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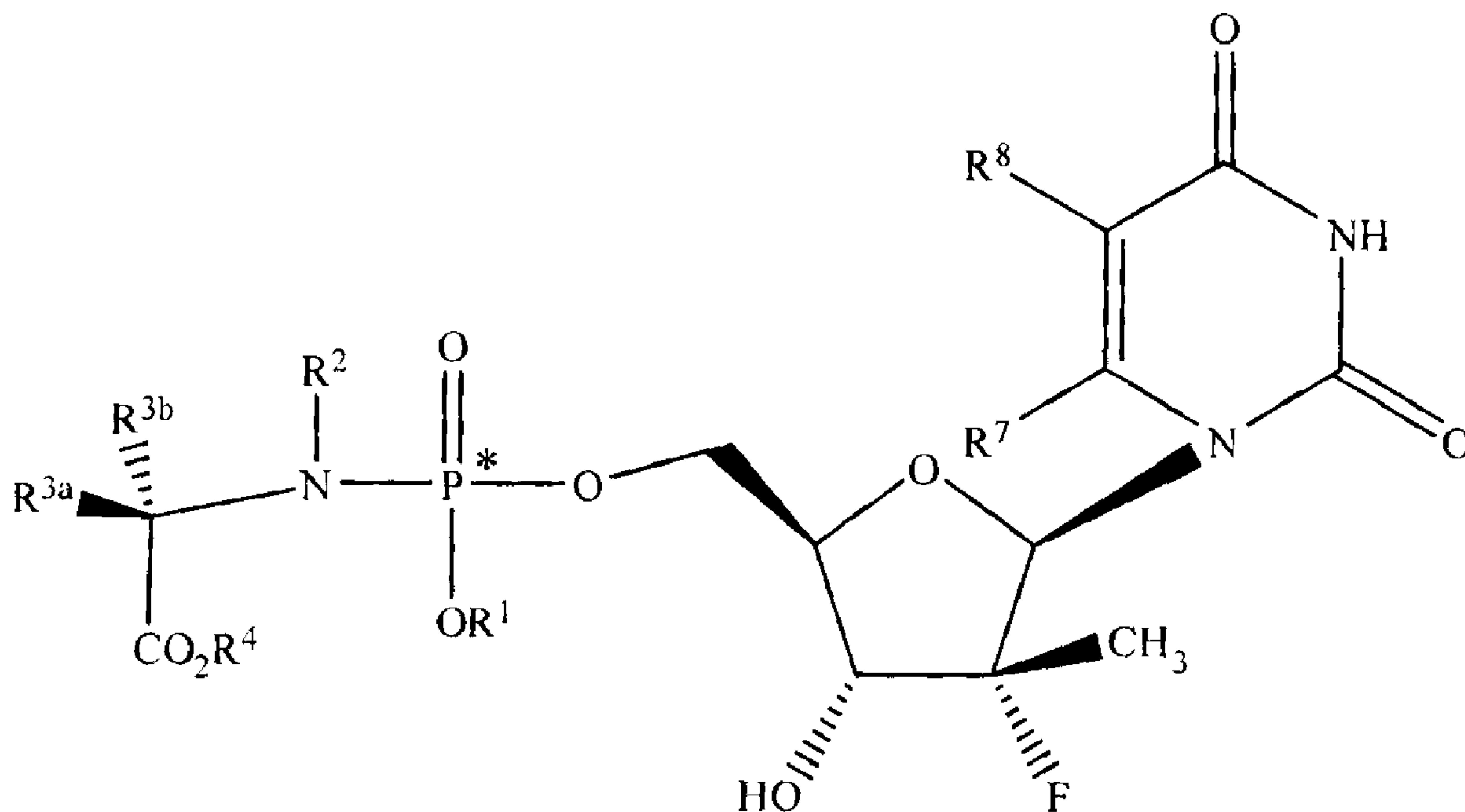
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(54) Titre : PROMEDICAMENTS DE PHOSPHORAMIDATE DE NUCLEOSIDE

(54) Title: NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS



(I)

(57) Abrégé/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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(72) Inventors; and

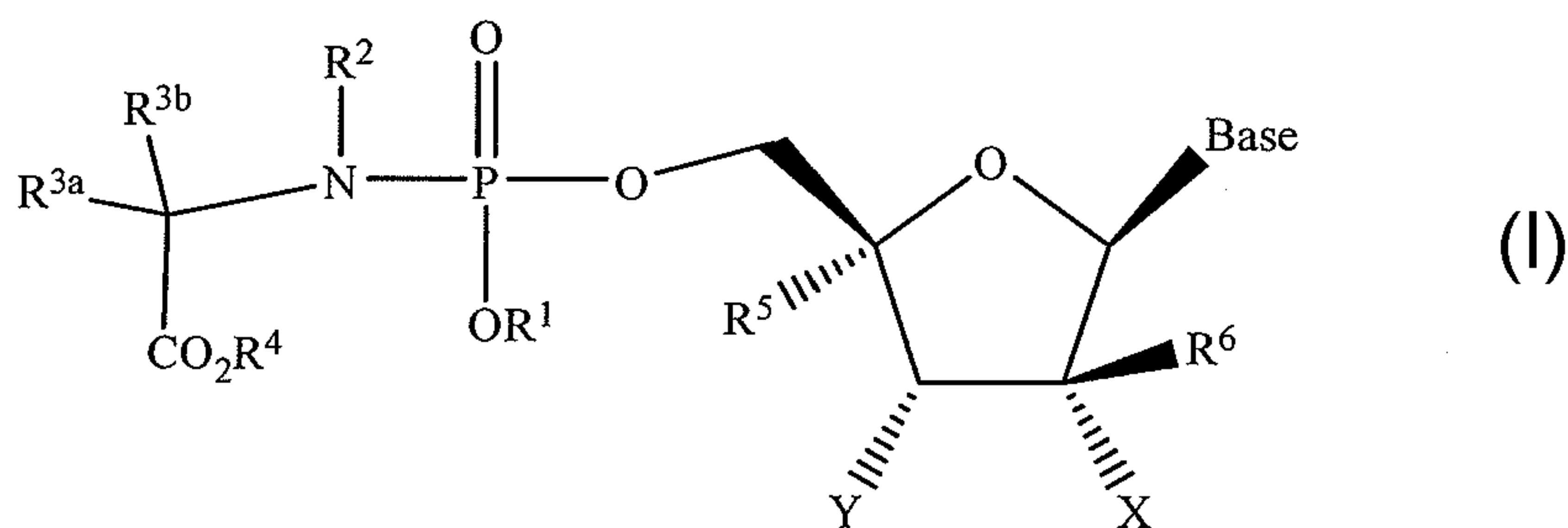
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(54) Title: NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS



(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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JUMBO APPLICATIONS / PATENTS

**THIS SECTION OF THE APPLICATION / PATENT CONTAINS MORE
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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, 5 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; 10 60/982,309, filed October 24, 2007; and U.S. Non-Provisional Application No. 12/053,015, filed March 21, 2008.

Field of Invention

15 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 20 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

5 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,

10 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

15 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

20 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

25 double-stranded RNA from a single-stranded viral RNA that serves as a template

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

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

Flaviviridae Viruses

The Flaviviridae family of viruses comprises at least three distinct genera: *pestiviruses*, which cause disease in cattle and pigs; *flaviviruses*, which are the primary cause of diseases such as dengue fever and yellow fever; and *hepaciviruses*, whose sole member is HCV. The flavivirus genus includes more than 68 members separated into 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, 641-643).

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

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

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

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

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

3835-3844; Eckart et al. *Biochem. Biophys. Res. Comm.* 1993,192, 399-406; Grakoui et al., *J. Virol.* 1993, 67, 2832-2843; Grakoui et al., *Proc. Natl. Acad. Sci. USA* 1993, 90, 10583-10587; Hijikata et al., *J. Virol.* 1993, 67, 4665-4675; Tome et al., *J. Virol.*, 1993, 67, 4017-4026). The NS4A protein, in both cases, acts as a cofactor with the NS3 serine protease (Bartenschlager et al., *J. Virol.* 1994, 68, 5045-5055; Failla et al., *J. Virol.* 1994, 68, 3753-3760; Xu et al., *J. Virol.*, 1997, 71:53 12-5322). The NS3 protein of both viruses also functions as a helicase (Kim et al., *Biochem. Biophys. Res. Comm.*, 1995, 215, 160-166; Jin and Peterson, *Arch. Biochem. Biophys.*, 1995, 323, 47-53; Warrenner and Collett, *J. Virol.* 1995, 69,1720-1726). Finally, the NS5B proteins of pestiviruses and hepaciviruses have the predicted RNA-directed RNA polymerases activity (Behrens et al., *EMBO*, 1996, 15, 12-22; Lechmann et al., *J. Virol.*, 1997, 71, 8416-8428; Yuan et al., *Biochem. Biophys. Res. Comm.* 1997, 232, 231-235; Hagedorn, PCT WO 97/12033; Zhong et al, *J. Virol.*, 1998, 72, 9365-9369).

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

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

and the NS5B polymerase. The RNA-dependent RNA polymerase is absolutely essential for replication of the single-stranded, positive sense, RNA genome and this enzyme has elicited significant interest among medicinal chemists.

Inhibitors of HCV NS5B as potential therapies for HCV infection have
5 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,
10 207-219; 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.

15 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.
20 This conversion to the triphosphate is commonly mediated by cellular kinases
which imparts additional structural requirements on a potential nucleoside
polymerase inhibitor. Unfortunately, this limits the direct evaluation of
nucleosides as inhibitors of HCV replication to cell-based assays capable of *in*
situ phosphorylation.

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

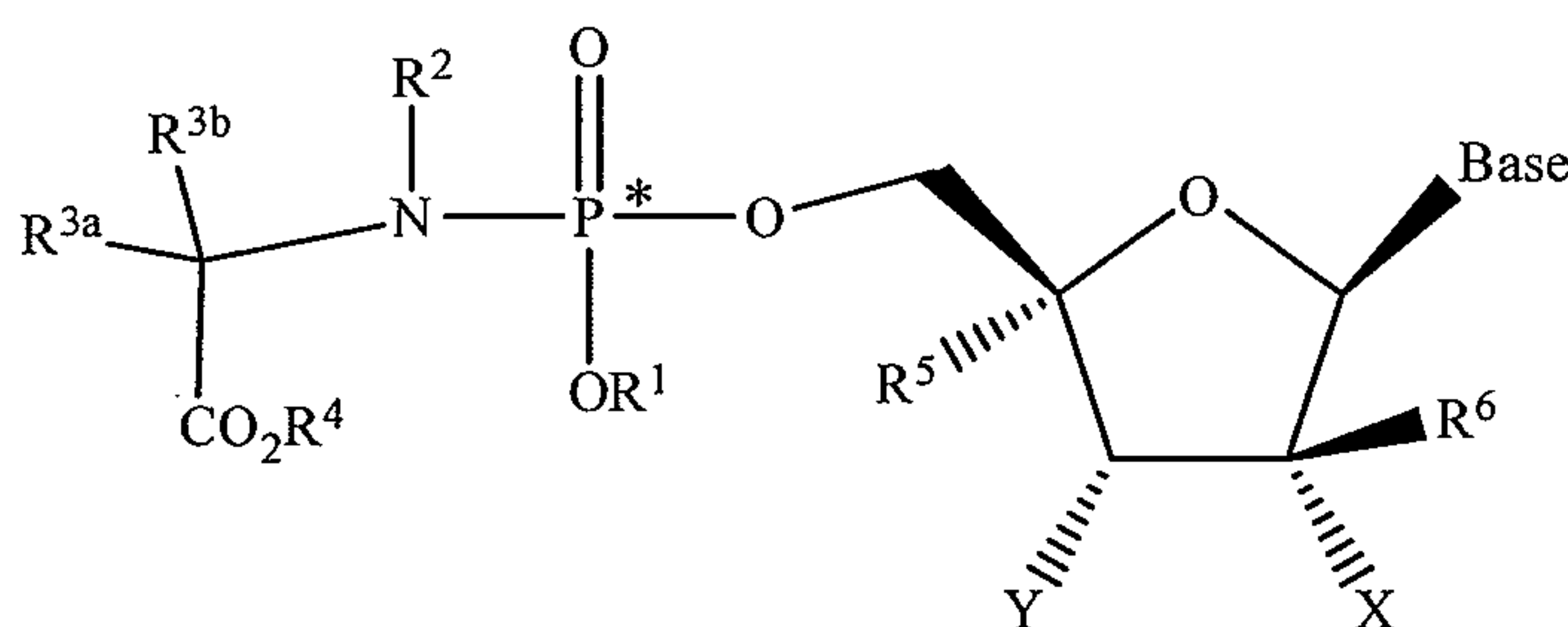
Also limiting the utility of nucleosides as viable therapeutic agents is their sometimes poor physicochemical and pharmacokinetic properties. These poor properties can limit the intestinal absorption of an agent and limit uptake into the target tissue or cell. To improve on their properties prodrugs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

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.

5

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) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁-₆ alkyl, C₂-₆ alkenyl, C₂-₆ alkynyl, C₁-₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁-₆ haloalkyl, -N(R¹')₂, C₁-₆ acylamino, -NHSO₂C₁-₆ alkyl, -SO₂N(R¹')₂, COR¹'', and -SO₂C₁-₆ alkyl; (R¹' is independently hydrogen or alkyl, which includes, but is not limited to, C₁-₂₀ alkyl, C₁-₁₀ alkyl, or C₁-₆ alkyl, R¹'' is -OR' or -N(R¹')₂);

(b) R² is hydrogen, C₁-₁₀ alkyl, R³ᵃ or R³ᵇ and R² together are (CH₂)ₙ so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR³ᵃR³ᵇNHR¹, where n is 2 to 4 and R¹, R³ᵃ, and R³ᵇ;

(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

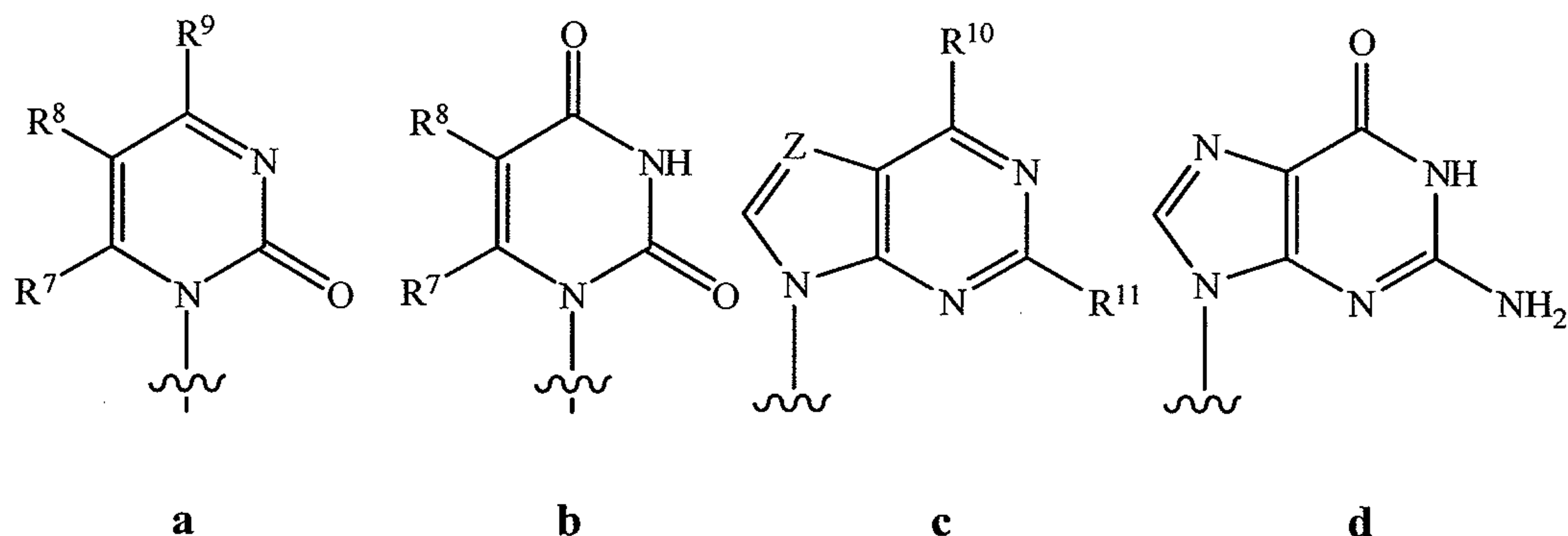
is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;

(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 ;

- 5 (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),
 10 $SO_2(C_{1-4}$ 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),
 15 $C(O)O(C_{2-4}$ 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), $NH(C_{2-4}$
 20 alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl) $_2$, $N(C_{1-4}$ acyl) $_2$;

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



wherein

Z is N or CR¹²;

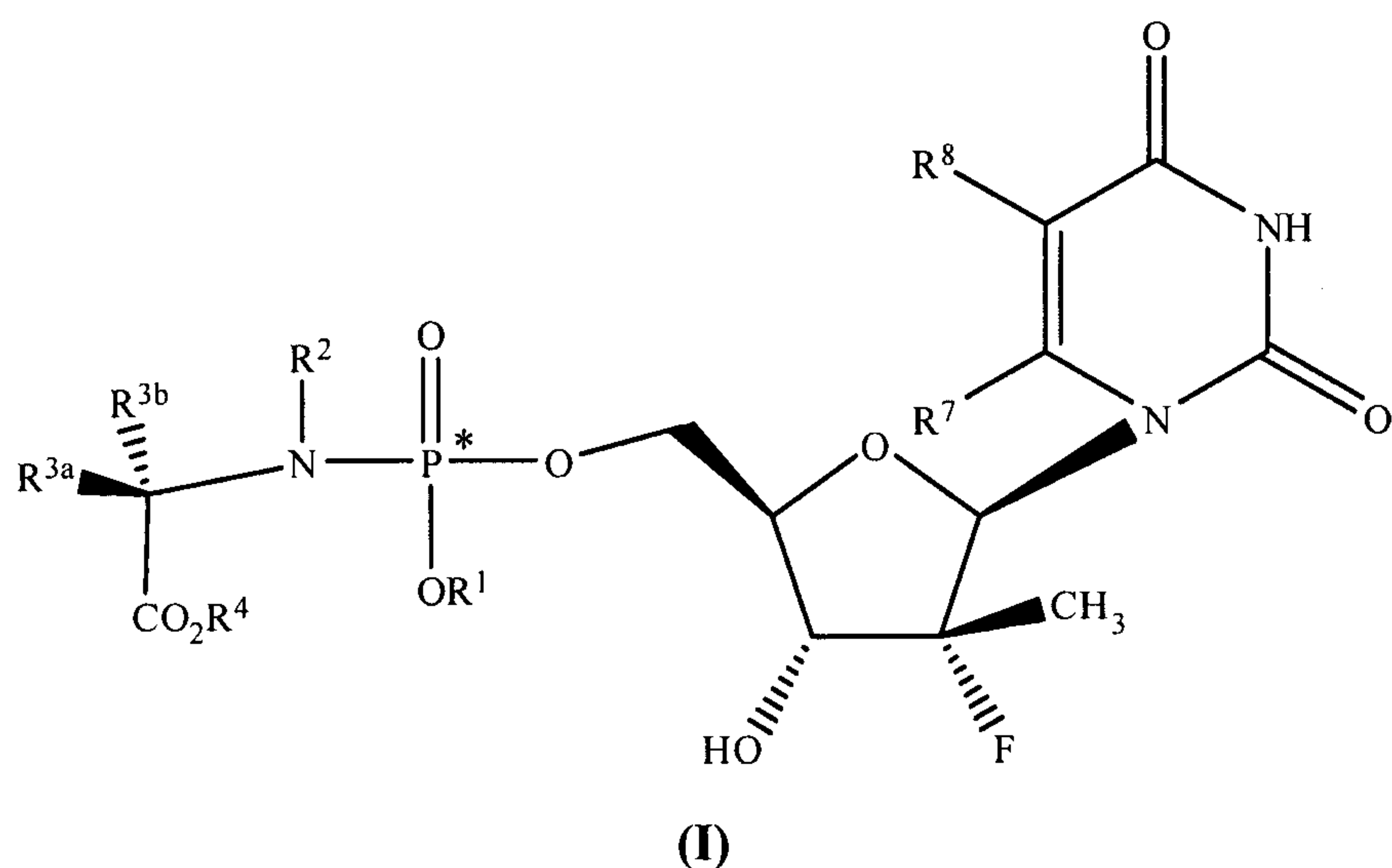
- 5 R⁷, R⁸, R⁹, R¹⁰, and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl,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

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl;
15 an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

- 20 R¹² is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R',
25 CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; 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) $-NO_2$.

The present invention further provides a compound, or a phosphorous-based stereoisomer thereof, represented by formula (I):



wherein P^* is a chiral phosphorous atom,
and wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or
10 unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a
 CH_3 , OCH_3 , F, Cl, Br, I, nitro, cyano, and a CH_3-qX_q , where X is F, Cl, Br, or I, and q is 1-
3;
- (b) R^2 is hydrogen or CH_3 ;
- (c-i) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
15 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
- (c-ii) 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 , $CH_2CH_2C(O)NH_2$,
20 $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH ,
 $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H;
- (d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^iBu , benzyl, cyclopropyl,
cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-
methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower
25 haloalkyl, or di(lower alkyl)amino-lower alkyl; and

(e) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂.

The present invention further provides a compound, or a phosphorous-based
5 stereoisomer thereof, wherein the compound is

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-
10 butyric acid methyl ester;

(S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butyric acid methyl ester;

(S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic
20 acid ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino}-propionic acid benzyl ester;

{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-acetic acid
25 methyl ester;

(S)-2-{(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino}-propionic acid methyl ester;

(S)-1-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphoryl}-pyrrolidine-2-carboxylic acid methyl ester;

5 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;

10 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid methyl ester;

15 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

(S)-2-{(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

20 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid sec-butyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;

25 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino}-propionic acid butyl ester;

30 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid benzyl ester;

5 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid benzyl ester;

10 (S)-2-{(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid butyl ester;

20 (S)-2-{(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;

25 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino}-propionic acid benzyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid pentyl ester;

30 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4 R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;

5 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H -pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid butyl ester;

10 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid benzyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric
15 acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H -pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 4-fluoro-benzyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-
20 hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 3-methyl-butyl ester;

(S)-2-{(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;

25 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclohexyl ester;

(S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-
30 phosphorylamino}-propionic acid butyl ester;

(S)-2-{(4- Bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid cyclohexyl ester;

5 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-bromo-phenoxy)-phosphorylamino}-propionic acid cyclohexyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid isopropyl ester;

10 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclohexyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-butyric acid cyclohexyl ester;

(S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4 R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-butyric acid isopropyl ester;

20 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2-difluoro-ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2,2-trifluoro-1-trifluoromethyl-ethyl ester;

25 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2-fluoro-1-fluoromethyl-ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclopropyl methyl ester;

30 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclopentyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H -pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(3,4-dichloro-phenoxy)-phosphorylamino}-propionic acid benzyl ester; and

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2-fluoro-ethyl ester.

The present invention further provides a compound, wherein the compound is

(S)-methyl 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;

(S)-methyl 2-(((R)-(((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;

methyl 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)acetate;

methyl 2-(((R)-(((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)acetate;

(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;

(S)-isopropyl 2-(((R)-(((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;

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

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

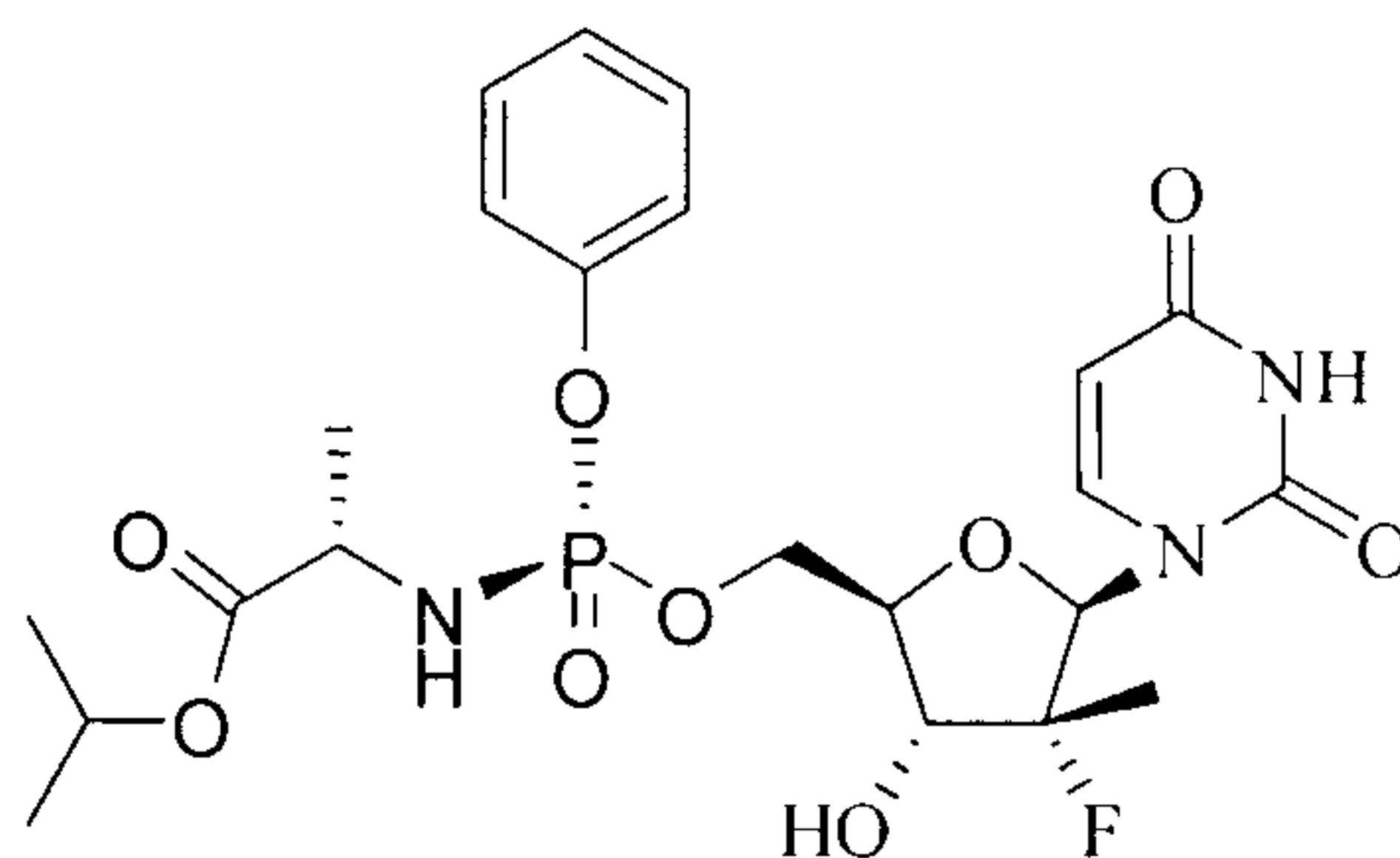
(S)-cyclohexyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate;

(S)-cyclohexyl 2-(((R)-(((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;

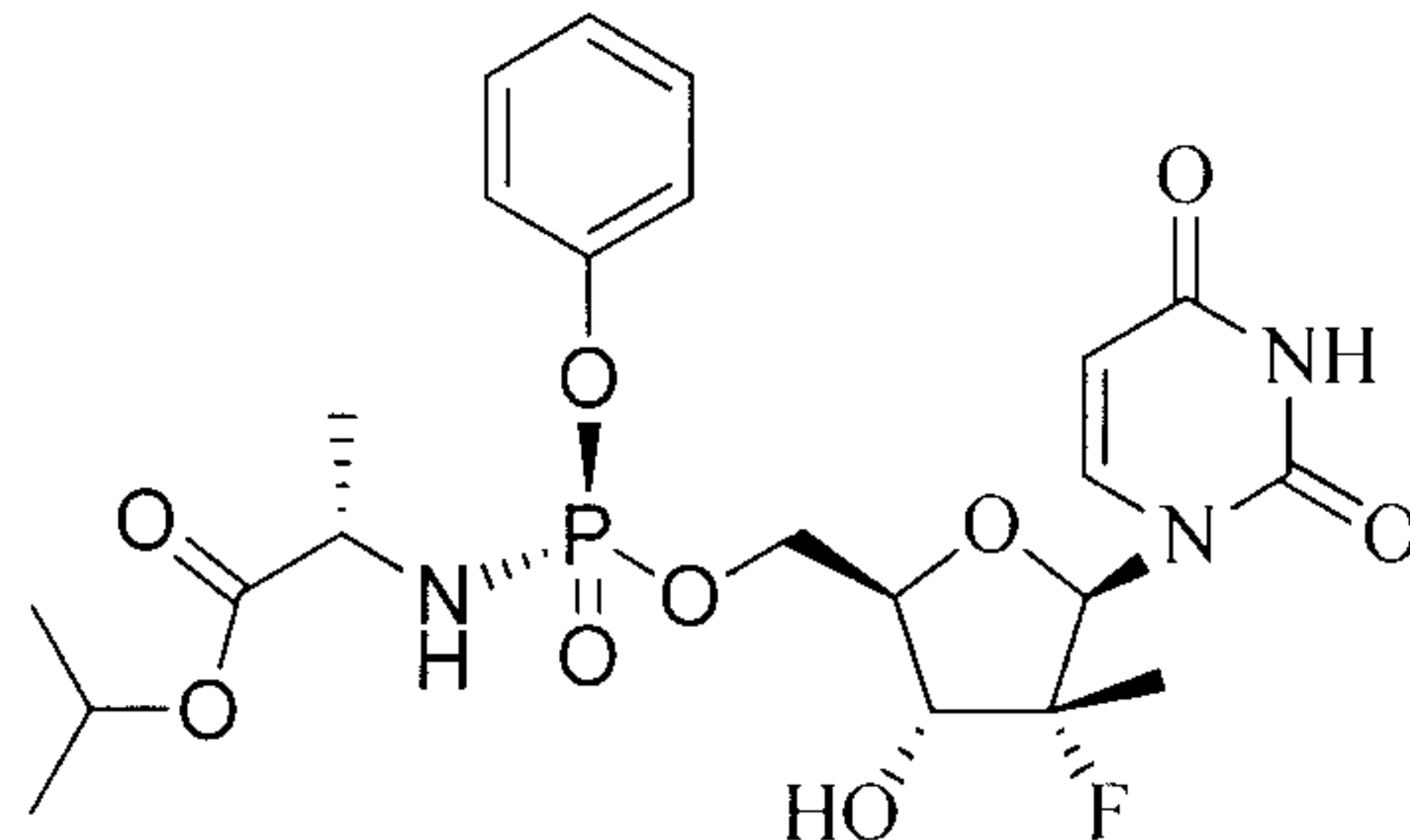
(S)-cyclohexyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(4-fluorophenoxy)phosphoryl)amino)propanoate; and

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

The present invention further provides a compound represented by the formula:



The present invention further provides a compound represented by the formula:



The present invention further provides a pharmaceutical composition comprising a compound mentioned above, and a pharmaceutically acceptable medium.

