation of three days, HCV replication was measured by assaying luciferase activity (using standard luciferase assay substrates and reagents and a Perkin Elmer ViewLux^{Tm} ultraHTS microplate imager). Replicon cells in the control cultures had high luciferase expression in the absence of any inhibitor. The inhibitory activity of the compound on luciferase activity was monitored on the Huh-Luc cells, enabling a dose-response curve for each test compound. EC50 values were then calculated, which value represented the amount of the compound required to decrease by 50% the level of detected luciferase activity, or more specifically, the ability of the genetically linked HCV replicon RNA to replicate.

In the following table, column 1 provides an identification number, column 2 displays the results on the above described Huh7-Luc assay.

Compound Number	HCV Replicon activity (in µM)
8	0.4
20	0.7
21	0.4
35	1.5
39	0.4
40	7.3
46	1.6
47	27.7
49	13.1
57	24.0
58	10.3
59	2.0
62	0.8
69	1.8
80	5.8

Claims

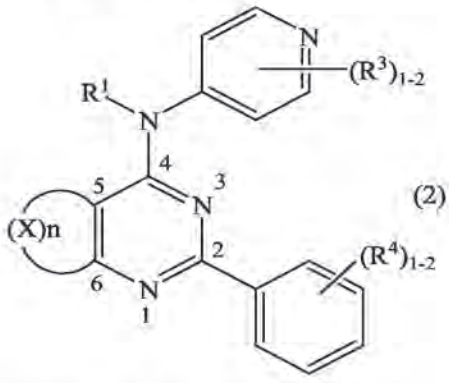
1. The use of a compound of the formula



(1)

or a pharmaceutically acceptable salt thereof;
wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring is an optionally substituted saturated, unsaturated or aromatic ring containing 4-7 members, wherein X is an atom selected from N, O, or S;
n is 0, 1, 2, or 3;
each of **Ar**^{1} and **Ar**^{2} is independently an optionally substituted aromatic moiety or optionally substituted heteroaromatic moiety wherein said heteroaromatic moiety contains one or more O, S, and/or N, and these aromatic or heteroaromatic moieties contain 5-12 members;
R^1 is H, or optionally substituted alkyl (1-10C), alkenyl (2-10C), or alkynyl (2-10C);
with the proviso that if said fused ring bridging positions 5 and 6 of the pyrimidine ring contains 6 members, it is not an aromatic ring;
for the manufacture of a medicament useful for inhibiting HCV activity in a mammal infected with HCV.

2. The use of a compound of the formula



(2)

or a pharmaceutically acceptable salt thereof;

wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring is an optionally substituted saturated, unsaturated or aromatic ring containing 5 or 6 members, wherein **X** is an atom selected from N or O;

n is 0, 1, or 2;

$\mathbf{R^1}$ is H, or optionally substituted alkyl (1-6C);

each $\mathbf{R^3}$ is, independently, hydrogen, halo, cyano, nitro, alkyl(1-6C), polyhaloalkyl(1-6C), -COR, -$CONR_2$, -COOR, -OR, -OCOR, -NR_2, or -NRCOR;

each $\mathbf{R^4}$ is, independently, hydrogen, halo, cyano, nitro, polyhaloalkyl(1-6C), or alkyl(1-6C);

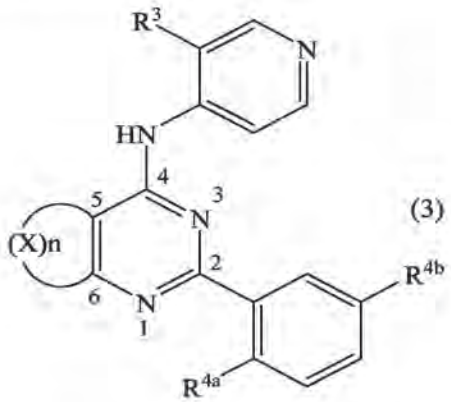
wherein each **R** is independently hydrogen, hydroxy, amino, mono- or dialkyl(1-6C)amino, cycloalkyl(3-7C), Het, or alkyl(1-6C) optionally substituted with one or two substituents selected from hydroxy, cycloalkyl(3-7C), amino, mono- or dialkyl(1-6C)amino, and Het;

Het is a 5 or 6 membered saturated, partially unsaturated or completely unsaturated heterocyclic ring containing 1 to 2 heteroatoms each independently selected from nitrogen, oxygen and sulfur, and wherein the group Het as a whole may be optionally substituted with one, two or three substituents each independently selected from the group consisting of halo, alkyl(1-6C), hydroxy, and oxo;

with the proviso that if said fused ring bridging positions 5 and 6 of the pyrimidine ring contains 6 members, it is not an aromatic ring;

for the manufacture of a medicament useful for inhibiting HCV activity, preventing or treating conditions associated with HCV, in a mammal infected with HCV.

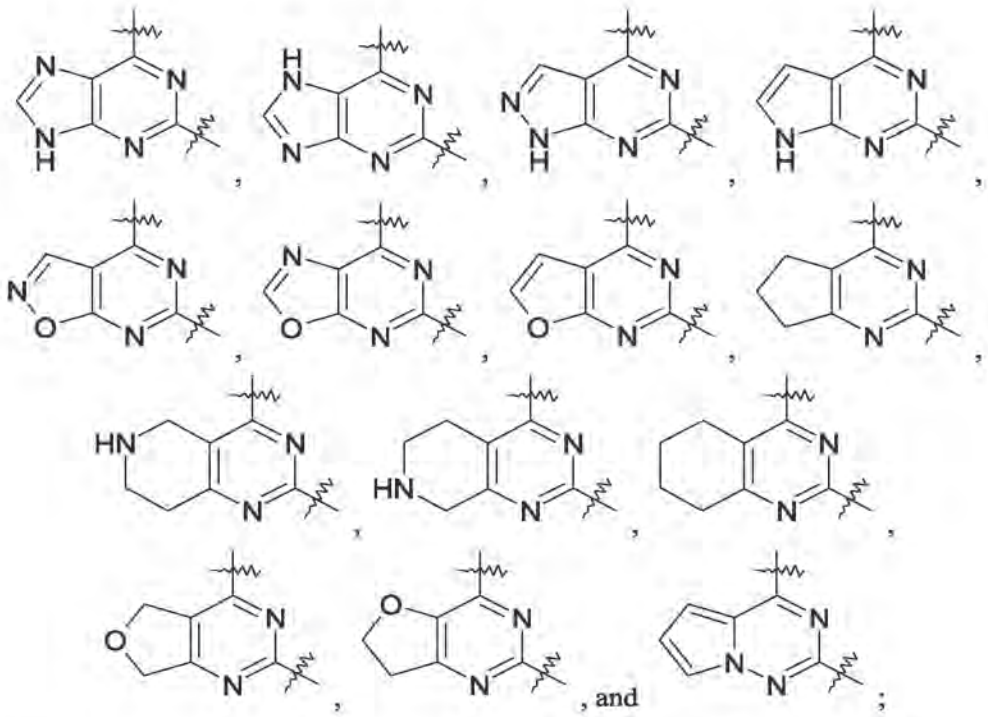
3. The use of a compound of the formula



(3)

or a pharmaceutically acceptable salt thereof;

wherein the fused ring bridging positions 5 and 6 of the pyrimidine ring together with the pyrimidine ring forms a group selected from



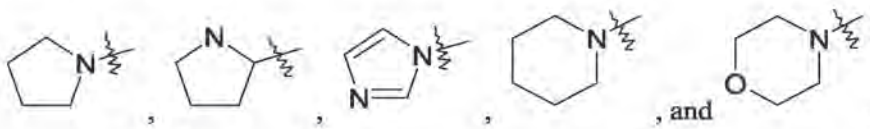
wherein any of these groups may be optionally substituted with one or two substituents selected from alkyl(1-6C), phenyl, and benzyl;

$\mathbf{R^3}$ is hydrogen, halo, alkyl(1-6C), $-CF_3$, -COR, $-CONR_2$, or -COOR;

each $\mathbf{R^{4a}}$ $\mathbf{R^{4b}}$ are, independently, hydrogen or halo;

wherein each **R** is independently hydrogen, hydroxy, amino, mono- or dialkyl(1-6C)amino, cycloalkyl(3-7C), Het, or alkyl(1-6C) optionally substituted with one or two substituents selected from hydroxy, cycloalkyl(3-7C), amino, mono- or dialkyl(1-6C)amino, and Het;

Het is a group selected from



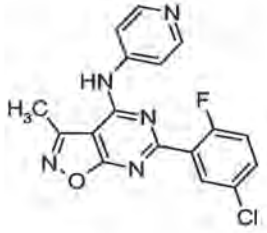
wherein the group Het may be optionally substituted with one or two substituents each independently selected from the group consisting of alkyl(1-6C), and oxo;

for the manufacture of a medicament useful for inhibiting HCV activity, preventing or treating conditions associated with HCV, in a mammal infected with HCV.

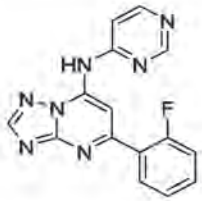
4. The use according to any one of claims 1 to 3, wherein the compound is



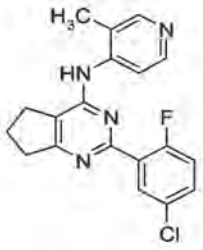
5. The use according to any one of claims 1 to 3, wherein the compound is



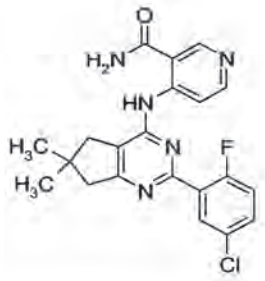
6. The use according to any one of claims 1 to 3, wherein the compound is



7. The use according to any one of claims 1 to 3, wherein the compound is



8. The use according to any one of claims 1 to 3, wherein the compound is



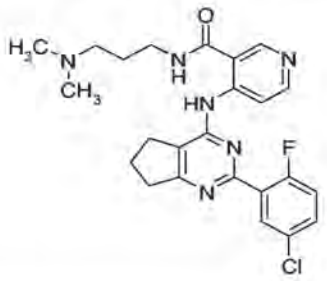
9. The use according to any one of claims 1 to 3, wherein the compound is



10. The use according to any one of claims 1 to 3, wherein the compound is



11. The use according to any one of claims 1 to 3, wherein the compound is



12. The use according to any one of claims 1 to 3, wherein the medicament is useful for preventing disease progression towards chronic hepatitis, liver fibrosis, cirrhosis, end-stage liver disease, HCC (hepatocellular carcinoma) and the like, in a mammal infected with HCV.

13. The use according to any one of claims 1 to 3, wherein the medicament is useful for treating chronic hepatitis, liver fibrosis, cirrhosis, end-stage liver disease, HCC (hepatocellular carcinoma) and the like, in a mammal infected with HCV.

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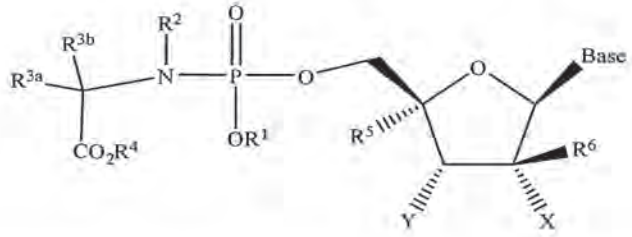
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(54) **Title:** NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS



(I)

(57) **Abstract:** Disclosed herein are phosphoramidate prodrugs of nucleoside derivatives for the treatment of viral infections in mammals, which is a compound, its stereoisomer, salt (acid or basic addition salt), hydrate, solvate, or crystalline form thereof, represented by the following structure: Also disclosed are methods of treatment, uses, and processes for preparing each of which utilize the compound represented by formula (I).

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NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

This application is being filed on March 25, 2008, as a PCT International Patent application in the name of Pharmasset, Inc., a U.S. national corporation, applicant for the designation of all countries except the US, and Michael Joseph Sofia, a citizen of the U.S.; Jinfa Du, a citizen of the U.S.; Peiyuan Wang, a citizen of the People's Republic of China; and Dhanapalan Nagarathnam, a citizen of the U.S.; applicants for the designation of the US only, and claims priority to U.S. Provisional Application Nos. 60/909,315, filed March 30, 2007; 60/982,309, filed October 24, 2007; and U.S. Non-Provisional Application No. 12/053,015, filed March 21, 2008. The contents of each of the above-noted applications is hereby incorporated by reference in its entirety.

Field of Invention

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

Background

Hepatitis C virus (HCV) infection is a major health problem that leads to chronic liver disease, such as cirrhosis and hepatocellular carcinoma, in a

substantial number of infected individuals, estimated to be 2-15% of the world's population. There are an estimated 4.5 million infected people in the United States alone, according to the U.S. Center for Disease Control. According to the World Health Organization, there are more than 200 million infected individuals worldwide, with at least 3 to 4 million people being infected each year. Once infected, about 20% of people clear the 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 transmitted parenterally by contaminated blood and blood products, contaminated needles, or sexually and vertically from infected mothers or carrier mothers to their offspring. Current treatments for HCV infection, which are restricted to immunotherapy with recombinant interferon-α alone or in combination with the nucleoside analog ribavirin, are of limited clinical benefit. Moreover, there is no established vaccine for HCV. Consequently, there is an urgent need for improved therapeutic agents that effectively combat chronic HCV infection.

The HCV virion is an enveloped positive-strand RNA virus with a single oligoribonucleotide genomic sequence of about 9600 bases which encodes a polyprotein of about 3,010 amino acids. The protein products of the HCV gene consist of the structural proteins C, E1, and E2, and the non-structural proteins NS2, NS3, NS4A and NS4B, and NS5A and NS5B. The nonstructural (NS) proteins are believed to provide the catalytic machinery for viral replication. The NS3 protease releases NS5B, the RNA-dependent RNA polymerase from the polyprotein chain. HCV NS5B polymerase is required for the synthesis of a double-stranded RNA from a single-stranded viral RNA that serves as a template

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in the replication cycle of HCV. Therefore, NS5B polymerase is considered to be an essential component in the HCV replication complex (K. Ishi, et al, *Heptology*, 1999, 29: 1227-1235; V. Lohmann, et al., *Virology*, 1998, 249: 108-118). Inhibition of HCV NS5B polymerase prevents formation of the double-stranded HCV RNA and therefore constitutes an attractive approach to the development of HCV-specific antiviral therapies.

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

Flaviviridae Viruses

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

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

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

The genetic organization of pestiviruses and hepaciviruses is very similar. These positive stranded RNA viruses possess a single large open reading frame (ORF) encoding all the viral proteins necessary for virus replication. These proteins are expressed as a polyprotein that is co- and post-translationally

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processed by both cellular and virus-encoded proteinases to yield the mature viral proteins. The viral proteins responsible for the replication of the viral genome RNA are located within approximately the carboxy-terminal. Two-thirds of the ORF are termed nonstructural (NS) proteins. The genetic organization and polyprotein processing of the nonstructural protein portion of the ORF for pestiviruses and hepaciviruses is very similar. For both the pestiviruses and hepaciviruses, the mature nonstructural (NS) proteins, in sequential order from the amino-terminus of the nonstructural protein coding region to the carboxy-terminus of the ORF, consist of p7, NS2, NS3, NS4A, NS4B, NS5A, and NS5B.

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

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

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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; Warrener and Collett, *J. Virol.* 1995, 69,1720-1726). Finally, the NS5B proteins of pestiviruses and hepaciviruses have the predicted RNA-directed RNA polymerases activity (Behrens et al., EMBO, 1996, 15, 12-22; Lechmann et al., *J. Virol.*, 1997, 71, 8416-8428; Yuan et al., *Biochem. Biophys. Res. Comm.* 1997, 232, 231-235; Hagedorn, PCT WO 97/12033; Zhong et al, *J. Virol.*, 1998, 72, 9365-9369).

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

A number of potential molecular targets for drug development of direct acting antivirals as anti -HCV therapeutics have now been identified including, but not limited to, the NS2-NS3 autoprotease, the N3 protease, the N3 helicase

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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 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.

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

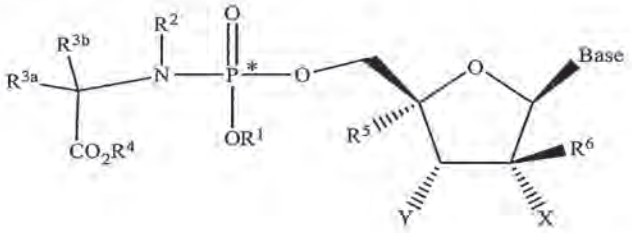
Also limiting the utility of nucleosides as viable therapeutic agents is their sometimes poor physicochemical and pharmacokinetic properties. These poor properties can limit the intestinal absorption of an agent and limit uptake into the target tissue or cell. To improve on their properties prodrugs of nucleosides have been employed. It has 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

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intracellular concentration of the nucleoside monophosphate analog relative to administering the parent nucleoside alone. Enzyme-mediated hydrolysis of the phosphate ester moiety produces a nucleoside monophosphate wherein the rate limiting initial phosphorylation is unnecessary.

SUMMARY OF THE INVENTION

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



I

wherein

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

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(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, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is –OR' or $-N(R^{3'})_2$);

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

(e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1 -6, including hydroxyl methyl (CH_2OH), CH_2F, N_3, CH_2CN, CH_2NH_2, CH_2NHCH_3, $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X

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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;

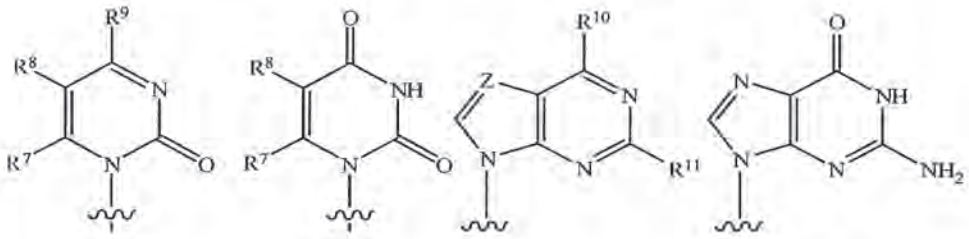
(f) R^6 is H, CH_3, CH_2F, CHF_2, CF_3, F, or CN;

(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$)_2$;

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

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a b c d

wherein

Z is N or CR^{12};

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

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

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

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that when base is represented by the structure c with R^{11} being hydrogen, R^{12} is not a: (i) –C≡C–H, (ii) –C=CH_2, or (iii) –NO_2.

DEFINITIONS

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

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

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

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

The term "alkenyl" refers to an unsubstituted hydrocarbon chain radical having from 2 to 10 carbon atoms having one or two olefinic double bonds, preferably one olefinic double bond. The term "C_{2-N} alkenyl" refers to an alkenyl comprising 2 to N carbon atoms, where N is an integer having the following values: 3, 4, 5, 6, 7, 8, 9, or 10. The term "C_{2-10} alkenyl" refers to an alkenyl comprising 2 to 10 carbon atoms. The term "C_{2-4} 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).

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

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

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

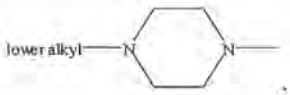
The term "cycloalkyl alkyl" refers to an additionally unsubstituted or substituted alkyl substituted by a lower cycloalkyl. Examples of cycloalkyl alkyls include, but are not limited to, any one of methyl, ethyl, propyl, i-propyl, n-butyl, i-butyl, t-butyl or pentyl, isopentyl, neopentyl, hexyl, heptyl,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

The term "cycloheteroalkyl" refers to an unsubstituted or substituted heterocycle, in which the heterocycle contains 2 to 9 carbon atoms; preferably 2 to 7 carbon atoms; more preferably 2 to 5 carbon atoms. Examples of cycloheteroalkyls include, but are not limited to, aziridin-2-yl, *N*-C_{1-3}-alkyl-

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aziridin-2-yl, azetidinyl, *N*-C_{1-3}-alkyl-azetidin-m'-yl, pyrrolidin-m'-yl, *N*-C_{1-3}-alkyl-pyrrolidin-m'-yl, piperidin-m'-yl, and *N*-C_{1-3}-alkyl-piperidin-m'-yl, where m' is 2, 3, or 4 depending on the cycloheteroalkyl. Specific examples of N-C_{1-3}-alkyl-cycloheteroalkyls include, but are not limited to, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-2-yl, N-methyl-piperidin-3-yl, and N-methyl-piperidin-4-yl. In the instance of R^4, the point of attachment between the cycloheteroalkyl ring carbon and the oxygen occurs at any one of m'

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



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

The term "halogenated alkyl" (or "haloalkyl") refers to an unbranched or branched chain alkyl comprising at least one of F, Cl, Br, and I. The term "C_{1-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. "C_{1-3} 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-

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iodoethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2-dichloroethyl, 2,2-dibromomethyl, 2-2-diiodomethyl, 3-fluoropropyl, 3-chloropropyl, 3-bromopropyl, 2,2,2-trifluoroethyl or 1,1,2,2,2-pentafluoroethyl.