The present invention further provides a pharmaceutical composition comprising an effective amount of a compound mentioned above to treat hepatitis C virus infection, and a pharmaceutically acceptable medium.

The present invention further provides a use of an effective amount of a compound mentioned above for treating a human infected by hepatitis C virus.

The present invention further provides a use of an effective amount of a compound mentioned above for the preparation of a medicament for treating a human infected by hepatitis C virus.

The present invention further provides a use of an effective amount of a compound mentioned above and an effective amount of another antiviral agent (e.g., an HCV NS5A inhibitor) for treating a human infected by hepatitis C virus.

5 The present invention further provides a use of an effective amount of a compound mentioned above and an effective amount of another antiviral agent (e.g., an HCV NS5A inhibitor) for the preparation of a medicament for treating a human infected by hepatitis C virus.

DEFINITIONS

5 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.

10 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,
15 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
20 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
25 alkenyl comprising 2 to N carbon atoms, where N is an integer having the following values: 3, 4, 5, 6, 7, 8, 9, or 10. The term "C₂₋₁₀ alkenyl" refers to an alkenyl comprising 2 to 10 carbon atoms. The term "C₂₋₄ alkenyl" refers to an alkenyl comprising 2 to 4 carbon atoms. Examples include, but are not limited to, vinyl, 1-propenyl, 2-propenyl (allyl) or 2-butenyl (crotyl).

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 "C₁₋₄ alkyl" refers to an alkyl containing 1 to 4 carbon atoms. The term "lower alkyl" denotes a straight or branched chain hydrocarbon residue comprising 1 to 6 carbon atoms. "C₁₋₂₀ alkyl" as used herein refers to an alkyl comprising 1 to 20 carbon atoms. "C₁₋₁₀ alkyl" as used herein refers to an alkyl 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.

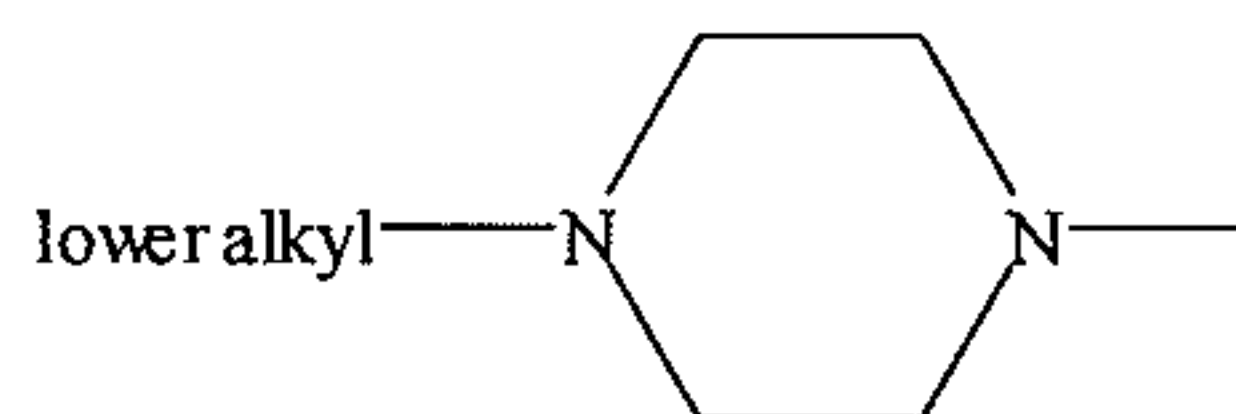
The term "cycloalkyl" refers to an unsubstituted or substituted carbocycle, in which the carbocycle contains 3 to 10 carbon atoms; preferably 3 to 8 carbon atoms; more preferably 3 to 6 carbon atoms (i.e., lower cycloalkyls). Examples of cycloalkyl groups include, but are not limited to, cyclopropyl, 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*-C₁₋₃-alkyl-

aziridin-2-yl, azetidiny, *N*-C₁₋₃-alkyl-azetidin-m'-yl, pyrrolidin-m'-yl, *N*-C₁₋₃-alkyl-pyrrolidin-m'-yl, piperidin-m'-yl, and *N*-C₁₋₃-alkyl-piperidin-m'-yl, where m' is 2, 3, or 4 depending on the cycloheteroalkyl. Specific examples of *N*-C₁₋₃-alkyl-cycloheteroalkyls include, but are not limited to, *N*-methyl-aziridin-2-yl,
 5 *N*-methyl-azetidin-3-yl, *N*-methyl-pyrrolidin-3-yl, *N*-methyl-pyrrolidin-4-yl, *N*-methyl-piperidin-2-yl, *N*-methyl-piperidin-3-yl, and *N*-methyl-piperidin-4-yl. In the instance of R⁴, the point of attachment between the cycloheteroalkyl ring carbon and the oxygen occurs at any one of m'

The term "heterocycle" refers to an unsubstituted or substituted
 10 heterocycle containing carbon, hydrogen, and at least one of N, O, and S, where the C and N can be trivalent or tetravalent, i.e., sp²- or sp³-hybridized. Examples of heterocycles include, but are not limited to, aziridine, azetidine, pyrrolidine, piperidine, imidazole, oxazole, piperazine, etc. In the instance of piperazine, as related to R¹⁰ for NR'₂, the corresponding opposite nitrogen atom of the
 15 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
 20 branched chain alkyl comprising at least one of F, Cl, Br, and I. The term "C_{1-M} haloalkyl" refers to an alkyl comprising 1 to M carbon atoms that comprises at least one of F, Cl, Br, and I, where M is an integer having the following values: 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, or 30. "C₁₋₃ haloalkyl" refers to a haloalkyl comprising 1 to 3
 25 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,
 30 tribromomethyl, triiodomethyl, 1-fluoroethyl, 1-chloroethyl, 1-bromoethyl, 1-

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

5 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_{2-4} alkynyl" refers to an alkynyl
10 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-butyne, 2-butyne or 3-butyne.

 The term "halogenated alkynyl" refers to an unbranched or branched hydrocarbon chain radical having from 2 to 10 carbon atoms, preferably 2 to 5
15 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.

20 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}
25 alkoxy" refers to an -O-alkyl wherein alkyl is C_{1-10} . Examples of -O-cycloalkyl groups include, but are not limited to, -O-*c*-propyl, -O-*c*-butyl, -O-*c*-pentyl, and -O-*c*-hexyl.

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

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

The term "amino acid" includes naturally occurring and synthetic α , β γ or δ amino acids, and includes but is not limited to, amino acids found in
5 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,
10 prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutaminyl, aspartoyl, glutaroyl, lysinyl, argininyl, histidinyl, β -alanyl, β -valinyl, β -leucinyl, β -isoleucinyl, β -prolinyl, β -phenylalaninyl, β -tryptophanyl, β -methioninyl, β -glycyl, β -serinyl, β -threoninyl, β -cysteinyl, β -tyrosinyl, β -asparaginyl, β -glutaminyl, β -aspartoyl, β -
15 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
20 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
25 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.

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

The term "protected," as used herein and unless otherwise defined, refers to a group that is added to an oxygen, nitrogen, or phosphorus atom to prevent its further reaction or for other purposes. A wide variety of oxygen and nitrogen protecting groups are known to those skilled in the art of organic synthesis. Non-limiting examples include: C(O)-alkyl, C(O)Ph, C(O)aryl, CH₃, CH₂-alkyl, CH₂-alkenyl, CH₂Ph, CH₂-aryl, CH₂O-alkyl, CH₂O-aryl, SO₂-alkyl, SO₂-aryl, *tert*-butyldimethylsilyl, *tert*-butyldiphenylsilyl, and 1,3-(1,1,3,3-tetraisopropylidisiloxanylidene).

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, (CH₃)₂NCH₂, (CH₃)₂NCH₂CH₂, (CH₃)₂NCH₂CH₂CH₂, etc. The examples above show lower alkyls substituted at the terminus carbon atom with an N,N-dimethyl-amino substituent. These are intended as examples only and are not intended to limit the meaning of the term "di(lower alkyl)amino-lower alkyl" so as to require the same. It is contemplated that the lower alkyl chain can be substituted with an N,N-di(lower alkyl)-amino at any point along the chain, e.g., CH₃CH(N-(lower alkyl)₂)CH₂CH₂.

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

The term "acyl" refers to a substituent containing a carbonyl moiety and a non-carbonyl moiety. The carbonyl moiety contains a double-bond between the carbonyl carbon and a heteroatom, where the heteroatom is selected from among O, N and S. When the heteroatom is N, the N is substituted by a lower alkyl. The non-carbonyl moiety is selected from straight, branched, and cyclic alkyl, which includes, but is not limited to, a straight, branched, or cyclic C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or lower alkyl; alkoxyalkyl, including methoxymethyl; aralkyl, including benzyl; aryloxyalkyl, such as phenoxymethyl; or aryl, including phenyl optionally substituted with halogen (F, Cl, Br, I), hydroxyl, C₁ to C₄ alkyl, or C₁ to C₄ alkoxy, sulfonate esters, such as alkyl or aralkyl 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, N⁶-alkylpurines, N⁶-acylpurines (wherein acyl is C(O)(alkyl, aryl, alkylaryl, or arylalkyl), N⁶-benzylpurine, N⁶-halopurine, N⁶-vinylpurine, N⁶-acetylenic purine, N⁶-acyl purine, N⁶-hydroxyalkyl purine, N⁶-allcylaminopurine, N⁶-thioallcyl purine, N²-alkylpurines, N²-alkyl-6-thiopurines, thymine, cytosine, 5-fluorocytosine, 5-methylcytosine, 6-azapyrimidine, including 6-azacytosine, 2- and/or 4-mercaptopyrimidine, uracil, 5-halouracil, including 5-fluorouracil, C⁵-alkylpyrimidines, C⁵-benzylpyrimidines, C⁵-halopyrimidines, C⁵-vinylpyrimidine, C⁵-acetylenic pyrimidine, C⁵-acyl pyrimidine, C⁵-hydroxyalkyl purine, C⁵-amidopyrimidine, C⁵-cyanopyrimidine, C⁵-iodopyrimidine, C⁶-iodo-pyrimidine, C⁵-Br-vinyl pyrimidine, C⁶-Br-vinyl pyrimidine, C⁵-nitropyrimidine, C⁵-amino-pyrimidine, N²-alkylpurines, N²-alkyl-6-thiopurines, 5-azacytidinyl, 5-azauracilyl, triazolopyridinyl, imidazolopyridinyl, pyrrolopyrimidinyl, and pyrazolopyrimidinyl. Purine bases include, but are not limited to, guanine, adenine, hypoxanthine, 2,6-diaminopurine, and 6-chloropurine. Functional oxygen and nitrogen groups on

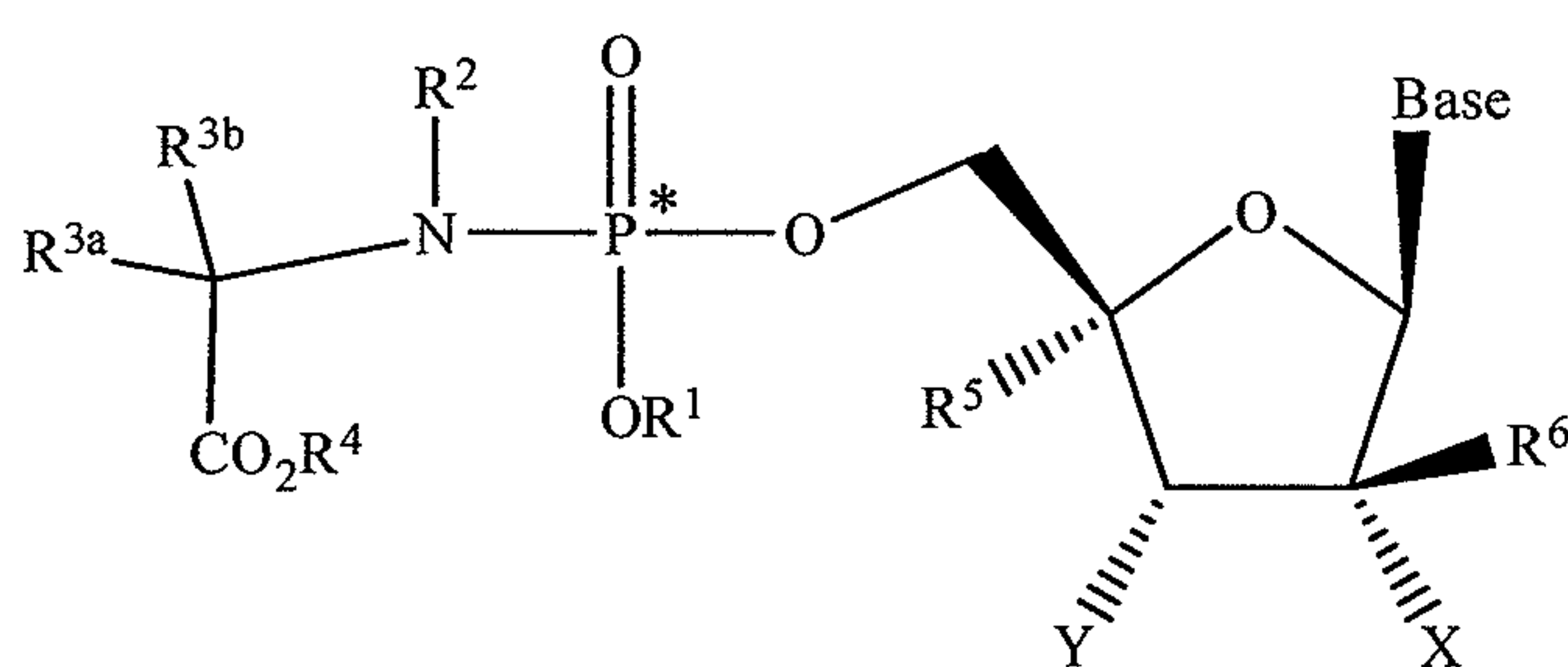
the base can be protected as necessary or desired. Suitable protecting groups are well known to those skilled in the art, and include trimethylsilyl, dimethylhexylsilyl, *t*-butyldimethylsilyl, and *t*-butyldiphenylsilyl, trityl, alkyl groups, and acyl groups such as acetyl and propionyl, methanesulfonyl, 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)Cl₃.

DETAILED DESCRIPTION OF THE INVENTION

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



wherein

- (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹')₂, C₁₋₆ acylamino, -

NHSO₂C₁₋₆ alkyl, -SO₂N(R^{1'})₂, COR^{1''}, and -SO₂C₁₋₆ alkyl; (R^{1'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1''} is -OR' or -N(R^{1'})₂);

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

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, - (CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀

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

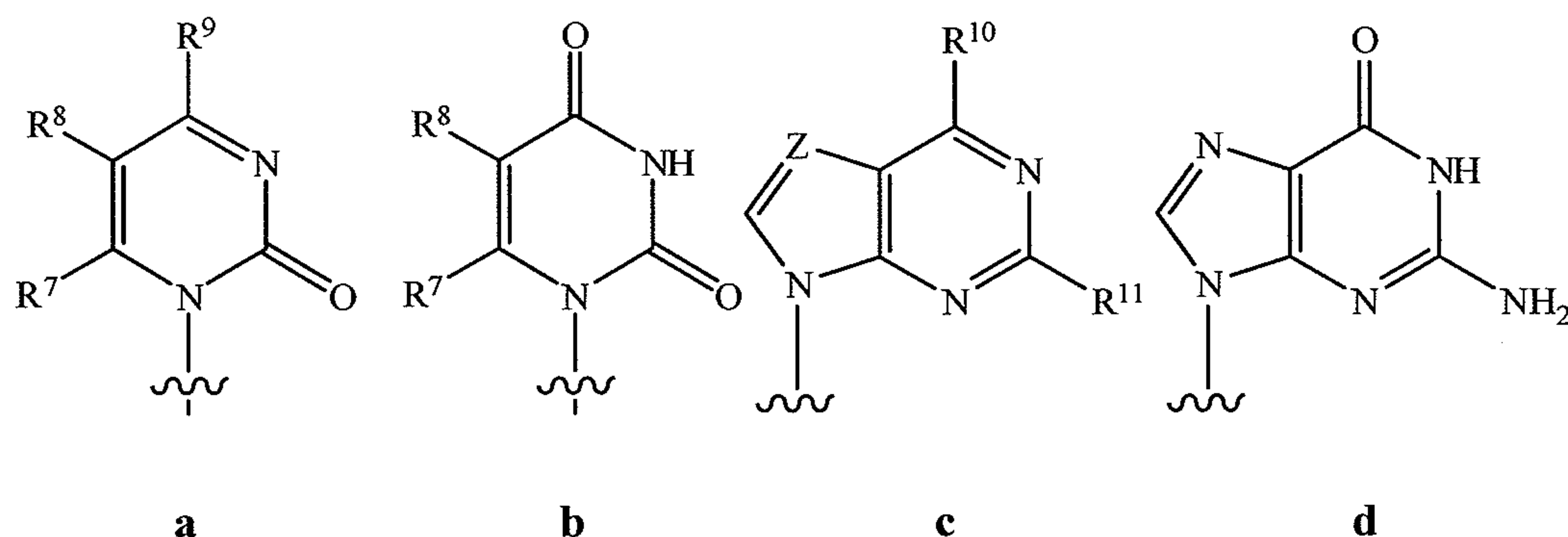
- (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos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



wherein

Z is N or CR¹²;

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

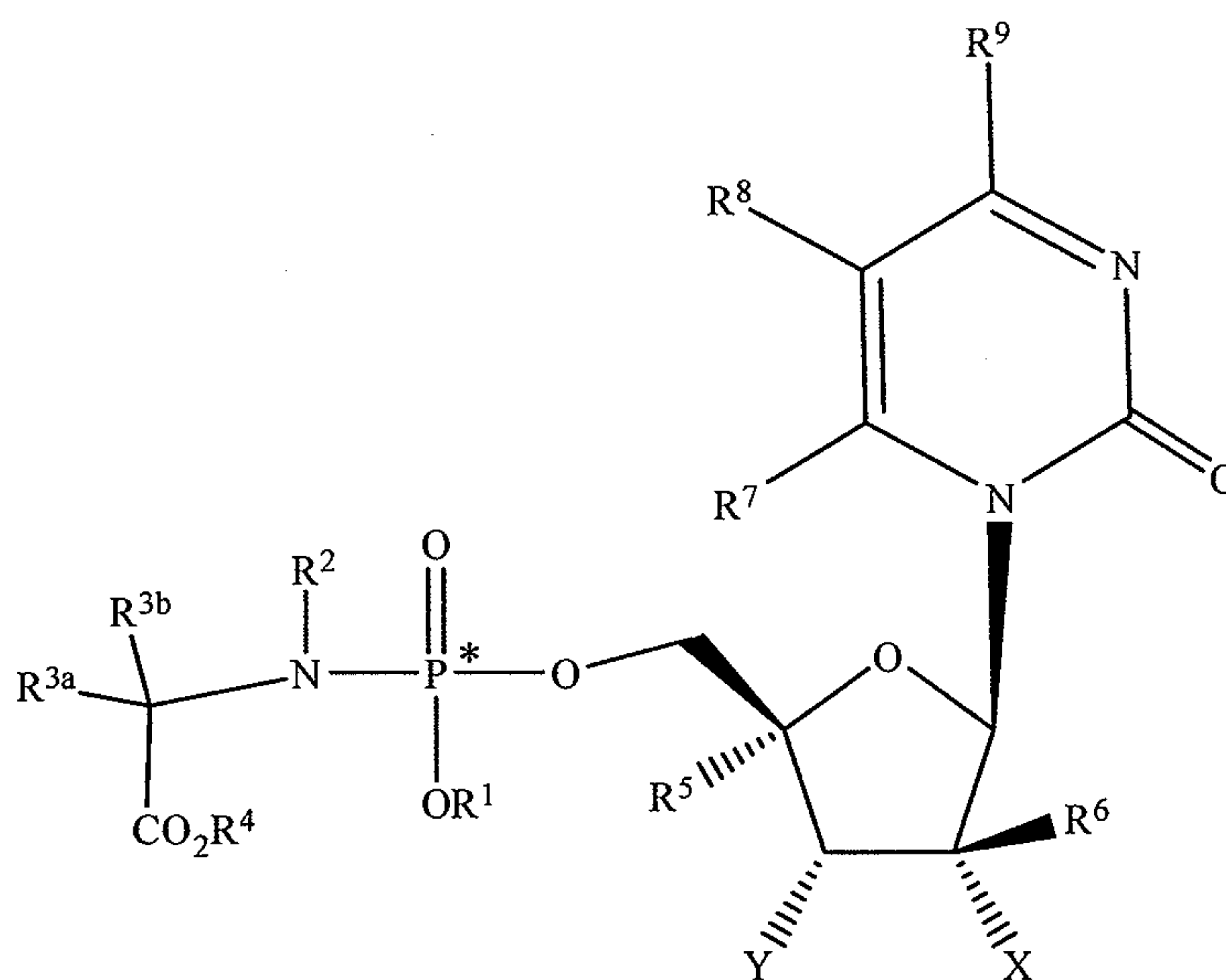
- wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl;
15 an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

- 20 R¹² is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R',
25 CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; 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) $-NO_2$.

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

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



I-1

wherein

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

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

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

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂);

(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)-amino, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or
5 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
10 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,
15 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) $_2$,
20 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',
30 NH_2 , NHR' , NR'_2 , lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower

alkenyl of C₂-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, wherein R' is a C₁-₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

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

5 wherein

(a) R¹ is hydrogen, n-alkyl or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl;

(b) R² is hydrogen or CH₃;

10 (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or
15 C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii)
20 R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), or CH₂SH and R^{3b} is H;

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

(e) R⁵ is H, CN, CH₃, vinyl, OCH₃, OCH₂CH₃, CH₂OH, CH₂(halo), such as CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂,

halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃;

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

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

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

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

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

wherein

(a) R¹ is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a C₁₋₃ alkyl, a C₁₋₃ alkoxy, F, Cl, Br, I, nitro, cyano, and a C₁₋₃ haloalkyl;

(b) R² is hydrogen or CH₃;

(c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and

C atoms (v) R^{3b} is hydrogen and R^{3a} and 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 ,
 5 $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, 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-
 10 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, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-
 15 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
 20 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 ,
 25 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-qX_q , where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2H , CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$; and

- (j) R^9 is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C1-20 alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C1-20 alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to
- 5 N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

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

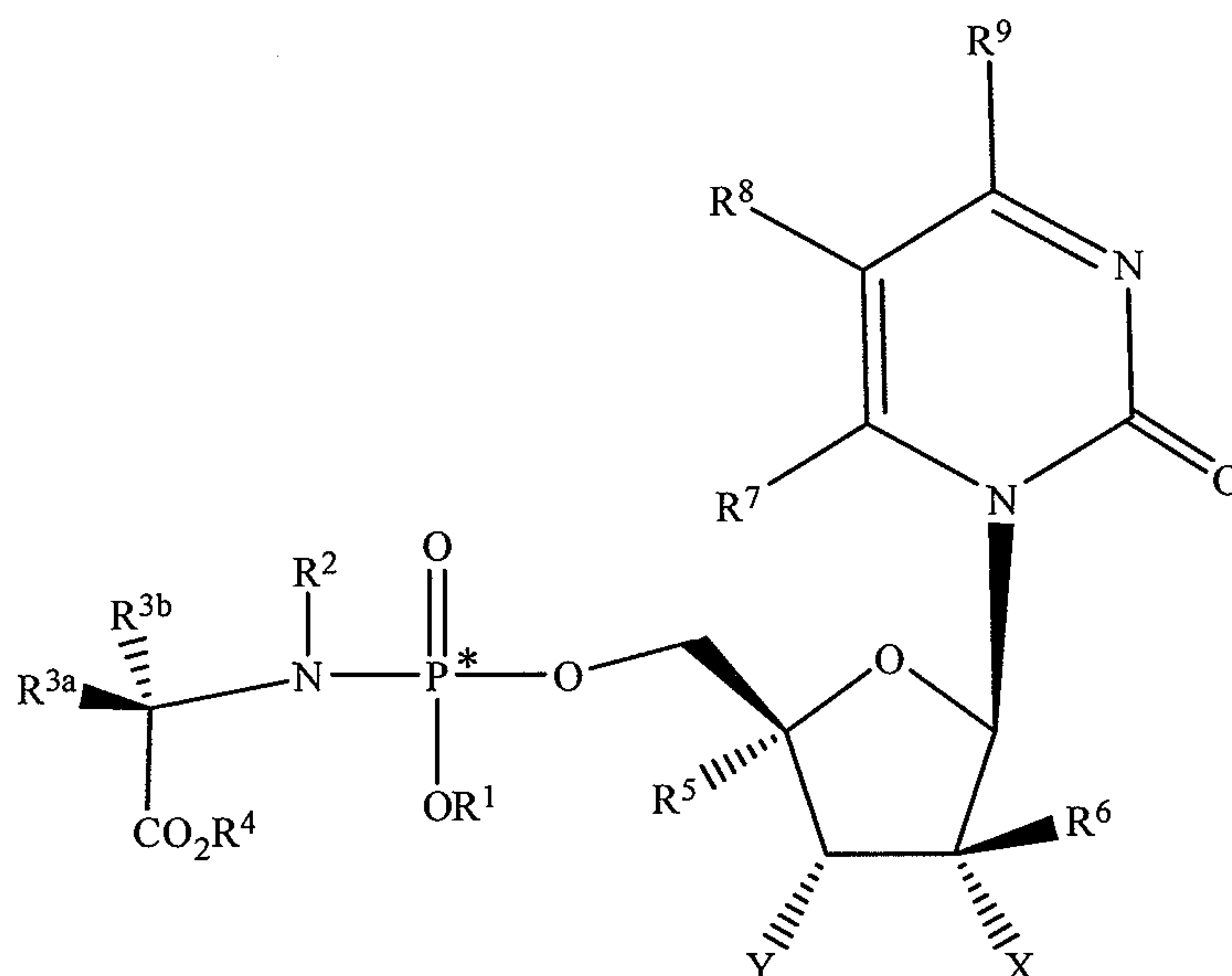
wherein

- 10 (a) R^1 is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;
- (b) R^2 is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂,
 15 CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl or R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H,
 20 CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;
- (d) R^4 is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower
 25 haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;
- (e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂(halo), such as CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl,

Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃;

- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- (g) X is H, OH, F, OCH₃, NH₂, or N₃;
- 5 (h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂, or O(aminoacyl);
- (i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is
 10 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl; and
- (j) R⁹ is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C1-20 alkyl), which include but are not limited to
 15 OC(O)(CH₂)_sCH₃, NHC(O)(C1-20 alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

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



I-2

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted
 5 or unsubstituted phenyl, where the substituent of the substituted phenyl is at
 least one of a CH_3 , OCH_3 , F, Cl, Br, I, nitro, cyano, and a CH_3-qX_q , where X is F,
 Cl, Br, or I, and q is 1-3;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$,
 10 $CH(CH_3)CH_2CH_3$, 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 ,
 15 $CH_2C(O)NH_2$, 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
 20 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, CH₃, OCH₃, CH₂OH, CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)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 N₃;

(f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

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

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

(i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl; and

(j) R^9 is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C1-20 alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C1-20 alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and and N(C(O)(CH₂)_sCH₃), which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A 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 CH₃;

(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 , $CH(OH)CH_3$, $CH_2((4'$
5 OH)-Ph), CH_2SH , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;

10 (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 ,
15 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-qX_q , where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2H , CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, 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

20 (j) R^9 is selected from among OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8,
25 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$,
 5 $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted
 10 heteroaryl;
- (e) R^5 is H, OMe, CN, CH_2F , F, Cl, Br, or I;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, F, OCH₃, F, Cl, Br, I, or N₃;
- (h) Y is H, OH, CH_3 , F, Cl, Br, I, or N₃, OCH₃, OC(O)CH₃, or
 15 O(aminoacyl);
- (i) R^7 and R^8 are independently H, F, Br, SCH₃, CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂; and
- (j) R^9 is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃,
 20 N(CH₃)₂, OC(O)(C₁₋₂₀ alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C₁₋₂₀ alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$,
 5 $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, or N_3 ;
- (h) Y is OH, OCH_3 , $OC(O)CH_3$, or O(aminoacyl);
- (i) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , $CH_{3-q}X_q$,
 15 where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$; and
- (j) R^9 is selected from among OH, OCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $NHC(O)(CH_2)_sCH_3$,
 20 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**

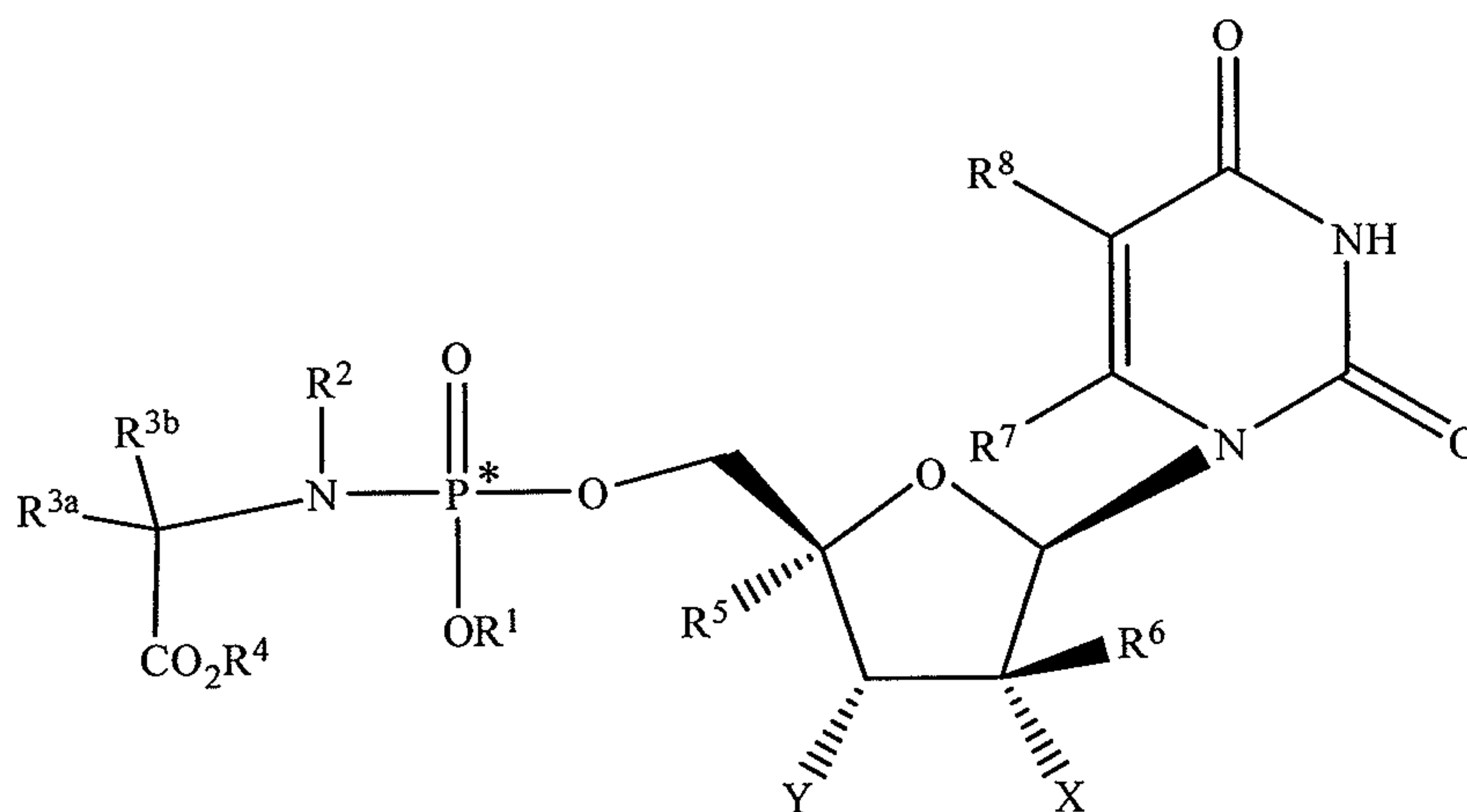
25 wherein

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

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

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



I-3

wherein

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

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

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

- CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃,
 CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂,
 CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl,
 CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii)
 5 R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph,
 CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH,
 CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-
 imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower
 cycloalkyl and R^{3b} is H, where R³ is independently hydrogen or alkyl, which
 10 includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3'} is -OR' or
 -N(R^{3'})₂;

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

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

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

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

- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN,
 Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄
 alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl),
 O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄
 alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl),
 30 SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄
 acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄

- alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, or N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, or N(C₁₋₄ acyl)₂;
- (i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C₂-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

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

wherein

- (a) R¹ is hydrogen, n-alkyl or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii)

R^{3a} is 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;

- 5 (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;
- 10 (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 ;
- 15 (h) Y is OH, H, C_{1-4} alkyl, vinyl, N_3 , CN, Cl, Br, F, I, $O(C_{1-6} \text{ acyl})$, $O(C_{1-4} \text{ alkyl})$, NH_2 , $NH(C_{1-4} \text{ alkyl})$, $NH(C_{2-4} \text{ alkenyl})$, $NH(C_{2-4} \text{ alkynyl})$, $NH(C_{1-4} \text{ acyl})$, $N(C_{1-4} \text{ alkyl})_2$, $N(C_{1-18} \text{ acyl})_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , $OC(O)O(C_{1-4} \text{ alkyl})$, $OC(O)O(C_{1-4} \text{ alkyl})$, $OC(O)O(C_{2-4} \text{ alkynyl})$, $OC(O)O(C_{2-4}$
- 20 $\text{alkenyl})$, $OC_{1-10} \text{ haloalkyl}$, $O(\text{aminoacyl})$, $O(C_{1-4} \text{ acyl})$, $O(C_{1-4} \text{ alkyl})$, $O(C_{2-4} \text{ alkenyl})$, $S(C_{1-4} \text{ acyl})$, $S(C_{1-4} \text{ alkyl})$, $S(C_{2-4} \text{ alkynyl})$, $S(C_{2-4} \text{ alkenyl})$, $SO(C_{1-4} \text{ acyl})$, $SO(C_{1-4} \text{ alkyl})$, $SO(C_{2-4} \text{ alkynyl})$, $SO(C_{2-4} \text{ alkenyl})$, $SO_2(C_{1-4} \text{ acyl})$, $SO_2(C_{1-4} \text{ alkyl})$, $SO_2(C_{2-4} \text{ alkynyl})$, $SO_2(C_{2-4} \text{ alkenyl})$, $OS(O)_2(C_{1-4} \text{ acyl})$, $OS(O)_2(C_{1-4} \text{ alkyl})$, $OS(O)_2(C_{2-4} \text{ alkenyl})$, NH_2 , $NH(C_{1-4} \text{ alkyl})$, $NH(C_{2-4}$
- 25 $\text{alkenyl})$, $NH(C_{2-4} \text{ alkynyl})$, $NH(C_{1-4} \text{ acyl})$, $N(C_{1-4} \text{ alkyl})_2$, $N(C_{1-4} \text{ acyl})_2$;
- (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OR' , SH, SR' , NH_2 , NHR' , NR'_2 , lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C_2-C_6 , CO_2H , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, wherein R' is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a C_2-C_6 alkenyl, a C_2-C_6 alkynyl.

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

wherein

- (a) R^1 is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a C_{1-3} alkyl, a C_{1-3} alkoxy, F, Cl, Br, I, nitro, cyano, and a C_{1-3} haloalkyl;
- (b) R^2 is hydrogen, CH_3 , R^{3a} or R^{3b} and R^2 together are $(CH_2)_3$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and R^1 , R^{3a} , and R^{3b} are as defined herein;
- (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H;
- (d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

- (e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂(halo), such as CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I;
- (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- 5 (g) X is H, OH, F, OCH₃, halogen, NH₂, or N₃
- (h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂;
- (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is
- 10 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)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,
- 15 where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;
- (b) R^2 is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H,
- 20 CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl or R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -
- 25 CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;
- (d) R^4 is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-

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

(e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂(halo), such as CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I;

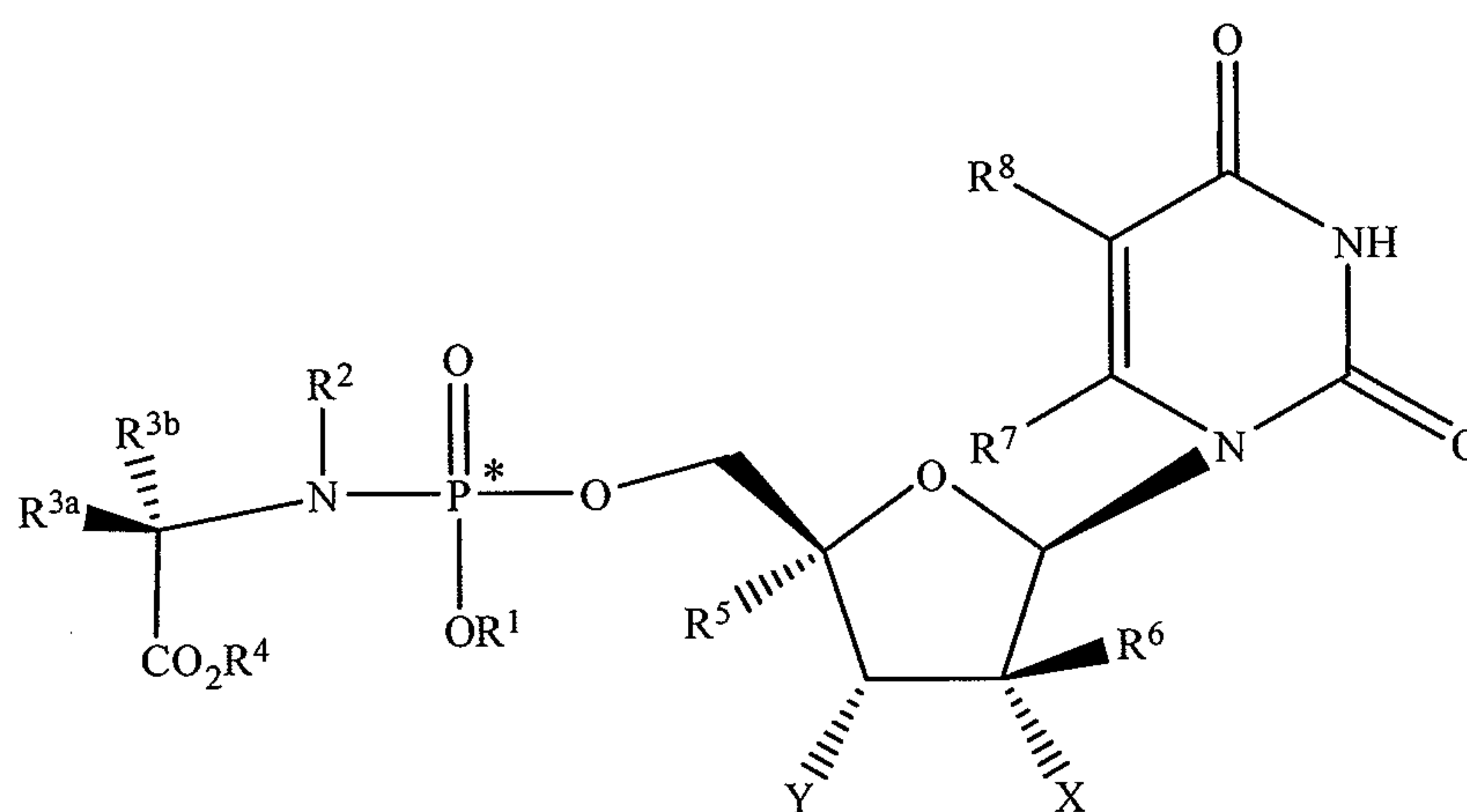
(f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OCH₃, NH₂, or N₃;

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

(i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R^1 is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

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



I-4

wherein

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

least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;

(b) R² is hydrogen or CH₃;

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

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl,
 cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-
 15 methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-
 methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, N₃, CH₂CN, CH₂N₃,
 CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I;

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

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

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

(i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH,
 SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is
 25 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R⁷
 is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl,

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- 5 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , 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;
- 10 (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_3 , OCH_3 , CH_2OH , CH_2F , halogen, including F, Cl, Br, or I;
- 15 (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 ;
- 20 (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-qX_q , where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2H , CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, 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
 25 represented by formula I-4

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$,
 5 $CH(CH_3)CH_2CH_3$, 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;
- 10 (e) R^5 is H, OMe, CN, CH_2F , F, Cl, Br, or I;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, F, OCH₃, F, Cl, Br, I, NH₂ or N₃;
- (h) Y is H, OH, CH_3 , F, Cl, Br, I, NH₂ or N₃, OCH₃, or OC(O)CH₃;
- (i) R^7 and R^8 are independently H, F, Br, SCH₃, CH_3 , $CH_{3-q}X_q$,
 15 where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂;

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

wherein

- 20 (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$,
 $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

- (d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 5 (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 , $CH_{3-q}X_q$,
 10 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

- 15 (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;
- 20 (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;
- 25 (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

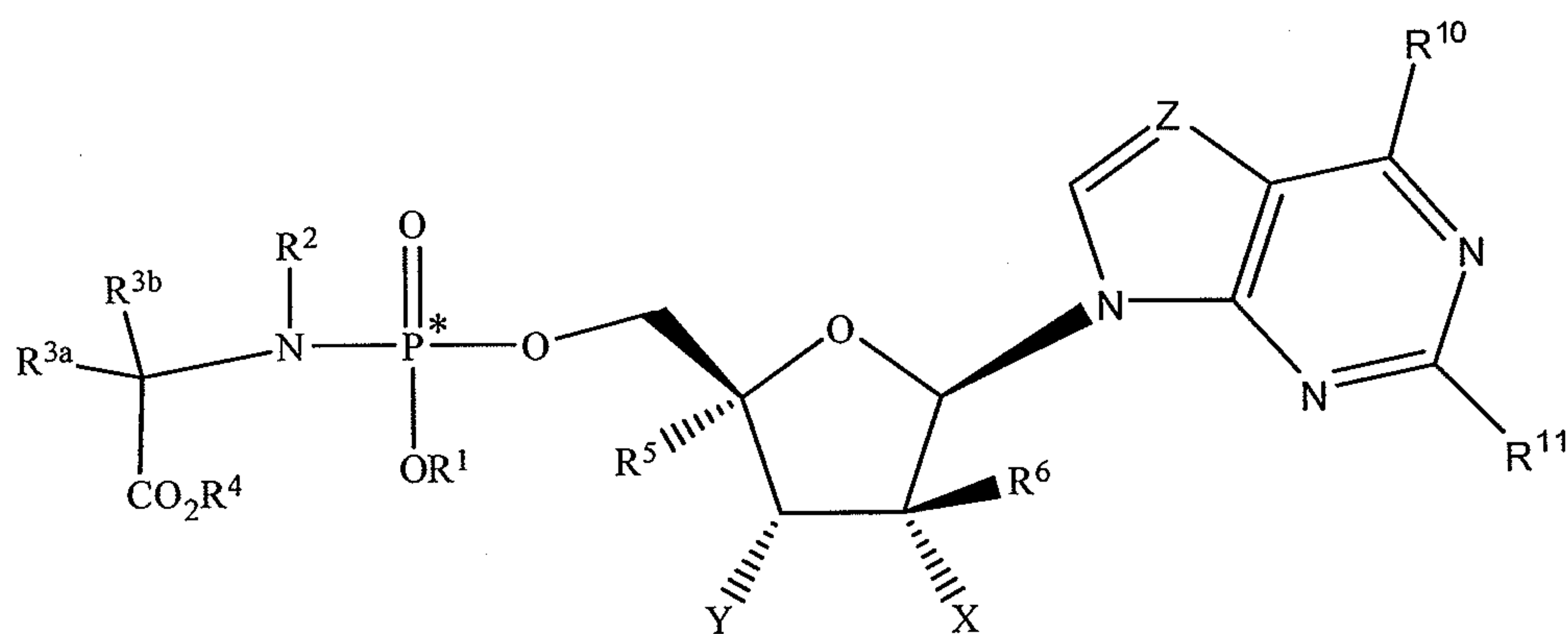
(g) X is H, OH, OCH₃, F, or N₃;

(h) Y is OH, OCH₃, or OC(O)CH₃;

(i) R⁷ and R⁸ are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q,
where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or
5 CON(CH₃)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 R¹, R², R^{3a}, R^{3b}, R⁴, R⁵, R⁶, X, Y, Z, R¹⁰, R¹¹, and R¹² are
defined in the Summary of the Invention section above; with the proviso that R¹¹
10 is not H.

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



I-5

15 wherein

(a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which
includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are
optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl,
C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R^{1'})₂, C₁₋₆ acylamino, -
20 NHSO₂C₁₋₆ alkyl, -SO₂N(R^{1'})₂, COR^{1''}, and -SO₂C₁₋₆ alkyl; (R^{1'} is independently
hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl,
or C₁₋₆ alkyl, R^{1''} is -OR' or -N(R^{1'})₂);

(b) 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 R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;

- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- 5 (g) X is H, OH, F, OMe, halogen, NH₂, or N₃;
- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;
- (i) R¹⁰ and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso that when R¹⁰ is OH and R¹¹ is not NH₂;

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

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an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that
 5 are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆,
 10 lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

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

15 wherein

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

(b) R² is hydrogen or CH₃;

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

(d) R⁴ is hydrogen, CH₃, Et, ^{*i*}Pr, ^{*n*}Pr, ^{*n*}Bu, 2-butyl, ^{*t*}Bu, benzyl,
 25 cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

- (e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH₃ or CH₂F, R^5 cannot be H.
- (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- 5 (g) X is H, OH, F, OCH₃, Cl, Br, I, NH₂, or N₃;
- (h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;
- (i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',
- 10 with the proviso that when R^{10} is OH and R^{11} is not NH₂;

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

- (j) Z is N or CR¹²; and
- 15 R^{12} is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

A third aspect of the third embodiment is directed to a compound

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

- (d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 5 (e) R^5 is H, 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 $OC(O)CH_3$;
- 10 (i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR' , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that

15 are joined to form a heterocycle comprising at least two carbon atoms; and

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

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

20

A 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;
- 25 (b) R^2 is hydrogen;

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

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

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

wherein

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

- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (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' , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

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

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

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$,
 5 $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H ,
 $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -
 $CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'$ -
 OH)-Ph), CH_2SH , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl,
 10 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
 15 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 ,
 20 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
 25

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
5 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 ;
 - 10 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
 - (d) R^4 is hydrogen, CH_3 , Et, i Pr, n Pr, n Bu, 2-butyl, i Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-
15 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 ;
 - 20 (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
25 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', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

An eighth aspect of the third embodiment is directed to a compound
5 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;
 - 10 (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
 - (d) R^4 is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-
15 methyl-piperidin-4-yl, lower haloalkyl;
 - (e) R^5 is H, with the provisos that when X is OH, R^6 is CH₃ or CH₂F, R^5 cannot be H;
 - (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, or F;
 - (g) X is H, OH, OCH₃, F, NH₂ or N₃;
 - 20 (h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;
 - (i) R^{10} is NH₂ and R^{11} is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R';
- wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that
25 are joined to form a heterocycle comprising at least two carbon atoms; and
- (j) Z is N or CR¹²; and

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

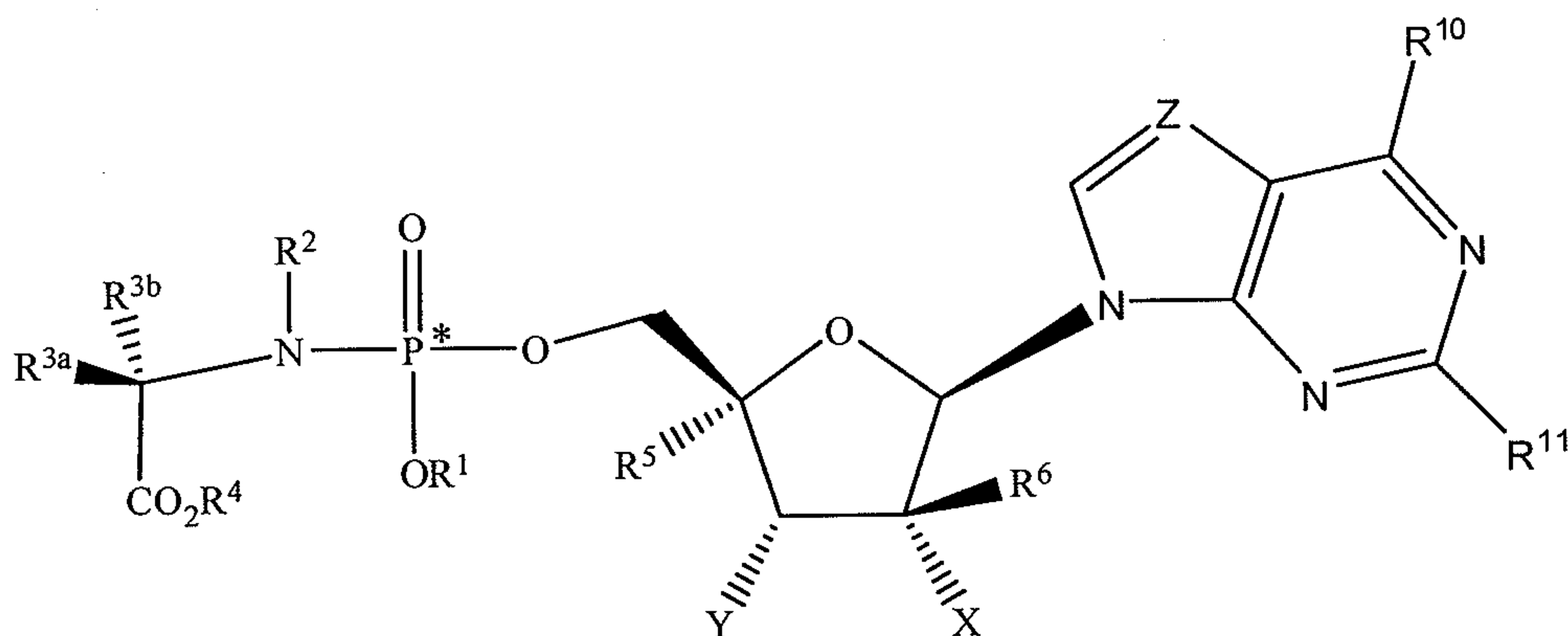
A ninth aspect of the third embodiment is directed to a compound
5 represented by formula I-5

wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
 - (b) R^2 is hydrogen;
 - 10 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
 - (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, iBu , 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,
15 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 ;
 - 20 (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 $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that
25 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 $CH=CHCO_2R'$.

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



I-6

wherein

- 10 (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, - $NHCO_2C_{1-6}$ alkyl, $-SO_2N(R^1)_2$, $COR^{1''}$, and $-SO_2C_{1-6}$ alkyl; R^1 is independently
15 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, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, -
20 $(CH_2)_3NHC(=NH)NH_2$, (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 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, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

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

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

(j) Z is N or CR¹²; and

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

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$,
 5 $CH(CH_3)CH_2CH_3$, 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,
 10 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
 15 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' ,
 20 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
 25 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 $CH=CHCO_2R'$.

5 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;
- 10 (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, *i*Pr, *n*Pr, *n*Bu, 2-butyl, *i*Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-
15 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;
- 20 (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 ;

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

(j) Z is N or CR¹²; and

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

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

wherein

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

10 (b) R² is hydrogen;

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

(d) R⁴ is hydrogen, CH₃, Et, ^{*i*}Pr, ^{*n*}Pr, ^{*n*}Bu, 2-butyl, ^{*t*}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R⁵ is H, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

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

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

(h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

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

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

(j) Z is N or CR¹²; and

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

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

10 wherein

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

(b) R² is hydrogen;

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

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

20 (e) R⁵ is H, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

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

(g) X is H, OH, OCH₃, F, NH₂ or N₃;

(h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso that when R^{10} is OH and R^{11} is not NH₂;

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

(j) Z is N or CR¹²; and

R^{12} is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or
10 CH=CHCO₂R'.

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
15 includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R^{1'})₂, C₁₋₆ acylamino, -NHCO₂C₁₋₆ alkyl, -SO₂N(R^{1'})₂, COR^{1''}, and -SO₂C₁₋₆ alkyl; (R^{1'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl,
20 or C₁₋₆ alkyl, R^{1''} is -OR' or -N(R^{1'})₂);

(b) R^2 is hydrogen or CH₃;

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

hydrogen and R^{3a} and 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),

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

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

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

(j) Z is N or CR¹²; and

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

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

25 wherein

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

(b) R² is hydrogen or CH₃;

(c) R^{3a} is H and R^{3b} is H, 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 , $CH(OH)CH_3$, $CH_2((4'$
5 OH)-Ph), CH_2SH , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

15 (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 $CH=CHCO_2R'$;

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

(j) Z is N or 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
25 $CH=CHCO_2R'$.

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- 5 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-
10 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 ;
- 15 (h) Y is H, OH, CH_3 , F, Cl, Br, I, NH_2 or N_3 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that
20 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 $CH=CHCO_2R'$.

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- 5 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-
10 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 ;
- 15 (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that
20 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 $CH=CHCO_2R'$.

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

wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- 5 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-
10 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 ;
- 15 (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} is NH_2 and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;

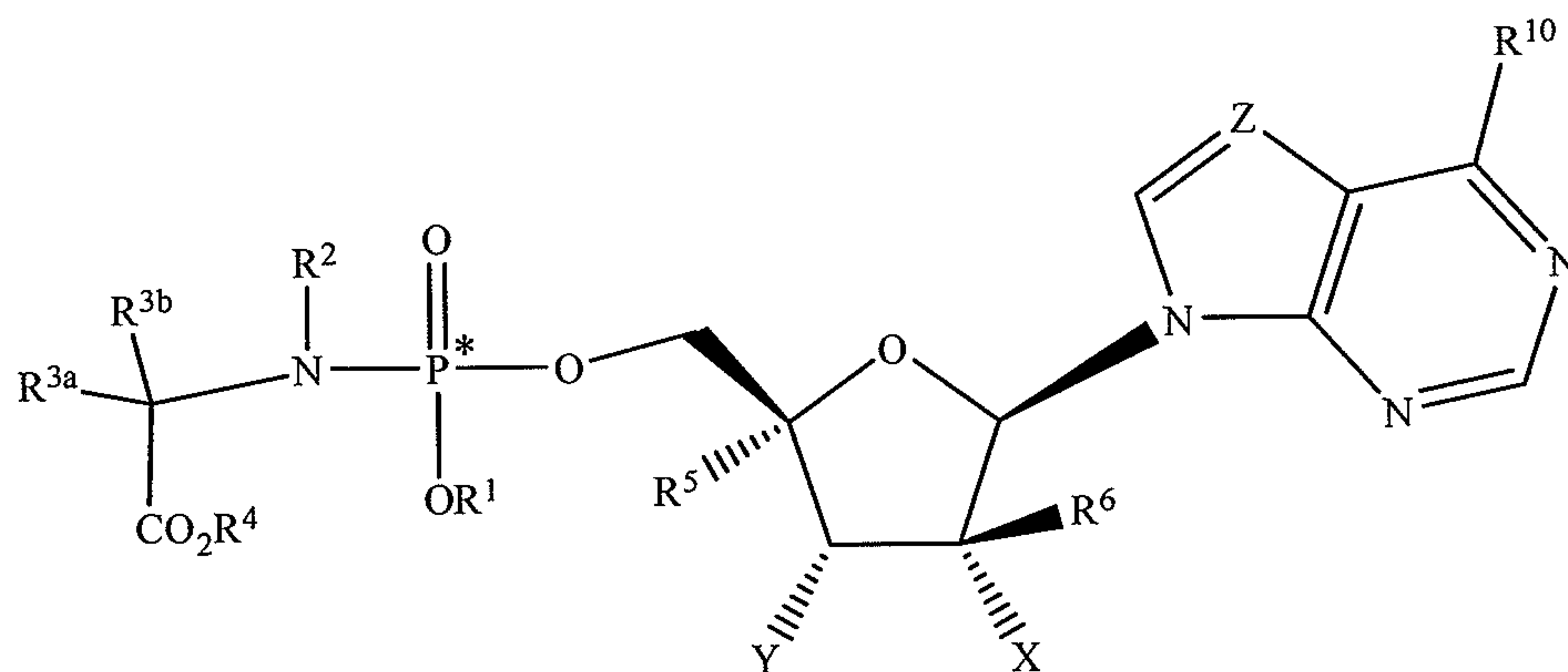
wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or
20 alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

- (j) Z is N or CR^{12} ; and
- R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or
25 $CH=CHCO_2R'$.

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

5

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



I-7

10

wherein

(a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^1)_2$, C_{1-6} acylamino, -
 15 $NHSO_2C_{1-6}$ 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}
 20 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 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, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

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

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR¹²; and

R^{12} is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that 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

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 CH₃;

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

(d) R^4 is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH₃ or CH₂F, R^5 cannot be H.