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

The term "halogenated alkynyl" refers to an unbranched or branched hydrocarbon chain radical having from 2 to 10 carbon atoms, preferably 2 to 5 carbon atoms, and having one triple bond and at least one of F, Cl, 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 cyclooctyl. The term "C_{3-7} cycloalkyl" as used herein refers to a cycloalkyl comprising 3 to 7 carbons in the carbocyclic ring.

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

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

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

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

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

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

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The term "protected," as used herein and unless otherwise defined, refers to a group that is added to an oxygen, nitrogen, or phosphorus atom to prevent its further reaction or for other purposes. A wide variety of oxygen and nitrogen protecting groups are known to those skilled in the art of organic synthesis. Non-limiting examples include: C(O)-alkyl, C(O)Ph, C(O)aryl, CH_3, CH_2-alkyl, CH_2-alkenyl, CH_2Ph, CH_2-aryl, CH_2O-alkyl, CH_2O-aryl, SO_2-alkyl, SO_2-aryl, *tert*-butyldimethylsilyl, *tert*-butyldiphenylsilyl, and 1,3-(1,1,3,3-tetraisopropyldisiloxanylidene).

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

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

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

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

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

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

The term "purine" or "pyrimidine" base includes, but is not limited to, adenine, N^6-alkylpurines, N^6-acylpurines (wherein acyl is C(O)(alkyl, aryl, alkylaryl, or arylalkyl), N^6-benzylpurine, N^6-halopurine, N^6-vinylpurine, N^6-acetylenic purine, N^6-acyl purine, N^6-hydroxyalkyl purine, N^6-allcylaminopurine, N^6-thioallcyl purine, N^2-alkylpurines, N^2-alkyl-6-thiopurines, thymine, cytosine, 5-fluorocytosine, 5-methylcytosine, 6-azapyrimidine, including 6-azacytosine, 2- and/or 4-mercaptopyrmidine, uracil, 5-halouracil, including 5-fluorouracil, C^5-alkylpyrimidines, C^5-benzylpyrimidines, C^5-halopyrimidines, C^5-vinylpyrimidine, C^5-acetylenic pyrimidine, C^5-acyl pyrimidine, C^5-hydroxyalkyl purine, C^5-amidopyrimidine, C^5-cyanopyrimidine, ,C^5-iodopyrimidine, C^6-lodo-pyrimidine, C^5-Br-vinyl pyrimidine, C^6-Br-vinyl pyrimidine, C^5-nitropyrimidine, C^5-amino-pyrimidine, N^2-alkylpurines, N^2-alkyl-6-thiopurines, 5-azacytidinyl, 5-azauracilyl, triazolopyridinyl, imidazolopyridinyl, pyrrolopyrimidinyl, and pyrazolopyrimidinyl. Purine bases include, but are not limited to, guanine, adenine, hypoxanthine, 2,6-diaminopurine, and 6-chloropurine. Functional oxygen and nitrogen groups on

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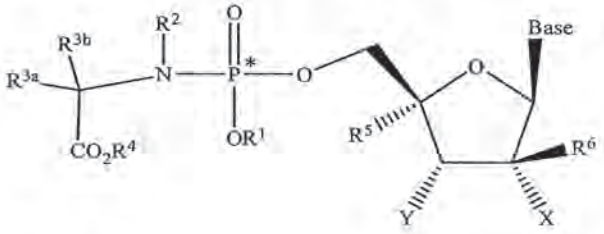
the base can be protected as necessary or desired. Suitable protecting groups are well known to those skilled in the art, and include trimethylsilyl, dimethylhexylsilyl, *t*-butyldimethylsilyl, and *t*-butyldiphenylsilyl, trityl, alkyl groups, and acyl groups such as acetyl and propionyl, methanesulfonyl, and p-toluenesulfonyl.

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

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

DETAILED DESCRIPTION OF THE INVENTION

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



wherein

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

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$NHSO_2C_{1-6}$ 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, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3"}$ is –OR' or $-N(R^{3'})_2$);

(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10}

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cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

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

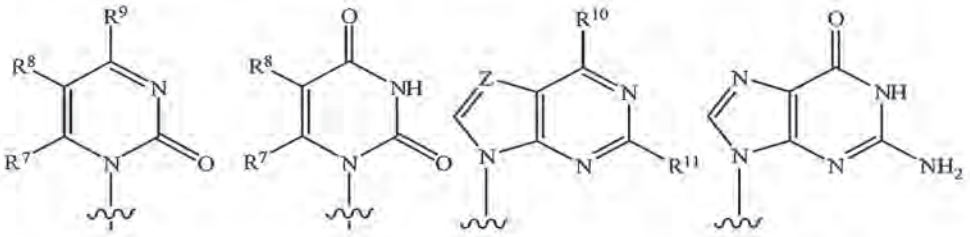
(f) R^6 is H, CH_3, CH_2F, CHF_2, CF_3, F, or CN;

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

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

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

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a b c d

wherein

Z is N or CR^{12};

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

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

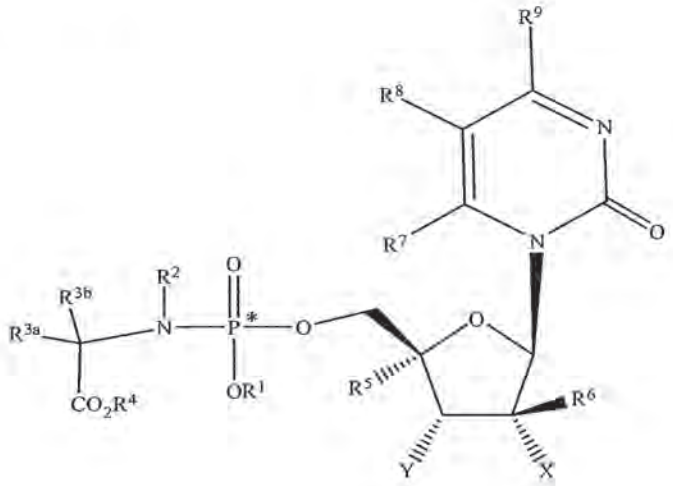
R^{12} is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH_2, NHR', NR'_2, NO_2 lower alkyl of C_1-C_6, halogenated (F, Cl, Br, I) lower alkyl of C_1-C_6, lower alkenyl of C_2-C_6, halogenated (F, Cl, Br, I) lower alkenyl of C_2-C_6 , lower alkynyl of C_2-C_6, halogenated (F, Cl, Br, I) lower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

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that when base is represented by the structure c with R^{11} being hydrogen, R^{12} is not a: (i) –C≡C–H, (ii) –C=CH_2, or (iii) –NO_2.

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

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



I-1

wherein

(a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are

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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, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is –OR' or $-N(R^{3'})_2$);

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

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

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

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

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

(i) R^7, R^8,R^9 are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH_2, NHR', NR'$_2$, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower

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alkenyl of C_2-C_6, CO_2H, CO_2R', $CONH_2$, $CONHR'$, $CONR'_2$, wherein R' is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a C_2-C_6 alkenyl, a C_2-C_6 alkynyl.

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

(e) R^5 is H, CN, CH_3, vinyl, OCH_3, OCH_2CH_3, CH_2OH, CH_2(halo), such as CH_2F, N_3, CH_2CN, CH_2N_3, CH_2NH_2, CH_2NHCH_3, $CH_2N(CH_3)_2$,

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

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

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

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

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

A 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 substitutent of the substituted phenyl is at least one of a C_{1-3} alkyl, a C_{1-3} alkoxy, F, Cl, Br, I, nitro, cyano, and a C_{1-3} haloalkyl;

(b) R^2 is hydrogen or CH_3;

(c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

(d) R^4 is hydrogen, CH_3, Et, ^{i}Pr, ^{n}Pr, ^{n}Bu, 2-butyl, ^{t}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, 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,

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

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

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

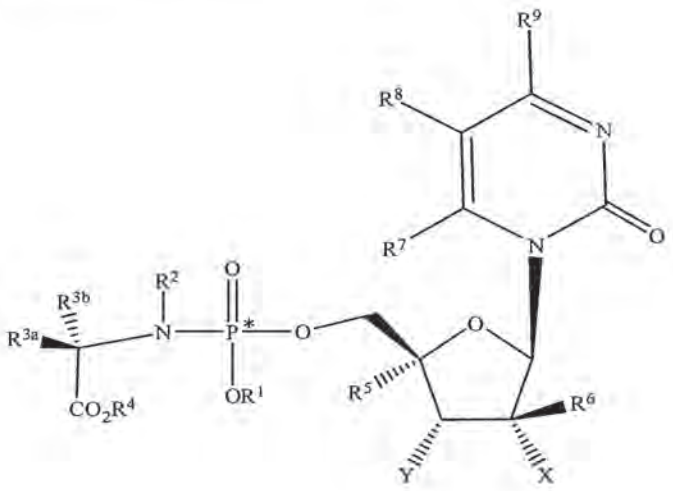
(h) Y is OH, H, CH_3, vinyl, N_3, CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3, NH_2, $NHCH_3$, NH(vinyl), NH(acetyl), $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$, or O(aminoacyl);

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

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

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

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I-2

wherein

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

(b) R^2 is hydrogen or CH_3;

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

(d) R^4 is hydrogen, 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

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as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

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

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

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

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

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

(j) R^9 is selected from among OH, OCH_3, SH, SCH_3, NH_2, $NHCH_3$, $N(CH_3)_2$, OC(O)(C1-20 alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, NHC(O)(C1-20 alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and and $N(C(O)(CH_2)_sCH_3$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, 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

(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;

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

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

(e) R^5 is H;

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

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

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

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

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

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

wherein

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

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(b) R^2 is hydrogen;

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

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

(e) R^5 is H;

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

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

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

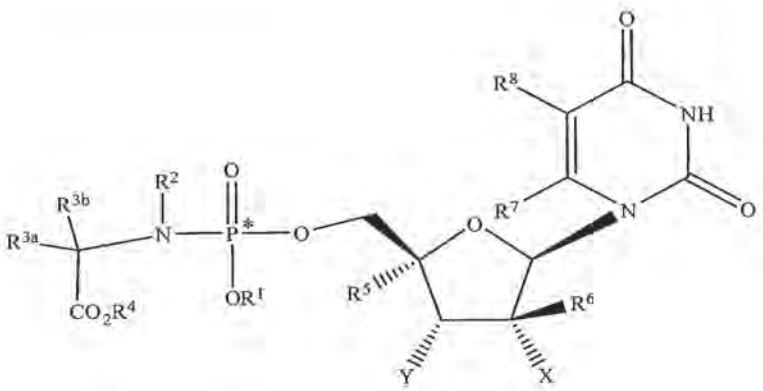
(i) R^7 and R^8 are independently H, F, Br, SCH_3, CH_3, $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3, $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$;

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

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

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

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I-3

wherein

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

(b) R^2 is hydrogen or CH_3;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, 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, $CH(CH_3)_2$,

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

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

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

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

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

(h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3, CN, Cl, Br, F, I, NO_2, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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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 substitutent of the substituted phenyl is at least one of a C_{1-3} alkyl, a C_{1-3} alkoxy, F, Cl, Br, I, nitro, cyano, and a C_{1-3} haloalkyl;

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

(d) R^4 is hydrogen, CH_3, Et, ^{i}Pr, ^{n}Pr, ^{n}Bu, 2-butyl, ^{t}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-

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

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

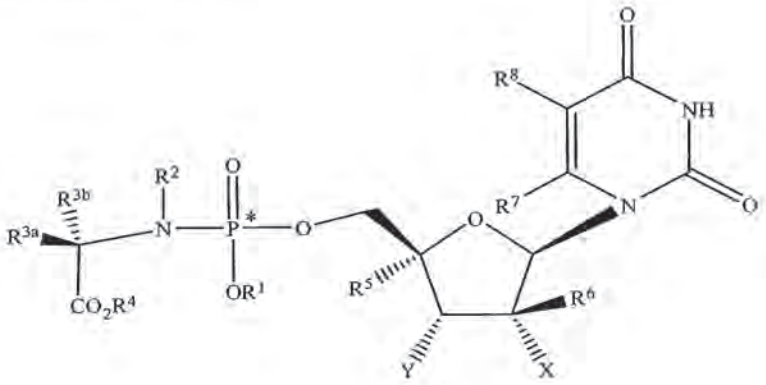
(f) R^6 is H, CH_3, CH_2F, CHF_2, CF_3, F, or CN;

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

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

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

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



I-4

wherein

(a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or unsubstituted phenyl, where the substitutent of the substituted phenyl is at

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least one of a CH_3, OCH_3, F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is 1-3;

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

wherein

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

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

(e) R^5 is H;

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

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

(e) R^5 is H;

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

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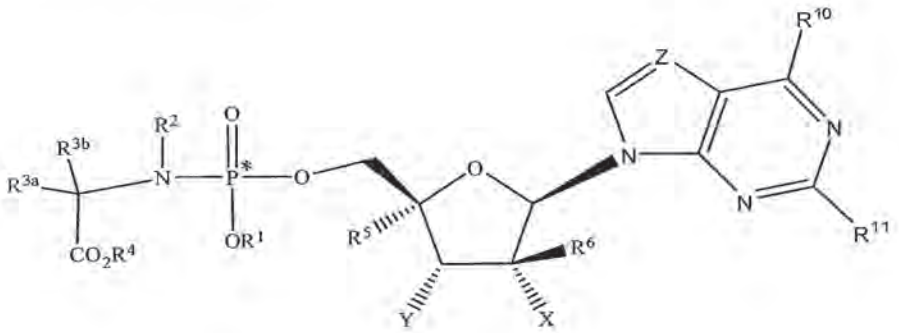
(g) X is H, OH, OCH_3, F, or N_3;

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

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

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

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



I-5

wherein

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

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(b) R^2 is hydrogen or CH_3;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_eCOR^{3''}$, 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 from H, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph, CH_2-indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H, $CH_2C(O)NH_2$, CH_2CH_2COOH, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2-imidazol-4-yl, CH_2OH, $CH(OH)CH_3$, CH_2((4'-OH)-Ph), CH_2SH, or lower cycloalkyl; or (viii) R^{3a} is CH_3, $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph, CH_2-indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H, $CH_2C(O)NH_2$, CH_2CH_2COOH, $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2-imidazol-4-yl, CH_2OH, $CH(OH)CH_3$, CH_2((4'-OH)-Ph), CH_2SH, or lower cycloalkyl and R^{3b} is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is –OR' or $-N(R^{3'})_2$);

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

(e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1 -6, including hydroxyl methyl (CH_2OH), CH_2F, N_3, CH_2CN, CH_2NH_2, CH_2NHCH_3, $CH_2N(CH_3)_2$, alkyne (optionally

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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)$_2$;

(i) R^{10} and R^{11} are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH_2, NHR', NR'$_2$, lower alkyl of C_1-C_6, halogenated (F, Cl, Br, I) lower alkyl of C_1-C_6, lower alkenyl of C_2-C_6, halogenated (F, Cl, Br, I) lower alkenyl of C_2-C_6, lower alkynyl of C_2-C_6 such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C_2-C_6, lower alkoxy of C_1-C_6, halogenated (F, Cl, Br, I) lower alkoxy of C_1-C_6, CO_2H, CO_2R', $CONH_2$, CONHR', CONR'$_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2;

wherein R' is an optionally substituted alkyl , which includes, but is not limited to, an optionally substituted C_{1-20} alkyl, an optionally substituted C_{1-10} alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl;

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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(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 proviso that X is OH, R^6 is CH_3 or CH_2F, R^5 cannot be H;

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

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

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

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

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

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

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

A fourth aspect of the third embodiment is directed to a compound represented by formula **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;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

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(b) R^2 is hydrogen;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

(d) R^4 is hydrogen, CH_3, Et, ^{i}Pr, ^{n}Pr, ^{n}Bu, 2-butyl, ^{t}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-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;

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

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

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

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

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

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

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

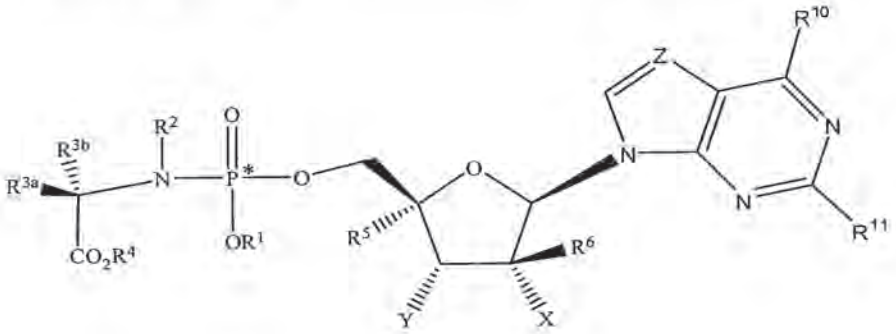
wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'_2, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

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

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

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



I-6

wherein

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

(b) R^2 is hydrogen or CH_3;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, -$(CH_2)_e$($NR^{3'}$)$_2$, 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

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

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

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

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

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

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

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR', NH_2, NHR', NR'$_2$, CO_2R', $CONH_2$, CONHR', CONR'$_2$, CH=$CHCO_2$H, or CH=$CHCO_2$R', with the proviso that when R^{10} is OH and R^{11} is not NH_2;

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

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

R^{12} is an H, halogen (including F, Cl, Br, I), OR', NH_2, NHR', NR'$_2$, NO_2, lower alkyl of C_1-C_6, CO_2R', $CONH_2$, CONHR', CONR'$_2$, CH=$CHCO_2$H, or CH=$CHCO_2$R'.