(f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

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

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

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

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

(j) Z is N or CR¹²; and

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

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

15 wherein

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

(b) R² is hydrogen or CH₃;

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

(d) R⁴ is hydrogen, CH₃, Et, ^{*i*}Pr, ^{*n*}Pr, ^{*n*}Bu, 2-butyl, ^{*t*}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

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

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

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

(j) Z is N or CR¹²; and

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

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

15 wherein

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

(b) R² is hydrogen;

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

(d) R⁴ is hydrogen, CH₃, Et, ^{*i*}Pr, ^{*n*}Pr, ^{*n*}Bu, 2-butyl, ^{*t*}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

25 (e) R⁵ is H, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} and R^{11} H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' ,
 5 $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$,

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

- (j) Z is N or CR^{12} ; and
- 10 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
 15 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;
- 20 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-
 25 methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

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

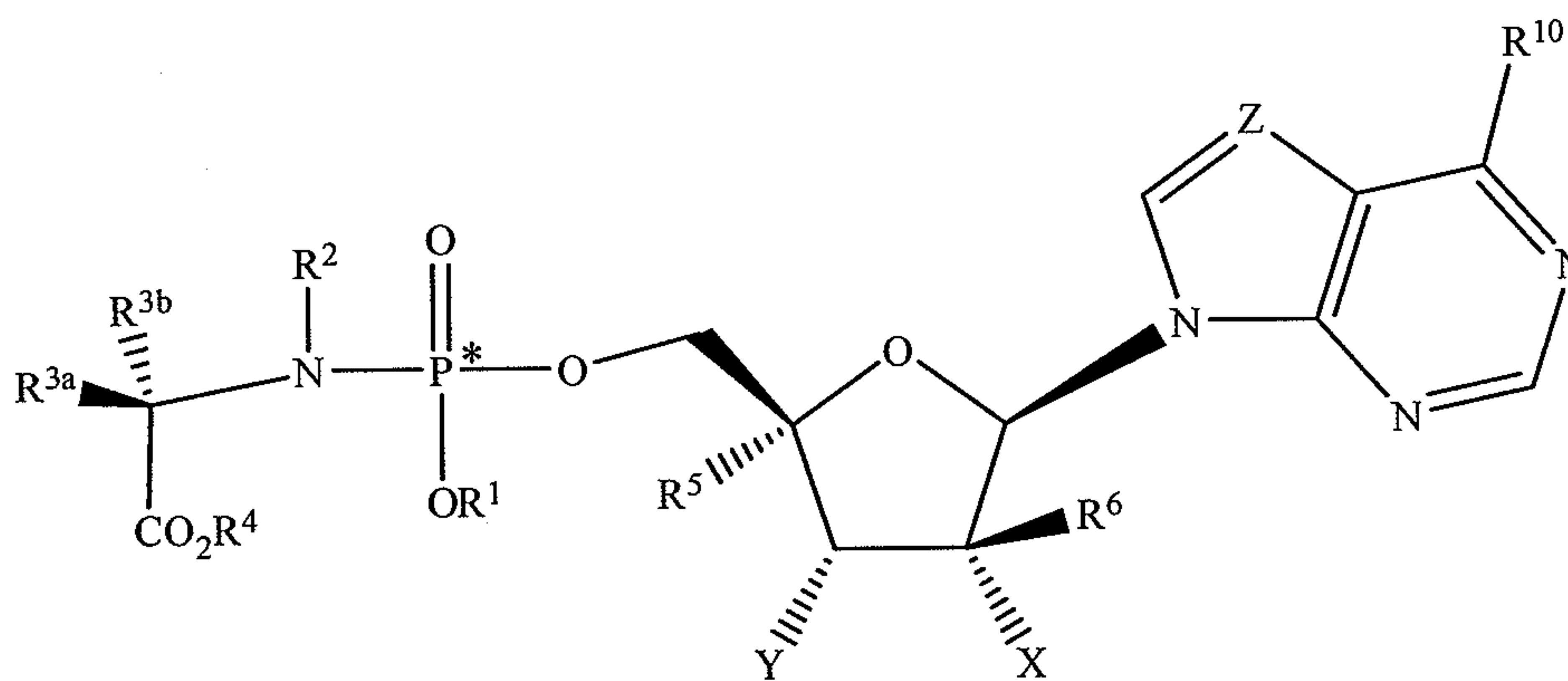
(i) R^{10} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$,

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that
10 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 $CH=CHCO_2R'$.

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



I-8

wherein

(a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not 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, $-N(R^1)_{2-3}$, C_{1-6} acylamino, -
 5 $NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^1)_{2-3}$, $COR^{1'}$, 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 $-N(R^1)_{2-3}$);

(b) R^2 is hydrogen or CH_3 ;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10}
 10 alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_{2-3}$, 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
 15 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
 20 $R^{3''}$ is $-OR'$ or $-N(R^1)_{2-3}$; (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)
 25 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
 30 includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is $-OR'$ or $-N(R^1)_{2-3}$);

(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' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$,

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

(j) Z is N or CR¹²; and

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

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

wherein

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

(b) R² is hydrogen or CH₃;

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

20 (d) R⁴ is hydrogen, CH₃, Et, ^{*i*}Pr, ^{*n*}Pr, ^{*n*}Bu, 2-butyl, ^{*t*}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

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

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

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

(j) Z is N or CR¹²; and

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

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

wherein

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

(b) R² is hydrogen or CH₃;

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

(d) R⁴ is hydrogen, CH₃, Et, ^{*i*}Pr, ^{*n*}Pr, ^{*n*}Bu, 2-butyl, ^{*t*}Bu, benzyl,
cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-
methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-
25 methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

- (f) 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' , $CONH_2$,
 5 $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$,

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

- (j) Z is N or CR^{12} ; and
- 10 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
 15 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;
- 20 (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-
 25 methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

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

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

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that
10 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
15 (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-
20 phenyl, p-fluorophenyl;

(b) R^2 is hydrogen;

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

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl,
25 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;

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

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

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

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

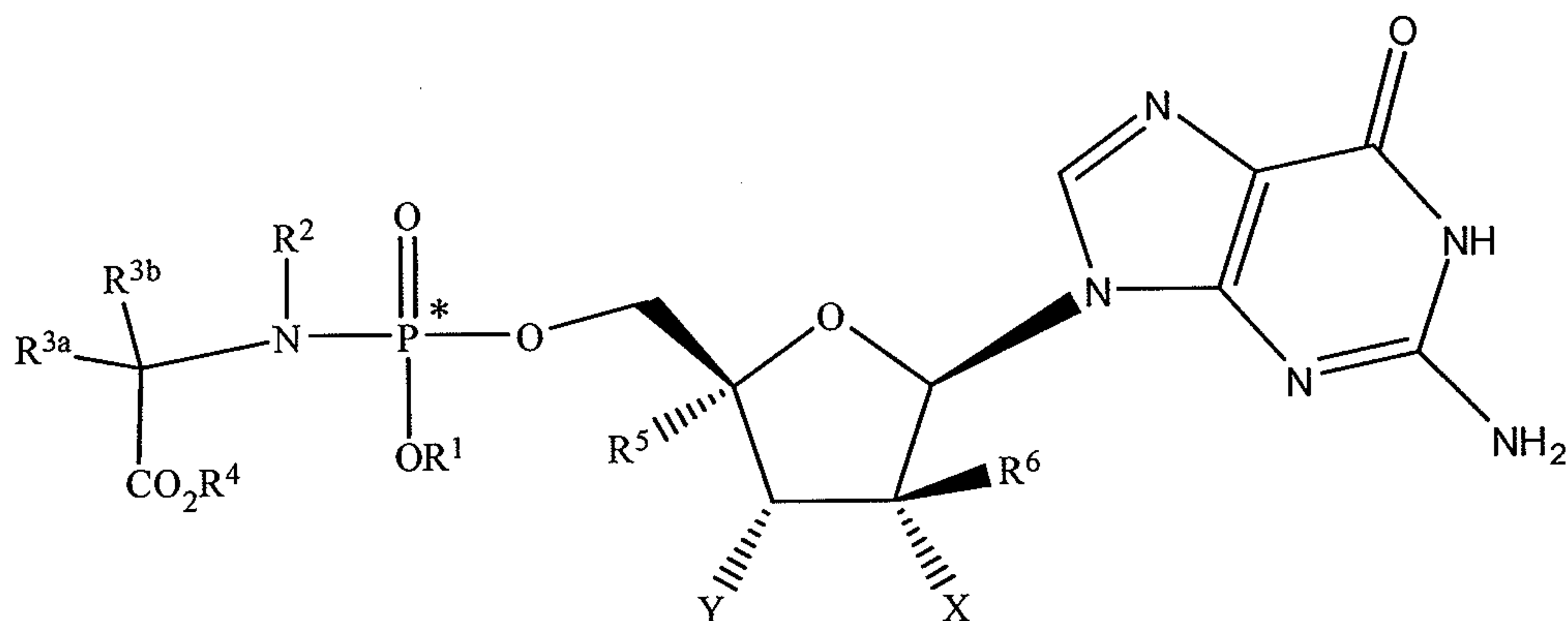
10 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

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

15 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) $-NO_2$.

20 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



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, $-NH-SO_2C_{1-6}$ 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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CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂,
 CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl,
 CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii)
 R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph,
 5 CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH,
 CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-
 imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower
 cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which
 includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or
 10 -N(R^{3'})₂;

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

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

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

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

(h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN,
 25 Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄
 alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl),
 O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄
 alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl),
 SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄
 30 acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄
 alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂,

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

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

wherein

- (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;
- (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H.
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- (g) X is H, OH, F, OCH₃, halogen, NH₂, or N₃;

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

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

5 wherein

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

(b) R² is hydrogen or CH₃;

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

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

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

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

wherein

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

25 (b) R² is hydrogen;

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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, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

(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, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

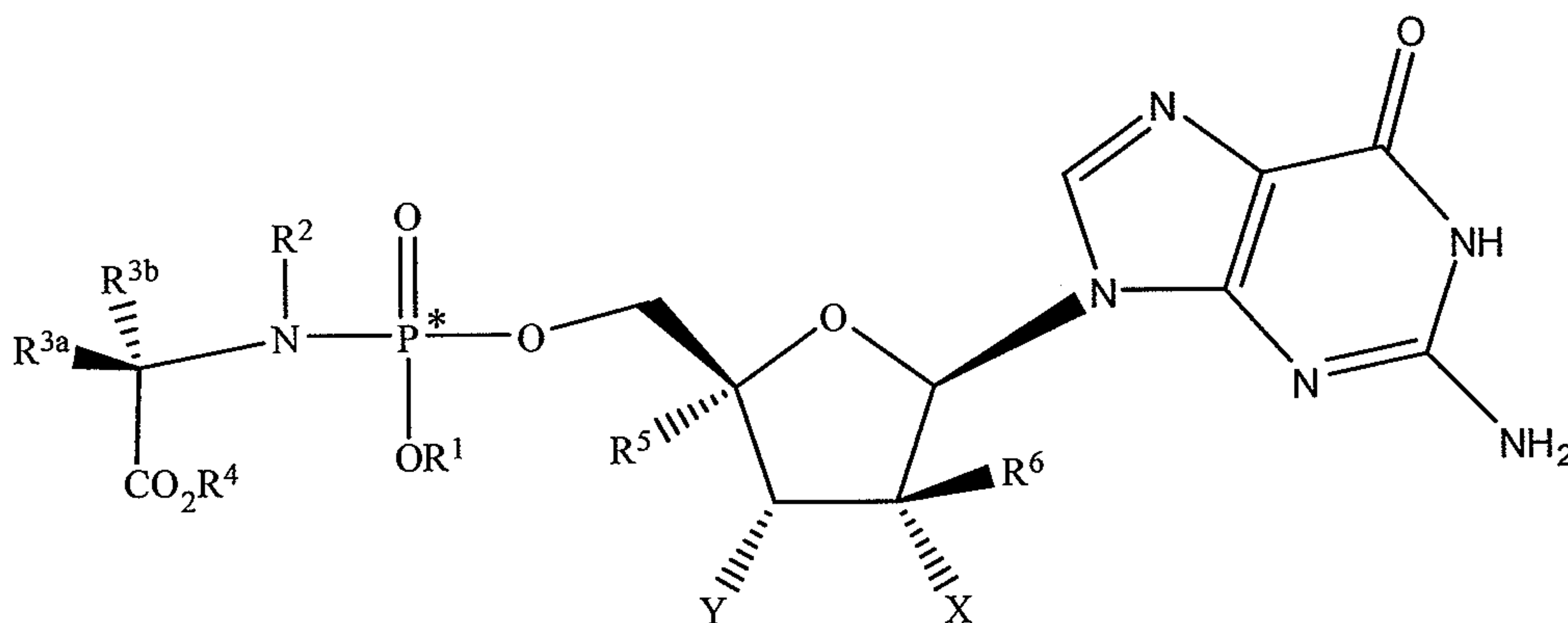
(e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;

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

(g) X is H, OH, OCH₃, F, NH₂ or N₃;

(h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

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



I-10

wherein

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

(b) R² is hydrogen or CH₃;

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

- (d) R^4 is hydrogen, CH_3 , Et, i Pr, n Pr, n Bu, 2-butyl, t Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 5 (e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , CH_2F , 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 ;
- 10 (h) Y is OH, H, CH_3 , vinyl, N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 , NH_2 , $NHCH_3$, $NH(vinyl)$, $NH(acetyl)$, $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$;

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

wherein

- 15 (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 ,
 20 $CH_2C(O)NH_2$, 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;
- 25

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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;

5 (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**

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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$,
15 $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

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

20 (e) R^5 is H, 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 $OC(O)CH_3$;

25 A ninth aspect of the fifth embodiment is directed to a compound

represented by formula **I-10**

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- 5 (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, i Pr, n Pr, n Bu, 2-butyl, i Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-
10 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;
- 15 (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;

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

wherein

- 20 (a) R^1 is hydrogen, methyl, phenyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

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

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

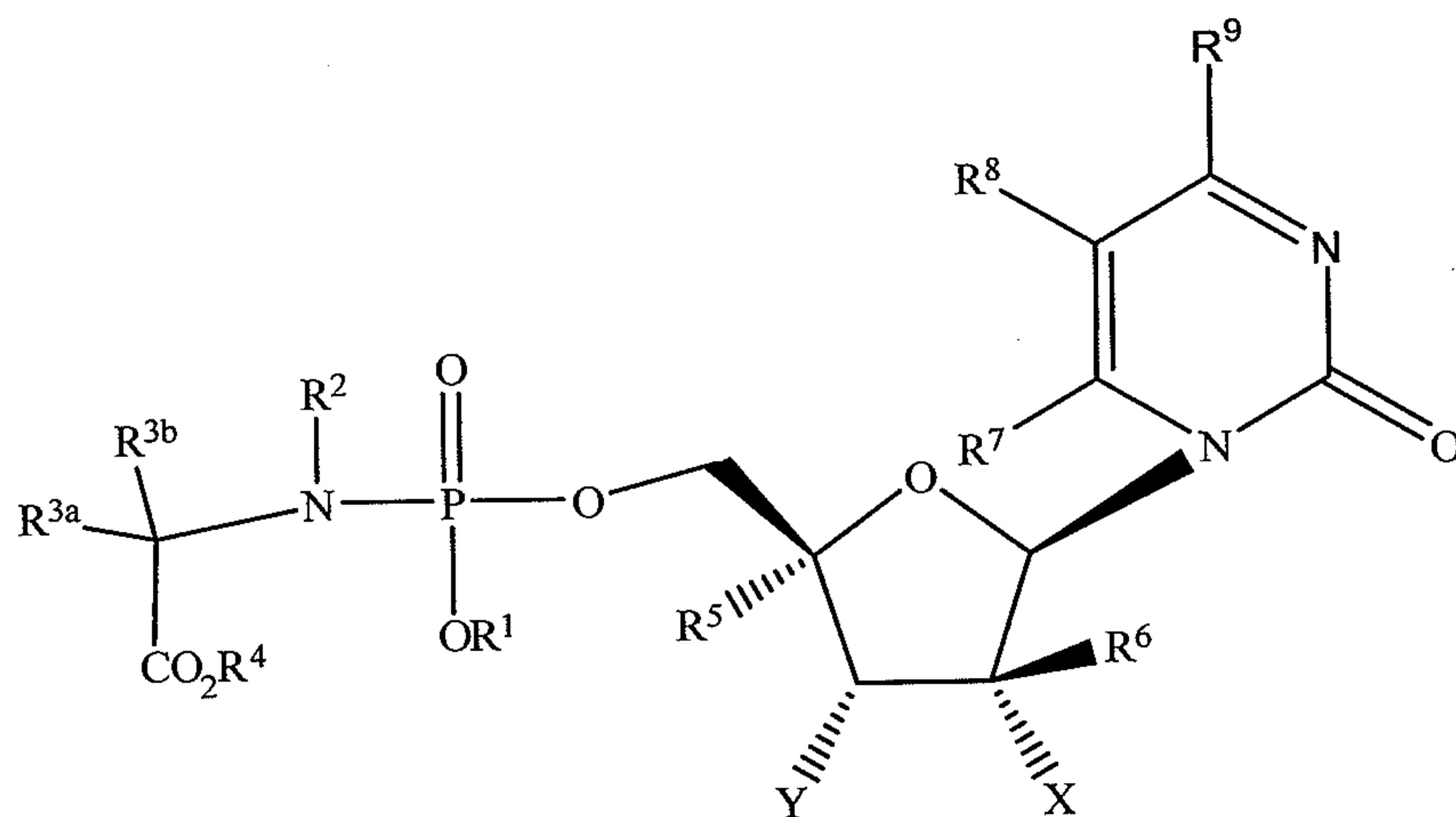
(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

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

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

10 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
15 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,
20 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.
25 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
30 below do not specifically depict chirality at phosphorus, the inventors recognize that stereochemical configurations are possible such that in a staggered (or zig-

- zag) line structure the oxo-substituent projects towards the viewer while the OR¹ substituent projects away from the viewer, and vice versa, i.e., where the Cahn-Ingold-Prelog stereochemical designation of phosphorous is either R or S. Therefore, the structures below include all possible stereochemical configurations possible for phosphorus.



II

Table II-1.

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

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

10 Table II-2.

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

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

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

Table II-3.

No	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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-3-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table II-4.

No	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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-4-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table II-5.

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

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

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

Table II-6.

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

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

Table II-7.

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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-7-20	p-F-Ph	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-8.

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

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

Table II-9.

No	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

No	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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-9-20	p-Br-Ph	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-10-1	p-I-Ph	H	H	H	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂
II-10-8	p-I-Ph	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-11.

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

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

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

Table II-12.

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

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

Table II-13.

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

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

Table II-14.

No	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

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

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

Table II-15.

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

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

Table II-16.

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

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

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

Table II-17.

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

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

Table II-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II- 18-1	p-Cl- Ph	H	H	H	Et	H	CH ₃	OH	OH	H	H	NH ₂
II- 18-2	p-Cl- Ph	H	H	CH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂
II- 18-3	p-Cl- Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II- 18-4	p-Cl- Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-	p-Cl- Ph	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
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 ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-18-8	p-Cl-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-19-1	p-Br-Ph	H	H	H	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-19-2	p-Br-Ph	H	H	CH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-19-8	p-Br-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-20.

No	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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
3												
II-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-20-8	p-I-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-21.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-21-1	CH ₃	H	H	H	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-21-8	CH ₃	*	H	*	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-22.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-22-1	Et	H	H	H	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-22-2	Et	H	H	CH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
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 ₂ CH ₂ SCH 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

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

Table II-23.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-23-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table II-24.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-24-1	^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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-24-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table II-25.

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

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

Table II-26.

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

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

Table II-27.

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

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

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

Table II-28.

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

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

Table II-29.

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

No	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	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II- 29-7	p-Br- Ph	H	H	CH ₂ CH ₂ SCH ₃	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II- 29-8	p-Br- Ph	*	H	*	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-30.

No	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	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-30- 2	p-I- Ph	H	H	CH ₃	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-30- 3	p-I- Ph	H	H	CH(CH ₃) ₂	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-30- 4	p-I- Ph	H	H	CH ₂ CH(CH ₃) ₂	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-30- 5	p-I- Ph	H	H	CH ₂ Ph	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-30- 6	p-I- Ph	H	H	CH ₂ -indol-3- yl	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-30- 7	p-I- Ph	H	H	CH ₂ CH ₂ SCH ₃	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂
II-30- 8	p-I- Ph	*	H	*	^t Pr	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-31.

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

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

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

Table II-32.

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

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

Table II-33.

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

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

Table II-34.

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

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

Table II-35.

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

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

5 Table II-36.

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

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

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

Table II-37.

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

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

Table II-38.

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

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

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

Table II-39.

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

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

Table II-40.

No	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

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-41-1	CH ₃	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-41-2	CH ₃	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-41-8	CH ₃	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-42-1	Et	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-42-2	Et	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-42-5	Et	H	H	CH ₂ Ph	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	OH	OH	H	H	NH ₂

No	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 ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-42-8	Et	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-43.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-43-1	ⁱ Pr	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-43-8	ⁱ Pr	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-44.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-44-1	^t Bu	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-44-2	^t Bu	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-44-8	^t Bu	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-45.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-45-1	Ph	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-45-2	Ph	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-45-5	Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂

No	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

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

Table II-46.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-46-1	p-Me-Ph	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-46-8	p-Me-Ph	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-47-1	p-F-Ph	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-2	p-F-Ph	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-	p-F-Ph	H	H	CH ₂ -indol-3-	Bz	H	CH ₃	OH	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
6				yl								
II-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-8	p-F-Ph	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-48.

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

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

Table II-49.

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

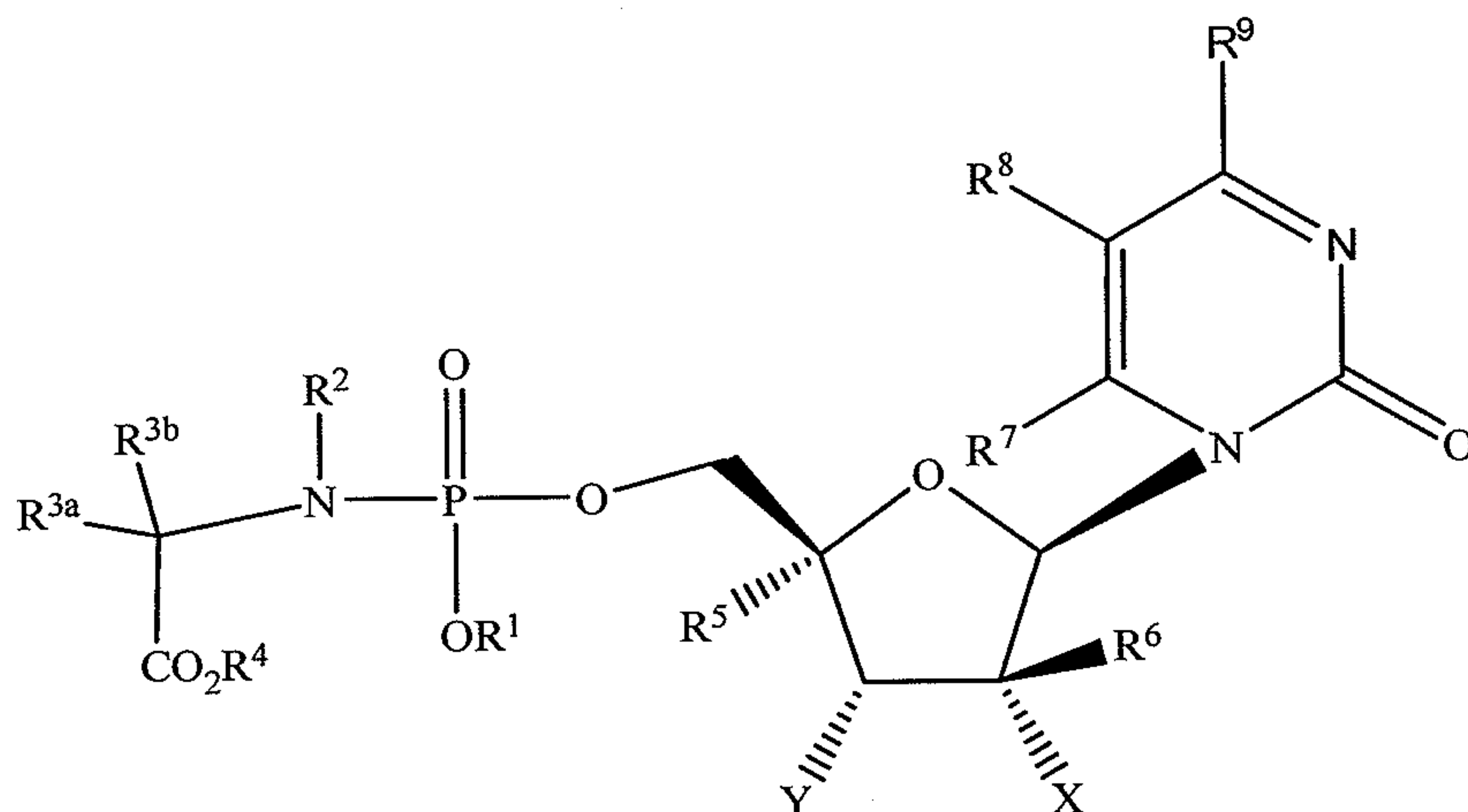
No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
49-4												
II-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-8	p-Br-Ph	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-50.

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

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



III

Table III-1.

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

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

Table III-2.

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

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

Table III-3.

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

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

Table III-4.

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

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

5 Table III-5.

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

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

Table III-6.

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

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

Table III-7.

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

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

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

Table III-8.

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

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

Table III-9.

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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
7				yl								
III-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-9-20	p-Br-Ph	*	H	*	CH ₃	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-10-1	p-I-Ph	H	H	H	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-10-8	p-I-Ph	*	H	*	CH ₃	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-11.

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

No	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

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

Table III-12.

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

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

Table III-13.

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

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

Table III-14.

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

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

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

Table III-15.

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

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

Table III-16.

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

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

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

Table III-17.

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

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

Table III-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-18-1	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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH	H	H	NH ₂
III-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH	H	H	NH ₂
III-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH	H	H	NH ₂
III-18-8	p-Cl-Ph	*	H	*	Et	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-19-1	p-Br-Ph	H	H	H	Et	H	CH ₃	F	OH	H	H	NH ₂
III-19-2	p-Br-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH	H	H	NH ₂
III-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH	H	H	NH ₂
III-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH	H	H	NH ₂
III-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH	H	H	NH ₂
III-19-8	p-Br-Ph	*	H	*	Et	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-20.

No	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

No	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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH	H	H	NH ₂
III-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH	H	H	NH ₂
III-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH	H	H	NH ₂
III-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH	H	H	NH ₂
III-20-8	p-I-Ph	*	H	*	Et	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-21.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-21-1	CH ₃	H	H	H	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-8	CH ₃	*	H	*	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-22.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-22-1	Et	H	H	H	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-2	Et	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂

No	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-8	Et	*	H	*	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-23.

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

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

Table III-24.

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

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

Table III-25.

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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-25-8	Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-26.

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

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

Table III-27.

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

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

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

Table III-28.

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

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

Table III-29.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH	H	H	NH ₂

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

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

Table III-30.

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

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

Table III-31.

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

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-31-8	CH ₃	*	H	*	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-32.

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

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

Table III-33.

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

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

Table III-34.

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

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

Table III-35.

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

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

5 Table III-36.

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

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

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

Table III-37.

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

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

Table III-38.

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

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

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

Table III-39.

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

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

Table III-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-40-	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
2												
III-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-41-1	CH ₃	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-41-2	CH ₃	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-41-8	CH ₃	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-42-1	Et	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-42-2	Et	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-42-5	Et	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂

No	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

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

Table III-43.

No	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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table III-44.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-44-1	^t Bu	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-2	^t Bu	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-8	^t Bu	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-45.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-45-1	Ph	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-45-2	Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-45-5	Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-45-8	Ph	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-46.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-46-1	p-Me-Ph	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-46-8	p-Me-Ph	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

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

5 Table III-47.

No	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

No	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 ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-8	p-F-Ph	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-48-1	p-Cl-Ph	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-48-8	p-Cl-Ph	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-49.

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

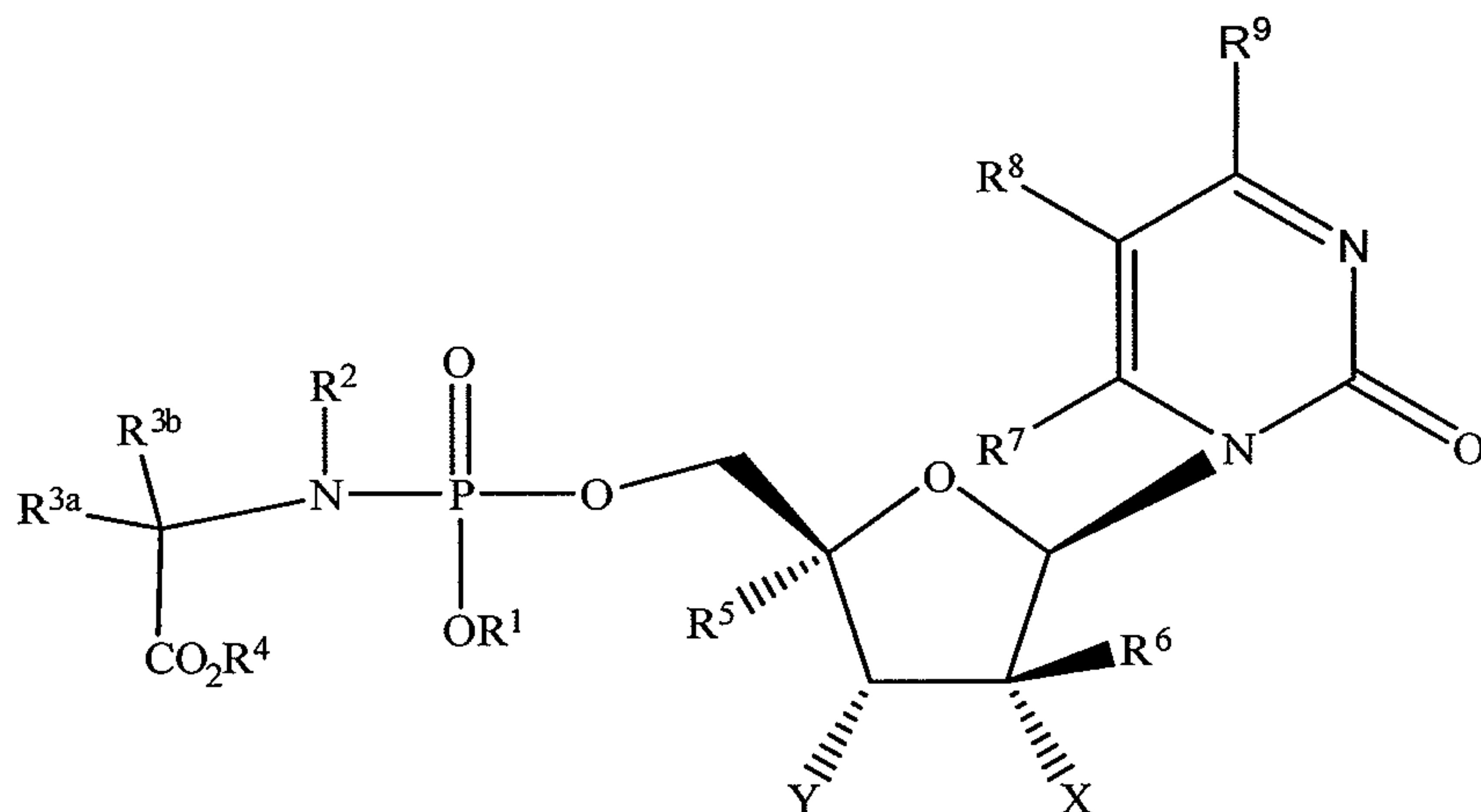
*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-50.

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

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

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



IV

Table IV-1.

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

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

Table IV-2.

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

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

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

Table IV-3.

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

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

Table IV-4.

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

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

Table IV-5.

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

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

Table IV-6.

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

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

5 Table IV-7.

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

No	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	Ph p-F- Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-7-6	Ph p-F- Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH	H	H	NH ₂
IV-7-7	Ph p-F- Ph	H	H	CH ₂ -indol-3- yl	CH ₃	H	F	F	OH	H	H	NH ₂
IV-7-8	Ph p-F- Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH	H	H	NH ₂
IV-7-20	Ph p-F- Ph	*	H	*	CH ₃	H	F	F	OH	H	H	NH ₂

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

Table IV-8.

No	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	Ph p-Cl- Ph	H	H	H	CH ₃	H	F	F	OH	H	H	NH ₂
IV-8-2	Ph p-Cl- Ph	H	H	CH ₃	CH ₃	H	F	F	OH	H	H	NH ₂
IV-8-3	Ph p-Cl- Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-8-4	Ph p-Cl- Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-8-5	Ph p-Cl- Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH	H	H	NH ₂
IV-8-6	Ph p-Cl- Ph	H	H	CH ₂ -indol-3- yl	CH ₃	H	F	F	OH	H	H	NH ₂
IV-8-7	Ph p-Cl- Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH	H	H	NH ₂
IV-8-8	Ph p-Cl- Ph	*	H	*	CH ₃	H	F	F	OH	H	H	NH ₂

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

Table IV-9.

No	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	Ph p-Br- Ph	H	H	H	CH ₃	H	F	F	OH	H	H	NH ₂
IV-9-2	Ph p-Br- Ph	H	H	CH ₃	CH ₃	H	F	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH	H	H	NH ₂
IV-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH	H	H	NH ₂
IV-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH	H	H	NH ₂
IV-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	F	OH	H	H	NH ₂

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

Table IV-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-10-1	p-I-Ph	H	H	H	CH ₃	H	F	F	OH	H	H	NH ₂
IV-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	F	OH	H	H	NH ₂
IV-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH	H	H	NH ₂
IV-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH	H	H	NH ₂
IV-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH	H	H	NH ₂
IV-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH	H	H	NH ₂
IV-10-8	p-I-Ph	*	H	*	CH ₃	H	F	F	OH	H	H	NH ₂

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

Table IV-11.

No	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

No	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 ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	F	F	OH	H	H	NH ₂
IV-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH	H	H	NH ₂
IV-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-11-8	CH ₃	*	H	*	Et	H	F	F	OH	H	H	NH ₂

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

Table IV-12.

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

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

Table IV-13.

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

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

Table IV-14.

No	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

1

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

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

Table IV-15.

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

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

Table IV-16.

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

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

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

Table IV-17.

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

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

Table IV-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-18-1	p-Cl-Ph	H	H	H	Et	H	F	F	OH	H	H	NH ₂
IV-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-18-	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
5												
IV-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH	H	H	NH ₂
IV-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-18-8	p-Cl-Ph	*	H	*	Et	H	F	F	OH	H	H	NH ₂

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

Table IV-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-19-1	p-Br-Ph	H	H	H	Et	H	F	F	OH	H	H	NH ₂
IV-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH	H	H	NH ₂
IV-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH	H	H	NH ₂
IV-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-19-8	p-Br-Ph	*	H	*	Et	H	F	F	OH	H	H	NH ₂

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

Table IV-20.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-20-1	p-I-Ph	H	H	H	Et	H	F	F	OH	H	H	NH ₂
IV-20-2	p-I-Ph	H	H	CH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH	H	H	NH ₂
IV-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-20-8	p-I-Ph	*	H	*	Et	H	F	F	OH	H	H	NH ₂

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

Table IV-21.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-21-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table IV-22.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-22-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table IV-23.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-23-5	ⁱ Pr	H	H	CH ₂ Ph	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

No	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 ₂ CH ₂ SCH 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

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

Table IV-24.

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

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

Table IV-25.

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

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

Table IV-26.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
4	Ph											
IV-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH	H	H	NH ₂
IV-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	F	OH	H	H	NH ₂

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

Table IV-27.

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

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

Table IV-28.

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

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

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

Table IV-29.

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

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

Table IV-30.

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

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

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

Table IV-31.

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

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

Table IV-32.

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

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

Table IV-33.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-33-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table IV-34.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-34-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table IV-35.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-35-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table IV-36.

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

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

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

Table IV-37.

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

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

Table IV-38.

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

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

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

Table IV-39.

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

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

Table IV-40.

No	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

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	F	OH	H	H	NH ₂

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

Table IV-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-41-1	CH ₃	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-41-2	CH ₃	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-41-8	CH ₃	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

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

Table IV-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-42-1	Et	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-42-2	Et	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂

No	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 ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-42-8	Et	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

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

Table IV-43.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-43-1	ⁱ Pr	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-43-8	ⁱ Pr	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

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

Table IV-44.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-44-1	^t Bu	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-44-2	^t Bu	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-44-8	^t Bu	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

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

Table IV-45.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-45-1	Ph	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-45-2	Ph	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-45-5	Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂

No	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

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

Table IV-46.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-46-1	p-Me-Ph	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-46-8	p-Me-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

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

Table IV-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-47-1	p-F-Ph	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-47-2	p-F-Ph	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-47-	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
6												
IV-47-	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
7												
IV-47-	p-F-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH ₂
8												

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

Table IV-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-48-1	p-Cl-Ph	H	H	H	Bz	H	F	F	OH	H	H	NH ₂
IV-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂
IV-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-48-8	p-Cl-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

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

Table IV-49.

No	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 ₂ CH(CH ₃) ₂	Bz	H	F	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
4												
IV-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH	H	H	NH ₂
IV-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH	H	H	NH ₂
IV-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH	H	H	NH ₂
IV-49-8	p-Br-Ph	*	H	*	Bz	H	F	F	OH	H	H	NH ₂

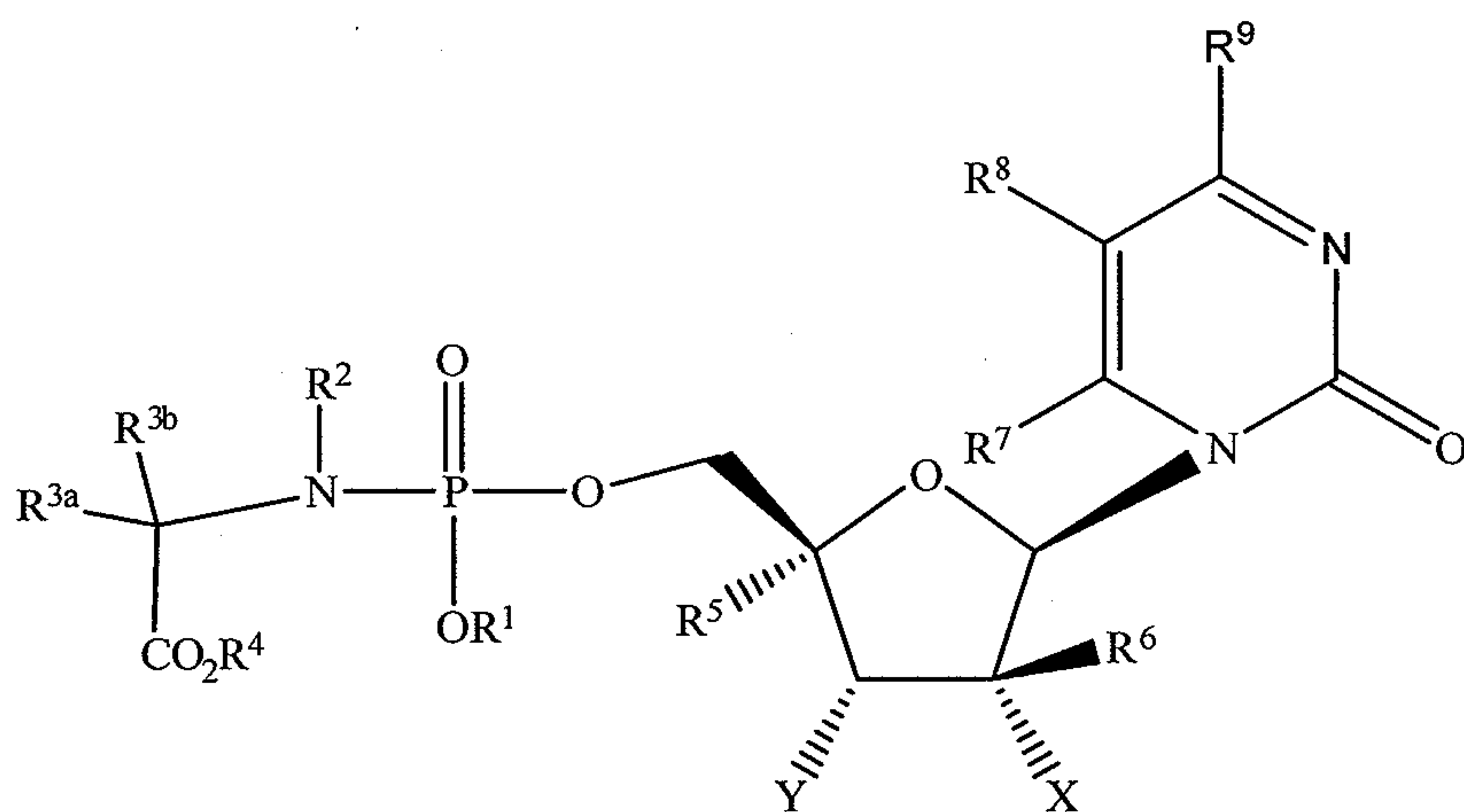
*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table IV-50.

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

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

5



V

Table V-1.

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

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

Table V-2.

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

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

5 Table V-3.

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

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

Table V-4.

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

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

Table V-5.

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

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

5 Table V-6.

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

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

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

Table V-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-7-1	p-F-Ph	H	H	H	CH ₃	H	H	F	OH	H	H	NH ₂
V-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	H	F	OH	H	H	NH ₂
V-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH	H	H	NH ₂
V-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH	H	H	NH ₂
V-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH	H	H	NH ₂
V-7-20	p-F-Ph	*	H	*	CH ₃	H	H	F	OH	H	H	NH ₂

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

Table V-8.

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

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

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

Table V-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-9-1	p-Br-Ph	H	H	H	CH ₃	H	H	F	OH	H	H	NH ₂
V-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	H	F	OH	H	H	NH ₂
V-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH	H	H	NH ₂
V-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH	H	H	NH ₂
V-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH	H	H	NH ₂
V-9-20	p-Br-Ph	*	H	*	CH ₃	H	H	F	OH	H	H	NH ₂

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

Table V-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-10-1	p-I-Ph	H	H	H	CH ₃	H	H	F	OH	H	H	NH ₂
V-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	H	F	OH	H	H	NH ₂
V-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH	H	H	NH ₂
V-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH	H	H	NH ₂
V-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH	H	H	NH ₂
V-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH	H	H	NH ₂
V-10-8	p-I-Ph	*	H	*	CH ₃	H	H	F	OH	H	H	NH ₂

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

Table V-11.

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

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

Table V-12.

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

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

5 Table V-13.

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

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

Table V-14.

No	R ¹	R ²	R ^{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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	H	F	OH	H	H	NH ₂
V-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH	H	H	NH ₂
V-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH	H	H	NH ₂
V-14-8	^t Bu	*	H	*	Et	H	H	F	OH	H	H	NH ₂

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

Table V-15.

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

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

5 Table V-16.

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

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

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

Table V-17.

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

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

Table V-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-18-1	p-Cl-Ph	H	H	H	Et	H	H	F	OH	H	H	NH ₂
V-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	H	F	OH	H	H	NH ₂
V-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH	H	H	NH ₂
V-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH	H	H	NH ₂
V-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH	H	H	NH ₂
V-18-8	p-Cl-Ph	*	H	*	Et	H	H	F	OH	H	H	NH ₂

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

Table V-19.

No	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

No	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 ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH	H	H	NH ₂
V-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH	H	H	NH ₂
V-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH	H	H	NH ₂
V-19-8	p-Br-Ph	*	H	*	Et	H	H	F	OH	H	H	NH ₂

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

Table V-20.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-20-1	p-I-Ph	H	H	H	Et	H	H	F	OH	H	H	NH ₂
V-20-2	p-I-Ph	H	H	CH ₃	Et	H	H	F	OH	H	H	NH ₂
V-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH	H	H	NH ₂
V-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH	H	H	NH ₂
V-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH	H	H	NH ₂
V-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH	H	H	NH ₂
V-20-8	p-I-Ph	*	H	*	Et	H	H	F	OH	H	H	NH ₂

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

Table V-21.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-21-1	CH ₃	H	H	H	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-21-8	CH ₃	*	H	*	ⁱ Pr	H	H	F	OH	H	H	NH ₂

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

Table V-22.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-22-1	Et	H	H	H	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-22-2	Et	H	H	CH ₃	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂

No	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 ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table V-23.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-23-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table V-24.

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

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

Table V-25.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH	H	H	NH ₂

No	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH	H	H	NH ₂
V-25-8	Ph	*	H	*	ⁱ Pr	H	H	F	OH	H	H	NH ₂

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

Table V-26.

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

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

Table V-27.

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

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

Table V-28.

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

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

Table V-29.

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

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

5 Table V-30.

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

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

Table V-31.

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

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

Table V-32.

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

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

5 Table V-33.

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

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

Table V-34.

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

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

Table V-35.

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

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

5 Table V-36.

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

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

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

Table V-37.

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

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

Table V-38.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂

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

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

Table V-39.

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

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

Table V-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH	H	H	NH ₂
V-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	H	F	OH	H	H	NH ₂

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

Table V-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-41-1	CH ₃	H	H	H	Bz	H	H	F	OH	H	H	NH ₂
V-41-2	CH ₃	H	H	CH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	H	F	OH	H	H	NH ₂
V-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH	H	H	NH ₂
V-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-41-8	CH ₃	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

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

Table V-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-42-1	Et	H	H	H	Bz	H	H	F	OH	H	H	NH ₂
V-42-2	Et	H	H	CH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-42-5	Et	H	H	CH ₂ Ph	Bz	H	H	F	OH	H	H	NH ₂
V-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH	H	H	NH ₂
V-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-42-8	Et	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

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

Table V-43.

No	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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂

V-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH	H	H	NH ₂
V-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH 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

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

Table V-44.

No	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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-44-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table V-45.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-45-1	Ph	H	H	H	Bz	H	H	F	OH	H	H	NH ₂
V-45-2	Ph	H	H	CH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-45-5	Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH	H	H	NH ₂
V-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH	H	H	NH ₂
V-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-45-8	Ph	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

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

Table V-46.

No	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 ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
4	Ph											
V-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH	H	H	NH ₂
V-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH	H	H	NH ₂
V-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-46-8	p-Me-Ph	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

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

Table V-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
V-47-1	p-F-Ph	H	H	H	Bz	H	H	F	OH	H	H	NH ₂
V-47-2	p-F-Ph	H	H	CH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH	H	H	NH ₂
V-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH	H	H	NH ₂
V-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH	H	H	NH ₂
V-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH	H	H	NH ₂
V-47-8	p-F-Ph	*	H	*	Bz	H	H	F	OH	H	H	NH ₂

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

Table V-48.

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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
6				yl								
V-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH 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

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

Table V-49.

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

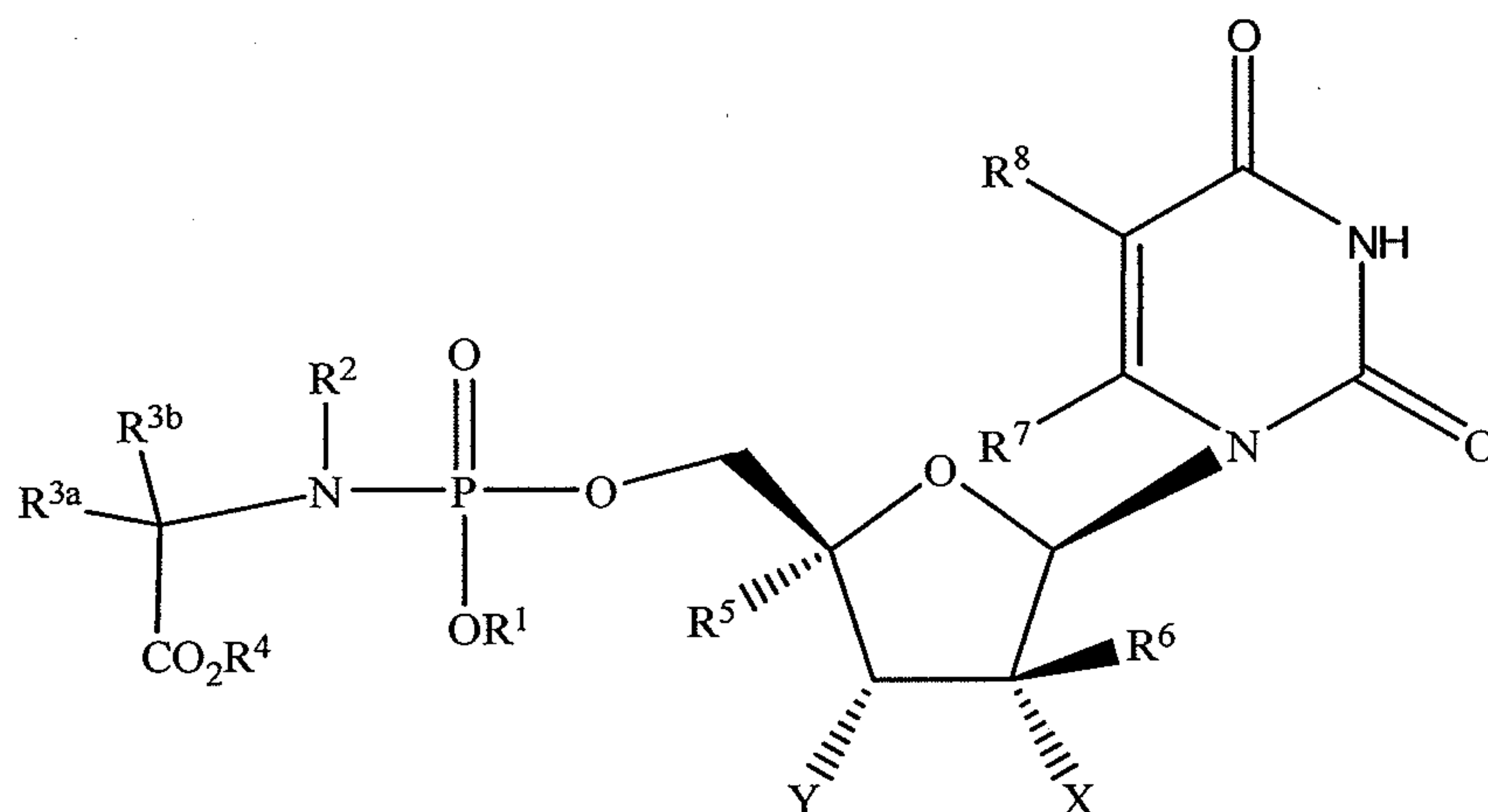
*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table V-50.

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

No	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

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



VI

Table VI-1.

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

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

Table VI-2.

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

No	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

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

Table VI-3.

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

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

Table VI-4.

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

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

Table VI-5.

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

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

Table VI-6.

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

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

5 Table VI-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-7-1	p-F-Ph	H	H	H	CH ₃	H	F	H	OH	H	H
VI-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	F	H	OH	H	H
VI-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH	H	H
VI-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH	H	H
VI-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-7-20	p-F-Ph	*	H	*	CH ₃	H	F	H	OH	H	H

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

Table VI-8.

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

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

Table VI-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-9-1	p-Br-Ph	H	H	H	CH ₃	H	F	H	OH	H	H
VI-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	F	H	OH	H	H
VI-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH	H	H
VI-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH	H	H
VI-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	H	OH	H	H

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

5 Table VI-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-10-1	p-I-Ph	H	H	H	CH ₃	H	F	H	OH	H	H
VI-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	H	OH	H	H
VI-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H
VI-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH	H	H
VI-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH	H	H
VI-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H
VI-10-8	p-I-Ph	*	H	*	CH ₃	H	F	H	OH	H	H

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

Table VI-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-11-1	CH ₃	H	H	H	Et	H	F	H	OH	H	H
VI-11-2	CH ₃	H	H	CH ₃	Et	H	F	H	OH	H	H
VI-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H
VI-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H
VI-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-11-8	CH ₃	*	H	*	Et	H	F	H	OH	H	H

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

Table VI-12.

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

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

5 Table VI-13.

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

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

Table VI-14.

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

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

Table VI-15.

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

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

5 Table VI-16.

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

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

Table VI-17.

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

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

Table VI-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-18-1	p-Cl-Ph	H	H	H	Et	H	F	H	OH	H	H
VI-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	H	OH	H	H
VI-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H
VI-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H
VI-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-18-8	p-Cl-Ph	*	H	*	Et	H	F	H	OH	H	H

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

Table VI-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-19-1	p-Br-Ph	H	H	H	Et	H	F	H	OH	H	H
VI-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	H	OH	H	H
VI-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H
VI-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H
VI-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-19-8	p-Br-Ph	*	H	*	Et	H	F	H	OH	H	H

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

Table VI-20.

No	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

No	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 ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H
VI-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H
VI-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H
VI-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H
VI-20-8	p-I-Ph	*	H	*	Et	H	F	H	OH	H	H

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

Table VI-21.

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

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

Table VI-22.

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

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

Table VI-23.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-23-1	ⁱ 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

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-23-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	F	H	OH	H	H

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

Table VI-24.

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

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

Table VI-25.

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

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

Table VI-26.

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

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H
VI-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH	H	H
VI-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH	H	H
VI-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	H	OH	H	H

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

Table VI-27.

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

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

Table VI-28.

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

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

Table VI-29.

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

No	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 ₂ Ph	ⁱ Pr	H	F	H	OH	H	H
VI-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH	H	H
VI-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H
VI-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	F	H	OH	H	H

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

Table VI-30.

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

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

Table VI-31.

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

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

Table VI-32.

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

No	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-32-8	Et	*	H	*	ⁿ Bu	H	F	H	OH	H	H

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

Table VI-33.

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

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

Table VI-34.

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

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

Table VI-35.

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

No	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H
VI-35-8	Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H

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

Table VI-36.

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

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

Table VI-37.

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

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

Table VI-38.

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

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

Table VI-39.

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

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

5 Table VI-40.

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

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

Table VI-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-41-1	CH ₃	H	H	H	Bz	H	F	H	OH	H	H
VI-41-2	CH ₃	H	H	CH ₃	Bz	H	F	H	OH	H	H
VI-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H
VI-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H
VI-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-41-8	CH ₃	*	H	*	Bz	H	F	H	OH	H	H

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

Table VI-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-42-1	Et	H	H	H	Bz	H	F	H	OH	H	H
VI-42-2	Et	H	H	CH ₃	Bz	H	F	H	OH	H	H
VI-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H
VI-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H
VI-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-42-8	Et	*	H	*	Bz	H	F	H	OH	H	H

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

5 Table VI-43.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-43-1	ⁱ Pr	H	H	H	Bz	H	F	H	OH	H	H
VI-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	H	OH	H	H
VI-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H
VI-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H
VI-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-43-8	ⁱ Pr	*	H	*	Bz	H	F	H	OH	H	H

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

Table VI-44.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-44-1	^t Bu	H	H	H	Bz	H	F	H	OH	H	H
VI-44-2	^t Bu	H	H	CH ₃	Bz	H	F	H	OH	H	H
VI-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H
VI-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H
VI-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H
VI-44-8	^t Bu	*	H	*	Bz	H	F	H	OH	H	H

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

Table VI-45.

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

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

5 Table VI-46.

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

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

Table VI-47.

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

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

Table VI-48.

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

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

5 Table VI-49.

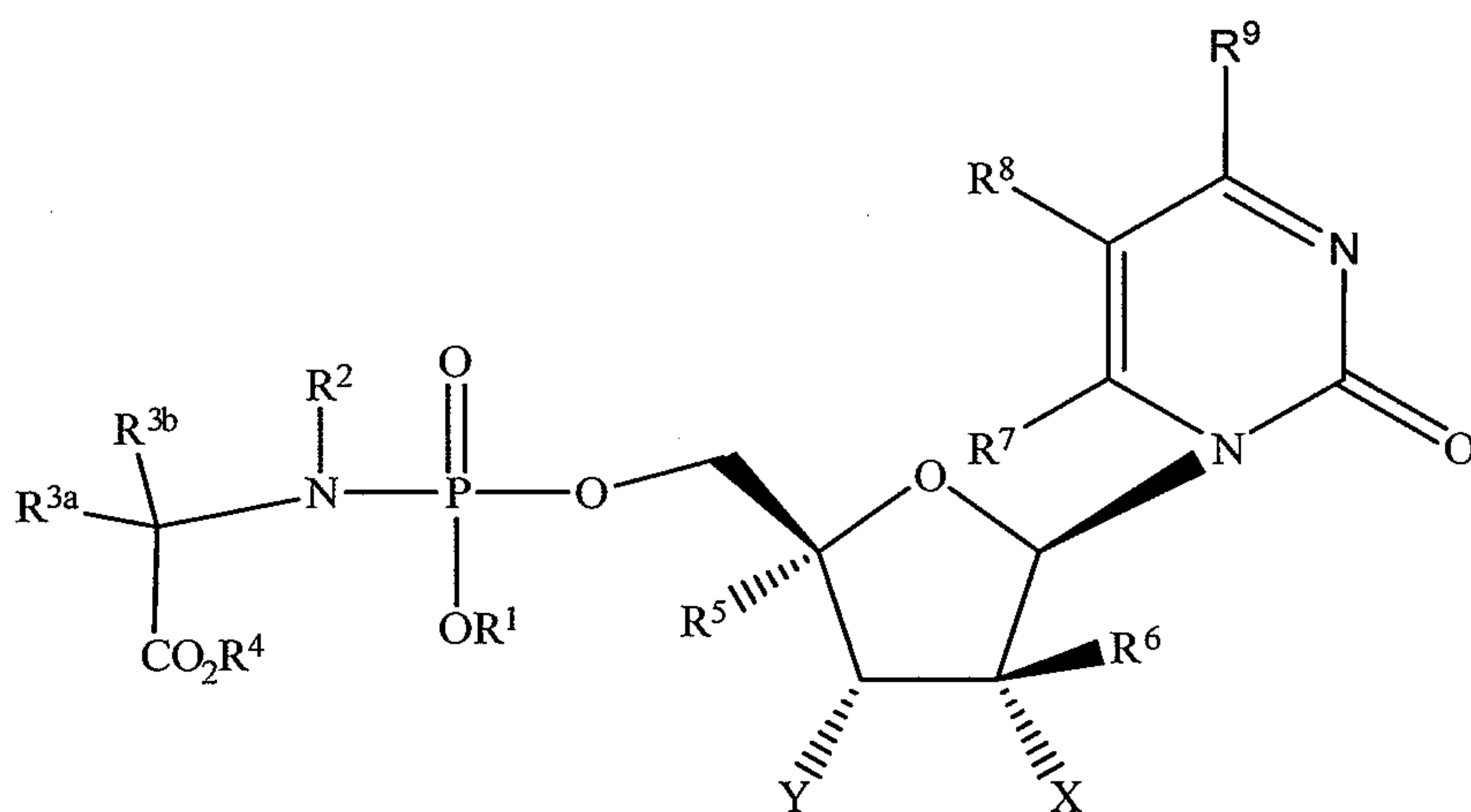
No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VI-49-1	p-Br-Ph	H	H	H	Bz	H	F	H	OH	H	H
VI-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	H	OH	H	H
VI-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H
VI-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H
VI-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl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

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

Table VI-50.

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

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



5

VII

Table VII-1.

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

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

Table VII-2.

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

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

Table VII-3.

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

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

5 Table VII-4.

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

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

Table VII-5.

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

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

Table VII-6.

No	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 ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-6-5	p-Me-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

5 Table VII-7.

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

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

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

Table VII-8.

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

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

Table VII-9.

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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
2												
VII-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH	H	H	NH ₂
VII-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH	H	H	NH ₂
VII-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	H	OH	H	H	NH ₂

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

Table VII-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-10-1	p-I-Ph	H	H	H	CH ₃	H	F	H	OH	H	H	NH ₂
VII-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH	H	H	NH ₂
VII-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH	H	H	NH ₂
VII-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH	H	H	NH ₂
VII-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH	H	H	NH ₂
VII-10-8	p-I-Ph	*	H	*	CH ₃	H	F	H	OH	H	H	NH ₂

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

Table VII-11.

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

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

Table VII-12.

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

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

5 Table VII-13.

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

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

Table VII-14.

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

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

Table VII-15.

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

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

5 Table VII-16.

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

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

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

Table VII-17.

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

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

Table VII-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-18-1	p-Cl-Ph	H	H	H	Et	H	F	H	OH	H	H	NH ₂
VII-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	H	OH	H	H	NH ₂
VII-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H	NH ₂
VII-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H	NH ₂
VII-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H	NH ₂
VII-18-8	p-Cl-Ph	*	H	*	Et	H	F	H	OH	H	H	NH ₂

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

Table VII-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-19-1	p-Br-Ph	H	H	H	Et	H	F	H	OH	H	H	NH ₂
VII-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	H	OH	H	H	NH ₂
VII-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H	NH ₂
VII-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H	NH ₂
VII-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H	NH ₂
VII-19-	p-Br-	*	H	*	Et	H	F	H	OH	H	H	NH ₂

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

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

Table VII-20.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-20-1	p-I-Ph	H	H	H	Et	H	F	H	OH	H	H	NH ₂
VII-20-2	p-I-Ph	H	H	CH ₃	Et	H	F	H	OH	H	H	NH ₂
VII-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH	H	H	NH ₂
VII-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH	H	H	NH ₂
VII-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH	H	H	NH ₂
VII-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH	H	H	NH ₂
VII-20-8	p-I-Ph	*	H	*	Et	H	F	H	OH	H	H	NH ₂

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

Table VII-21.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-21-1	CH ₃	H	H	H	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-21-8	CH ₃	*	H	*	ⁱ Pr	H	F	H	OH	H	H	NH ₂

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

Table VII-22.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-22-1	Et	H	H	H	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-22-2	Et	H	H	CH ₃	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH	H	H	NH ₂
VII-22-8	Et	*	H	*	ⁱ Pr	H	F	H	OH	H	H	NH ₂

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

Table VII-23.