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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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 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, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph, or lower cycloalkyl;

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower 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),

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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

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

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wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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

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

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wherein

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

(b) R^2 is hydrogen;

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

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

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

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

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

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

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

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

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

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

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

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wherein

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

(b) R^2 is hydrogen;

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

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

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

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

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

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

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

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

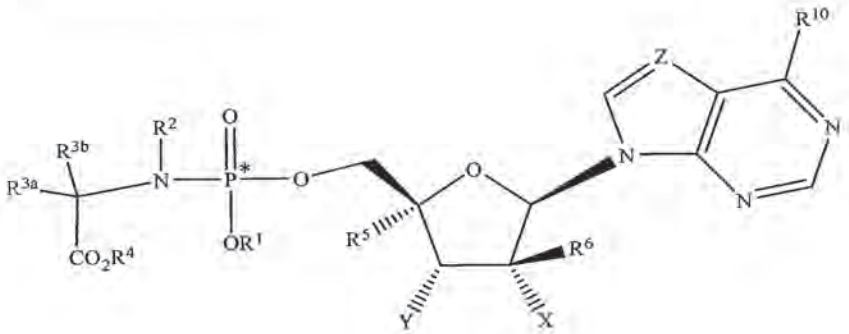
(j) Z is N or CR^{12}; and

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

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

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



I-7

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower 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;

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

R^{12} is 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 fourth aspect of the fourth embodiment is directed to a compound represented by formula **I-7**

wherein

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

(b) R^2 is hydrogen;

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

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

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

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

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

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

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

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of 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 fifth aspect of the fourth embodiment is directed to a compound represented by formula **I-7**

wherein

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

(b) R^2 is hydrogen;

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

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

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

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

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

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

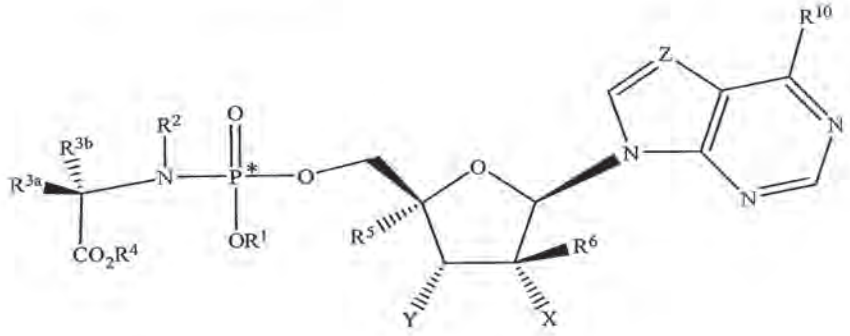
(i) R^{10} is H, F, Br, I, OH, OR', NH_2, NHR', NR'$_2$, CO_2R', $CONH_2$, CONHR', CONR'$_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$,

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

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

R^{12} is 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

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

(b) R^2 is hydrogen or CH_3;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_eCOR^{3''}$, 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, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is –OR' or $-N(R^{3'})_2$);

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

(i) R^{10} is H, F, Br, I, OH, OR', NH_2, NHR', NR'$_2$, CO_2R', $CONH_2$, CONHR', CONR'$_2$, CH=$CHCO_2$H, or CH=$CHCO_2$R',

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

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

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

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

(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;

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

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

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

(d) R^4 is hydrogen, CH_3, Et, ^{i}Pr, ^{n}Pr, ^{n}Bu, 2-butyl, ^{t}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-

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

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

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

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

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

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

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

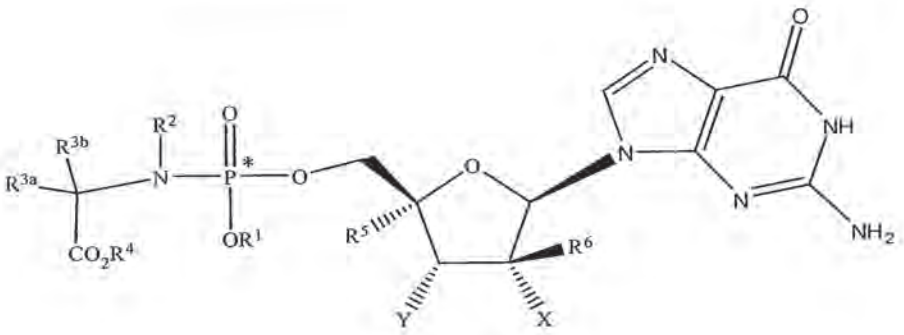
(j) Z is N or CR^{12}; and

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

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

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

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I-9

wherein

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

(b) R^2 is hydrogen or CH_3;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_eCOR^{3''}$, 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, $-CH_2CH_2SCH_3$,

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

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

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

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

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

(h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3, CN, Cl, Br, F, I, NO_2, $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2, $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)$_2$, $N(C_{1-18}$ acyl)$_2$,

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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, ^{t}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

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

A third aspect of the 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, or lower cycloalkyl;

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

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

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

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

(h) Y is H, OH, CH_3, F, Cl, Br, I, NH_2 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**

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;

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

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

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

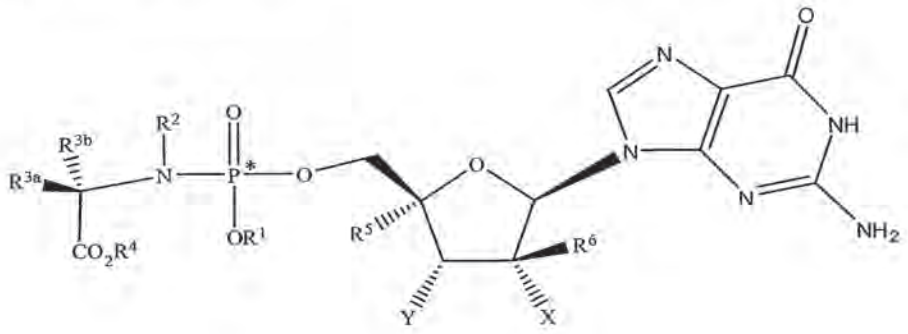
(f) R^6 is H, CH_3, CH_2F, CHF_2, CF_3, or F;

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

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

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



I-10

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen or CH_3;

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

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

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

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

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

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

A ninth aspect of the fifth embodiment is directed to a compound

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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, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph, or lower cycloalkyl;

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

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

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

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

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

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

wherein

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

(b) R^2 is hydrogen;

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

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

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

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

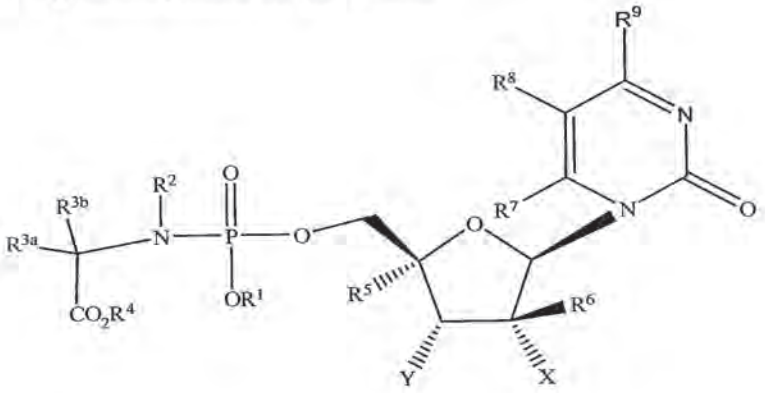
(g) X is H, OH, OCH_3, F, NH_2 or N_3;

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

The following tables contain numeric identifiers associated with various substituent designators that should be viewed in light of the accompanying structure. These structures are contemplated species of the various aspects of the disclosed embodiments and are not intended to be limiting on full breadth of the contemplated compound represented by the structure of formula **I**. However, it is contemplated that any one of the exemplified nucleoside bases can be used in combination with any one of contemplated species that specify a particular combination of R^1, R^2, R^{3a}, R^{3b}, R^4, R^5, R^6, X, and Y. In each of the presented tables, the 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 contemplated that the compounds recited below also embody compounds in which R^{3a} projects away from the viewer while R^{3b} projects towards the viewer. Not meant to be limiting, however, it is contemplated that preferred compounds are those in which R^{3a} projects towards the viewer and R^{3b} projects away from the viewer such that the natural L-amino acid (S)-configuration is presented. Additionally, the inventors recognize that the phosphorus atom of the phosphoramidate moiety is another source of chirality. Although the structures below do not specifically depict chirality at phosphorus, the inventors recognize that stereochemical configurations are possible such that in a staggered (or zig-

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zag) line structure the oxo-substitutent projects towards the viewer while the OR^1 substitutent projects away from the viewer, and vice versa, i.e., where the Cahn-Ingold-Prelog stereochemical designation of phosphorous is either R or S. Therefore, the structures below include all possible stereochemical configurations possible for phosphorus.



II

Table II-1.

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

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

Table II-2.

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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-2-8	Et	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-3.

№	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}Pr	H	H	H	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-3-2	^{i}Pr	H	H	CH_3	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-3-3	^{i}Pr	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-3-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-3-8	^{i}Pr	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-4.

№	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	^{t}Bu	H	H	H	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-4-2	^{t}Bu	H	H	CH_3	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-4-3	^{t}Bu	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-4-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-4-8	^{t}Bu	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-5.

№	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
II-5-3	Ph	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-5-4	Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-5-5	Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-5-8	Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-6.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-6-8	p-Me-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-7.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-7-20	p-F-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-8.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-8-8	p-Cl-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-9.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-9-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-9-20	p-Br-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-10.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H	NH_2
II-10-8	p-I-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H	NH_2

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

Table II-11.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-11-3	CH_3	H	H	$CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-11-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-11-5	CH_3	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-11-8	CH_3	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-12.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-12-5	Et	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-12-8	Et	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-13.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-13-8	^{i}Pr	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-14.

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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-14-3	^{t}Bu	H	H	$CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-14-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-14-8	^{t}Bu	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-15.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-15-5	Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-15-8	Ph	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-16.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
16-7												
II-16-8	p-Me-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-17.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-17-8	p-F-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-18.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-	p-Cl-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
18-5												
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	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-18-8	p-Cl-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-19.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-19-8	p-Br-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2

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

Table II-20.

№	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-	p-I-Ph	H	H	$CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-20-3	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H	NH_2
II-20-4	p-I-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H	NH_2
II-20-5	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H	NH_2
II-20-6	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H	NH_2
II-20-7	p-I-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H	NH_2
II-20-8	p-I-Ph											

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

Table II-21.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-21-8	CH_3	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

Table II-22.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-22-8	Et	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

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Table II-23.

№	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}Pr	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-23-8	^{i}Pr	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

Table II-24.

№	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	^{t}Bu	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-24-2	^{t}Bu	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-24-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-24-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-24-8	^{t}Bu	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

Table II-25.

№	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}Pr	H	CH_3	OH	OH	H	H	NH_2
II-25-2	Ph	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-25-3	Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-25-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-25-8	Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

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Table II-26.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

Table II-27.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-27-8	p-F-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

Table II-28.

№	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
II-28-2	p-Cl-Ph	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-28-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-28-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

Table II-29.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

Table II-30.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2
II-30-8	p-I-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H	NH_2

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

Table II-31.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-31-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-31-5	CH_3	H	H	CH_2Ph	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-31-6	CH_3	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-31-8	CH_3	*	H	*	^nBu	H	CH_3	OH	OH	H	H	NH_2

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

Table II-32.

№	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	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-32-2	Et	H	H	CH_3	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-32-3	Et	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-32-4	Et	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-32-5	Et	H	H	CH_2Ph	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-32-6	Et	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-32-7	Et	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-32-8	Et	*	H	*	^nBu	H	CH_3	OH	OH	H	H	NH_2

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

Table II-33.

№	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	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-33-2	^{i}Pr	H	H	CH_3	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-33-3	^{i}Pr	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-33-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-33-5	^{i}Pr	H	H	CH_2Ph	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-33-8	^{i}Pr	*	H	*	^nBu	H	CH_3	OH	OH	H	H	NH_2

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

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Table II-34.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-34-8	^{t}Bu	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2

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

Table II-35.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-35-8	Ph	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2

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

Table II-36.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-	p-	H	H	CH_2-indol-3-	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
36-6	Me-Ph			yl								
II-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-36-8	p-Me-Ph	*	H	*	^nBu	H	CH_3	OH	OH	H	H	NH_2

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

Table II-37.

№	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	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-37-2	p-F-Ph	H	H	CH_3	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-37-3	p-F-Ph	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-37-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-37-5	p-F-Ph	H	H	CH_2Ph	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-37-8	p-F-Ph	*	H	*	^nBu	H	CH_3	OH	OH	H	H	NH_2

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

Table II-38.

№	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	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-38-2	p-Cl-Ph	H	H	CH_3	^nBu	H	CH_3	OH	OH	H	H	NH_2
II-38-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-38-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-38-5	p-Cl-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-38-8	p-Cl-Ph	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2

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

Table II-39.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-39-5	p-Br-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{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

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

Table II-40.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H	NH_2
II-40-5	p-I-Ph	H	H	CH_2Ph	^{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	$CH_2CH_2SCH_3$	^{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

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

Table II-41.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-41-5	CH_3	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2
II-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-41-8	CH_3	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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

Table II-42.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-42-5	Et	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-42-8	Et	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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

Table II-43.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-43-5	^{i}Pr	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2
II-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-43-8	^{i}Pr	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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

Table II-44.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2
II-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-44-8	^{t}Bu	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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

Table II-45.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-45-5	Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2
II-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
II-45-8	Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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

Table II-46.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2
II-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-46-8	p-Me-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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

Table II-47.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-47-5	p-F-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-47-	p-F-Ph	H	H	CH_2-indol-3-	Bz	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
6				yl								
II-47-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-47-8	p-F-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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

Table II-48.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2
II-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-48-8	p-Cl-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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

Table II-49.

№	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-	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
49-4												
II-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2
II-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-49-8	p-Br-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

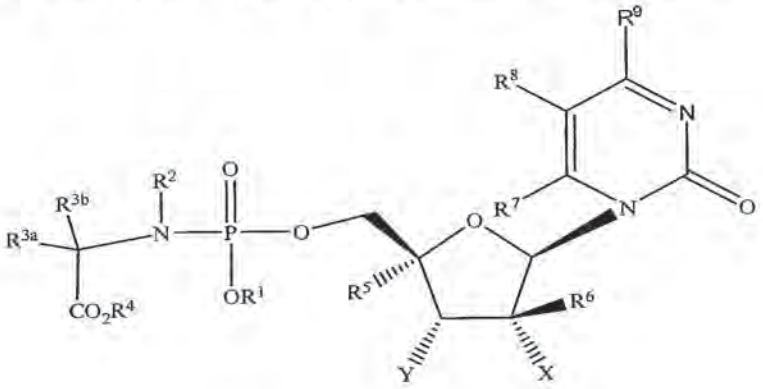
*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table II-50.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H	NH_2
II-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H	NH_2
II-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H	NH_2
II-50-8	p-I-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H	NH_2

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



III

Table III-1.

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

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

Table III-2.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-2-5	Et	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
III-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-2-8	Et	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

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Table III-3.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
III-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-3-8	^{i}Pr	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

Table III-4.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
III-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-4-8	^{t}Bu	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

Table III-5.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-5-5	Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
III-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-5-8	Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

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Table III-6.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
III-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-6-8	p-Me-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

Table III-7.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
III-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-7-	p-F-	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

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

Table III-8.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
III-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-8-8	p-Cl-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

Table III-9.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-9-	p-Br-Ph	H	H	CH_2-indol-3-	CH_3	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
7				yl								
III-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-9-20	p-Br-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

Table III-10.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
III-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
III-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
III-10-8	p-I-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

Table III-11.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2
III-11-5	CH_3	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2
III-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-11-8	CH_3	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2

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

Table III-12.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2
III-12-5	Et	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2
III-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2
III-12-8	Et	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2

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

Table III-13.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2
III-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2
III-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2
III-13-8	^{i}Pr	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2

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

Table III-14.

№	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-	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-14-4	^{t}Bu	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2
III-14-5	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-14-6	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2
III-14-7	^{t}Bu	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2
III-14-8												

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

Table III-15.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2
III-15-5	Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2
III-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2
III-15-8	Ph	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2

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

Table III-16.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2
III-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2
III-16-8	p-Me-Ph	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2

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

Table III-17.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2
III-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2
III-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2
III-17-8	p-F-Ph	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2

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

Table III-18.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-18-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2
III-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2
III-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2
III-18-8	p-Cl-Ph	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2

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

Table III-19.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H	NH_2
III-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H	NH_2
III-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H	NH_2
III-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H	NH_2
III-19-8	p-Br-Ph	*	H	*	Et	H	CH_3	F	OH	H	H	NH_2

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

Table III-20.

№	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

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

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

Table III-21.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-21-8	CH_3	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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

Table III-22.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-22-8	Et	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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

Table III-23.

№	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}Pr	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-23-8	^{i}Pr	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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

Table III-24.

№	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	^{t}Bu	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-24-2	^{t}Bu	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-24-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-24-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-24-8	^{t}Bu	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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

Table III-25.

№	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}Pr	H	CH_3	F	OH	H	H	NH_2
III-25-2	Ph	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-25-3	Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-25-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-25-8	Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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

Table III-26.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-26-1	p-Me-Ph	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-26-2	p-Me-Ph	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-26-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-26-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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

Table III-27.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-27-	p-F-Ph	H	H	CH_2-indol-3-	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
6				yl								
III-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-27-8	p-F-Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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

Table III-28.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2
III-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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

Table III-29.

№	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-	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-29-4	p-Br-Ph	H	H	CH_2Ph	^iPr	H	CH_3	F	OH	H	H	NH_2
III-29-5	p-Br-Ph	H	H	CH_2-indol-3-yl	^iPr	H	CH_3	F	OH	H	H	NH_2
III-29-6	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^iPr	H	CH_3	F	OH	H	H	NH_2
III-29-7	p-Br-Ph	*	H	*	^iPr	H	CH_3	F	OH	H	H	NH_2

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

Table III-30.

№	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	^iPr	H	CH_3	F	OH	H	H	NH_2
III-30-2	p-I-Ph	H	H	CH_3	^iPr	H	CH_3	F	OH	H	H	NH_2
III-30-3	p-I-Ph	H	H	$CH(CH_3)_2$	^iPr	H	CH_3	F	OH	H	H	NH_2
III-30-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^iPr	H	CH_3	F	OH	H	H	NH_2
III-30-5	p-I-Ph	H	H	CH_2Ph	^iPr	H	CH_3	F	OH	H	H	NH_2
III-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^iPr	H	CH_3	F	OH	H	H	NH_2
III-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^iPr	H	CH_3	F	OH	H	H	NH_2
III-30-8	p-I-Ph	*	H	*	^iPr	H	CH_3	F	OH	H	H	NH_2

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

Table III-31.

№	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	^nBu	H	CH_3	F	OH	H	H	NH_2
III-31-2	CH_3	H	H	CH_3	^nBu	H	CH_3	F	OH	H	H	NH_2
III-31-3	CH_3	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-31-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-31-8	CH_3	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H	NH_2

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

Table III-32.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-32-8	Et	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H	NH_2

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

Table III-33.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-33-8	^{i}Pr	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H	NH_2

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

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Table III-34.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-34-8	^{t}Bu	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H	NH_2

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

Table III-35.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-35-8	Ph	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H	NH_2

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

Table III-36.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-	p-Me-Ph	H	H	CH_2-indol-3-	^{n}Bu	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
36-6				yl								
III-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-36-8	p-Me-Ph	*	H	*	^nBu	H	CH_3	F	OH	H	H	NH_2

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

Table III-37.

№	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	^nBu	H	CH_3	F	OH	H	H	NH_2
III-37-2	p-F-Ph	H	H	CH_3	^nBu	H	CH_3	F	OH	H	H	NH_2
III-37-3	p-F-Ph	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-37-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-37-5	p-F-Ph	H	H	CH_2Ph	^nBu	H	CH_3	F	OH	H	H	NH_2
III-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	F	OH	H	H	NH_2
III-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-37-8	p-F-Ph	*	H	*	^nBu	H	CH_3	F	OH	H	H	NH_2

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

Table III-38.

№	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	^nBu	H	CH_3	F	OH	H	H	NH_2
III-38-2	p-Cl-Ph	H	H	CH_3	^nBu	H	CH_3	F	OH	H	H	NH_2
III-38-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
38-4												
III-38-5	p-Cl-Ph	H	H	CH_2Ph	^nBu	H	CH_3	F	OH	H	H	NH_2
III-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	F	OH	H	H	NH_2
III-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-38-8	p-Cl-Ph	*	H	*	^nBu	H	CH_3	F	OH	H	H	NH_2

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

Table III-39.

№	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	^nBu	H	CH_3	F	OH	H	H	NH_2
III-39-2	p-Br-Ph	H	H	CH_3	^nBu	H	CH_3	F	OH	H	H	NH_2
III-39-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-39-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-39-5	p-Br-Ph	H	H	CH_2Ph	^nBu	H	CH_3	F	OH	H	H	NH_2
III-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	F	OH	H	H	NH_2
III-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	F	OH	H	H	NH_2
III-39-8	p-Br-Ph	*	H	*	^nBu	H	CH_3	F	OH	H	H	NH_2

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

Table III-40.

№	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	^nBu	H	CH_3	F	OH	H	H	NH_2
III-40-	p-I-Ph	H	H	CH_3	^nBu	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
2												
III-40-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-40-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-40-5	p-I-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H	NH_2
III-40-8	p-I-Ph	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H	NH_2

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

Table III-41.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-41-5	CH_3	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2
III-41-8	CH_3	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

Table III-42.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-42-5	Et	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
III-42-8	Et	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

Table III-43.

№	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}Pr	H	H	H	Bz	H	CH_3	F	OH	H	H	NH_2
III-43-2	^{i}Pr	H	H	CH_3	Bz	H	CH_3	F	OH	H	H	NH_2
III-43-3	^{i}Pr	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-43-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-43-5	^{i}Pr	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2
III-43-8	^{i}Pr	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

Table III-44.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2
III-44-8	^{t}Bu	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

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Table III-45.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-45-5	Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2
III-45-8	Ph	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

Table III-46.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2
III-46-8	p-Me-Ph	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

Table III-47.

№	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-	p-F-Ph	H	H	CH_3	Bz	H	CH_3	F	OH	H	H	NH_2

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

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

Table III-48.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2
III-48-8	p-Cl-Ph	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

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Table III-49.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2
III-49-8	p-Br-Ph	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

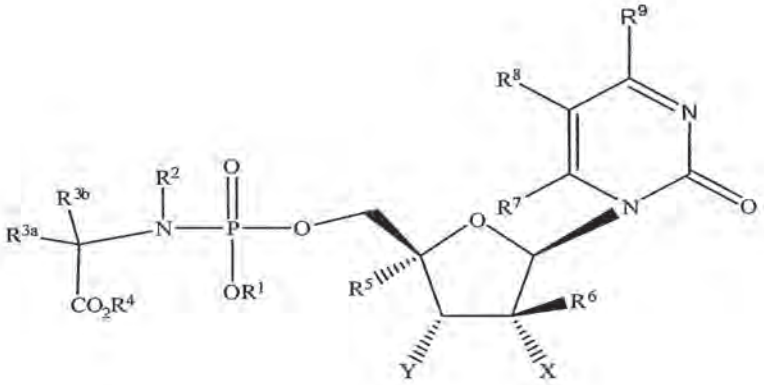
Table III-50.