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

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

Table VII-24.

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

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

Table VII-25.

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

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

Table VII-26.

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

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

Table VII-27.

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

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

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

Table VII-28.

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

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

Table VII-29.

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

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

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

Table VII-30.

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

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

Table VII-31.

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

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

Table VII-32.

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

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

5 Table VII-33.

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

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

Table VII-34.

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

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

Table VII-35.

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

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

5 Table VII-36.

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

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

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

Table VII-37.

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

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

Table VII-38.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂

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

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

Table VII-39.

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

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

Table VII-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
2												
VII-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH	H	H	NH ₂
VII-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	H	OH	H	H	NH ₂

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

Table VII-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-41-1	CH ₃	H	H	H	Bz	H	F	H	OH	H	H	NH ₂
VII-41-2	CH ₃	H	H	CH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
VII-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H	NH ₂
VII-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-41-8	CH ₃	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

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

Table VII-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-42-1	Et	H	H	H	Bz	H	F	H	OH	H	H	NH ₂
VII-42-2	Et	H	H	CH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
VII-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H	NH ₂
VII-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-42-8	Et	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

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

Table VII-43.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-43-1	ⁱ Pr	H	H	H	Bz	H	F	H	OH	H	H	NH ₂
VII-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-43-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

5 Table VII-44.

No	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 ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-44-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table VII-45.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-45-1	Ph	H	H	H	Bz	H	F	H	OH	H	H	NH ₂
VII-45-2	Ph	H	H	CH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-45-5	Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
VII-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H	NH ₂
VII-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-45-8	Ph	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

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

Table VII-46.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-46-1	p-Me-Ph	H	H	H	Bz	H	F	H	OH	H	H	NH ₂
VII-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
VII-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH	H	H	NH ₂
VII-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-46-8	p-Me-Ph	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

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

5 Table VII-47.

No	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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
2												
VII-47- 3	p-F- Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-47- 4	p-F- Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-47- 5	p-F- Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
VII-47- 6	p-F- Ph	H	H	CH ₂ -indol-3- yl	Bz	H	F	H	OH	H	H	NH ₂
VII-47- 7	p-F- Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-47- 8	p-F- Ph	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

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

Table VII-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
VII-48- 1	p-Cl- Ph	H	H	H	Bz	H	F	H	OH	H	H	NH ₂
VII-48- 2	p-Cl- Ph	H	H	CH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-48- 3	p-Cl- Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-48- 4	p-Cl- Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH	H	H	NH ₂
VII-48- 5	p-Cl- Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH	H	H	NH ₂
VII-48- 6	p-Cl- Ph	H	H	CH ₂ -indol-3- yl	Bz	H	F	H	OH	H	H	NH ₂
VII-48- 7	p-Cl- Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH	H	H	NH ₂
VII-48- 8	p-Cl- Ph	*	H	*	Bz	H	F	H	OH	H	H	NH ₂

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

Table VII-49.

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

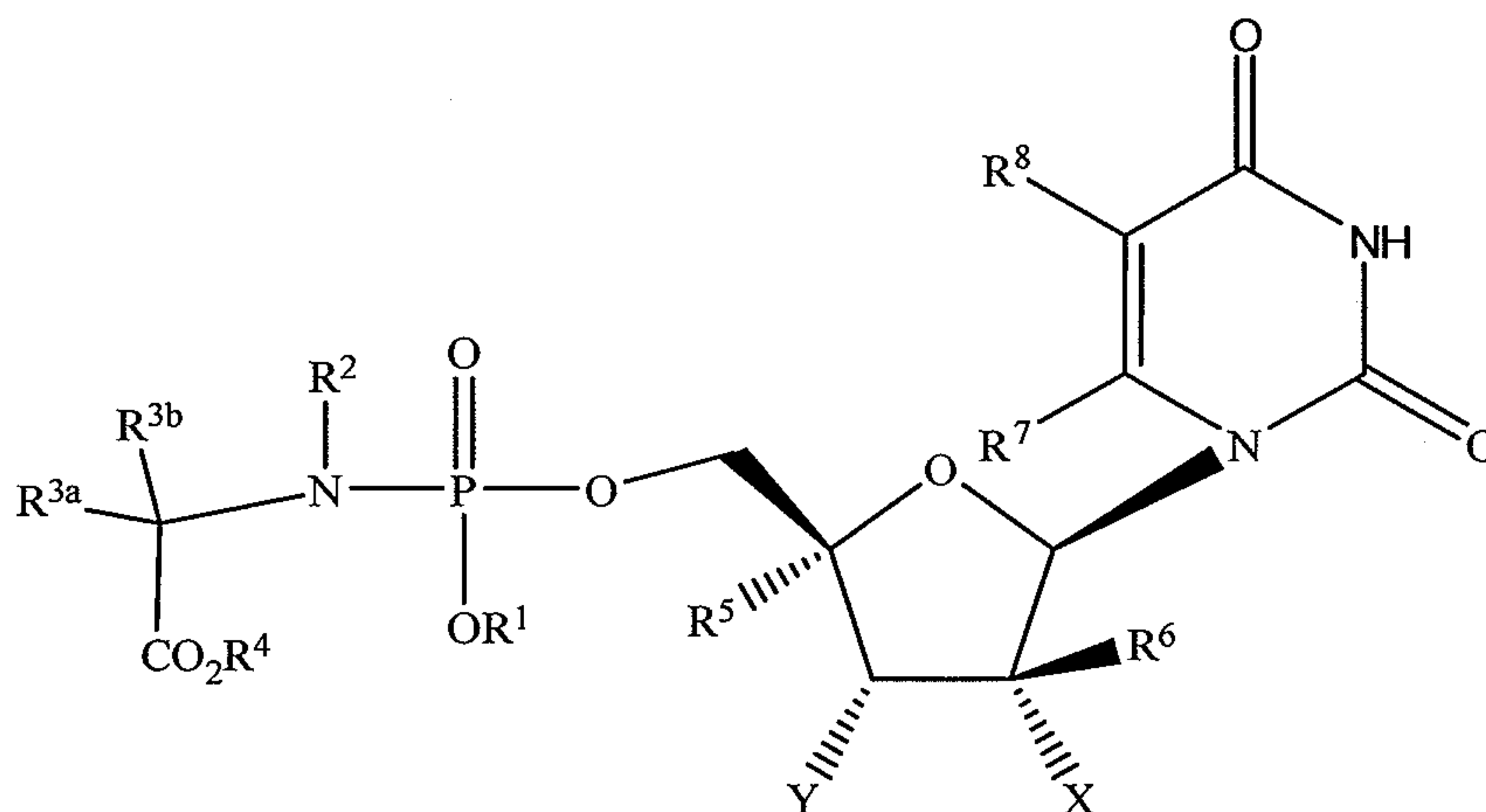
*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table VII-50.

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

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

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



VIII

Table VIII-1.

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

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

Table VIII-2.

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

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

Table VIII-3.

No	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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-3-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

5 Table VIII-4.

No	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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-4-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table VIII-5.

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

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

Table VIII-6.

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

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

5 Table VIII-7.

No	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

N ^o	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 ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H
VIII-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H
VIII-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-7-20	p-F-Ph	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H

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

Table VIII-8.

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

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

Table VIII-9.

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

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

Table VIII-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VIII-10-1	p-I-Ph	H	H	H	CH ₃	H	CH ₃	OH	OH	H	H
VIII-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	OH	OH	H	H
VIII-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	OH	OH	H	H
VIII-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	OH	OH	H	H
VIII-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	OH	OH	H	H
VIII-10-8	p-I-Ph	*	H	*	CH ₃	H	CH ₃	OH	OH	H	H

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

Table VIII-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VIII-11-1	CH ₃	H	H	H	Et	H	CH ₃	OH	OH	H	H
VIII-11-2	CH ₃	H	H	CH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H
VIII-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	OH	OH	H	H
VIII-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-11-8	CH ₃	*	H	*	Et	H	CH ₃	OH	OH	H	H

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

5 Table VIII-12.

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

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

Table VIII-13.

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

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

Table VIII-14.

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

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

5 Table VIII-15.

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

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

Table VIII-16.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
VIII-16-1	p-Me-Ph	H	H	H	Et	H	CH ₃	OH	OH	H	H
VIII-16-2	p-Me-Ph	H	H	CH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H
VIII-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	OH	OH	H	H
VIII-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-16-8	p-Me-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H

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

Table VIII-17.

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

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

5 Table VIII-18.

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

N ^o	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 ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H
VIII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H
VIII-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	OH	OH	H	H
VIII-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H
VIII-18-8	p-Cl-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H

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

Table VIII-19.

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

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

Table VIII-20.

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

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

Table VIII-21.

N ^o	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H

No	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 ₂ Ph	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-21-8	CH ₃	*	H	*	ⁱ Pr	H	CH ₃	OH	OH	H	H

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

Table VIII-22.

No	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	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-22-2	Et	H	H	CH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-22-8	Et	*	H	*	ⁱ Pr	H	CH ₃	OH	OH	H	H

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

Table VIII-23.

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

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

Table VIII-24.

No	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	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	OH	OH	H	H

N ^o	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 ₂ CH ₂ SCH 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

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

Table VIII-25.

N ^o	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-25-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-25-8	Ph	*	H	*	ⁱ Pr	H	CH ₃	OH	OH	H	H

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

Table VIII-26.

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

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

Table VIII-27.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-27-5	p-F-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table VIII-28.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-28-5	p-Cl-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

5 Table VIII-29.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-29-5	p-Br-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table VIII-30.

No	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 ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	OH	OH	H	H
VIII-30-5	p-I-Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table VIII-31.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H
VIII-31-5	CH ₃	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

5 Table VIII-32.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H
VIII-32-5	Et	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	OH	OH	H	H
VIII-32-8	Et	*	H	*	ⁿ Bu	H	CH ₃	OH	OH	H	H

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

Table VIII-33.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H
VIII-33-5	ⁱ Pr	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

Table VIII-34.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H
VIII-34-5	^t Bu	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH 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

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

5 Table VIII-35.

No	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 ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H
VIII-35-5	Ph	H	H	CH ₂ Ph	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 ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	OH	OH	H	H
VIII-35-8	Ph	*	H	*	ⁿ Bu	H	CH ₃	OH	OH	H	H

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

DEMANDES OU BREVETS VOLUMINEUX

**LA PRÉSENTE PARTIE DE CETTE DEMANDE OU CE BREVETS
COMPREND PLUS D'UN TOME.**

CECI EST LE TOME __1__ DE __3__

NOTE: Pour les tomes additionels, veuillez contacter le Bureau Canadien des Brevets.

JUMBO APPLICATIONS / PATENTS

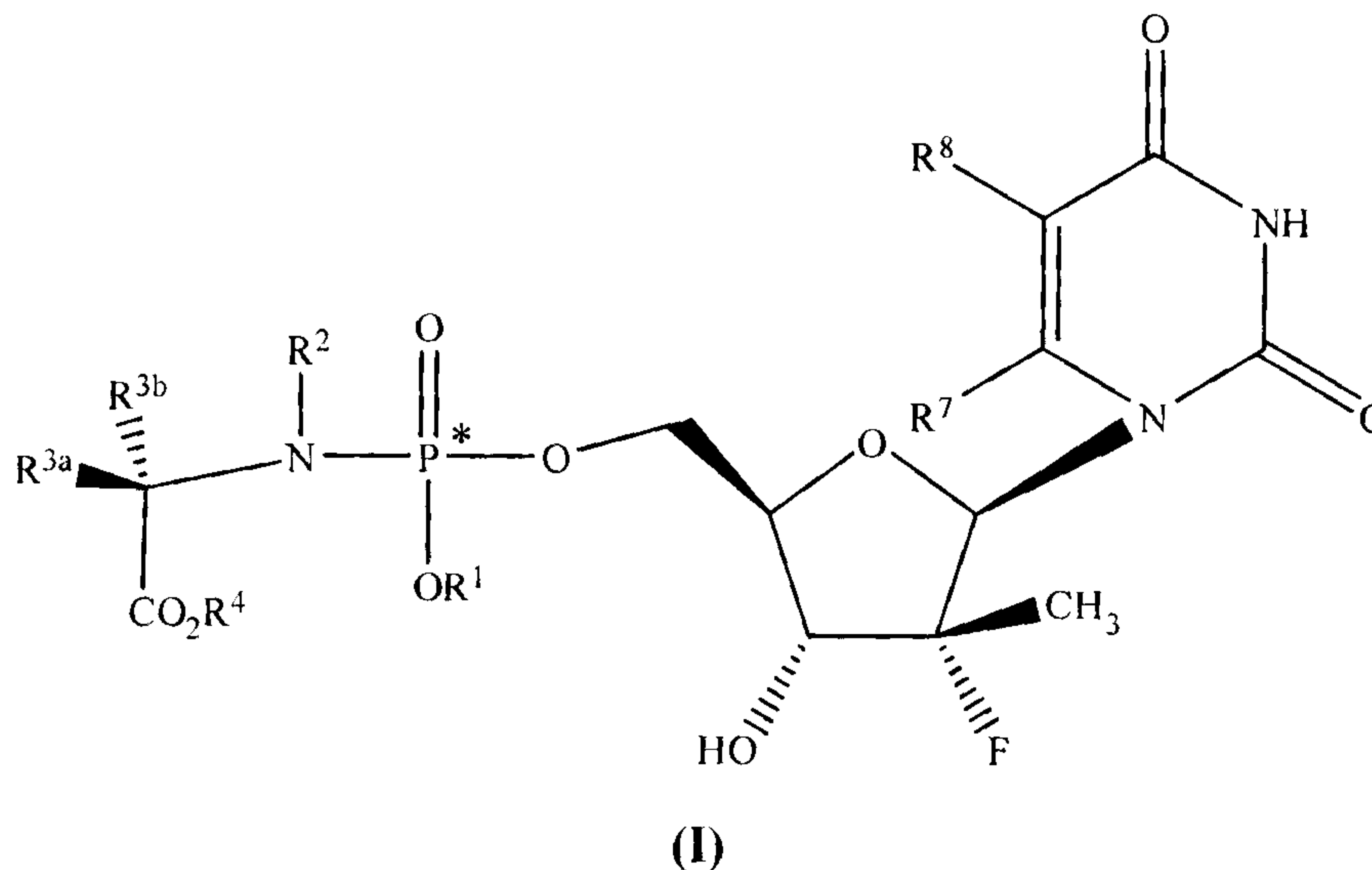
**THIS SECTION OF THE APPLICATION / PATENT CONTAINS MORE
THAN ONE VOLUME.**

THIS IS VOLUME __1__ OF __3__

NOTE: For additional volumes please contact the Canadian Patent Office.

We claim:

1. A compound, or a phosphorous-based stereoisomer thereof, represented by formula (I):



wherein P* is a chiral phosphorous atom,

and wherein

- (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;
- (b) R² is hydrogen or CH₃;
- (c-i) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl, or
- (c-ii) R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;
- (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl; and

(e) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂.

2. The compound according to claim 1, wherein:

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

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

3. The compound according to claim 1, wherein:

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

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

(e) R^7 and R^8 are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂.

4. The compound according to claim 1, wherein:

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

(b) R^2 is hydrogen;

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

(e) R^7 and R^8 are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂.

5. The compound according to claim 1, wherein:

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

(b) R^2 is hydrogen;

(c-i) 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; and

(e) 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 $CON(CH_3)_2$.

6. A compound, or a phosphorous-based stereoisomer thereof, wherein the compound is

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butiric acid methyl ester;

(S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butiric acid methyl ester;

(S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino}-propionic acid benzyl ester;

{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-acetic acid methyl ester;

(S)-2-{(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino}-propionic acid methyl ester;

(S)-1-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphoryl}-pyrrolidine-2-carboxylic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid methyl ester;

(S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

(S)-2-{(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid sec-butyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino}-propionic acid butyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid benzyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid benzyl ester;

(S)-2-{(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid butyl ester;

(S)-2-{(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino}-propionic acid benzyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid pentyl ester;

(S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4 R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;

(S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H -pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid butyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid benzyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H -pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 4-fluoro-benzyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 3-methyl-butyl ester;

(S)-2-{(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclohexyl ester;

(S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;

(S)-2-{(4-Bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid cyclohexyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-bromo-phenoxy)-phosphorylamino}-propionic acid cyclohexyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclohexyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-butyric acid cyclohexyl ester;

(S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4 R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-butyric acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2-difluoro-ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2,2-trifluoro-1-trifluoromethyl-ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2-fluoro-1-fluoromethyl-ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclopropyl methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclopentyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(3,4-dichloro-phenoxy)-phosphorylamino}-propionic acid benzyl ester; and

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2-fluoro-ethyl ester.

7. The compound according to claim 6, or a phosphorous-based stereoisomer thereof, wherein the compound is

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino}-propionic acid methyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid ethyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino}-propionic acid isopropyl ester;

(S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclohexyl ester; and

(S)-2-{{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino}-propionic acid cyclohexyl ester.

8. The compound according to claim 6, or a phosphorous-based stereoisomer thereof, wherein the compound is

(S)-2-{{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester.

9. A compound, wherein the compound is

(S)-methyl 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;

(S)-methyl 2-(((R)-(((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;

methyl 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)acetate;

methyl 2-(((R)-(((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)acetate;

(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;

(S)-isopropyl 2-(((R)-(((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;

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

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

(S)-cyclohexyl 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;

(S)-cyclohexyl 2-(((R)-(((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;

(S)-cyclohexyl 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)(4-fluorophenoxy)phosphoryl)amino)propanoate; and

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

10. The compound according to claim 9, wherein the compound is

(S)-methyl 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;

(S)-methyl 2-(((R)-(((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;

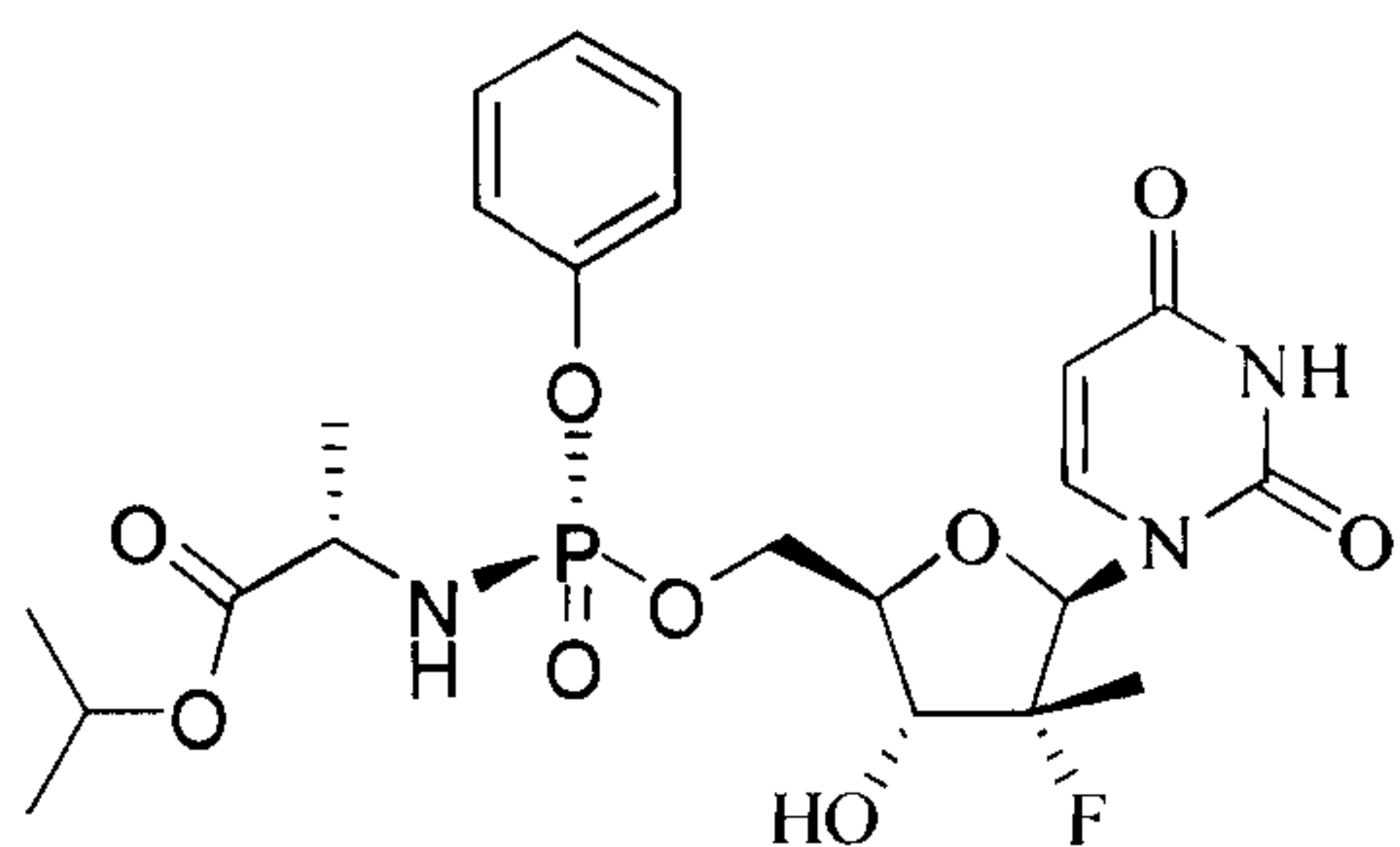
(S)-isopropyl 2-(((S)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphoryl)amino)propanoate;

(S)-isopropyl 2-(((R)-(((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;

(S)-cyclohexyl 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)(4-fluorophenoxy)phosphoryl)amino)propanoate; and

(S)-cyclohexyl 2-(((R)-(((2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(4-fluorophenoxy)phosphoryl)amino)propanoate.

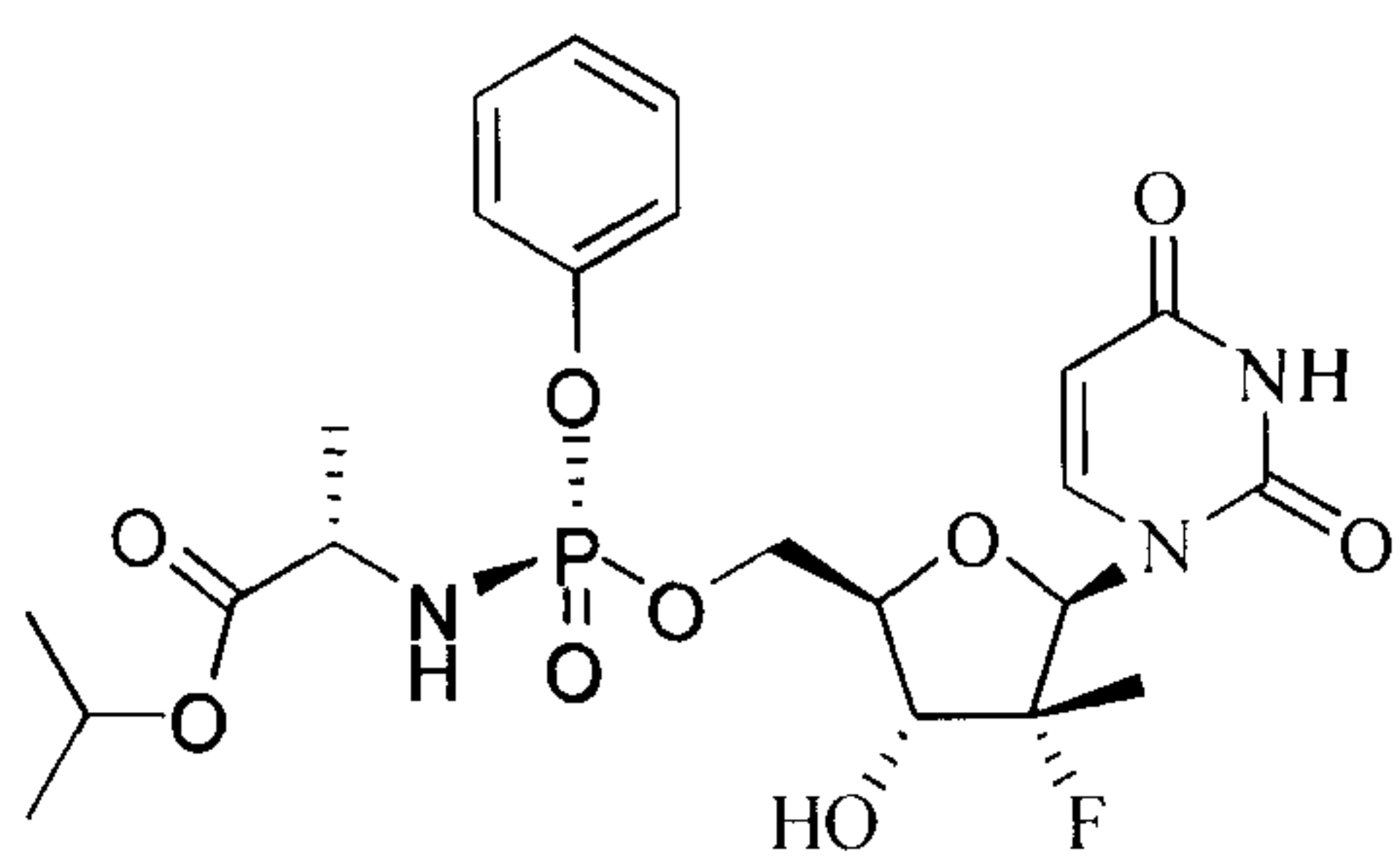
11. A compound represented by the formula:



12. A pharmaceutical composition comprising the compound according to any one of claims 1, 6 and 9, and a pharmaceutically acceptable medium.

13. The pharmaceutical composition according to claim 12, wherein the compound is (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid isopropyl ester or a phosphorous-based stereoisomer thereof.

14. A pharmaceutical composition comprising a compound represented by the formula:

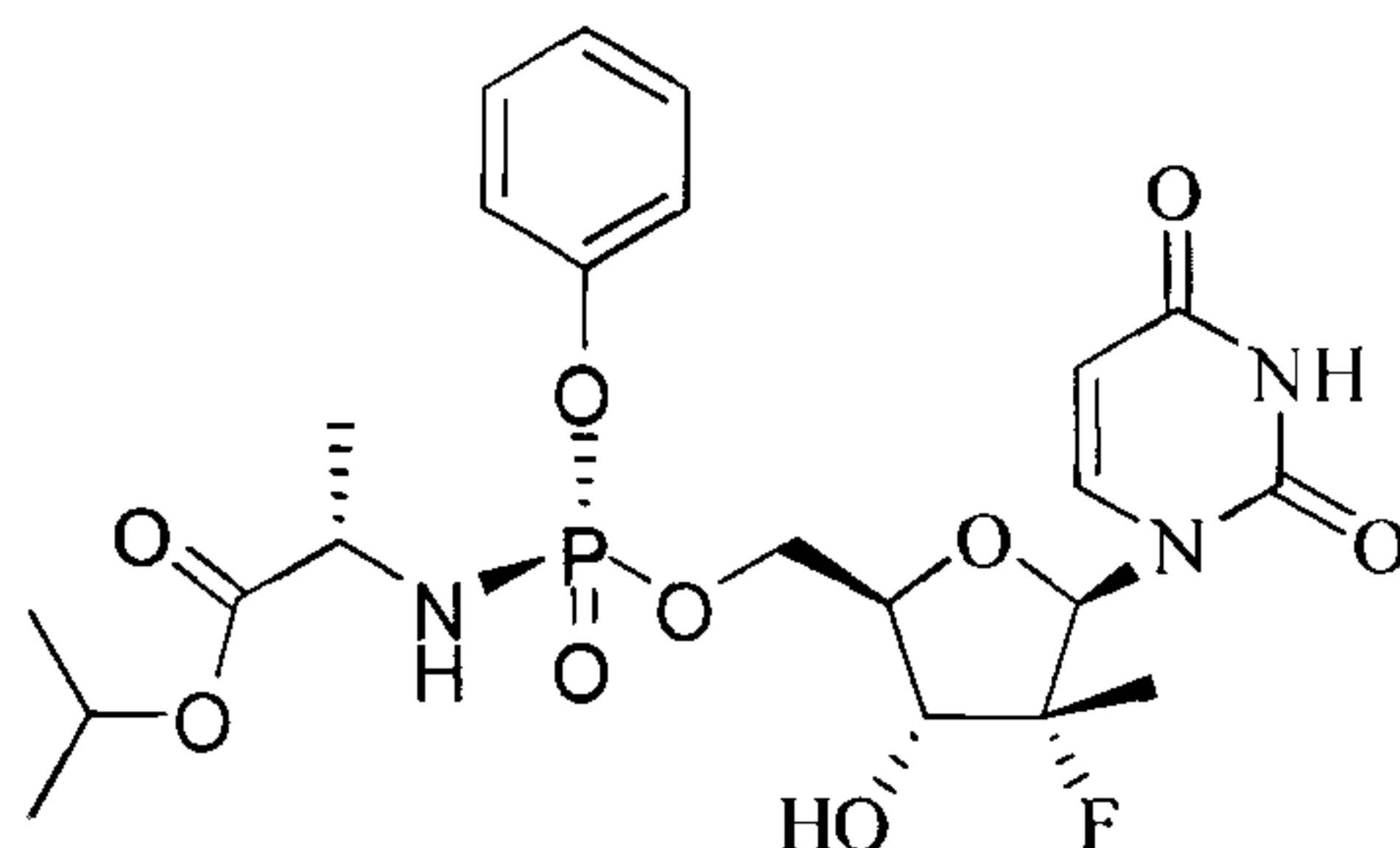


and a pharmaceutically acceptable medium.

15. The pharmaceutical composition according to claim 12 comprising an effective amount of the compound to treat a hepatitis C virus infection.

16. The pharmaceutical composition according to claim 15, wherein the compound is (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid isopropyl ester or a phosphorous-based stereoisomer thereof.

17. A pharmaceutical composition comprising an effective amount of a compound represented by the formula:



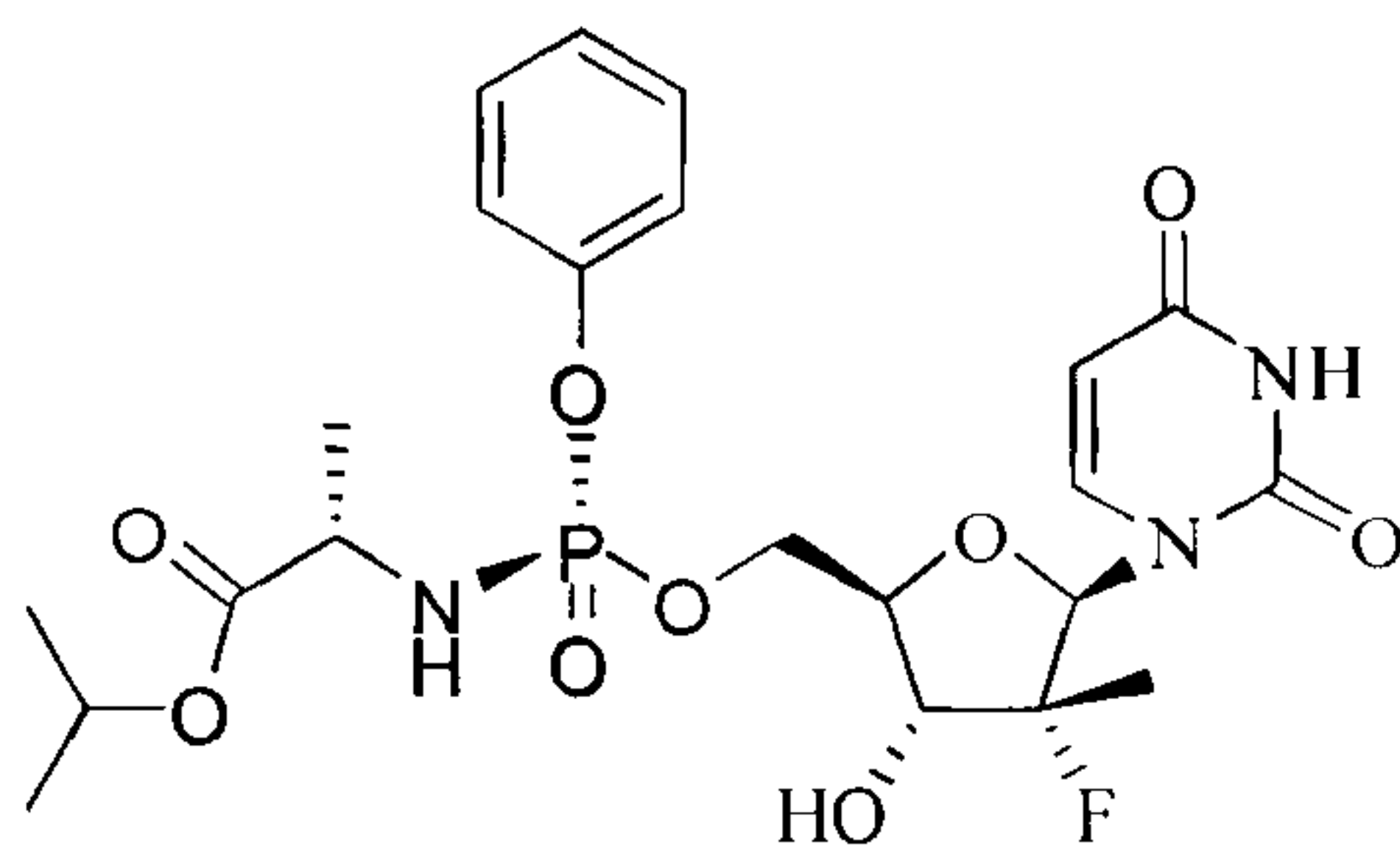
to treat hepatitis C virus infection, and a pharmaceutically acceptable medium.

18. Use of an effective amount of the compound according to any one of claims 1, 6 and 9 for treating a human infected by hepatitis C virus.

19. Use of an effective amount of the compound according to any one of claims 1, 6 and 9 for the preparation of a medicament for treating a human infected by hepatitis C virus.

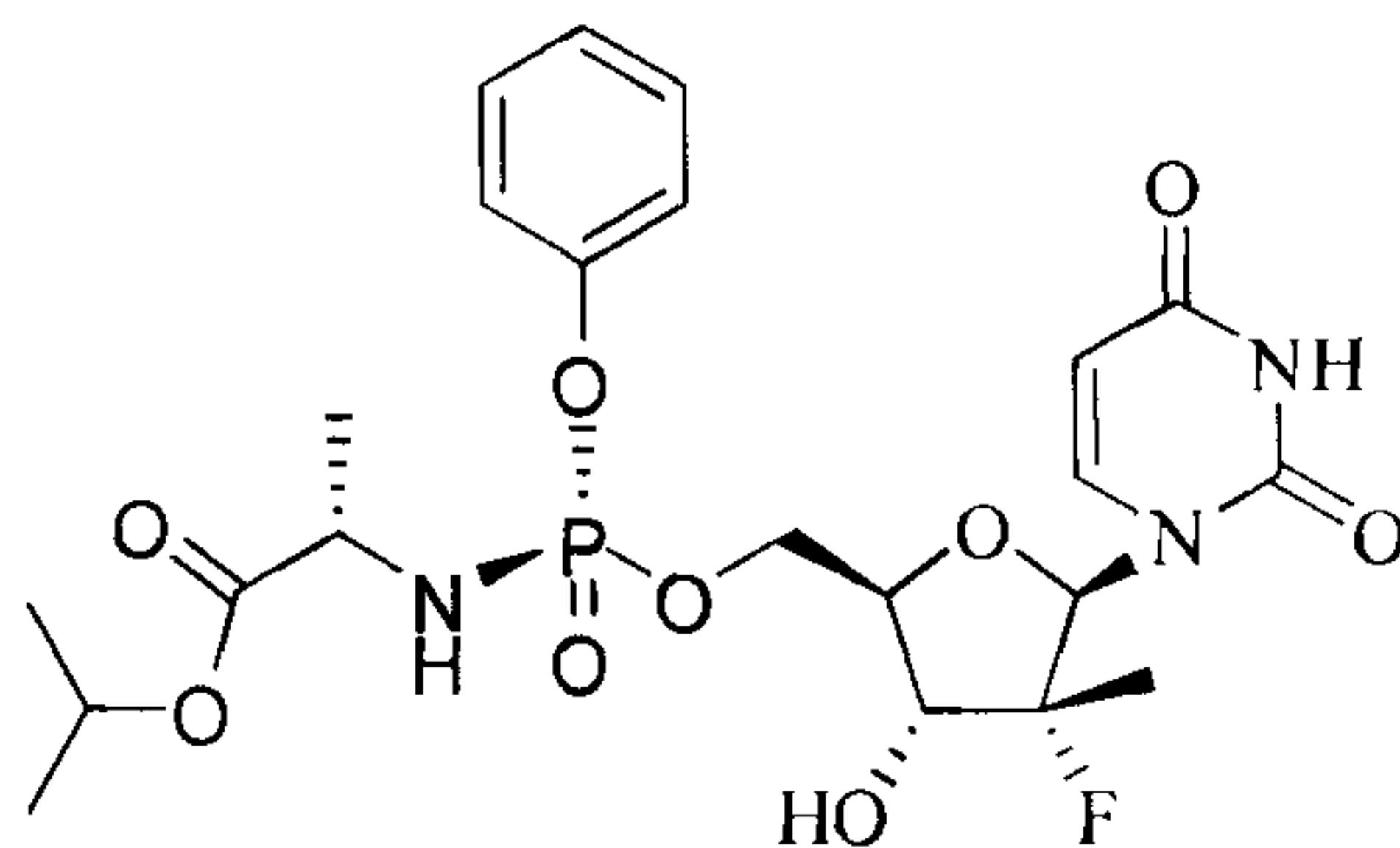
20. The use according to claim 18 or 19, wherein the compound is (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester or a phosphorous-based stereoisomer thereof.

21. Use of an effective amount of a compound represented by the formula:



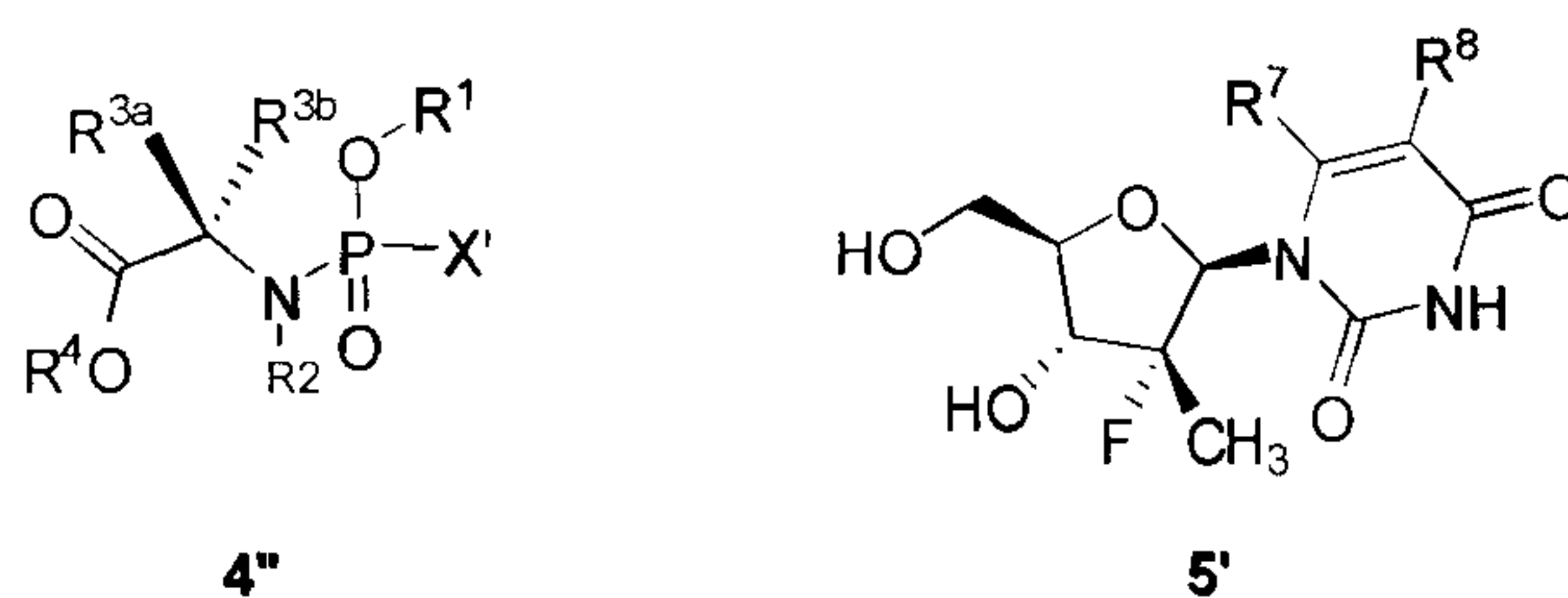
for treating a human infected by hepatitis C virus.

22. Use of an effective amount of a compound represented by the formula:



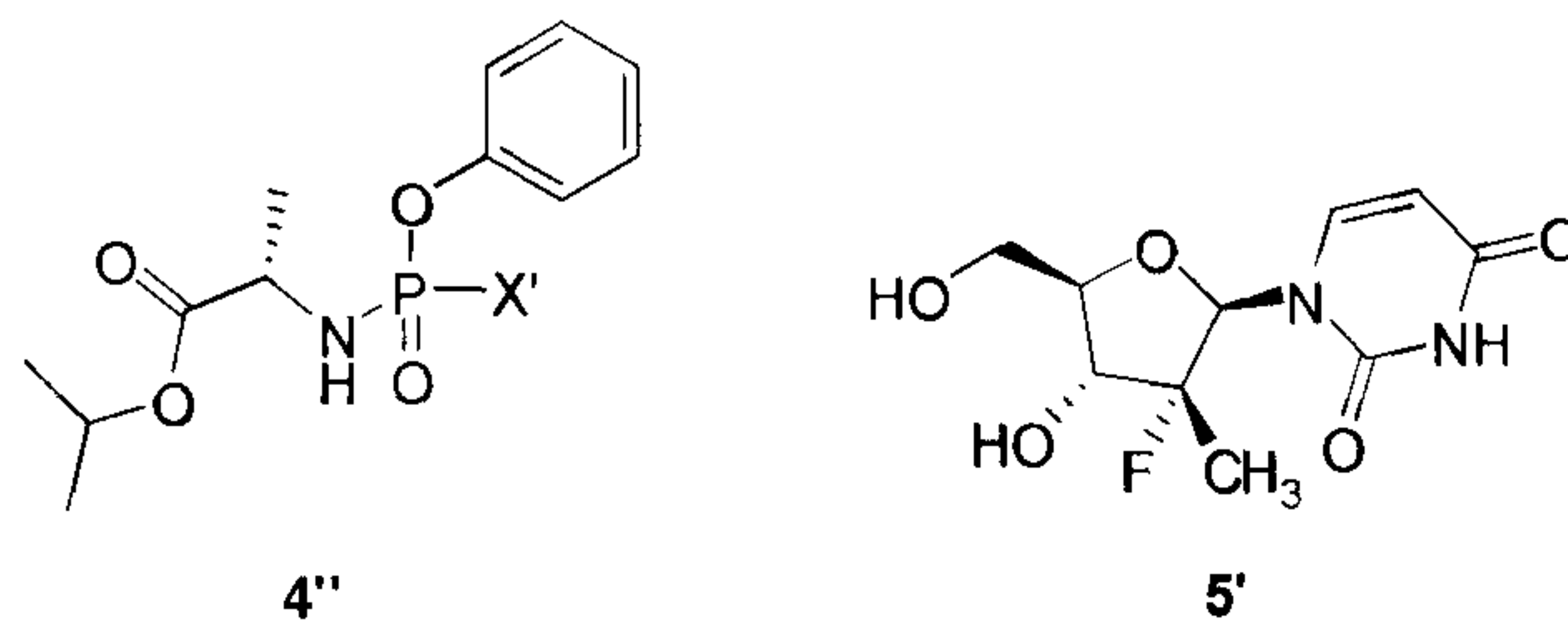
for the preparation of a medicament for treating a human infected by hepatitis C virus.

23. A process for preparing the compound according to claim 1, said process comprising: reacting a compound **4''** with a nucleoside analog **5'**



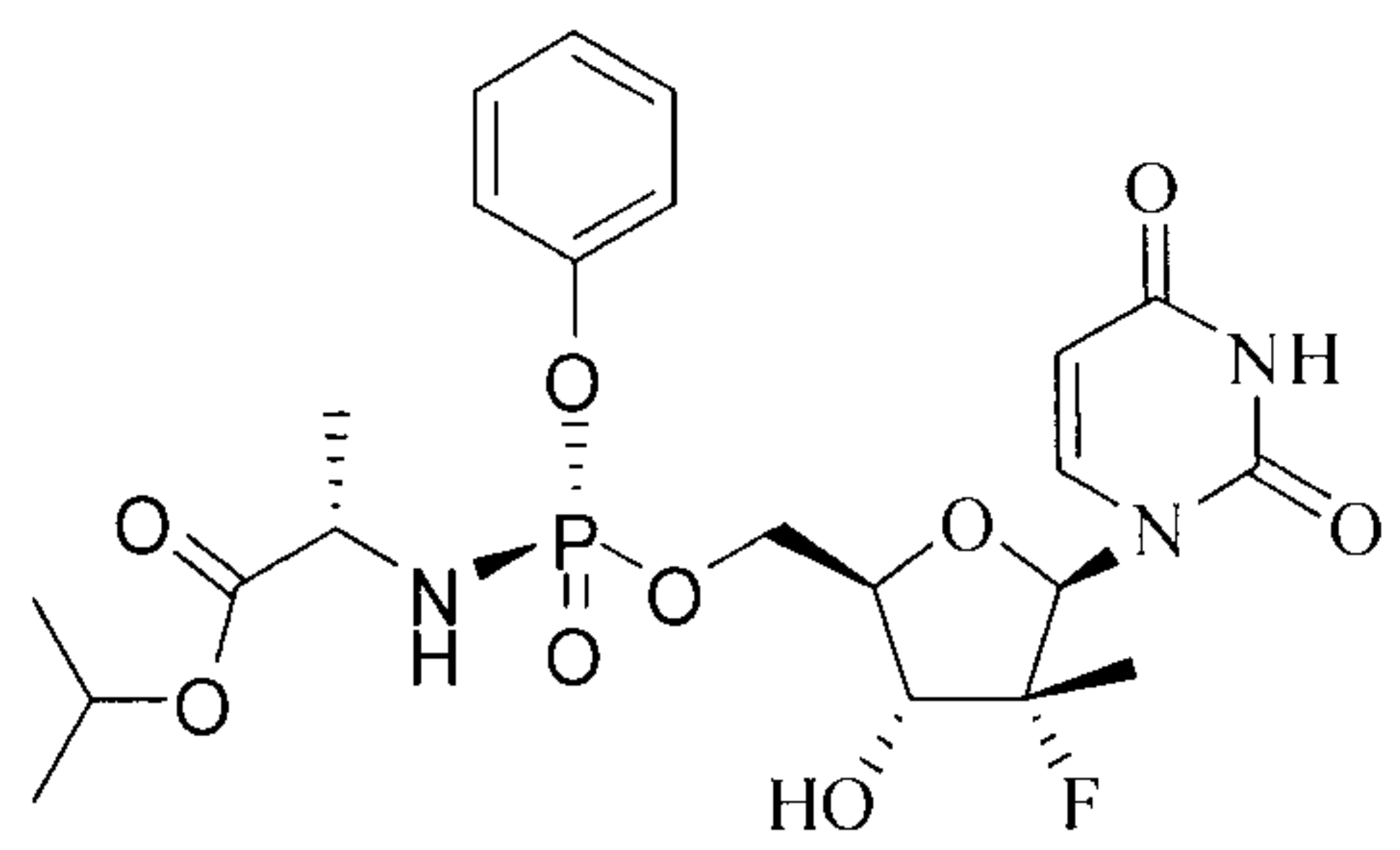
wherein X' is a leaving group.

24. A process for preparing (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester, or a phosphorous-based stereoisomer thereof, said process comprising reacting the compound **4''** with a nucleoside analog **5'**:

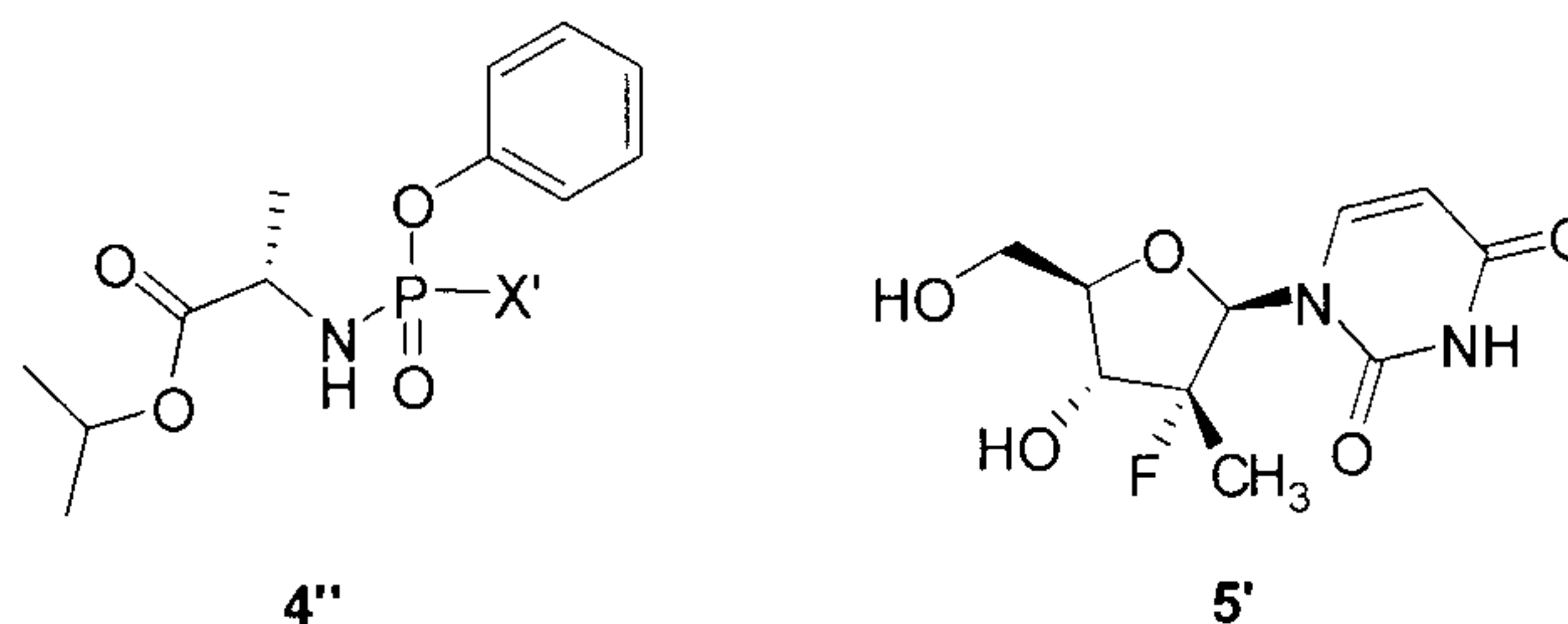


wherein X' is a leaving group.

25. A process for preparing a compound represented by the formula:



said process comprising reacting the compound **4''** with a nucleoside analog **5'**:

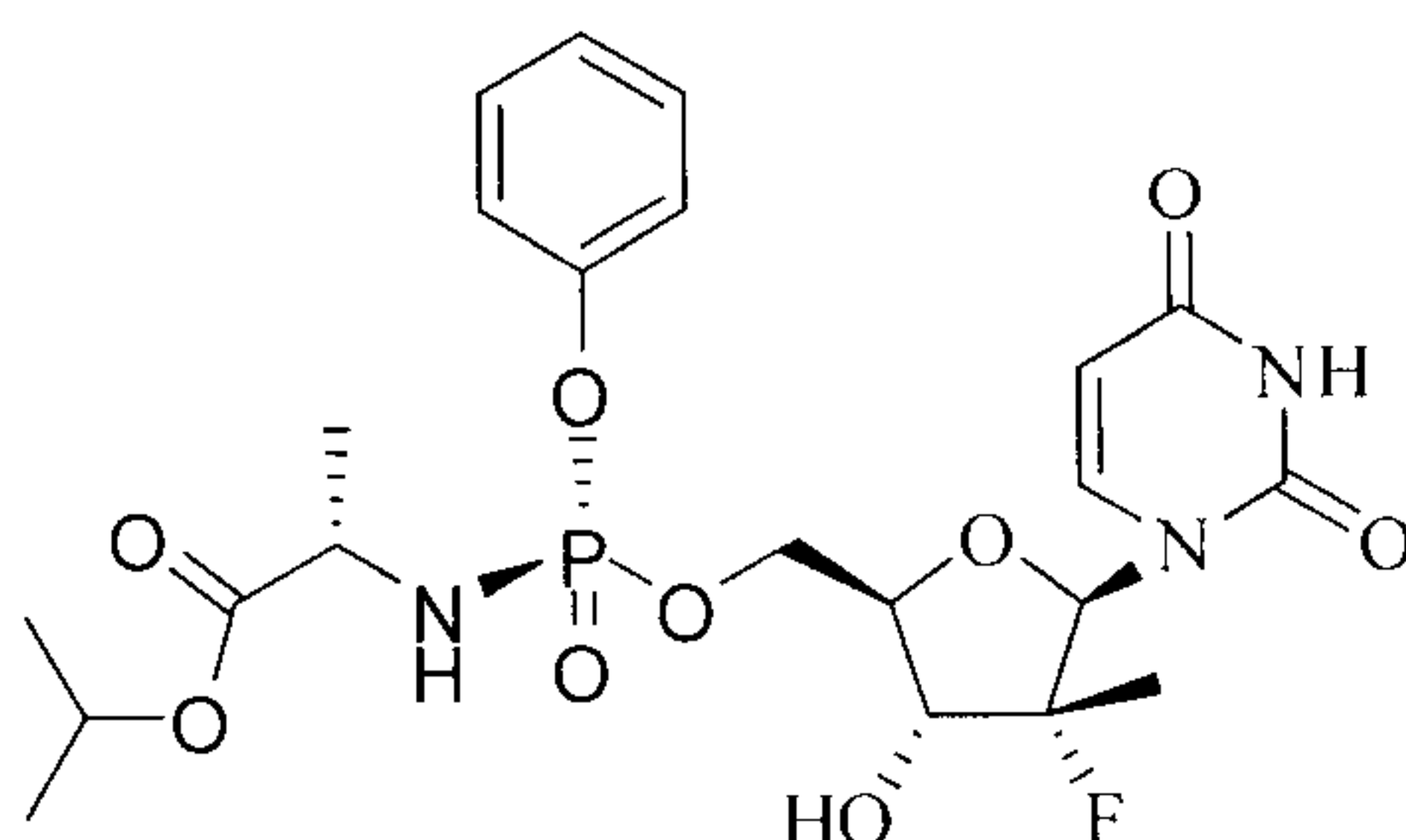


wherein X' is a leaving group.

26. Use of an effective amount of the compound according to any one of claims 1, 6 and 9 and an effective amount of another antiviral agent for treating a human infected by hepatitis C virus.

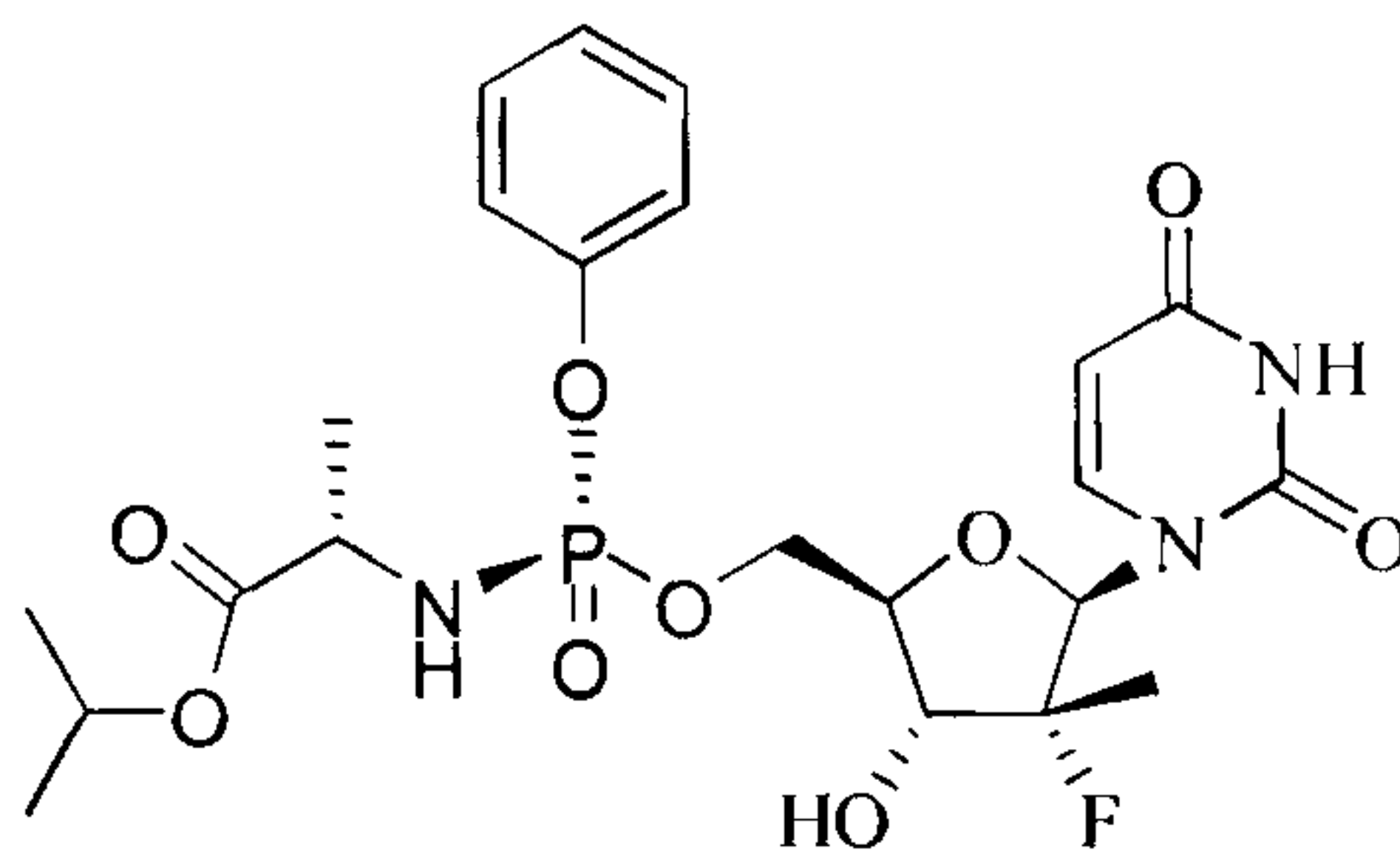
27. Use of an effective amount of the compound according to any one of claims 1, 6 and 9 and an effective amount of another antiviral agent for the preparation of a medicament for treating a human infected by hepatitis C virus.

28. Use of an effective amount of a compound represented by the formula:



and an effective amount of another antiviral agent for treating a human infected by hepatitis C virus.