№	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	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H	NH_2
III-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H	NH_2
III-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H	NH_2
III-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H	NH_2
III-50-	p-I-	*	H	*	Bz	H	CH_3	F	OH	H	H	NH_2

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

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



IV

Table IV-1.

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

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

Table IV-2.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-2-5	Et	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H	NH_2
IV-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H	NH_2
IV-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-2-8	Et	*	H	*	CH_3	H	F	F	OH	H	H	NH_2

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

Table IV-3.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H	NH_2
IV-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H	NH_2
IV-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H	NH_2
IV-3-8	^{i}Pr	*	H	*	CH_3	H	F	F	OH	H	H	NH_2

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

Table IV-4.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H	NH_2
IV-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H	NH_2
IV-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H	NH_2
IV-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H	NH_2
IV-4-8	^{t}Bu	*	H	*	CH_3	H	CH_3	F	OH	H	H	NH_2

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

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Table IV-5.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-5-5	Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H	NH_2
IV-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H	NH_2
IV-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H	NH_2
IV-5-8	Ph	*	H	*	CH_3	H	F	F	OH	H	H	NH_2

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

Table IV-6.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H	NH_2
IV-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H	NH_2
IV-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H	NH_2
IV-6-8	p-Me-Ph	*	H	*	CH_3	H	F	F	OH	H	H	NH_2

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

Table IV-7.

№	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-	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-7-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H	NH_2
IV-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H	NH_2
IV-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H	NH_2
IV-7-20	p-F-Ph	*	H	*	CH_3	H	F	F	OH	H	H	NH_2

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

Table IV-8.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H	NH_2
IV-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H	NH_2
IV-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H	NH_2
IV-8-8	p-Cl-Ph	*	H	*	CH_3	H	F	F	OH	H	H	NH_2

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

Table IV-9.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-9-3	p-Br-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-9-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H	NH_2
IV-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H	NH_2
IV-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H	NH_2
IV-9-20	p-Br-Ph	*	H	*	CH_3	H	F	F	OH	H	H	NH_2

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

Table IV-10.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H	NH_2
IV-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H	NH_2
IV-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H	NH_2
IV-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H	NH_2
IV-10-8	p-I-Ph	*	H	*	CH_3	H	F	F	OH	H	H	NH_2

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

Table IV-11.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-11-3	CH_3	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-11-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-11-5	CH_3	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2
IV-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-11-8	CH_3	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-12.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-12-5	Et	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2
IV-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-12-8	Et	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-13.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2
IV-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-13-8	^{i}Pr	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-14.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-14-1	^{t}Bu	H	H	H	Et	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-14-2	^{t}Bu	H	H	CH_3	Et	H	F	F	OH	H	H	NH_2
IV-14-3	^{t}Bu	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-14-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2
IV-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-14-8	^{t}Bu	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-15.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-15-5	Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2
IV-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-15-8	Ph	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-16.

№	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-	p-Me-Ph	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-16-3	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-16-4	p-Me-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2
IV-16-5	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-16-6	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-16-7	p-Me-Ph	*	H	*	Et	H	F	F	OH	H	H	NH_2
IV-16-8	p-Me-Ph											

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

Table IV-17.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2
IV-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-17-8	p-F-Ph	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-18.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-18-	p-Cl-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-18-5	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-18-6	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-18-7	p-Cl-Ph	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-19.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2
IV-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-19-8	p-Br-Ph	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-20.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H	NH_2
IV-20-5	p-I-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H	NH_2
IV-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H	NH_2
IV-20-8	p-I-Ph	*	H	*	Et	H	F	F	OH	H	H	NH_2

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

Table IV-21.

№	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}Pr	H	F	F	OH	H	H	NH_2
IV-21-2	CH_3	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-21-3	CH_3	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-21-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-21-8	CH_3	*	H	*	^{i}Pr	H	F	F	OH	H	H	NH_2

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

Table IV-22.

№	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}Pr	H	F	F	OH	H	H	NH_2
IV-22-2	Et	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-22-3	Et	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-22-4	Et	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-22-8	Et	*	H	*	^{i}Pr	H	F	F	OH	H	H	NH_2

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

Table IV-23.

№	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}Pr	H	H	H	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-23-8	^{i}Pr	*	H	*	^{i}Pr	H	F	F	OH	H	H	NH_2

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

Table IV-24.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-24-8	^{t}Bu	*	H	*	^{i}Pr	H	F	F	OH	H	H	NH_2

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

Table IV-25.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-25-8	Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H	NH_2

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

Table IV-26.

№	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-	p-Me-	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
4	Ph											
IV-26-5	p-Me-Ph	H	H	CH_2Ph	^iPr	H	F	F	OH	H	H	NH_2
IV-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^iPr	H	F	F	OH	H	H	NH_2
IV-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^iPr	H	F	F	OH	H	H	NH_2
IV-26-8	p-Me-Ph	*	H	*	^iPr	H	F	F	OH	H	H	NH_2

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

Table IV-27.

№	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	^iPr	H	F	F	OH	H	H	NH_2
IV-27-2	p-F-Ph	H	H	CH_3	^iPr	H	F	F	OH	H	H	NH_2
IV-27-3	p-F-Ph	H	H	$CH(CH_3)_2$	^iPr	H	F	F	OH	H	H	NH_2
IV-27-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^iPr	H	F	F	OH	H	H	NH_2
IV-27-5	p-F-Ph	H	H	CH_2Ph	^iPr	H	F	F	OH	H	H	NH_2
IV-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^iPr	H	F	F	OH	H	H	NH_2
IV-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^iPr	H	F	F	OH	H	H	NH_2
IV-27-8	p-F-Ph	*	H	*	^iPr	H	F	F	OH	H	H	NH_2

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

Table IV-28.

№	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	^iPr	H	F	F	OH	H	H	NH_2
IV-28-2	p-Cl-Ph	H	H	CH_3	^iPr	H	F	F	OH	H	H	NH_2
IV-28-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^iPr	H	F	F	OH	H	H	NH_2
IV-28-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^iPr	H	F	F	OH	H	H	NH_2
IV-28-5	p-Cl-Ph	H	H	CH_2Ph	^iPr	H	F	F	OH	H	H	NH_2
IV-28-	p-Cl-Ph	H	H	CH_2-indol-3-	^iPr	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
6				yl								
IV-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H	NH_2

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

Table IV-29.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H	NH_2

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

Table IV-30.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H	NH_2
IV-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-30-8	p-I-Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H	NH_2

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

Table IV-31.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-31-8	CH_3	*	H	*	^{n}Bu	H	F	F	OH	H	H	NH_2

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

Table IV-32.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-32-8	Et	*	H	*	^{n}Bu	H	F	F	OH	H	H	NH_2

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

Table IV-33.

№	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}Pr	H	H	H	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-33-2	^{i}Pr	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-33-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-33-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-33-8	^{i}Pr	*	H	*	^{n}Bu	H	F	F	OH	H	H	NH_2

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

Table IV-34.

№	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	^{t}Bu	H	H	H	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-34-2	^{t}Bu	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-34-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-34-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-34-8	^{t}Bu	*	H	*	^{n}Bu	H	F	F	OH	H	H	NH_2

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

Table IV-35.

№	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	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-35-2	Ph	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-35-3	Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-35-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-35-8	Ph	*	H	*	^{n}Bu	H	F	F	OH	H	H	NH_2

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

Table IV-36.

№	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-Me-Ph	H	H	H	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-36-2	p-Me-Ph	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-36-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-36-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-36-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-36-8	p-Me-Ph	*	H	*	^{n}Bu	H	F	F	OH	H	H	NH_2

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

Table IV-37.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-37-5	p-F-Ph	H	H	CH_2Ph	^{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	$CH_2CH_2SCH_3$	^{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

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

Table IV-38.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-38-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-38-5	p-Cl-Ph	H	H	CH_2Ph	^{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	$CH_2CH_2SCH_3$	^{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

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

Table IV-39.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-39-5	p-Br-Ph	H	H	CH_2Ph	^{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	$CH_2CH_2SCH_3$	^{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

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

Table IV-40.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H	NH_2
IV-40-5	p-I-Ph	H	H	CH_2Ph	^{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	$CH_2CH_2SCH_3$	^{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

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

Table IV-41.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-41-5	CH_3	H	H	CH_2Ph	Bz	H	F	F	OH	H	H	NH_2
IV-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H	NH_2
IV-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-41-8	CH_3	*	H	*	Bz	H	F	F	OH	H	H	NH_2

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

Table IV-42.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-42-5	Et	H	H	CH_2Ph	Bz	H	F	F	OH	H	H	NH_2
IV-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-42-8	Et	*	H	*	Bz	H	F	F	OH	H	H	NH_2

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

Table IV-43.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-43-5	^{i}Pr	H	H	CH_2Ph	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	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-43-8	^{i}Pr	*	H	*	Bz	H	F	F	OH	H	H	NH_2

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

Table IV-44.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-44-5	^{t}Bu	H	H	CH_2Ph	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	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-44-8	^{t}Bu	*	H	*	Bz	H	F	F	OH	H	H	NH_2

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

Table IV-45.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-45-5	Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H	NH_2
IV-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H	NH_2
IV-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-45-8	Ph	*	H	*	Bz	H	F	F	OH	H	H	NH_2

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

Table IV-46.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H	NH_2
IV-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H	NH_2
IV-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-46-8	p-Me-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH_2

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

Table IV-47.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-47-5	p-F-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H	NH_2
IV-47-	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
IV-47-6	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-47-7	p-F-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH_2
IV-47-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2

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

Table IV-48.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H	NH_2
IV-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H	NH_2
IV-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-48-8	p-Cl-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH_2

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

Table IV-49.

№	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-	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
4												
IV-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H	NH_2
IV-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H	NH_2
IV-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-49-8	p-Br-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH_2

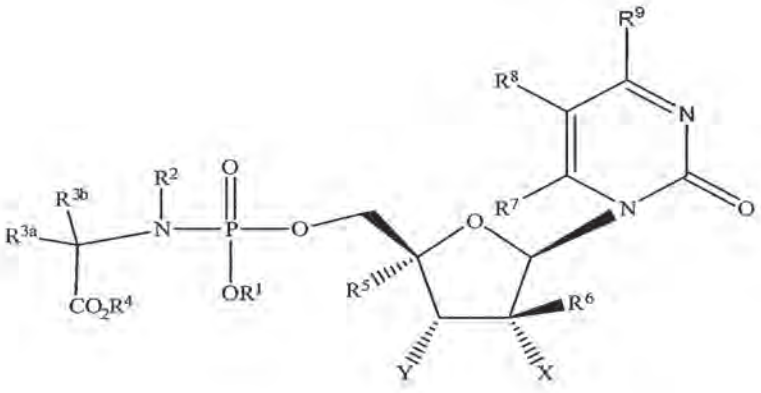
*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IV-50.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H	NH_2
IV-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H	NH_2
IV-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H	NH_2
IV-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H	NH_2
IV-50-8	p-I-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH_2

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

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V

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Table V-1.

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

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

Table V-2.

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

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

Table V-3.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H	NH_2
V-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H	NH_2
V-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H	NH_2
V-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H	NH_2
V-3-8	^{i}Pr	*	H	*	CH_3	H	H	F	OH	H	H	NH_2

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

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Table V-4.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H	NH_2
V-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H	NH_2
V-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H	NH_2
V-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H	NH_2
V-4-8	^{t}Bu	*	H	*	CH_3	H	H	F	OH	H	H	NH_2

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

Table V-5.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H	NH_2
V-5-5	Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H	NH_2
V-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H	NH_2
V-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H	NH_2
V-5-8	Ph	*	H	*	CH_3	H	H	F	OH	H	H	NH_2

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

Table V-6.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H	NH_2
V-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H	NH_2
V-6-	p-Me-Ph	H	H	CH_2-indol-3-	CH_3	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
6				yl								
V-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H	NH_2
V-6-8	p-Me-Ph	*	H	*	CH_3	H	H	F	OH	H	H	NH_2

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

Table V-7.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H	NH_2
V-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H	NH_2
V-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H	NH_2
V-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H	NH_2
V-7-20	p-F-Ph	*	H	*	CH_3	H	H	F	OH	H	H	NH_2

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

Table V-8.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H	NH_2
V-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
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	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H	NH_2
V-8-8	p-Cl-Ph	*	H	*	CH_3	H	H	F	OH	H	H	NH_2

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

Table V-9.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H	NH_2
V-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H	NH_2
V-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H	NH_2
V-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H	NH_2
V-9-20	p-Br-Ph	*	H	*	CH_3	H	H	F	OH	H	H	NH_2

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

Table V-10.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H	NH_2
V-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H	NH_2
V-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H	NH_2
V-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H	NH_2
V-10-8	p-I-Ph	*	H	*	CH_3	H	H	F	OH	H	H	NH_2

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

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Table V-11.

№	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	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-11-5	CH_3	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-11-8	CH_3	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-12.

№	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	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-12-5	Et	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-12-8	Et	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-13.

№	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	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-13-8	^{i}Pr	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

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Table V-14.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-14-1	^{t}Bu	H	H	H	Et	H	H	F	OH	H	H	NH_2
V-14-2	^{t}Bu	H	H	CH_3	Et	H	H	F	OH	H	H	NH_2
V-14-3	^{t}Bu	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-14-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-14-8	^{t}Bu	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-15.

№	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	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-15-5	Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-15-8	Ph	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-16.

№	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	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-16-8	p-Me-Ph	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-17.

№	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	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-17-8	p-F-Ph	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-18.

№	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	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-18-8	p-Cl-Ph	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-19.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-19-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-19-8	p-Br-Ph	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-20.

№	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	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H	NH_2
V-20-5	p-I-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H	NH_2
V-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H	NH_2
V-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H	NH_2
V-20-8	p-I-Ph	*	H	*	Et	H	H	F	OH	H	H	NH_2

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

Table V-21.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-21-8	CH_3	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

Table V-22.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-22-8	Et	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

Table V-23.

№	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}Pr	H	H	H	^{i}Pr	H	H	F	OH	H	H	NH_2
V-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H	NH_2
V-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-23-8	^{i}Pr	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

Table V-24.

№	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	^{t}Bu	H	H	H	^{i}Pr	H	H	F	OH	H	H	NH_2
V-24-2	^{t}Bu	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H	NH_2
V-24-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-24-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-24-8	^{t}Bu	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

Table V-25.

№	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}Pr	H	H	F	OH	H	H	NH_2
V-25-2	Ph	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H	NH_2
V-25-3	Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-25-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-25-8	Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

Table V-26.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

Table V-27.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-27-8	p-F-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

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Table V-28.

№	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
V-28-2	p-Cl-Ph	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H	NH_2
V-28-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-28-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

Table V-29.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

Table V-30.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H	NH_2
V-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H	NH_2
V-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H	NH_2
V-30-8	p-I-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H	NH_2

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

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Table V-31.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H	NH_2
V-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H	NH_2
V-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-31-8	CH_3	*	H	*	^{n}Bu	H	H	F	OH	H	H	NH_2

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

Table V-32.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-32-1	Et	H	H	H	^{n}Bu	H	H	F	OH	H	H	NH_2
V-32-2	Et	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H	NH_2
V-32-3	Et	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-32-4	Et	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H	NH_2
V-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H	NH_2
V-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-32-8	Et	*	H	*	^{n}Bu	H	H	F	OH	H	H	NH_2

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

Table V-33.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H	NH_2
V-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H	NH_2
V-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-33-8	^{i}Pr	*	H	*	^{n}Bu	H	H	F	OH	H	H	NH_2

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

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Table V-34.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H	NH_2
V-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H	NH_2
V-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-34-8	^{t}Bu	*	H	*	^{n}Bu	H	H	F	OH	H	H	NH_2

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

Table V-35.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H	NH_2
V-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H	NH_2
V-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-35-8	Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H	NH_2

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

Table V-36.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H	NH_2
V-36-	p-Me-Ph	H	H	CH_2-indol-3-	^{n}Bu	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
6				yl								
V-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-36-8	p-Me-Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H	NH_2

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

Table V-37.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-37-5	p-F-Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H	NH_2
V-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H	NH_2
V-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-37-8	p-F-Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H	NH_2

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

Table V-38.

№	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-	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-38-4	p-Cl-Ph	H	H	CH_2Ph	^nBu	H	H	F	OH	H	H	NH_2
V-38-5	p-Cl-Ph	H	H	CH_2-indol-3-yl	^nBu	H	H	F	OH	H	H	NH_2
V-38-6	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	H	F	OH	H	H	NH_2
V-38-7	p-Cl-Ph	*	H	*	^nBu	H	H	F	OH	H	H	NH_2
V-38-8	p-Cl-Ph	H	H	H	^nBu	H	H	F	OH	H	H	NH_2

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

Table V-39.

№	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	^nBu	H	H	F	OH	H	H	NH_2
V-39-2	p-Br-Ph	H	H	CH_3	^nBu	H	H	F	OH	H	H	NH_2
V-39-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^nBu	H	H	F	OH	H	H	NH_2
V-39-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	H	F	OH	H	H	NH_2
V-39-5	p-Br-Ph	H	H	CH_2Ph	^nBu	H	H	F	OH	H	H	NH_2
V-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^nBu	H	H	F	OH	H	H	NH_2
V-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	H	F	OH	H	H	NH_2
V-39-8	p-Br-Ph	*	H	*	^nBu	H	H	F	OH	H	H	NH_2

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

Table V-40.

№	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	^nBu	H	H	F	OH	H	H	NH_2
V-40-2	p-I-Ph	H	H	CH_3	^nBu	H	H	F	OH	H	H	NH_2
V-40-3	p-I-Ph	H	H	$CH(CH_3)_2$	^nBu	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-40-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-40-5	p-I-Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H	NH_2
V-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H	NH_2
V-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H	NH_2
V-40-8	p-I-Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H	NH_2

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

Table V-41.

№	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	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-41-5	CH_3	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-41-8	CH_3	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

Table V-42.

№	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	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-42-5	Et	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-42-8	Et	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

Table V-43.

№	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}Pr	H	H	H	Bz	H	H	F	OH	H	H	NH_2
V-43-2	^{i}Pr	H	H	CH_3	Bz	H	H	F	OH	H	H	NH_2
V-43-3	^{i}Pr	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-43-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2

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V-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-43-8	^{i}Pr	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

Table V-44.

№	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	^{t}Bu	H	H	H	Bz	H	H	F	OH	H	H	NH_2
V-44-2	^{t}Bu	H	H	CH_3	Bz	H	H	F	OH	H	H	NH_2
V-44-3	^{t}Bu	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-44-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-44-8	^{t}Bu	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

Table V-45.

№	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	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-45-5	Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-45-8	Ph	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

Table V-46.

№	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-	p-Me-	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
4	Ph											
V-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-46-8	p-Me-Ph	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

Table V-47.

№	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	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-47-5	p-F-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-47-6	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-47-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-47-8	p-F-Ph	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

Table V-48.

№	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	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-48-	p-Cl-Ph	H	H	CH_2-indol-3-	Bz	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
6				yl								
V-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-48-8	p-Cl-Ph	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

Table V-49.

№	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	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2
V-49-8	p-Br-Ph	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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

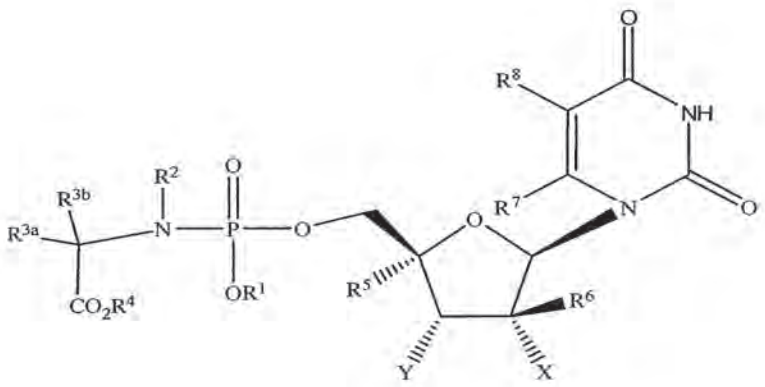
Table V-50.

№	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	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H	NH_2
V-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H	NH_2
V-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H	NH_2
V-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
V-50-8	p-I-Ph	*	H	*	Bz	H	H	F	OH	H	H	NH_2

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



VI

Table VI-1.