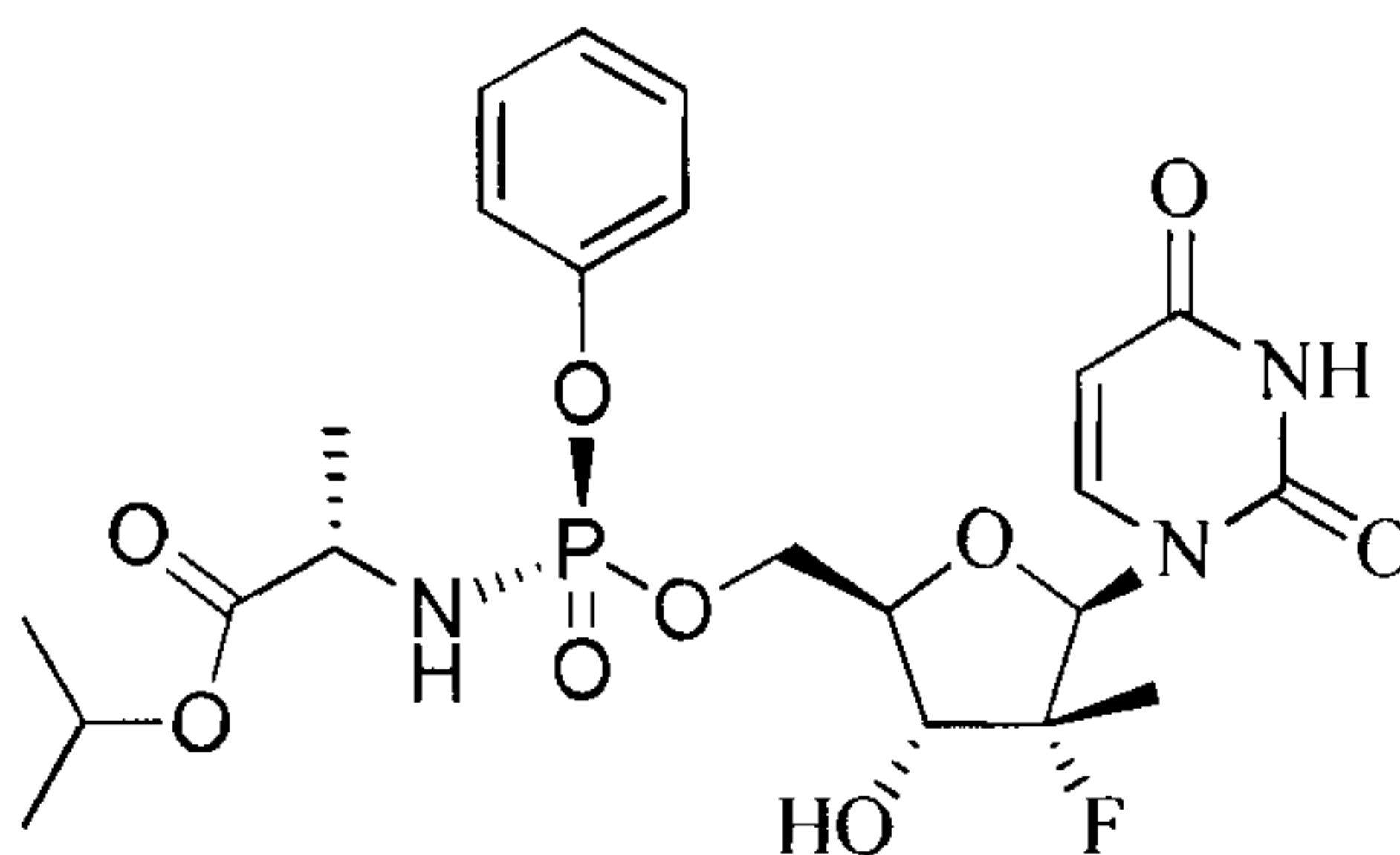
29. Use of an effective amount of a compound represented by the formula:



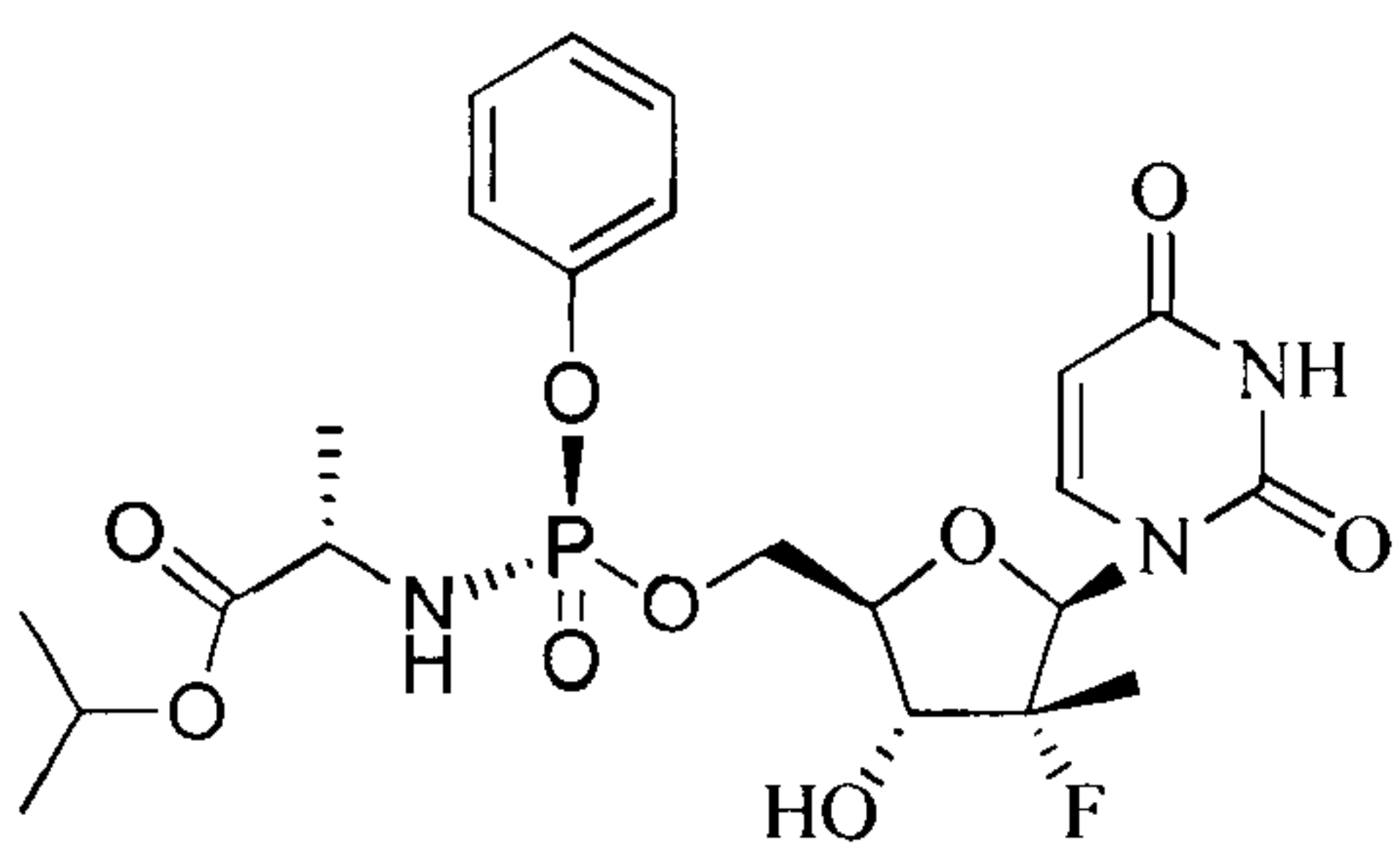
and an effective amount of another antiviral agent for the preparation of a medicament for treating a human infected by hepatitis C virus.

30. The use of any one of claims 26 to 29, wherein the another antiviral agent is an HCV NS5A inhibitor.

31. A compound represented by the formula:

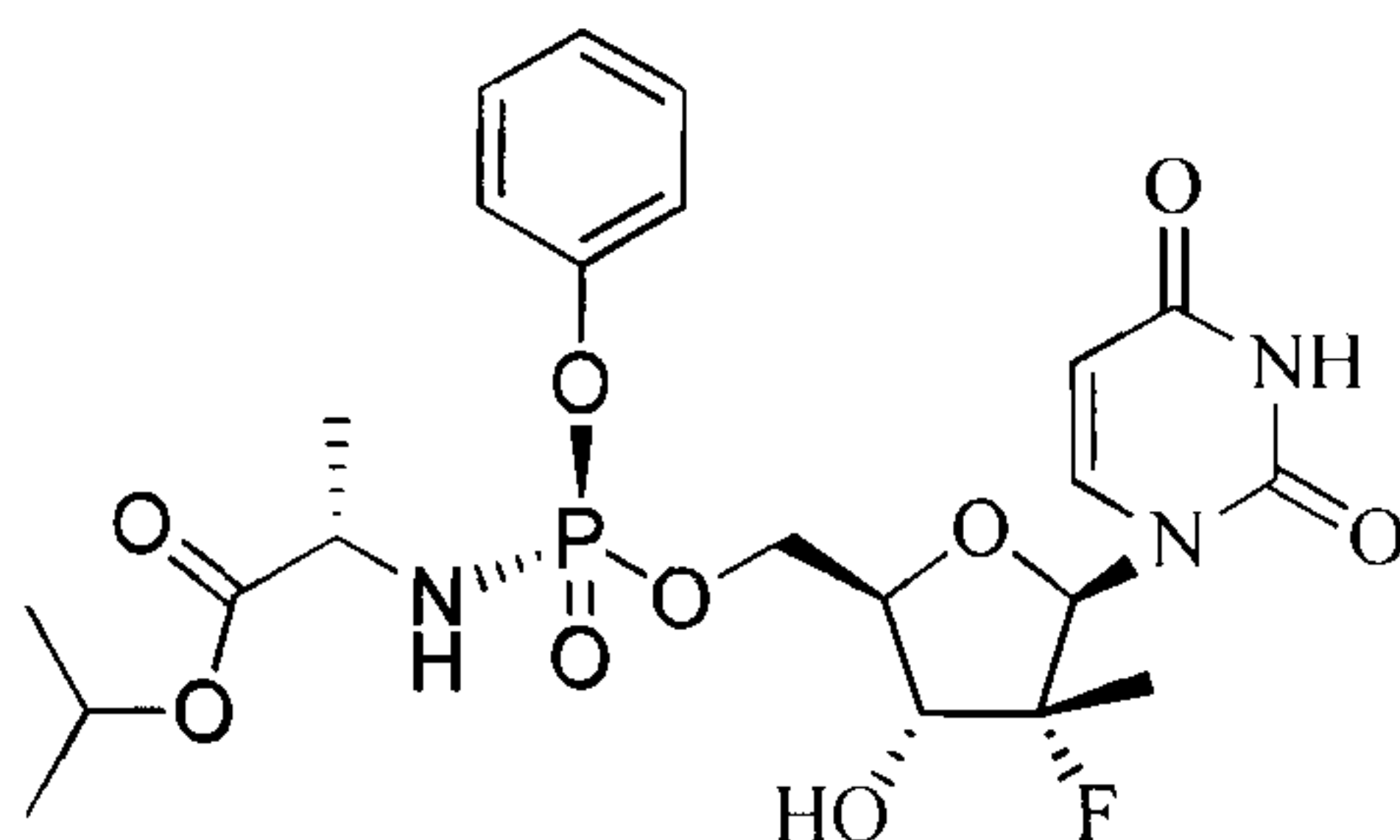


32. A pharmaceutical composition comprising a compound represented by the formula:



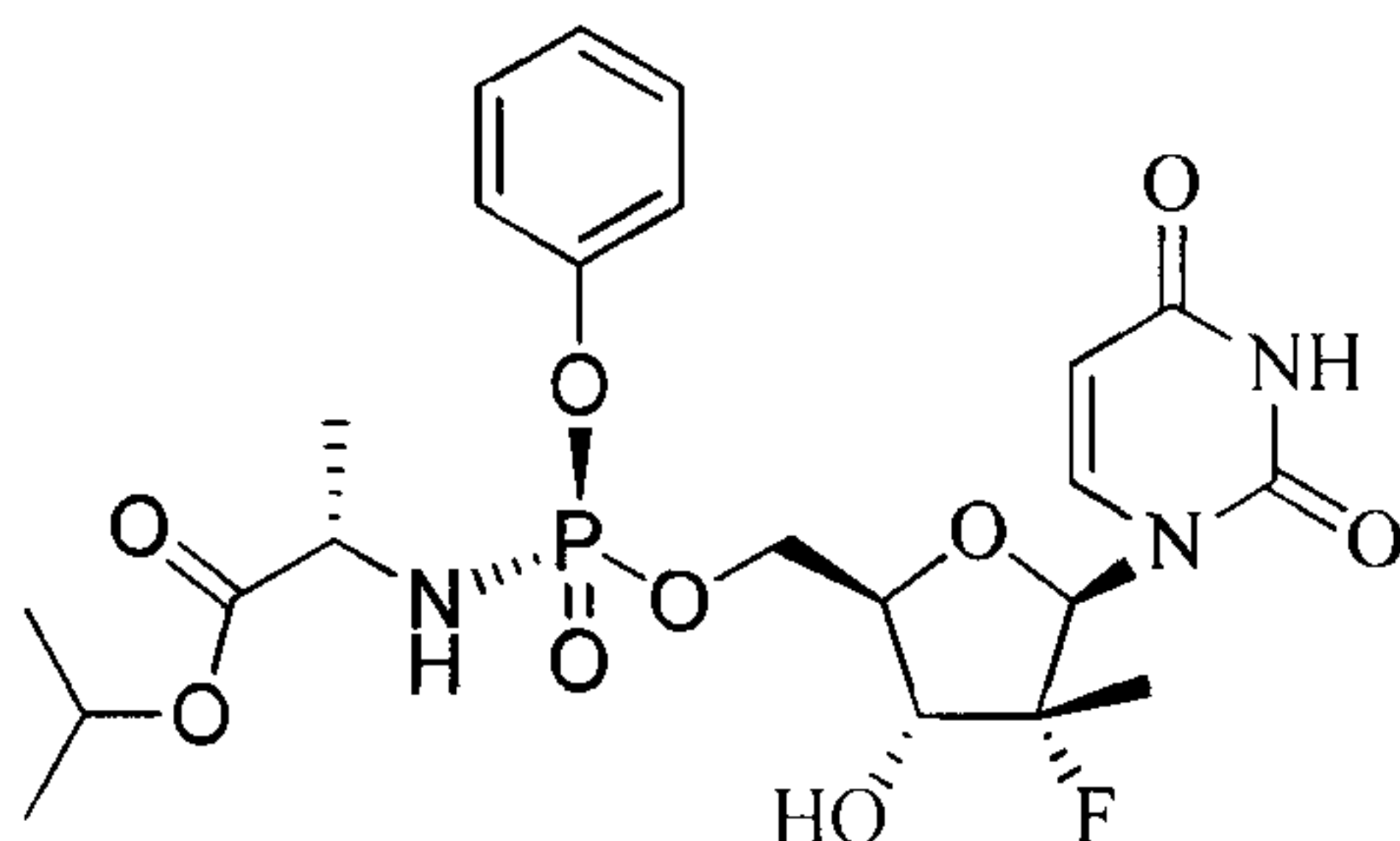
and a pharmaceutically acceptable medium.

33. A pharmaceutical composition comprising an effective amount of a compound represented by the formula:



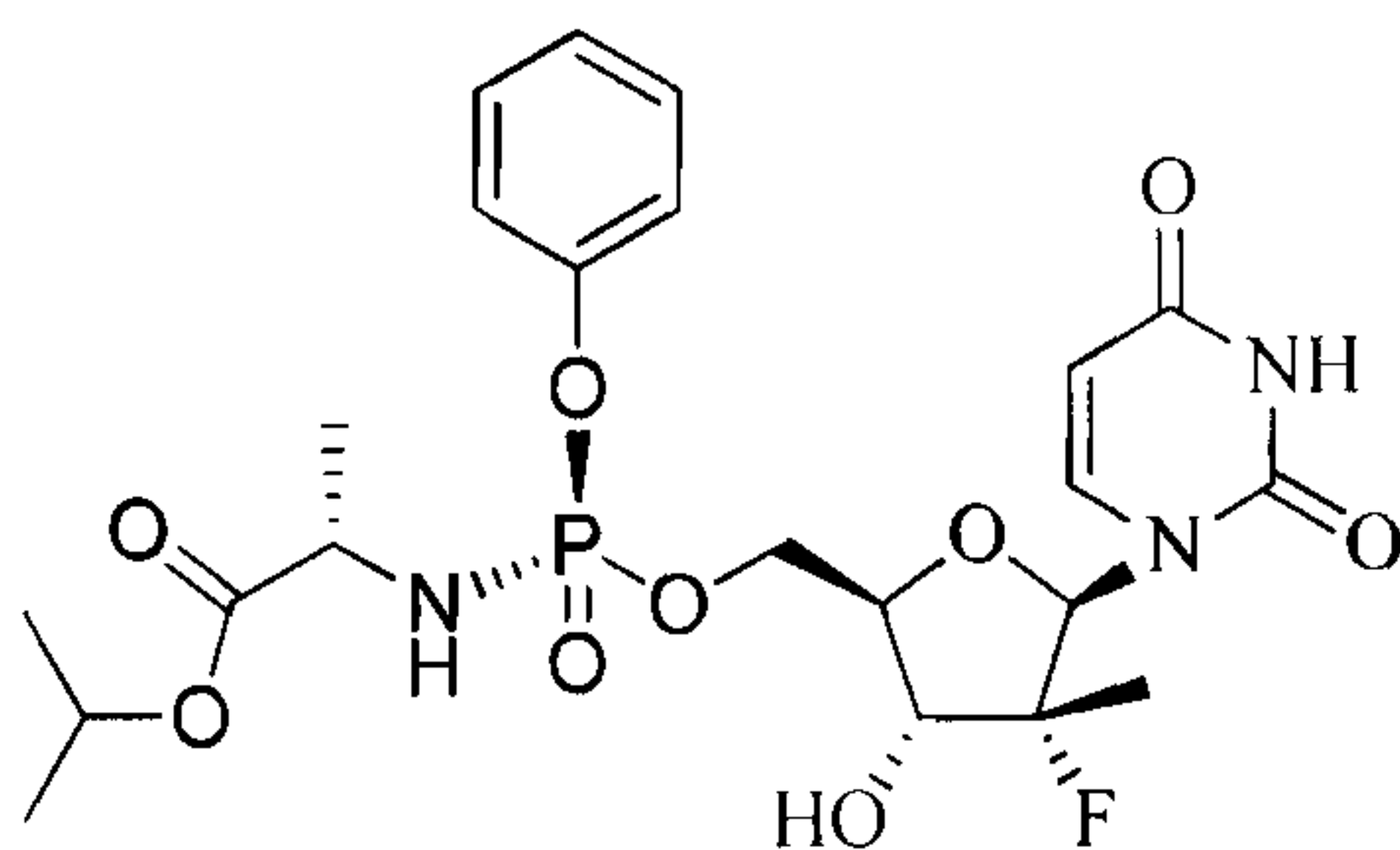
to treat hepatitis C virus infection, and a pharmaceutically acceptable medium.

34. Use of an effective amount of a compound represented by the formula:



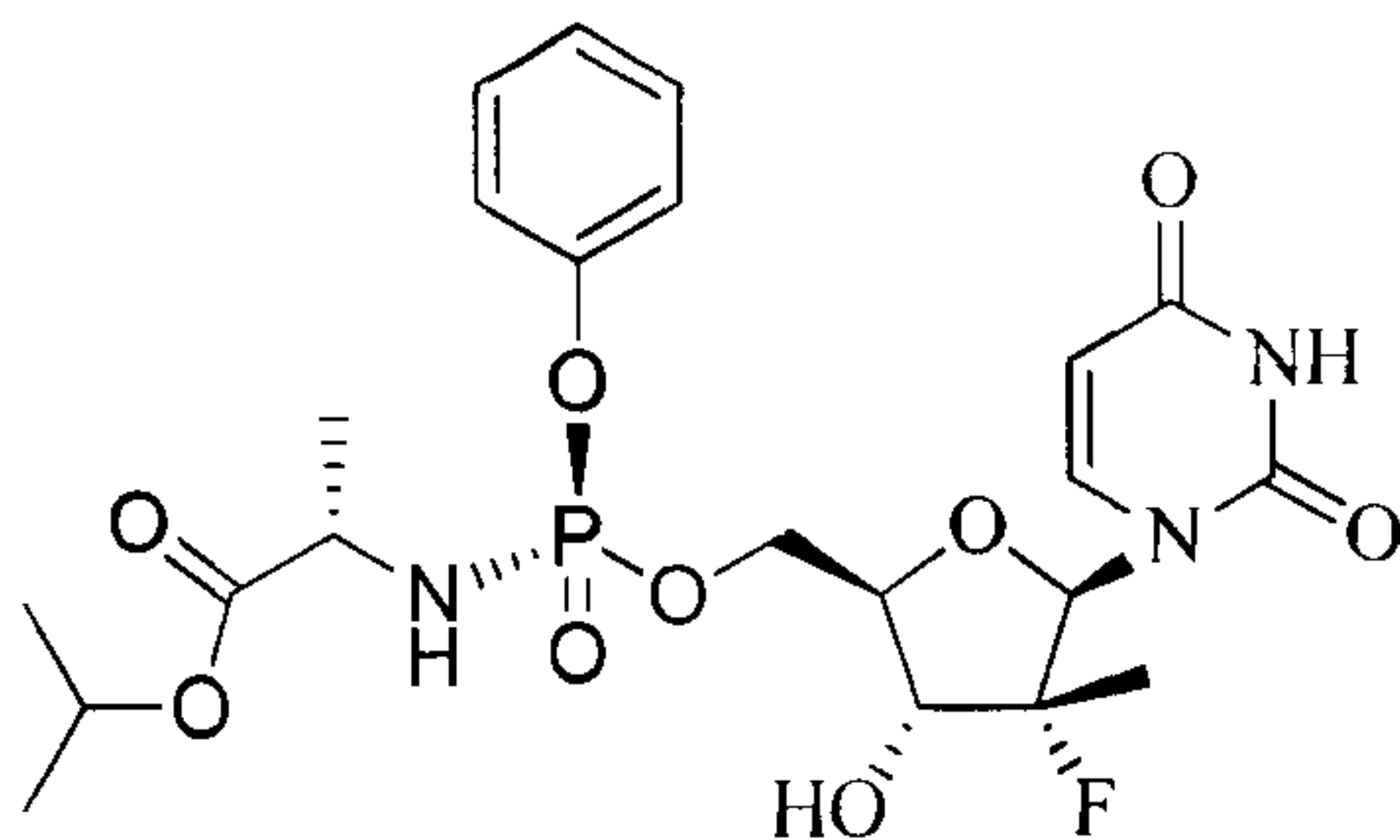
for treating a human infected by hepatitis C virus.

35. Use of an effective amount of a compound represented by the formula:



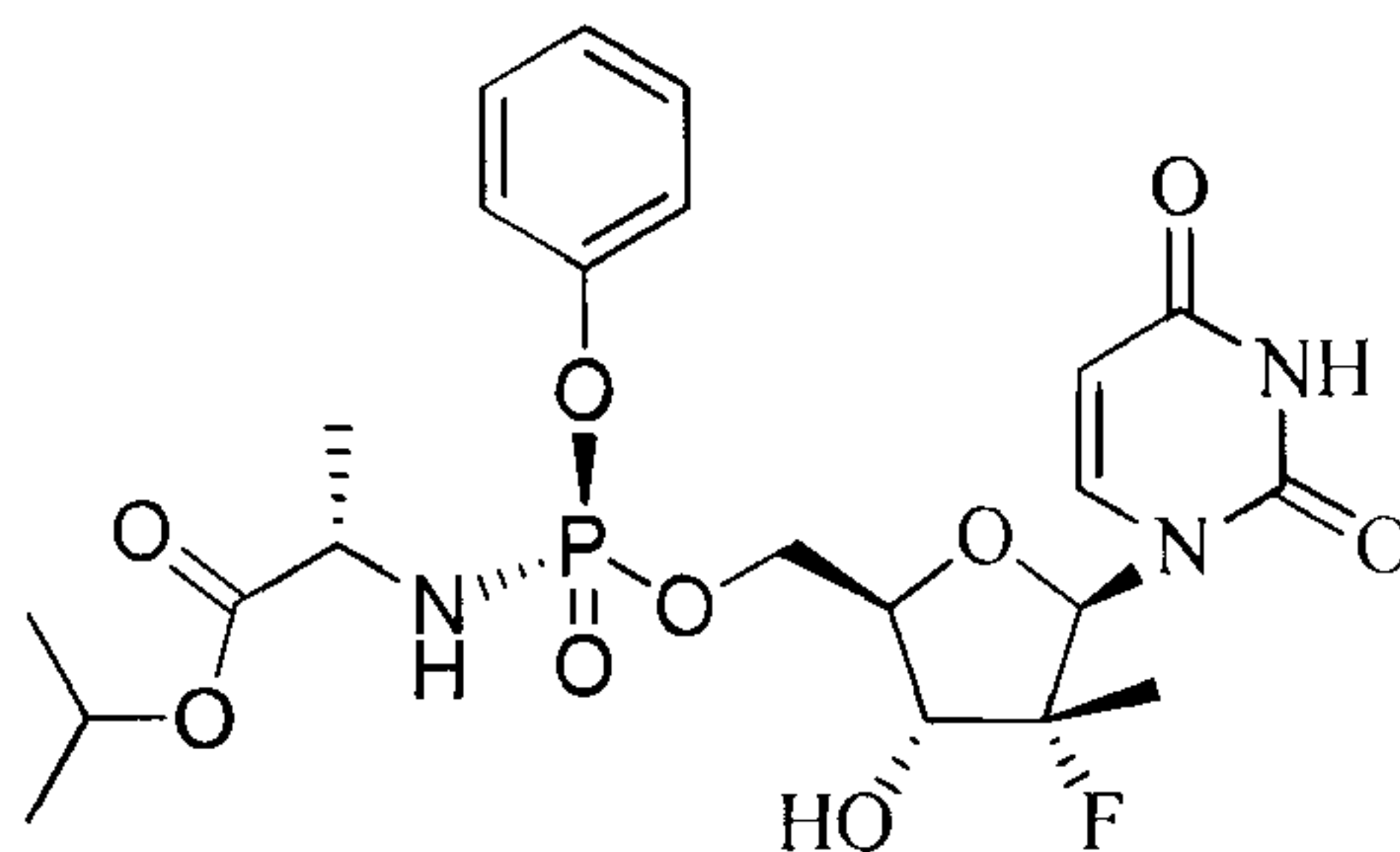
for the preparation of a medicament for treating a human infected by hepatitis C virus.

36. Use of an effective amount of a compound represented by the formula:



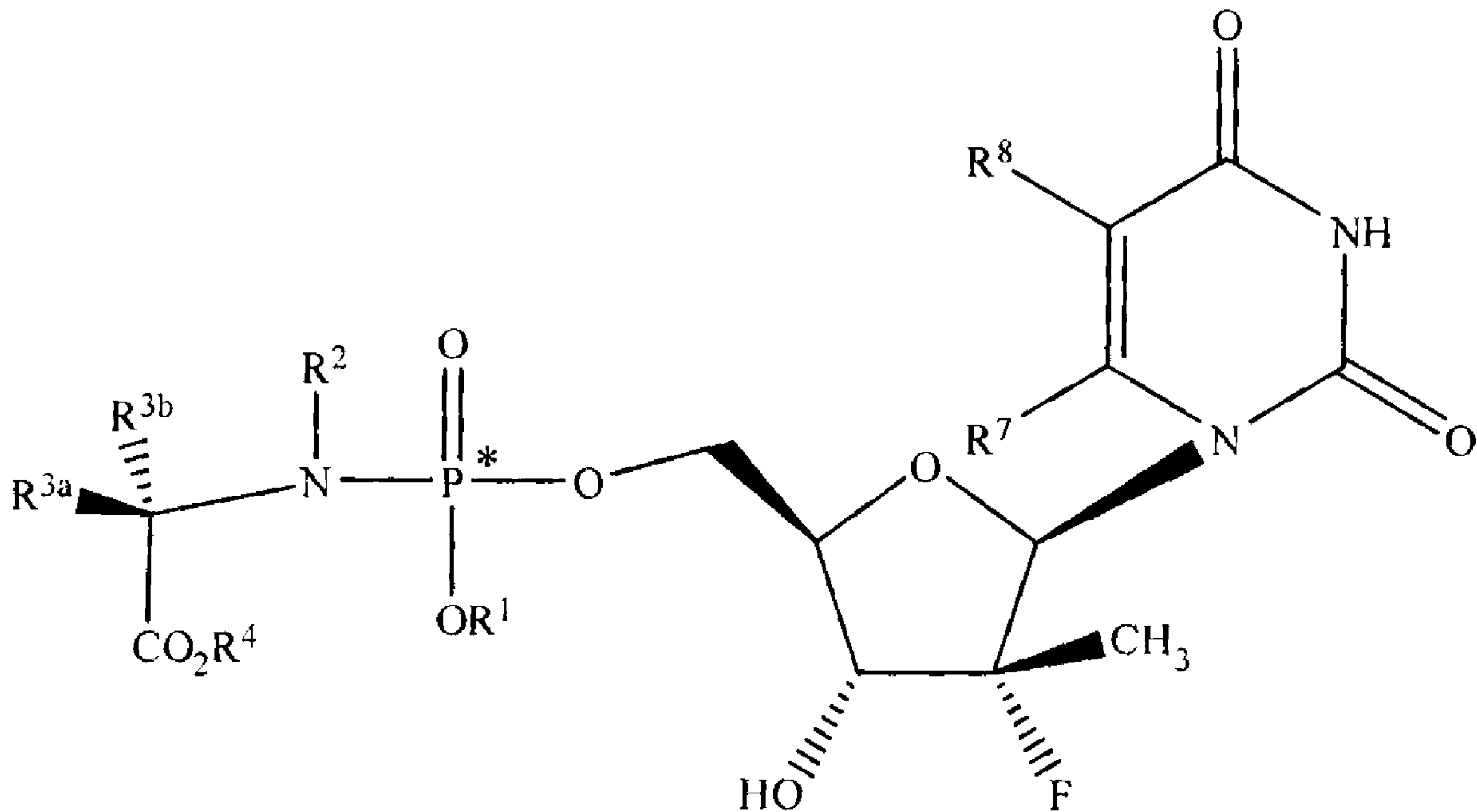
and an effective amount of another antiviral agent for treating a human infected by hepatitis C virus.

37. Use of an effective amount of a compound represented by the formula:



and an effective amount of another antiviral agent for the preparation of a medicament for treating a human infected by hepatitis C virus.

38. The use of claim 36 or 37, wherein the another antiviral agent is an HCV NS5A inhibitor.



(I)