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

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

Table VI-2.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-2-5	Et	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-2-8	Et	*	H	*	CH_3	H	F	H	OH	H	H

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

Table VI-3.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H
VI-3-8	^{i}Pr	*	H	*	CH_3	H	F	H	OH	H	H

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

Table VI-4.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H
VI-4-8	^{t}Bu	*	H	*	CH_3	H	F	H	OH	H	H

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

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Table VI-5.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-5-5	Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H
VI-5-8	Ph	*	H	*	CH_3	H	F	H	OH	H	H

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

Table VI-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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H
VI-6-8	p-Me-Ph	*	H	*	CH_3	H	F	H	OH	H	H

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

Table VI-7.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H
VI-7-20	p-F-Ph	*	H	*	CH_3	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VI-8.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H
VI-8-8	p-Cl-Ph	*	H	*	CH_3	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-9.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H
VI-9-20	p-Br-Ph	*	H	*	CH_3	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-10.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-10-1	p-I-Ph	H	H	H	CH_3	H	F	H	OH	H	H
VI-10-2	p-I-Ph	H	H	CH_3	CH_3	H	F	H	OH	H	H
VI-10-3	p-I-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-10-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H
VI-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H
VI-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H
VI-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H
VI-10-8	p-I-Ph	*	H	*	CH_3	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VI-11.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-11-5	CH_3	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-11-8	CH_3	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-12.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-12-5	Et	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-12-8	Et	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-13.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-13-1	^{i}Pr	H	H	H	Et	H	F	H	OH	H	H
VI-13-2	^{i}Pr	H	H	CH_3	Et	H	F	H	OH	H	H
VI-13-3	^{i}Pr	H	H	$CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-13-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-13-8	^{i}Pr	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VI-14.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-14-1	^{t}Bu	H	H	H	Et	H	F	H	OH	H	H
VI-14-2	^{t}Bu	H	H	CH_3	Et	H	F	H	OH	H	H
VI-14-3	^{t}Bu	H	H	$CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-14-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-14-8	^{t}Bu	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-15.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-15-5	Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-15-8	Ph	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-16.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-16-8	p-Me-Ph	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-17.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8

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№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-17-8	p-F-Ph	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-18.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-18-8	p-Cl-Ph	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-19.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-19-8	p-Br-Ph	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-20.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-20-2	p-I-Ph	H	H	CH_3	Et	H	F	H	OH	H	H
VI-20-3	p-I-Ph	H	H	$CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-20-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H
VI-20-5	p-I-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H
VI-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H
VI-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H
VI-20-8	p-I-Ph	*	H	*	Et	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-21.

№	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}Pr	H	F	H	OH	H	H
VI-21-2	CH_3	H	H	CH_3	^{i}Pr	H	F	H	OH	H	H
VI-21-3	CH_3	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-21-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-21-8	CH_3	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-22.

№	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}Pr	H	F	H	OH	H	H
VI-22-2	Et	H	H	CH_3	^{i}Pr	H	F	H	OH	H	H
VI-22-3	Et	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-22-4	Et	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-22-8	Et	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-23.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-23-1	^{i}Pr	H	H	H	^{i}Pr	H	F	H	OH	H	H
VI-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	H	F	H	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-23-8	^{i}Pr	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-24.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-24-8	^{t}Bu	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-25.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-25-8	Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-26.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-26-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-27.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-27-8	p-F-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-28.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-29.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-30.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H
VI-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H
VI-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H
VI-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H
VI-30-8	p-I-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-31.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-31-8	CH_3	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-32.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-32-8	Et	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-33.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-33-1	^{i}Pr	H	H	H	^{n}Bu	H	F	H	OH	H	H
VI-33-2	^{i}Pr	H	H	CH_3	^{n}Bu	H	F	H	OH	H	H
VI-33-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-33-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-33-8	^{i}Pr	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-34.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-34-1	^{t}Bu	H	H	H	^{n}Bu	H	F	H	OH	H	H
VI-34-2	^{t}Bu	H	H	CH_3	^{n}Bu	H	F	H	OH	H	H
VI-34-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-34-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-34-8	^{t}Bu	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-35.

№	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	^{n}Bu	H	F	H	OH	H	H
VI-35-2	Ph	H	H	CH_3	^{n}Bu	H	F	H	OH	H	H
VI-35-3	Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-35-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-35-8	Ph	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-36.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-36-1	p-Me-Ph	H	H	H	^{n}Bu	H	F	H	OH	H	H
VI-36-2	p-Me-Ph	H	H	CH_3	^{n}Bu	H	F	H	OH	H	H
VI-36-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-36-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-36-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-36-8	p-Me-Ph	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-37.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-37-5	p-F-Ph	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-37-8	p-F-Ph	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VI-38.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-38-5	p-Cl-Ph	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-38-8	p-Cl-Ph	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-39.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-39-5	p-Br-Ph	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-39-8	p-Br-Ph	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-40.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H
VI-40-5	p-I-Ph	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H
VI-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H
VI-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H
VI-40-8	p-I-Ph	*	H	*	^{n}Bu	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VI-41.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VI-41-1	CH_3	H	H	H	Bz	H	F	H	OH	H	H
VI-41-2	CH_3	H	H	CH_3	Bz	H	F	H	OH	H	H
VI-41-3	CH_3	H	H	$CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-41-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-41-5	CH_3	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-41-8	CH_3	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-42.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-42-5	Et	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-42-8	Et	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-43.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-43-5	^{i}Pr	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-43-8	^{i}Pr	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VI-44.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-44-8	^{t}Bu	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-45.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-45-5	Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-45-8	Ph	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-46.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-46-8	p-Me-Ph	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VI-47.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-47-5	p-F-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-47-6	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-47-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-47-8	p-F-Ph	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-48.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-48-8	p-Cl-Ph	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VI-49.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-49-8	p-Br-Ph	*	H	*	Bz	H	F	H	OH	H	H

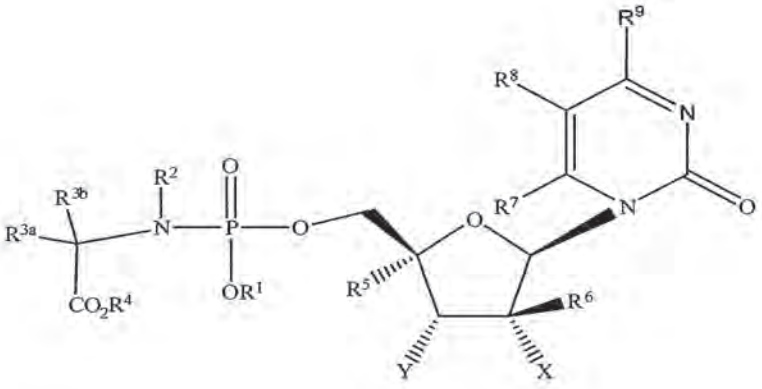
*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VI-50.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H
VI-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H
VI-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H
VI-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H
VI-50-8	p-I-Ph	*	H	*	Bz	H	F	H	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.



VII

Table VII-1.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
VII-1-1	CH_3	H	H	H	CH_3	H	F	H	OH	H	H	NH_2
VII-1-2	CH_3	H	H	CH_3	CH_3	H	F	H	OH	H	H	NH_2
VII-1-3	CH_3	H	H	$CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-1-4	CH_3	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-1-5	CH_3	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-1-6	CH_3	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-1-7	CH_3	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-1-8	CH_3	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-2.

№	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
VII-2-2	Et	H	H	CH_3	CH_3	H	F	H	OH	H	H	NH_2
VII-2-3	Et	H	H	$CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-2-4	Et	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-2-5	Et	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-2-8	Et	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-3.

№	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}Pr	H	H	H	CH_3	H	F	H	OH	H	H	NH_2
VII-3-2	^{i}Pr	H	H	CH_3	CH_3	H	F	H	OH	H	H	NH_2
VII-3-3	^{i}Pr	H	H	$CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-3-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-3-8	^{i}Pr	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-4.

№	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	^{t}Bu	H	H	H	CH_3	H	F	H	OH	H	H	NH_2
VII-4-2	^{t}Bu	H	H	CH_3	CH_3	H	F	H	OH	H	H	NH_2
VII-4-3	^{t}Bu	H	H	$CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-4-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-4-8	^{t}Bu	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-5.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-5-5	Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-5-8	Ph	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-6.

№	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-Me-Ph	H	H	H	CH_3	H	F	H	OH	H	H	NH_2
VII-6-2	p-Me-Ph	H	H	CH_3	CH_3	H	F	H	OH	H	H	NH_2
VII-6-3	p-Me-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-6-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-6-8	p-Me-Ph	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-7.

№	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-	H	H	$CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
VII-7-4	Ph p-F-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-7-20	p-F-Ph	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-8.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-8-8	p-Cl-Ph	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-9.

№	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-	p-Br-Ph	H	H	CH_3	CH_3	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
VII-9-2	p-Br-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-9-3	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-9-4	p-Br-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-9-6	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-9-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-9-8	p-Br-Ph	*	H	*	CH_3	H	F	H	OH	H	H	NH_2
VII-9-20	p-Br-Ph											

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-10.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	F	H	OH	H	H	NH_2
VII-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	F	H	OH	H	H	NH_2
VII-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	H	OH	H	H	NH_2
VII-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	H	OH	H	H	NH_2
VII-10-8	p-I-Ph	*	H	*	CH_3	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-11.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-11-5	CH_3	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-11-8	CH_3	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-12.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-12-5	Et	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-12-8	Et	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-13.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-13-8	^{i}Pr	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-14.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-14-8	^{t}Bu	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-15.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-15-5	Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-15-8	Ph	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-16.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
VII-16-2	p-Me-Ph	H	H	CH_3	Et	H	F	H	OH	H	H	NH_2
VII-16-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-16-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-16-8	p-Me-Ph	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-17.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-17-8	p-F-Ph	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-18.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-18-8	p-Cl-Ph	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-19.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-19-	p-Br-	*	H	*	Et	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
8	Ph											

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-20.

№	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	$CH_2CH(CH_3)_2$	Et	H	F	H	OH	H	H	NH_2
VII-20-5	p-I-Ph	H	H	CH_2Ph	Et	H	F	H	OH	H	H	NH_2
VII-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	F	H	OH	H	H	NH_2
VII-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	H	OH	H	H	NH_2
VII-20-8	p-I-Ph	*	H	*	Et	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-21.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-21-8	CH_3	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-22.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-22-8	Et	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

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*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-23.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-23-8	^{i}Pr	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-24.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-24-8	^{t}Bu	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-25.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-25-8	Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-26.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-27.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-27-	p-F-	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
8	Ph											

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-28.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-29.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-29-	p-Br-Ph	H	H	CH_2-indol-3-	^{i}Pr	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
6				yl								
VII-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-30.

№	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	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	H	OH	H	H	NH_2
VII-30-8	p-I-Ph	*	H	*	^{i}Pr	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-31.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-31-8	CH_3	*	H	*	^{n}Bu	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-32.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-32-8	Et	*	H	*	^{n}Bu	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-33.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-33-8	^{i}Pr	*	H	*	^{n}Bu	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-34.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-34-8	^{t}Bu	*	H	*	^{n}Bu	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-35.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-35-5	Ph	H	H	CH_2Ph	^{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	$CH_2CH_2SCH_3$	^{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

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-36.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-	p-Me-Ph	H	H	CH_2-indol-3-	^{n}Bu	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
36-6				yl								
VII-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{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

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-37.

№	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	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H	NH_2
VII-37-5	p-F-Ph	H	H	CH_2Ph	^{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	$CH_2CH_2SCH_3$	^{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

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-38.

№	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-	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
38-4												
VII-38-5	p-Cl-Ph	H	H	CH_2Ph	^nBu	H	F	H	OH	H	H	NH_2
VII-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^nBu	H	F	H	OH	H	H	NH_2
VII-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	F	H	OH	H	H	NH_2
VII-38-8	p-Cl-Ph	*	H	*	^nBu	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-39.

№	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	^nBu	H	F	H	OH	H	H	NH_2
VII-39-2	p-Br-Ph	H	H	CH_3	^nBu	H	F	H	OH	H	H	NH_2
VII-39-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^nBu	H	F	H	OH	H	H	NH_2
VII-39-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	F	H	OH	H	H	NH_2
VII-39-5	p-Br-Ph	H	H	CH_2Ph	^nBu	H	F	H	OH	H	H	NH_2
VII-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^nBu	H	F	H	OH	H	H	NH_2
VII-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	F	H	OH	H	H	NH_2
VII-39-8	p-Br-Ph	*	H	*	^nBu	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-40.

№	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	^nBu	H	F	H	OH	H	H	NH_2
VII-40-	p-I-Ph	H	H	CH_3	^nBu	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
2												
VII-40-3	p-I-Ph	H	H	$CH(CH_3)_2$	^nBu	H	F	H	OH	H	H	NH_2
VII-40-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	F	H	OH	H	H	NH_2
VII-40-5	p-I-Ph	H	H	CH_2Ph	^nBu	H	F	H	OH	H	H	NH_2
VII-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^nBu	H	F	H	OH	H	H	NH_2
VII-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	F	H	OH	H	H	NH_2
VII-40-8	p-I-Ph	*	H	*	^nBu	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-41.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-41-5	CH_3	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-41-8	CH_3	*	H	*	Bz	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-42.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-42-5	Et	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-42-8	Et	*	H	*	Bz	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-43.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
VII-43-1	^{i}Pr	H	H	H	Bz	H	F	H	OH	H	H	NH_2
VII-43-2	^{i}Pr	H	H	CH_3	Bz	H	F	H	OH	H	H	NH_2
VII-43-3	^{i}Pr	H	H	$CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-43-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-43-5	^{i}Pr	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-43-8	^{i}Pr	*	H	*	Bz	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-44.

№	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	^{t}Bu	H	H	H	Bz	H	F	H	OH	H	H	NH_2
VII-44-2	^{t}Bu	H	H	CH_3	Bz	H	F	H	OH	H	H	NH_2
VII-44-3	^{t}Bu	H	H	$CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-44-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-44-8	^{t}Bu	*	H	*	Bz	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-45.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-45-5	Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-45-8	Ph	*	H	*	Bz	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-46.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-46-8	p-Me-Ph	*	H	*	Bz	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-47.

№	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-	p-F-Ph	H	H	CH_3	Bz	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
VII-47-2	p-F-Ph	H	H	$CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-47-3	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-47-4	p-F-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-47-5	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-47-6	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-47-7	p-F-Ph	*	H	*	Bz	H	F	H	OH	H	H	NH_2
VII-47-8	p-F-Ph											

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VII-48.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-48-8	p-Cl-Ph	*	H	*	Bz	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VII-49.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-49-8	p-Br-Ph	*	H	*	Bz	H	F	H	OH	H	H	NH_2

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

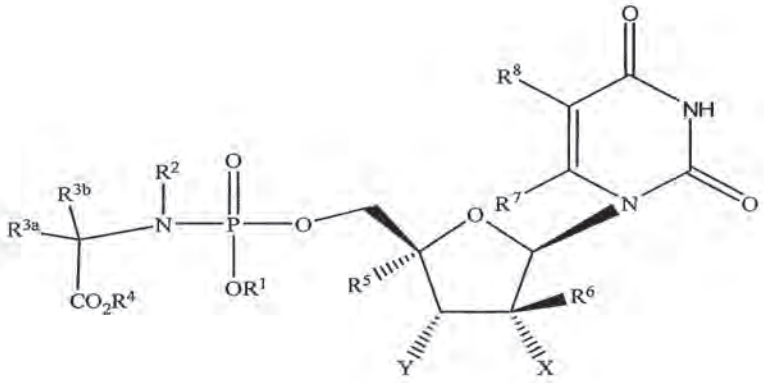
Table VII-50.

№	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	$CH_2CH(CH_3)_2$	Bz	H	F	H	OH	H	H	NH_2
VII-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	F	H	OH	H	H	NH_2
VII-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	H	OH	H	H	NH_2
VII-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	H	OH	H	H	NH_2
VII-50-	p-I-	*	H	*	Bz	H	F	H	OH	H	H	NH_2

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8	R^9
8	Ph											

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.



VIII

Table VIII-1.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-1-1	CH_3	H	H	H	CH_3	H	CH_3	OH	OH	H	H
VIII-1-2	CH_3	H	H	CH_3	CH_3	H	CH_3	OH	OH	H	H
VIII-1-3	CH_3	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-1-4	CH_3	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-1-5	CH_3	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-1-6	CH_3	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-1-7	CH_3	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-1-8	CH_3	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-2.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-2-5	Et	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-2-8	Et	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-3.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-3-1	^{i}Pr	H	H	H	CH_3	H	CH_3	OH	OH	H	H
VIII-3-2	^{i}Pr	H	H	CH_3	CH_3	H	CH_3	OH	OH	H	H
VIII-3-3	^{i}Pr	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-3-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-3-8	^{i}Pr	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-4.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-4-1	^{t}Bu	H	H	H	CH_3	H	CH_3	OH	OH	H	H
VIII-4-2	^{t}Bu	H	H	CH_3	CH_3	H	CH_3	OH	OH	H	H
VIII-4-3	^{t}Bu	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-4-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-4-8	^{t}Bu	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-5.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-5-5	Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-5-8	Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-6.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-6-8	p-Me-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-7.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-7-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-7-20	p-F-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-8.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-8-8	p-Cl-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-9.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-9-20	p-Br-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-10.

№	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	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	OH	OH	H	H
VIII-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	CH_3	OH	OH	H	H
VIII-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	OH	OH	H	H
VIII-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	OH	OH	H	H
VIII-10-8	p-I-Ph	*	H	*	CH_3	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-11.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-11-5	CH_3	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-11-8	CH_3	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-12.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-12-5	Et	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-12-8	Et	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-13.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-13-8	^{i}Pr	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-14.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-14-8	^{t}Bu	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-15.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-15-5	Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-15-8	Ph	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-16.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-16-8	p-Me-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-17.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-17-8	p-F-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-18.

№	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

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-18-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-18-8	p-Cl-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-19.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-19-8	p-Br-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-20.

№	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	$CH_2CH(CH_3)_2$	Et	H	CH_3	OH	OH	H	H
VIII-20-5	p-I-Ph	H	H	CH_2Ph	Et	H	CH_3	OH	OH	H	H
VIII-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	OH	OH	H	H
VIII-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	OH	OH	H	H
VIII-20-8	p-I-Ph	*	H	*	Et	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-21.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-21-1	CH_3	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-21-2	CH_3	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-21-3	CH_3	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-21-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-21-5	CH_3	H	H	CH_2Ph	^iPr	H	CH_3	OH	OH	H	H
VIII-21-6	CH_3	H	H	CH_2-indol-3-yl	^iPr	H	CH_3	OH	OH	H	H
VIII-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^iPr	H	CH_3	OH	OH	H	H
VIII-21-8	CH_3	*	H	*	^iPr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-22.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-22-1	Et	H	H	H	^iPr	H	CH_3	OH	OH	H	H
VIII-22-2	Et	H	H	CH_3	^iPr	H	CH_3	OH	OH	H	H
VIII-22-3	Et	H	H	$CH(CH_3)_2$	^iPr	H	CH_3	OH	OH	H	H
VIII-22-4	Et	H	H	$CH_2CH(CH_3)_2$	^iPr	H	CH_3	OH	OH	H	H
VIII-22-5	Et	H	H	CH_2Ph	^iPr	H	CH_3	OH	OH	H	H
VIII-22-6	Et	H	H	CH_2-indol-3-yl	^iPr	H	CH_3	OH	OH	H	H
VIII-22-7	Et	H	H	$CH_2CH_2SCH_3$	^iPr	H	CH_3	OH	OH	H	H
VIII-22-8	Et	*	H	*	^iPr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-23.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-23-1	^iPr	H	H	H	^iPr	H	CH_3	OH	OH	H	H
VIII-23-2	^iPr	H	H	CH_3	^iPr	H	CH_3	OH	OH	H	H
VIII-23-3	^iPr	H	H	$CH(CH_3)_2$	^iPr	H	CH_3	OH	OH	H	H
VIII-23-4	^iPr	H	H	$CH_2CH(CH_3)_2$	^iPr	H	CH_3	OH	OH	H	H
VIII-23-5	^iPr	H	H	CH_2Ph	^iPr	H	CH_3	OH	OH	H	H
VIII-23-6	^iPr	H	H	CH_2-indol-3-yl	^iPr	H	CH_3	OH	OH	H	H
VIII-23-7	^iPr	H	H	$CH_2CH_2SCH_3$	^iPr	H	CH_3	OH	OH	H	H
VIII-23-8	^iPr	*	H	*	^iPr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-24.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-24-1	^{t}Bu	H	H	H	^iPr	H	CH_3	OH	OH	H	H
VIII-24-2	^{t}Bu	H	H	CH_3	^iPr	H	CH_3	OH	OH	H	H
VIII-24-3	^{t}Bu	H	H	$CH(CH_3)_2$	^iPr	H	CH_3	OH	OH	H	H
VIII-24-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^iPr	H	CH_3	OH	OH	H	H
VIII-24-5	^{t}Bu	H	H	CH_2Ph	^iPr	H	CH_3	OH	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-24-8	^{t}Bu	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-25.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-25-1	Ph	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-25-2	Ph	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-25-3	Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-25-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-25-8	Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-26.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-26-1	p-Me-Ph	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-26-2	p-Me-Ph	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-26-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-26-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-27.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-27-1	p-F-Ph	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-27-2	p-F-Ph	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-27-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-27-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-27-8	p-F-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-28.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-28-1	p-Cl-Ph	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-28-2	p-Cl-Ph	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-28-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-28-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-29.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-29-1	p-Br-Ph	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-29-2	p-Br-Ph	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-29-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-29-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-30.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-30-1	p-I-Ph	H	H	H	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-30-2	p-I-Ph	H	H	CH_3	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-30-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-30-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	OH	OH	H	H
VIII-30-8	p-I-Ph	*	H	*	^{i}Pr	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-31.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-31-1	CH_3	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-31-2	CH_3	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-31-3	CH_3	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-31-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-31-8	CH_3	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-32.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-32-1	Et	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-32-2	Et	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-32-3	Et	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-32-4	Et	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-32-8	Et	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-33.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-33-1	^{i}Pr	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-33-2	^{i}Pr	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-33-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-33-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-33-8	^{i}Pr	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-34.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-34-1	^{t}Bu	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-34-2	^{t}Bu	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-34-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-34-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-34-8	^{t}Bu	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-35.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-35-1	Ph	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-35-2	Ph	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-35-3	Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-35-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-35-8	Ph	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-36.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-36-1	p-Me-Ph	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-36-2	p-Me-Ph	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-36-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-36-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-36-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-36-8	p-Me-Ph	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-37.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-37-1	p-F-Ph	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-37-2	p-F-Ph	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-37-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-37-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-37-5	p-F-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-37-8	p-F-Ph	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-38.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-38-1	p-Cl-Ph	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-38-	p-Cl-Ph	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
2											
VIII-38-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H
VIII-38-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H
VIII-38-5	p-Cl-Ph	H	H	CH_2Ph	^nBu	H	CH_3	OH	OH	H	H
VIII-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	OH	OH	H	H
VIII-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	OH	OH	H	H
VIII-38-8	p-Cl-Ph	*	H	*	^nBu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-39.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-39-1	p-Br-Ph	H	H	H	^nBu	H	CH_3	OH	OH	H	H
VIII-39-2	p-Br-Ph	H	H	CH_3	^nBu	H	CH_3	OH	OH	H	H
VIII-39-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H
VIII-39-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^nBu	H	CH_3	OH	OH	H	H
VIII-39-5	p-Br-Ph	H	H	CH_2Ph	^nBu	H	CH_3	OH	OH	H	H
VIII-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^nBu	H	CH_3	OH	OH	H	H
VIII-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^nBu	H	CH_3	OH	OH	H	H
VIII-39-8	p-Br-Ph	*	H	*	^nBu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-40.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-40-1	p-I-Ph	H	H	H	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-40-2	p-I-Ph	H	H	CH_3	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-40-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-40-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-40-5	p-I-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	OH	OH	H	H
VIII-40-8	p-I-Ph	*	H	*	^{n}Bu	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-41.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-41-1	CH_3	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-41-2	CH_3	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-41-3	CH_3	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-41-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-41-5	CH_3	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-41-8	CH_3	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-42.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-42-1	Et	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-42-2	Et	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-42-3	Et	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-42-4	Et	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-42-5	Et	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-42-8	Et	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-43.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-43-1	^{i}Pr	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-43-2	^{i}Pr	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-43-3	^{i}Pr	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-43-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-43-5	^{i}Pr	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-43-8	^{i}Pr	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-44.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-44-1	^{t}Bu	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-44-2	^{t}Bu	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-44-3	^{t}Bu	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-44-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-44-8	^{t}Bu	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-45.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-45-1	Ph	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-45-2	Ph	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-45-3	Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-45-4	Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-45-5	Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-45-8	Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table VIII-46.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-46-1	p-Me-Ph	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-46-2	p-Me-Ph	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-46-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-46-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-46-8	p-Me-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-47.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-47-1	p-F-Ph	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-47-2	p-F-Ph	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-47-3	p-F-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-47-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-47-5	p-F-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-47-6	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-47-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-47-8	p-F-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-48.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-48-1	p-Cl-Ph	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-48-2	p-Cl-Ph	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-48-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-48-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-48-8	p-Cl-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-49.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-49-1	p-Br-Ph	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-49-2	p-Br-Ph	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-49-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-49-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-49-8	p-Br-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H

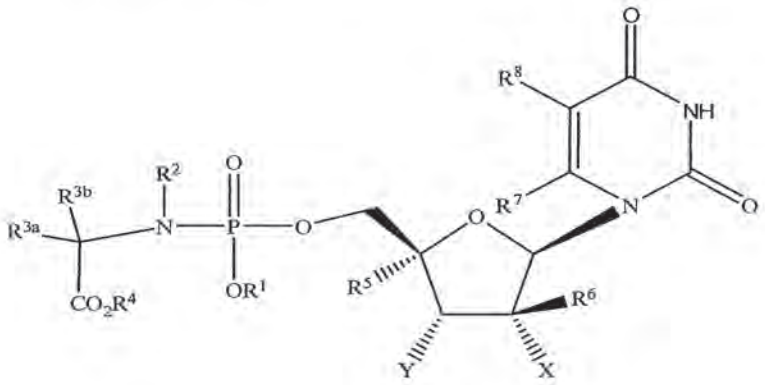
*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table VIII-50.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
VIII-50-1	p-I-Ph	H	H	H	Bz	H	CH_3	OH	OH	H	H
VIII-50-2	p-I-Ph	H	H	CH_3	Bz	H	CH_3	OH	OH	H	H
VIII-50-3	p-I-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-50-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	OH	OH	H	H
VIII-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	CH_3	OH	OH	H	H
VIII-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	OH	OH	H	H
VIII-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	OH	OH	H	H
VIII-50-8	p-I-Ph	*	H	*	Bz	H	CH_3	OH	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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IX

Table IX-1.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-1-1	CH_3	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-1-2	CH_3	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-1-3	CH_3	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-1-4	CH_3	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-1-5	CH_3	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-1-6	CH_3	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-1-7	CH_3	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-1-8	CH_3	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-2.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-2-1	Et	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-2-2	Et	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-2-3	Et	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-2-4	Et	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-2-5	Et	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-2-8	Et	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-3.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-3-1	^{i}Pr	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-3-2	^{i}Pr	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-3-3	^{i}Pr	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-3-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-3-8	^{i}Pr	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-4.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-4-1	^{t}Bu	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-4-2	^{t}Bu	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-4-3	^{t}Bu	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-4-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-4-8	^{t}Bu	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-5.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-5-1	Ph	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-5-2	Ph	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-5-3	Ph	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-5-4	Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-5-5	Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-5-8	Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-6.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-6-1	p-Me-Ph	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-6-2	p-Me-Ph	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-6-3	p-Me-Ph	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-6-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-6-8	p-Me-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-7.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-7-1	p-F-Ph	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-7-2	p-F-Ph	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-7-3	p-F-Ph	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-7-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-7-20	p-F-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-8.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-8-1	p-Cl-Ph	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-8-2	p-Cl-Ph	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-8-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-8-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-8-8	p-Cl-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-9.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-9-1	p-Br-Ph	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-9-2	p-Br-Ph	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-9-3	p-Br-Ph	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-9-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-9-20	p-Br-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-10.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-10-1	p-I-Ph	H	H	H	CH_3	H	CH_3	F	OH	H	H
IX-10-2	p-I-Ph	H	H	CH_3	CH_3	H	CH_3	F	OH	H	H
IX-10-3	p-I-Ph	H	H	$CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-10-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	CH_3	F	OH	H	H
IX-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	CH_3	F	OH	H	H
IX-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	CH_3	F	OH	H	H
IX-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	CH_3	F	OH	H	H
IX-10-8	p-I-Ph	*	H	*	CH_3	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-11.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-11-1	CH_3	H	H	H	Et	H	CH_3	F	OH	H	H
IX-11-2	CH_3	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-11-3	CH_3	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-11-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-11-5	CH_3	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-11-8	CH_3	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-12.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-12-1	Et	H	H	H	Et	H	CH_3	F	OH	H	H
IX-12-2	Et	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-12-3	Et	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-12-4	Et	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-12-5	Et	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-12-8	Et	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-13.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-13-1	^{i}Pr	H	H	H	Et	H	CH_3	F	OH	H	H
IX-13-2	^{i}Pr	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-13-3	^{i}Pr	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-13-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-13-8	^{i}Pr	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-14.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-14-1	^{t}Bu	H	H	H	Et	H	CH_3	F	OH	H	H
IX-14-2	^{t}Bu	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-14-3	^{t}Bu	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-14-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-14-8	^{t}Bu	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-15.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-15-1	Ph	H	H	H	Et	H	CH_3	F	OH	H	H
IX-15-2	Ph	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-15-3	Ph	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-15-4	Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-15-5	Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-15-8	Ph	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-16.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-16-1	p-Me-Ph	H	H	H	Et	H	CH_3	F	OH	H	H
IX-16-2	p-Me-Ph	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-16-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-16-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-16-8	p-Me-Ph	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-17.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-17-1	p-F-Ph	H	H	H	Et	H	CH_3	F	OH	H	H
IX-17-2	p-F-Ph	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-17-3	p-F-Ph	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-17-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-17-8	p-F-Ph	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-18.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-18-1	p-Cl-Ph	H	H	H	Et	H	CH_3	F	OH	H	H
IX-18-2	p-Cl-Ph	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-18-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-18-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-18-8	p-Cl-Ph	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-19.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-19-1	p-Br-Ph	H	H	H	Et	H	CH_3	F	OH	H	H
IX-19-2	p-Br-Ph	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-19-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-19-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-19-8	p-Br-Ph	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-20.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-20-1	p-I-Ph	H	H	H	Et	H	CH_3	F	OH	H	H
IX-20-2	p-I-Ph	H	H	CH_3	Et	H	CH_3	F	OH	H	H
IX-20-3	p-I-Ph	H	H	$CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-20-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	CH_3	F	OH	H	H
IX-20-5	p-I-Ph	H	H	CH_2Ph	Et	H	CH_3	F	OH	H	H
IX-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	CH_3	F	OH	H	H
IX-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	CH_3	F	OH	H	H
IX-20-8	p-I-Ph	*	H	*	Et	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-21.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-21-1	CH_3	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-21-2	CH_3	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-21-3	CH_3	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-21-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-21-8	CH_3	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-22.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-22-1	Et	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-22-2	Et	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-22-3	Et	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-22-4	Et	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-22-8	Et	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-23.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-23-1	^{i}Pr	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-23-8	^{i}Pr	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-24.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-24-1	^{t}Bu	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-24-2	^{t}Bu	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-24-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-24-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-24-8	^{t}Bu	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-25.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-25-1	Ph	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-25-2	Ph	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-25-3	Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-25-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-25-8	Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-26.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-26-1	p-Me-Ph	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-26-2	p-Me-Ph	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-26-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-26-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-27.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-27-1	p-F-Ph	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-27-2	p-F-Ph	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-27-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-27-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-27-8	p-F-Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-28.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-28-1	p-Cl-Ph	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-28-2	p-Cl-Ph	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-28-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-28-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-29.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-29-1	p-Br-Ph	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-29-2	p-Br-Ph	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-29-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-29-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-30.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-30-1	p-I-Ph	H	H	H	^{i}Pr	H	CH_3	F	OH	H	H
IX-30-2	p-I-Ph	H	H	CH_3	^{i}Pr	H	CH_3	F	OH	H	H
IX-30-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-30-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	CH_3	F	OH	H	H
IX-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	CH_3	F	OH	H	H
IX-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	CH_3	F	OH	H	H
IX-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	CH_3	F	OH	H	H
IX-30-8	p-I-Ph	*	H	*	^{i}Pr	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-31.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-31-1	CH_3	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-31-2	CH_3	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-31-3	CH_3	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-31-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-31-8	CH_3	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-32.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-32-1	Et	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-32-2	Et	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-32-3	Et	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-32-4	Et	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-32-8	Et	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-33.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-33-1	^{i}Pr	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-33-2	^{i}Pr	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-33-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-33-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-33-8	^{i}Pr	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-34.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-34-1	^{t}Bu	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-34-2	^{t}Bu	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-34-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-34-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-34-8	^{t}Bu	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-35.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-35-1	Ph	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-35-2	Ph	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-35-3	Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-35-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-35-8	Ph	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-36.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-36-1	p-Me-Ph	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-36-2	p-Me-Ph	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-36-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-36-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-36-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-36-8	p-Me-Ph	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-37.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-37-1	p-F-Ph	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-37-2	p-F-Ph	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-37-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-37-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-37-5	p-F-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-37-8	p-F-Ph	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-38.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-38-1	p-Cl-Ph	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-38-2	p-Cl-Ph	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-38-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-38-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-38-5	p-Cl-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-38-8	p-Cl-Ph	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-39.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-39-1	p-Br-Ph	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-39-2	p-Br-Ph	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-39-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-39-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-39-5	p-Br-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-39-8	p-Br-Ph	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-40.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-40-1	p-I-Ph	H	H	H	^{n}Bu	H	CH_3	F	OH	H	H
IX-40-2	p-I-Ph	H	H	CH_3	^{n}Bu	H	CH_3	F	OH	H	H
IX-40-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-40-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	CH_3	F	OH	H	H
IX-40-5	p-I-Ph	H	H	CH_2Ph	^{n}Bu	H	CH_3	F	OH	H	H
IX-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	CH_3	F	OH	H	H
IX-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	CH_3	F	OH	H	H
IX-40-8	p-I-Ph	*	H	*	^{n}Bu	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-41.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-41-1	CH_3	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-41-2	CH_3	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-41-3	CH_3	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-41-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-41-5	CH_3	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-41-8	CH_3	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-42.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-42-1	Et	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-42-2	Et	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-42-3	Et	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-42-4	Et	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-42-5	Et	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-42-8	Et	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-43.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-43-1	^{i}Pr	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-43-2	^{i}Pr	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-43-3	^{i}Pr	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-43-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-43-5	^{i}Pr	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-43-8	^{i}Pr	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-44.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-44-1	^{t}Bu	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-44-2	^{t}Bu	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-44-3	^{t}Bu	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-44-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-44-8	^{t}Bu	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-45.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-45-1	Ph	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-45-2	Ph	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-45-3	Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-45-4	Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-45-5	Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-45-8	Ph	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-46.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-46-1	p-Me-Ph	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-46-2	p-Me-Ph	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-46-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-46-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-46-8	p-Me-Ph	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-47.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-47-1	p-F-Ph	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-47-2	p-F-Ph	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-47-3	p-F-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-47-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-47-5	p-F-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-47-6	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-47-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-47-8	p-F-Ph	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table IX-48.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-48-1	p-Cl-Ph	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-48-2	p-Cl-Ph	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-48-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-48-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-48-8	p-Cl-Ph	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-49.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-49-1	p-Br-Ph	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-49-2	p-Br-Ph	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-49-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-49-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-49-8	p-Br-Ph	*	H	*	Bz	H	CH_3	F	OH	H	H

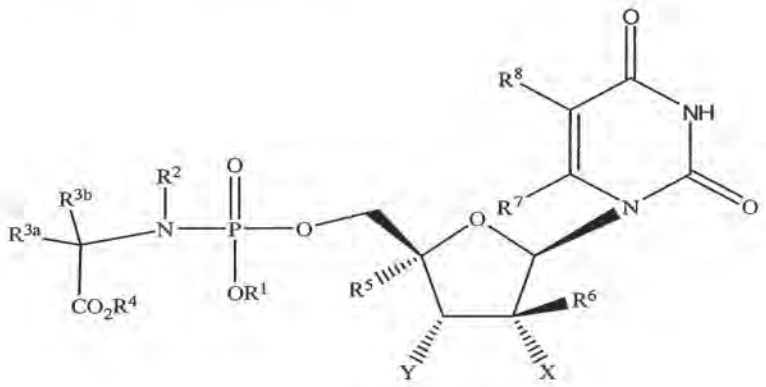
*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table IX-50.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
IX-50-1	p-I-Ph	H	H	H	Bz	H	CH_3	F	OH	H	H
IX-50-2	p-I-Ph	H	H	CH_3	Bz	H	CH_3	F	OH	H	H
IX-50-3	p-I-Ph	H	H	$CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-50-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	CH_3	F	OH	H	H
IX-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	CH_3	F	OH	H	H
IX-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	CH_3	F	OH	H	H
IX-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	CH_3	F	OH	H	H
IX-50-8	p-I-Ph	*	H	*	Bz	H	CH_3	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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X

Table X-1.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-1-1	CH_3	H	H	H	CH_3	H	F	F	OH	H	H
X-1-2	CH_3	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-1-3	CH_3	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-1-4	CH_3	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-1-5	CH_3	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-1-6	CH_3	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-1-7	CH_3	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-1-8	CH_3	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-2.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-2-1	Et	H	H	H	CH_3	H	F	F	OH	H	H
X-2-2	Et	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-2-3	Et	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-2-4	Et	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-2-5	Et	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-2-8	Et	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-3.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-3-1	^{i}Pr	H	H	H	CH_3	H	F	F	OH	H	H
X-3-2	^{i}Pr	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-3-3	^{i}Pr	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-3-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-3-8	^{i}Pr	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-4.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-4-1	^{t}Bu	H	H	H	CH_3	H	F	F	OH	H	H
X-4-2	^{t}Bu	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-4-3	^{t}Bu	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-4-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-4-8	^{t}Bu	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-5.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-5-1	Ph	H	H	H	CH_3	H	F	F	OH	H	H
X-5-2	Ph	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-5-3	Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-5-4	Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-5-5	Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-5-8	Ph	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-6.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-6-1	p-Me-Ph	H	H	H	CH_3	H	F	F	OH	H	H
X-6-2	p-Me-Ph	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-6-3	p-Me-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-6-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-6-8	p-Me-Ph	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-7.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-7-1	p-F-Ph	H	H	H	CH_3	H	F	F	OH	H	H
X-7-2	p-F-Ph	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-7-3	p-F-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-7-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-7-20	p-F-Ph	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-8.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-8-1	p-Cl-Ph	H	H	H	CH_3	H	F	F	OH	H	H
X-8-2	p-Cl-Ph	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-8-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-8-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-8-8	p-Cl-Ph	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-9.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-9-1	p-Br-Ph	H	H	H	CH_3	H	F	F	OH	H	H
X-9-2	p-Br-Ph	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-9-3	p-Br-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-9-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-9-20	p-Br-Ph	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-10.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-10-1	p-I-Ph	H	H	H	CH_3	H	F	F	OH	H	H
X-10-2	p-I-Ph	H	H	CH_3	CH_3	H	F	F	OH	H	H
X-10-3	p-I-Ph	H	H	$CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-10-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	F	F	OH	H	H
X-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	F	F	OH	H	H
X-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	F	F	OH	H	H
X-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	F	F	OH	H	H
X-10-8	p-I-Ph	*	H	*	CH_3	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-11.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-11-1	CH_3	H	H	H	Et	H	F	F	OH	H	H
X-11-2	CH_3	H	H	CH_3	Et	H	F	F	OH	H	H
X-11-3	CH_3	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-11-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-11-5	CH_3	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-11-8	CH_3	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-12.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-12-1	Et	H	H	H	Et	H	F	F	OH	H	H
X-12-2	Et	H	H	CH_3	Et	H	F	F	OH	H	H
X-12-3	Et	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-12-4	Et	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-12-5	Et	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-12-8	Et	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-13.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-13-1	^{i}Pr	H	H	H	Et	H	F	F	OH	H	H
X-13-2	^{i}Pr	H	H	CH_3	Et	H	F	F	OH	H	H
X-13-3	^{i}Pr	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-13-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-13-8	^{i}Pr	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-14.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-14-1	^{t}Bu	H	H	H	Et	H	F	F	OH	H	H
X-14-2	^{t}Bu	H	H	CH_3	Et	H	F	F	OH	H	H
X-14-3	^{t}Bu	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-14-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-14-8	^{t}Bu	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-15.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-15-1	Ph	H	H	H	Et	H	F	F	OH	H	H
X-15-2	Ph	H	H	CH_3	Et	H	F	F	OH	H	H
X-15-3	Ph	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-15-4	Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-15-5	Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-15-8	Ph	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-16.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-16-1	p-Me-Ph	H	H	H	Et	H	F	F	OH	H	H
X-16-2	p-Me-Ph	H	H	CH_3	Et	H	F	F	OH	H	H
X-16-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-16-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-16-8	p-Me-Ph	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-17.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-17-1	p-F-Ph	H	H	H	Et	H	F	F	OH	H	H
X-17-2	p-F-Ph	H	H	CH_3	Et	H	F	F	OH	H	H
X-17-3	p-F-Ph	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-17-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-17-8	p-F-Ph	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-18.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-18-1	p-Cl-Ph	H	H	H	Et	H	F	F	OH	H	H
X-18-2	p-Cl-Ph	H	H	CH_3	Et	H	F	F	OH	H	H
X-18-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-18-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-18-8	p-Cl-Ph	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-19.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-19-1	p-Br-Ph	H	H	H	Et	H	F	F	OH	H	H
X-19-2	p-Br-Ph	H	H	CH_3	Et	H	F	F	OH	H	H
X-19-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-19-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H	H
X-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H	H
X-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H	H
X-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H	H
X-19-8	p-Br-Ph	*	H	*	Et	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-20.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7
X-20-1	p-I-Ph	H	H	H	Et	H	F	F	OH	H
X-20-2	p-I-Ph	H	H	CH_3	Et	H	F	F	OH	H
X-20-3	p-I-Ph	H	H	$CH(CH_3)_2$	Et	H	F	F	OH	H
X-20-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	F	F	OH	H
X-20-5	p-I-Ph	H	H	CH_2Ph	Et	H	F	F	OH	H
X-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	F	F	OH	H
X-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	F	F	OH	H
X-20-8	p-I-Ph	*	H	*	Et	H	F	F	OH	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-21.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-21-1	CH_3	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-21-2	CH_3	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-21-3	CH_3	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-21-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-21-8	CH_3	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-22.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-22-1	Et	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-22-2	Et	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-22-3	Et	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-22-4	Et	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-22-8	Et	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-23.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-23-1	^{i}Pr	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-23-8	^{i}Pr	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-24.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-24-1	^{t}Bu	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-24-2	^{t}Bu	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-24-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-24-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-24-8	^{t}Bu	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-25.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-25-1	Ph	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-25-2	Ph	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-25-3	Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-25-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-25-8	Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-26.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-26-1	p-Me-Ph	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-26-2	p-Me-Ph	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-26-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-26-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-27.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-27-1	p-F-Ph	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-27-2	p-F-Ph	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-27-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-27-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-27-8	p-F-Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-28.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-28-1	p-Cl-Ph	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-28-2	p-Cl-Ph	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-28-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-28-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-29.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-29-1	p-Br-Ph	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-29-2	p-Br-Ph	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-29-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-29-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-30.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-30-1	p-I-Ph	H	H	H	^{i}Pr	H	F	F	OH	H	H
X-30-2	p-I-Ph	H	H	CH_3	^{i}Pr	H	F	F	OH	H	H
X-30-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-30-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	F	F	OH	H	H
X-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	F	F	OH	H	H
X-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	F	F	OH	H	H
X-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	F	F	OH	H	H
X-30-8	p-I-Ph	*	H	*	^{i}Pr	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-31.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-31-1	CH_3	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-31-2	CH_3	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-31-3	CH_3	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-31-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-31-8	CH_3	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-32.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-32-1	Et	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-32-2	Et	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-32-3	Et	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-32-4	Et	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-32-8	Et	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-33.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-33-1	^{i}Pr	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-33-2	^{i}Pr	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-33-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-33-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-33-8	^{i}Pr	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-34.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-34-1	^{t}Bu	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-34-2	^{t}Bu	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-34-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-34-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-34-8	^{t}Bu	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-35.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-35-1	Ph	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-35-2	Ph	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-35-3	Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-35-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-35-8	Ph	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-36.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-36-1	p-Me-Ph	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-36-2	p-Me-Ph	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-36-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-36-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-36-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-36-8	p-Me-Ph	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-37.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-37-1	p-F-Ph	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-37-2	p-F-Ph	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-37-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-37-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-37-5	p-F-Ph	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-37-8	p-F-Ph	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-38.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-38-1	p-Cl-Ph	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-38-2	p-Cl-Ph	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-38-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-38-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-38-5	p-Cl-Ph	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-38-8	p-Cl-Ph	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-39.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-39-1	p-Br-Ph	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-39-2	p-Br-Ph	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-39-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-39-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-39-5	p-Br-Ph	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-39-8	p-Br-Ph	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-40.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-40-1	p-I-Ph	H	H	H	^{n}Bu	H	F	F	OH	H	H
X-40-2	p-I-Ph	H	H	CH_3	^{n}Bu	H	F	F	OH	H	H
X-40-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-40-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	F	F	OH	H	H
X-40-5	p-I-Ph	H	H	CH_2Ph	^{n}Bu	H	F	F	OH	H	H
X-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	F	F	OH	H	H
X-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	F	F	OH	H	H
X-40-8	p-I-Ph	*	H	*	^{n}Bu	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-41.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-41-1	CH_3	H	H	H	Bz	H	F	F	OH	H	H
X-41-2	CH_3	H	H	CH_3	Bz	H	F	F	OH	H	H
X-41-3	CH_3	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-41-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-41-5	CH_3	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-41-8	CH_3	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-42.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-42-1	Et	H	H	H	Bz	H	F	F	OH	H	H
X-42-2	Et	H	H	CH_3	Bz	H	F	F	OH	H	H
X-42-3	Et	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-42-4	Et	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-42-5	Et	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-42-8	Et	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-43.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-43-1	^{i}Pr	H	H	H	Bz	H	F	F	OH	H	H
X-43-2	^{i}Pr	H	H	CH_3	Bz	H	F	F	OH	H	H
X-43-3	^{i}Pr	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-43-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-43-5	^{i}Pr	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-43-8	^{i}Pr	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-44.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-44-1	^{t}Bu	H	H	H	Bz	H	F	F	OH	H	H
X-44-2	^{t}Bu	H	H	CH_3	Bz	H	F	F	OH	H	H
X-44-3	^{t}Bu	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-44-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-44-8	^{t}Bu	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table X-45.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-45-1	Ph	H	H	H	Bz	H	F	F	OH	H	H
X-45-2	Ph	H	H	CH_3	Bz	H	F	F	OH	H	H
X-45-3	Ph	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-45-4	Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-45-5	Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-45-8	Ph	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-46.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-46-1	p-Me-Ph	H	H	H	Bz	H	F	F	OH	H	H
X-46-2	p-Me-Ph	H	H	CH_3	Bz	H	F	F	OH	H	H
X-46-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-46-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-46-8	p-Me-Ph	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-47.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-47-1	p-F-Ph	H	H	H	Bz	H	F	F	OH	H	H
X-47-2	p-F-Ph	H	H	CH_3	Bz	H	F	F	OH	H	H
X-47-3	p-F-Ph	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-47-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-47-5	p-F-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-47-6	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-47-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-47-8	p-F-Ph	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-48.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-48-1	p-Cl-Ph	H	H	H	Bz	H	F	F	OH	H	H
X-48-2	p-Cl-Ph	H	H	CH_3	Bz	H	F	F	OH	H	H
X-48-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-48-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-48-8	p-Cl-Ph	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-49.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-49-1	p-Br-Ph	H	H	H	Bz	H	F	F	OH	H	H
X-49-2	p-Br-Ph	H	H	CH_3	Bz	H	F	F	OH	H	H
X-49-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-49-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-49-8	p-Br-Ph	*	H	*	Bz	H	F	F	OH	H	H

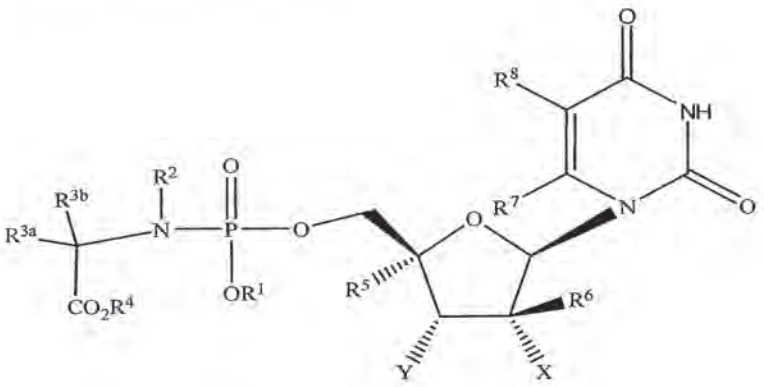
*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table X-50.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
X-50-1	p-I-Ph	H	H	H	Bz	H	F	F	OH	H	H
X-50-2	p-I-Ph	H	H	CH_3	Bz	H	F	F	OH	H	H
X-50-3	p-I-Ph	H	H	$CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-50-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	F	F	OH	H	H
X-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	F	F	OH	H	H
X-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	F	F	OH	H	H
X-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	F	F	OH	H	H
X-50-8	p-I-Ph	*	H	*	Bz	H	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-1.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-1-1	CH_3	H	H	H	CH_3	H	H	F	OH	H	H
XI-1-2	CH_3	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-1-3	CH_3	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-1-4	CH_3	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-1-5	CH_3	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-1-6	CH_3	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-1-7	CH_3	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-1-8	CH_3	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-2.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-2-1	Et	H	H	H	CH_3	H	H	F	OH	H	H
XI-2-2	Et	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-2-3	Et	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-2-4	Et	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-2-5	Et	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-2-8	Et	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-3.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-3-1	^{i}Pr	H	H	H	CH_3	H	H	F	OH	H	H
XI-3-2	^{i}Pr	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-3-3	^{i}Pr	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-3-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-3-8	^{i}Pr	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-4.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-4-1	^{t}Bu	H	H	H	CH_3	H	H	F	OH	H	H
XI-4-2	^{t}Bu	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-4-3	^{t}Bu	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-4-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-4-8	^{t}Bu	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-5.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-5-1	Ph	H	H	H	CH_3	H	H	F	OH	H	H
XI-5-2	Ph	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-5-3	Ph	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-5-4	Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-5-5	Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-5-8	Ph	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-6.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-6-1	p-Me-Ph	H	H	H	CH_3	H	H	F	OH	H	H
XI-6-2	p-Me-Ph	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-6-3	p-Me-Ph	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-6-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-6-8	p-Me-Ph	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-7.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-7-1	p-F-Ph	H	H	H	CH_3	H	H	F	OH	H	H
XI-7-2	p-F-Ph	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-7-3	p-F-Ph	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-7-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-7-20	p-F-Ph	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-8.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-8-1	p-Cl-Ph	H	H	H	CH_3	H	H	F	OH	H	H
XI-8-2	p-Cl-Ph	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-8-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-8-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-8-8	p-Cl-Ph	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-9.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-9-1	p-Br-Ph	H	H	H	CH_3	H	H	F	OH	H	H
XI-9-2	p-Br-Ph	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-9-3	p-Br-Ph	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-9-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-9-20	p-Br-Ph	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-10.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-10-1	p-I-Ph	H	H	H	CH_3	H	H	F	OH	H	H
XI-10-2	p-I-Ph	H	H	CH_3	CH_3	H	H	F	OH	H	H
XI-10-3	p-I-Ph	H	H	$CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-10-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	H	H	F	OH	H	H
XI-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	H	H	F	OH	H	H
XI-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	H	H	F	OH	H	H
XI-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	H	H	F	OH	H	H
XI-10-8	p-I-Ph	*	H	*	CH_3	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-11.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-11-1	CH_3	H	H	H	Et	H	H	F	OH	H	H
XI-11-2	CH_3	H	H	CH_3	Et	H	H	F	OH	H	H
XI-11-3	CH_3	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-11-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-11-5	CH_3	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-11-8	CH_3	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-12.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-12-1	Et	H	H	H	Et	H	H	F	OH	H	H
XI-12-2	Et	H	H	CH_3	Et	H	H	F	OH	H	H
XI-12-3	Et	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-12-4	Et	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-12-5	Et	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-12-6	Et	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-12-8	Et	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-13.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-13-1	^{i}Pr	H	H	H	Et	H	H	F	OH	H	H
XI-13-2	^{i}Pr	H	H	CH_3	Et	H	H	F	OH	H	H
XI-13-3	^{i}Pr	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-13-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-13-5	^{i}Pr	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-13-8	^{i}Pr	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-14.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-14-1	^{t}Bu	H	H	H	Et	H	H	F	OH	H	H
XI-14-2	^{t}Bu	H	H	CH_3	Et	H	H	F	OH	H	H
XI-14-3	^{t}Bu	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-14-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-14-5	^{t}Bu	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-14-8	^{t}Bu	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-15.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-15-1	Ph	H	H	H	Et	H	H	F	OH	H	H
XI-15-2	Ph	H	H	CH_3	Et	H	H	F	OH	H	H
XI-15-3	Ph	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-15-4	Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-15-5	Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-15-6	Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-15-8	Ph	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-16.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-16-1	p-Me-Ph	H	H	H	Et	H	H	F	OH	H	H
XI-16-2	p-Me-Ph	H	H	CH_3	Et	H	H	F	OH	H	H
XI-16-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-16-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-16-5	p-Me-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-16-8	p-Me-Ph	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-17.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-17-1	p-F-Ph	H	H	H	Et	H	H	F	OH	H	H
XI-17-2	p-F-Ph	H	H	CH_3	Et	H	H	F	OH	H	H
XI-17-3	p-F-Ph	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-17-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-17-5	p-F-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-17-8	p-F-Ph	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-18.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-18-1	p-Cl-Ph	H	H	H	Et	H	H	F	OH	H	H
XI-18-2	p-Cl-Ph	H	H	CH_3	Et	H	H	F	OH	H	H
XI-18-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-18-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-18-8	p-Cl-Ph	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-19.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-19-1	p-Br-Ph	H	H	H	Et	H	H	F	OH	H	H
XI-19-2	p-Br-Ph	H	H	CH_3	Et	H	H	F	OH	H	H
XI-19-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-19-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-19-5	p-Br-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-19-8	p-Br-Ph	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-20.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-20-1	p-I-Ph	H	H	H	Et	H	H	F	OH	H	H
XI-20-2	p-I-Ph	H	H	CH_3	Et	H	H	F	OH	H	H
XI-20-3	p-I-Ph	H	H	$CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-20-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Et	H	H	F	OH	H	H
XI-20-5	p-I-Ph	H	H	CH_2Ph	Et	H	H	F	OH	H	H
XI-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	H	H	F	OH	H	H
XI-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	H	H	F	OH	H	H
XI-20-8	p-I-Ph	*	H	*	Et	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-21.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-21-1	CH_3	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-21-2	CH_3	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-21-3	CH_3	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-21-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-21-8	CH_3	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-22.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-22-1	Et	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-22-2	Et	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-22-3	Et	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-22-4	Et	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-22-5	Et	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-22-8	Et	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-23.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-23-1	^{i}Pr	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-23-8	^{i}Pr	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-24.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-24-1	^{t}Bu	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-24-2	^{t}Bu	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-24-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-24-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-24-8	^{t}Bu	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-25.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-25-1	Ph	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-25-2	Ph	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-25-3	Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-25-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-25-5	Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-25-8	Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-26.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-26-1	p-Me-Ph	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-26-2	p-Me-Ph	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-26-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-26-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-26-8	p-Me-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-27.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-27-1	p-F-Ph	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-27-2	p-F-Ph	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-27-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-27-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-27-8	p-F-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-28.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-28-1	p-Cl-Ph	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-28-2	p-Cl-Ph	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-28-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-28-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-28-8	p-Cl-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-29.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-29-1	p-Br-Ph	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-29-2	p-Br-Ph	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-29-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-29-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-29-8	p-Br-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-30.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-30-1	p-I-Ph	H	H	H	^{i}Pr	H	H	F	OH	H	H
XI-30-2	p-I-Ph	H	H	CH_3	^{i}Pr	H	H	F	OH	H	H
XI-30-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-30-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	H	H	F	OH	H	H
XI-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	H	H	F	OH	H	H
XI-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	H	H	F	OH	H	H
XI-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	H	H	F	OH	H	H
XI-30-8	p-I-Ph	*	H	*	^{i}Pr	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-31.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-31-1	CH_3	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-31-2	CH_3	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-31-3	CH_3	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-31-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-31-8	CH_3	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-32.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-32-1	Et	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-32-2	Et	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-32-3	Et	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-32-4	Et	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-32-5	Et	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-32-8	Et	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-33.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-33-1	^{i}Pr	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-33-2	^{i}Pr	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-33-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-33-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-33-8	^{i}Pr	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-34.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-34-1	^{t}Bu	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-34-2	^{t}Bu	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-34-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-34-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-34-8	^{t}Bu	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-35.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-35-1	Ph	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-35-2	Ph	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-35-3	Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-35-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-35-5	Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-35-8	Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-36.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-36-1	p-Me-Ph	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-36-2	p-Me-Ph	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-36-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-36-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-36-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-36-8	p-Me-Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-37.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-37-1	p-F-Ph	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-37-2	p-F-Ph	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-37-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-37-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-37-5	p-F-Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-37-8	p-F-Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-38.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-38-1	p-Cl-Ph	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-38-2	p-Cl-Ph	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-38-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-38-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-38-5	p-Cl-Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-38-8	p-Cl-Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-39.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-39-1	p-Br-Ph	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-39-2	p-Br-Ph	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-39-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-39-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-39-5	p-Br-Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-39-8	p-Br-Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-40.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-40-1	p-I-Ph	H	H	H	^{n}Bu	H	H	F	OH	H	H
XI-40-2	p-I-Ph	H	H	CH_3	^{n}Bu	H	H	F	OH	H	H
XI-40-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-40-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	H	H	F	OH	H	H
XI-40-5	p-I-Ph	H	H	CH_2Ph	^{n}Bu	H	H	F	OH	H	H
XI-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	H	H	F	OH	H	H
XI-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	H	H	F	OH	H	H
XI-40-8	p-I-Ph	*	H	*	^{n}Bu	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-41.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-41-1	CH_3	H	H	H	Bz	H	H	F	OH	H	H
XI-41-2	CH_3	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-41-3	CH_3	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-41-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-41-5	CH_3	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-41-8	CH_3	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-42.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-42-1	Et	H	H	H	Bz	H	H	F	OH	H	H
XI-42-2	Et	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-42-3	Et	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-42-4	Et	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-42-5	Et	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-42-6	Et	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-42-8	Et	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-43.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-43-1	^{i}Pr	H	H	H	Bz	H	H	F	OH	H	H
XI-43-2	^{i}Pr	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-43-3	^{i}Pr	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-43-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-43-5	^{i}Pr	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-43-8	^{i}Pr	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-44.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-44-1	^{t}Bu	H	H	H	Bz	H	H	F	OH	H	H
XI-44-2	^{t}Bu	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-44-3	^{t}Bu	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-44-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-44-5	^{t}Bu	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-44-8	^{t}Bu	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-45.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-45-1	Ph	H	H	H	Bz	H	H	F	OH	H	H
XI-45-2	Ph	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-45-3	Ph	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-45-4	Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-45-5	Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-45-8	Ph	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-46.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-46-1	p-Me-Ph	H	H	H	Bz	H	H	F	OH	H	H
XI-46-2	p-Me-Ph	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-46-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-46-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-46-8	p-Me-Ph	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-47.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-47-1	p-F-Ph	H	H	H	Bz	H	H	F	OH	H	H
XI-47-2	p-F-Ph	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-47-3	p-F-Ph	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-47-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-47-5	p-F-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-47-6	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-47-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-47-8	p-F-Ph	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XI-48.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-48-1	p-Cl-Ph	H	H	H	Bz	H	H	F	OH	H	H
XI-48-2	p-Cl-Ph	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-48-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-48-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-48-8	p-Cl-Ph	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-49.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-49-1	p-Br-Ph	H	H	H	Bz	H	H	F	OH	H	H
XI-49-2	p-Br-Ph	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-49-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-49-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-49-8	p-Br-Ph	*	H	*	Bz	H	H	F	OH	H	H

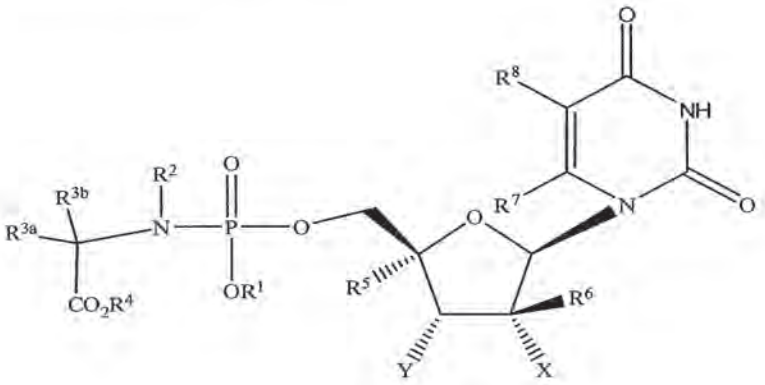
*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XI-50.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XI-50-1	p-I-Ph	H	H	H	Bz	H	H	F	OH	H	H
XI-50-2	p-I-Ph	H	H	CH_3	Bz	H	H	F	OH	H	H
XI-50-3	p-I-Ph	H	H	$CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-50-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	H	H	F	OH	H	H
XI-50-5	p-I-Ph	H	H	CH_2Ph	Bz	H	H	F	OH	H	H
XI-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	H	H	F	OH	H	H
XI-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	H	H	F	OH	H	H
XI-50-8	p-I-Ph	*	H	*	Bz	H	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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XII

Table XII-1.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-1-1	CH_3	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-1-2	CH_3	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-1-3	CH_3	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-1-4	CH_3	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-1-5	CH_3	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-1-6	CH_3	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-1-7	CH_3	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-1-8	CH_3	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-2.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-2-1	Et	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-2-2	Et	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-2-3	Et	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-2-4	Et	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-2-5	Et	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-2-8	Et	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XII-3.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-3-1	^{i}Pr	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-3-2	^{i}Pr	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-3-3	^{i}Pr	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-3-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-3-8	^{i}Pr	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-4.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-4-1	^{t}Bu	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-4-2	^{t}Bu	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-4-3	^{t}Bu	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-4-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-4-8	^{t}Bu	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-5.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-5-1	Ph	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-5-2	Ph	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-5-3	Ph	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-5-4	Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-5-5	Ph	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-5-8	Ph	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XII-6.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-6-1	p-Me-Ph	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-6-2	p-Me-Ph	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-6-3	p-Me-Ph	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-6-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-6-5	p-Me-Ph	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-6-6	p-Me-Ph	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-6-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-6-8	p-Me-Ph	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-7.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-7-1	p-F-Ph	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-7-2	p-F-Ph	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-7-3	p-F-Ph	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-7-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-7-6	p-F-Ph	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-7-7	p-F-Ph	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-7-8	p-F-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-7-20	p-F-Ph	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-8.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-8-1	p-Cl-Ph	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-8-2	p-Cl-Ph	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-8-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-8-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-8-5	p-Cl-Ph	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-8-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-8-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-8-8	p-Cl-Ph	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XII-9.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-9-1	p-Br-Ph	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-9-2	p-Br-Ph	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-9-3	p-Br-Ph	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-9-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-9-6	p-Br-Ph	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-9-7	p-Br-Ph	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-9-8	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-9-20	p-Br-Ph	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-10.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-10-1	p-I-Ph	H	H	H	CH_3	N_3	F	F	OH	H	H
XII-10-2	p-I-Ph	H	H	CH_3	CH_3	N_3	F	F	OH	H	H
XII-10-3	p-I-Ph	H	H	$CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-10-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	F	F	OH	H	H
XII-10-5	p-I-Ph	H	H	CH_2Ph	CH_3	N_3	F	F	OH	H	H
XII-10-6	p-I-Ph	H	H	CH_2-indol-3-yl	CH_3	N_3	F	F	OH	H	H
XII-10-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	F	F	OH	H	H
XII-10-8	p-I-Ph	*	H	*	CH_3	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-11.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-11-1	CH_3	H	H	H	Et	N_3	F	F	OH	H	H
XII-11-2	CH_3	H	H	CH_3	Et	N_3	F	F	OH	H	H
XII-11-3	CH_3	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-11-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-11-5	CH_3	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H
XII-11-6	CH_3	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-11-7	CH_3	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-11-8	CH_3	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XII-12.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-12-1	Et	H	H	H	Et	N_3	F	F	OH	H	H
XII-12-2	Et	H	H	CH_3	Et	N_3	F	F	OH	H	H
XII-12-3	Et	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-12-4	Et	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-12-5	Et	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H
XII-12-6	Et	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-12-7	Et	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-12-8	Et	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-13.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-13-1	^{i}Pr	H	H	H	Et	N_3	F	F	OH	H	H
XII-13-2	^{i}Pr	H	H	CH_3	Et	N_3	F	F	OH	H	H
XII-13-3	^{i}Pr	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-13-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-13-5	^{i}Pr	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H
XII-13-6	^{i}Pr	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-13-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-13-8	^{i}Pr	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-14.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-14-1	^{t}Bu	H	H	H	Et	N_3	F	F	OH	H	H
XII-14-2	^{t}Bu	H	H	CH_3	Et	N_3	F	F	OH	H	H
XII-14-3	^{t}Bu	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-14-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-14-5	^{t}Bu	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-14-6	^{t}Bu	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-14-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-14-8	^{t}Bu	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-15.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-15-1	Ph	H	H	H	Et	N_3	F	F	OH	H	H
XII-15-2	Ph	H	H	CH_3	Et	N_3	F	F	OH	H	H
XII-15-3	Ph	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-15-4	Ph	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-15-5	Ph	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H
XII-15-6	Ph	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-15-7	Ph	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-15-8	Ph	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-16.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-16-1	p-Me-Ph	H	H	H	Et	N_3	F	F	OH	H	H
XII-16-2	p-Me-Ph	H	H	CH_3	Et	N_3	F	F	OH	H	H
XII-16-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-16-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-16-5	p-Me-Ph	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H
XII-16-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-16-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-16-8	p-Me-Ph	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-17.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-17-1	p-F-Ph	H	H	H	Et	N_3	F	F	OH	H	H
XII-17-2	p-F-Ph	H	H	CH_3	Et	N_3	F	F	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-17-3	p-F-Ph	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-17-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-17-5	p-F-Ph	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H
XII-17-6	p-F-Ph	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-17-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-17-8	p-F-Ph	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-18.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-18-1	p-Cl-Ph	H	H	H	Et	N_3	F	F	OH	H	H
XII-18-2	p-Cl-Ph	H	H	CH_3	Et	N_3	F	F	OH	H	H
XII-18-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-18-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-18-5	p-Cl-Ph	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H
XII-18-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-18-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-18-8	p-Cl-Ph	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-19.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-19-1	p-Br-Ph	H	H	H	Et	N_3	F	F	OH	H	H
XII-19-2	p-Br-Ph	H	H	CH_3	Et	N_3	F	F	OH	H	H
XII-19-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-19-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H	H
XII-19-5	p-Br-Ph	H	H	CH_2Ph	Et	N_3	F	F	OH	H	H
XII-19-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H	H
XII-19-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H	H
XII-19-8	p-Br-Ph	*	H	*	Et	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-20.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7
XII-20-1	p-I-Ph	H	H	H	Et	N_3	F	F	OH	H
XII-20-2	p-I-Ph	H	H	CH_3	Et	N_3	F	F	OH	H
XII-20-3	p-I-Ph	H	H	$CH(CH_3)_2$	Et	N_3	F	F	OH	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7
XII-20-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Et	N_3	F	F	OH	H
XII-20-5	p-I-Ph	H	H	CH_2Ph	Et	N_3	F	F	OH	H
XII-20-6	p-I-Ph	H	H	CH_2-indol-3-yl	Et	N_3	F	F	OH	H
XII-20-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Et	N_3	F	F	OH	H
XII-20-8	p-I-Ph	*	H	*	Et	N_3	F	F	OH	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-21.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-21-1	CH_3	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-21-2	CH_3	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-21-3	CH_3	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-21-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-21-5	CH_3	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-21-6	CH_3	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-21-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-21-8	CH_3	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-22.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-22-1	Et	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-22-2	Et	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-22-3	Et	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-22-4	Et	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-22-5	Et	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-22-6	Et	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-22-7	Et	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-22-8	Et	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-23.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-23-1	^{i}Pr	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-23-2	^{i}Pr	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-23-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-23-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-23-5	^{i}Pr	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-23-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-23-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-23-8	^{i}Pr	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-24.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-24-1	^{t}Bu	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-24-2	^{t}Bu	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-24-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-24-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-24-5	^{t}Bu	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-24-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-24-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-24-8	^{t}Bu	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-25.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-25-1	Ph	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-25-2	Ph	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-25-3	Ph	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-25-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-25-5	Ph	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-25-6	Ph	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-25-7	Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-25-8	Ph	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-26.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-26-1	p-Me-Ph	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-26-2	p-Me-Ph	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-26-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-26-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-26-5	p-Me-Ph	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-26-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-26-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-26-8	p-Me-Ph	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-27.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-27-1	p-F-Ph	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-27-2	p-F-Ph	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-27-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-27-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-27-5	p-F-Ph	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-27-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-27-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-27-8	p-F-Ph	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-28.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-28-1	p-Cl-Ph	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-28-2	p-Cl-Ph	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-28-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-28-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-28-5	p-Cl-Ph	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-28-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-28-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-28-8	p-Cl-Ph	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-29.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-29-1	p-Br-Ph	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-29-2	p-Br-Ph	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-29-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-29-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-29-5	p-Br-Ph	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-29-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-29-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-29-8	p-Br-Ph	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-30.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-30-1	p-I-Ph	H	H	H	^{i}Pr	N_3	F	F	OH	H	H
XII-30-2	p-I-Ph	H	H	CH_3	^{i}Pr	N_3	F	F	OH	H	H
XII-30-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-30-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{i}Pr	N_3	F	F	OH	H	H
XII-30-5	p-I-Ph	H	H	CH_2Ph	^{i}Pr	N_3	F	F	OH	H	H
XII-30-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{i}Pr	N_3	F	F	OH	H	H
XII-30-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{i}Pr	N_3	F	F	OH	H	H
XII-30-8	p-I-Ph	*	H	*	^{i}Pr	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-31.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-31-1	CH_3	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-31-2	CH_3	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-31-3	CH_3	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-31-4	CH_3	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-31-5	CH_3	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-31-6	CH_3	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-31-7	CH_3	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-31-8	CH_3	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-32.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-32-1	Et	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-32-2	Et	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-32-3	Et	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-32-4	Et	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-32-5	Et	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-32-6	Et	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-32-7	Et	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H

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№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-32-8	Et	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-33.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-33-1	^{i}Pr	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-33-2	^{i}Pr	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-33-3	^{i}Pr	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-33-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-33-5	^{i}Pr	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-33-6	^{i}Pr	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-33-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-33-8	^{i}Pr	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-34.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-34-1	^{t}Bu	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-34-2	^{t}Bu	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-34-3	^{t}Bu	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-34-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-34-5	^{t}Bu	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-34-6	^{t}Bu	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-34-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-34-8	^{t}Bu	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-35.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-35-1	Ph	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-35-2	Ph	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-35-3	Ph	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-35-4	Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-35-5	Ph	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-35-6	Ph	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-35-7	Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-35-8	Ph	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

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*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-36.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-36-1	p-Me-Ph	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-36-2	p-Me-Ph	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-36-3	p-Me-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-36-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-36-5	p-Me-Ph	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-36-6	p-Me-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-36-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-36-8	p-Me-Ph	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-37.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-37-1	p-F-Ph	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-37-2	p-F-Ph	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-37-3	p-F-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-37-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-37-5	p-F-Ph	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-37-6	p-F-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-37-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-37-8	p-F-Ph	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-38.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-38-1	p-Cl-Ph	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-38-2	p-Cl-Ph	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-38-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-38-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-38-5	p-Cl-Ph	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-38-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-38-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-38-8	p-Cl-Ph	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XII-39.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-39-1	p-Br-Ph	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-39-2	p-Br-Ph	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-39-3	p-Br-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-39-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-39-5	p-Br-Ph	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-39-6	p-Br-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-39-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-39-8	p-Br-Ph	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-40.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-40-1	p-I-Ph	H	H	H	^{n}Bu	N_3	F	F	OH	H	H
XII-40-2	p-I-Ph	H	H	CH_3	^{n}Bu	N_3	F	F	OH	H	H
XII-40-3	p-I-Ph	H	H	$CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-40-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	^{n}Bu	N_3	F	F	OH	H	H
XII-40-5	p-I-Ph	H	H	CH_2Ph	^{n}Bu	N_3	F	F	OH	H	H
XII-40-6	p-I-Ph	H	H	CH_2-indol-3-yl	^{n}Bu	N_3	F	F	OH	H	H
XII-40-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	^{n}Bu	N_3	F	F	OH	H	H
XII-40-8	p-I-Ph	*	H	*	^{n}Bu	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-41.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-41-1	CH_3	H	H	H	Bz	N_3	F	F	OH	H	H
XII-41-2	CH_3	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-41-3	CH_3	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-41-4	CH_3	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-41-5	CH_3	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-41-6	CH_3	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-41-7	CH_3	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-41-8	CH_3	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XII-42.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-42-1	Et	H	H	H	Bz	N_3	F	F	OH	H	H
XII-42-2	Et	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-42-3	Et	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-42-4	Et	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-42-5	Et	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-42-6	Et	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-42-7	Et	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-42-8	Et	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-43.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-43-1	^{i}Pr	H	H	H	Bz	N_3	F	F	OH	H	H
XII-43-2	^{i}Pr	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-43-3	^{i}Pr	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-43-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-43-5	^{i}Pr	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-43-6	^{i}Pr	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-43-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-43-8	^{i}Pr	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-44.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-44-1	^{t}Bu	H	H	H	Bz	N_3	F	F	OH	H	H
XII-44-2	^{t}Bu	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-44-3	^{t}Bu	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-44-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-44-5	^{t}Bu	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-44-6	^{t}Bu	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-44-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-44-8	^{t}Bu	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XII-45.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-45-1	Ph	H	H	H	Bz	N_3	F	F	OH	H	H
XII-45-2	Ph	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-45-3	Ph	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-45-4	Ph	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-45-5	Ph	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-45-6	Ph	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-45-7	Ph	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-45-8	Ph	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-46.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-46-1	p-Me-Ph	H	H	H	Bz	N_3	F	F	OH	H	H
XII-46-2	p-Me-Ph	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-46-3	p-Me-Ph	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-46-4	p-Me-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-46-5	p-Me-Ph	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-46-6	p-Me-Ph	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-46-7	p-Me-Ph	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-46-8	p-Me-Ph	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-47.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-47-1	p-F-Ph	H	H	H	Bz	N_3	F	F	OH	H	H
XII-47-2	p-F-Ph	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-47-3	p-F-Ph	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-47-4	p-F-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-47-5	p-F-Ph	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-47-6	p-F-Ph	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-47-7	p-F-Ph	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-47-8	p-F-Ph	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XII-48.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-48-1	p-Cl-Ph	H	H	H	Bz	N_3	F	F	OH	H	H
XII-48-2	p-Cl-Ph	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-48-3	p-Cl-Ph	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-48-4	p-Cl-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-48-5	p-Cl-Ph	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-48-6	p-Cl-Ph	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-48-7	p-Cl-Ph	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-48-8	p-Cl-Ph	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-49.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-49-1	p-Br-Ph	H	H	H	Bz	N_3	F	F	OH	H	H
XII-49-2	p-Br-Ph	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-49-3	p-Br-Ph	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-49-4	p-Br-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-49-5	p-Br-Ph	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-49-6	p-Br-Ph	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-49-7	p-Br-Ph	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-49-8	p-Br-Ph	*	H	*	Bz	N_3	F	F	OH	H	H

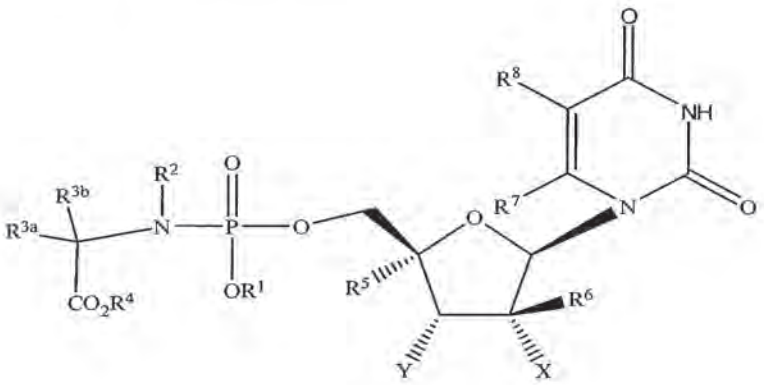
*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XII-50.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XII-50-1	p-I-Ph	H	H	H	Bz	N_3	F	F	OH	H	H
XII-50-2	p-I-Ph	H	H	CH_3	Bz	N_3	F	F	OH	H	H
XII-50-3	p-I-Ph	H	H	$CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-50-4	p-I-Ph	H	H	$CH_2CH(CH_3)_2$	Bz	N_3	F	F	OH	H	H
XII-50-5	p-I-Ph	H	H	CH_2Ph	Bz	N_3	F	F	OH	H	H
XII-50-6	p-I-Ph	H	H	CH_2-indol-3-yl	Bz	N_3	F	F	OH	H	H
XII-50-7	p-I-Ph	H	H	$CH_2CH_2SCH_3$	Bz	N_3	F	F	OH	H	H
XII-50-8	p-I-Ph	*	H	*	Bz	N_3	F	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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XIII

Table XIII-1.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XIII-1-1	CH_3	H	H	H	CH_3	N_3	H	F	OH	H	H
XIII-1-2	CH_3	H	H	CH_3	CH_3	N_3	H	F	OH	H	H
XIII-1-3	CH_3	H	H	$CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-1-4	CH_3	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-1-5	CH_3	H	H	CH_2Ph	CH_3	N_3	H	F	OH	H	H
XIII-1-6	CH_3	H	H	CH_2-indol-3-yl	CH_3	N_3	H	F	OH	H	H
XIII-1-7	CH_3	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	H	F	OH	H	H
XIII-1-8	CH_3	*	H	*	CH_3	N_3	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XIII-2.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XIII-2-1	Et	H	H	H	CH_3	N_3	H	F	OH	H	H
XIII-2-2	Et	H	H	CH_3	CH_3	N_3	H	F	OH	H	H
XIII-2-3	Et	H	H	$CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-2-4	Et	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-2-5	Et	H	H	CH_2Ph	CH_3	N_3	H	F	OH	H	H
XIII-2-6	Et	H	H	CH_2-indol-3-yl	CH_3	N_3	H	F	OH	H	H
XIII-2-7	Et	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	H	F	OH	H	H
XIII-2-8	Et	*	H	*	CH_3	N_3	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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Table XIII-3.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XIII-3-1	^{i}Pr	H	H	H	CH_3	N_3	H	F	OH	H	H
XIII-3-2	^{i}Pr	H	H	CH_3	CH_3	N_3	H	F	OH	H	H
XIII-3-3	^{i}Pr	H	H	$CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-3-4	^{i}Pr	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-3-5	^{i}Pr	H	H	CH_2Ph	CH_3	N_3	H	F	OH	H	H
XIII-3-6	^{i}Pr	H	H	CH_2-indol-3-yl	CH_3	N_3	H	F	OH	H	H
XIII-3-7	^{i}Pr	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	H	F	OH	H	H
XIII-3-8	^{i}Pr	*	H	*	CH_3	N_3	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XIII-4.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XIII-4-1	^{t}Bu	H	H	H	CH_3	N_3	H	F	OH	H	H
XIII-4-2	^{t}Bu	H	H	CH_3	CH_3	N_3	H	F	OH	H	H
XIII-4-3	^{t}Bu	H	H	$CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-4-4	^{t}Bu	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-4-5	^{t}Bu	H	H	CH_2Ph	CH_3	N_3	H	F	OH	H	H
XIII-4-6	^{t}Bu	H	H	CH_2-indol-3-yl	CH_3	N_3	H	F	OH	H	H
XIII-4-7	^{t}Bu	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	H	F	OH	H	H
XIII-4-8	^{t}Bu	*	H	*	CH_3	N_3	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

Table XIII-5.

№	R^1	R^2	R^{3a}	R^{3b}	R^4	R^5	R^6	X	Y	R^7	R^8
XIII-5-1	Ph	H	H	H	CH_3	N_3	H	F	OH	H	H
XIII-5-2	Ph	H	H	CH_3	CH_3	N_3	H	F	OH	H	H
XIII-5-3	Ph	H	H	$CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-5-4	Ph	H	H	$CH_2CH(CH_3)_2$	CH_3	N_3	H	F	OH	H	H
XIII-5-5	Ph	H	H	CH_2Ph	CH_3	N_3	H	F	OH	H	H
XIII-5-6	Ph	H	H	CH_2-indol-3-yl	CH_3	N_3	H	F	OH	H	H
XIII-5-7	Ph	H	H	$CH_2CH_2SCH_3$	CH_3	N_3	H	F	OH	H	H
XIII-5-8	Ph	*	H	*	CH_3	N_3	H	F	OH	H	H

*R^2 and R^{3b} joined together by $(CH_2)_3$ to form five-membered ring.

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