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UTILITY PATENT APPLICATION TRANSMITTAL <small>(ONLY FOR NEW NONPROVISIONAL APPLICATIONS UNDER</small>		Attorney Docket No. PH3080.PC7-TRK1 First Named Inventor Jinfa Du Title NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
APPLICATION ELEMENTS <small>See MPEP chapter 600 concerning utility patent application contents.</small>		Commissioner for Patents ADDRESS TO: P.O. Box 1450 Alexandria, VA 22313-1450
1. ☐ Fee Transmittal Form <small>(PTO/SB/17 or equivalent)</small> 2. ☐ Applicant asserts small entity status. <small>See 37 CFR 1.27</small> 3. ☐ Applicant certifies micro entity status. See 37 CFR 1.29. <small>Applicant must attach form PTO/SB/15A or B or equivalent.</small> 4. ☒ Specification [Total Pages <u>736</u>] <small>Both the claims and abstract must start on a new page. (See MPEP § 608.01(a) for information on the preferred arrangement)</small> 5. ☐ Drawing(s) (35 U.S.C. 113) [Total Sheets <u> </u>] 6. Inventor's Oath or Declaration [Total Pages <u>4</u>] <small>(including substitute statements under 37 CFR 1.64 and assignments serving as an oath or declaration under 37 CFR 1.63(e))</small> a. ☐ Newly executed (original or copy) b. ☒ A copy from a prior application (37 CFR 1.63(d)) 7. ☒ Application Data Sheet *See note below. <small>See 37 CFR 1.76 (PTO/AIA/14 or equivalent)</small> 8. ☐ CD-ROM or CD-R <small>In duplicate, large table, or Computer Program (Appendix)</small> ☐ Landscape Table on CD 9. Nucleotide and/or Amino Acid Sequence Submission <small>(if applicable, items a. – c. are required)</small> a. ☐ Computer Readable Form (CRF) b. Specification Sequence Listing on: i. ☐ CD-ROM or CD-R (2 copies); or ii. ☐ Paper c. ☐ Statements verifying identity of above copies		ACCOMPANYING APPLICATION PARTS 10. ☐ Assignment Papers <small>(cover sheet & document(s))</small> Name of Assignee <div style="border: 1px solid black; height: 20px; width: 100%;"></div> 11. ☐ 37 CFR 3.73(c) Statement ☒ Power of Attorney <small>(when there is an assignee)</small> 12. ☐ English Translation Document (if applicable) 13. ☒ Information Disclosure Statement <small>(PTO/SB/08 or PTO-1449)</small> ☐ Copies of citations attached 14. ☒ Preliminary Amendment 15. ☐ Return Receipt Postcard <small>(MPEP § 503) (Should be specifically itemized)</small> 16. ☐ Certified Copy of Priority Document(s) <small>(if foreign priority is claimed)</small> 17. ☐ Nonpublication Request <small>Under 35 U.S.C. 122 (b)(2)(B)(i). Applicant must attach form PTO/SB/35 or equivalent.</small> 18. ☒ Other: <div style="border: 1px solid black; padding: 2px;">Form PTO/AIA/424</div>
*Note: (1) Benefit claims under 37 CFR 1.78 and foreign priority claims under 1.55 must be included in an Application Data Sheet (ADS). (2) For applications filed under 35 U.S.C. 111, the application must contain an ADS specifying the applicant if the applicant is an assignee, person to whom the inventor is under an obligation to assign, or person who otherwise shows sufficient proprietary interest in the matter. See 37 CFR 1.46(b).		
18. CORRESPONDENCE ADDRESS		
☒ The address associated with Customer Number: <u>25000</u> OR ☐ Correspondence address below		
Name <div style="border: 1px solid black; height: 20px; width: 100%;"></div>		
Address <div style="border: 1px solid black; height: 20px; width: 100%;"></div>		
City	State	Zip Code
Country	Telephone	Email
Signature <u>Lawrence F. Rozsnyai</u>		Date <u>April 17, 2014</u>
Name (Print/Type) <u>Lawrence F. Rozsnyai</u>		Registration No. (Attorney/Agent) <u>58,427</u>

Application Data Sheet

Inventor Information

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Country of Residence::	US
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City of mailing address::	Foster City
State or Province of mailing address::	CALIFORNIA
Postal or Zip Code of mailing address::	94404

Correspondence Information

Correspondence Customer Number::	25000
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Application Information

Application Number::	Not Yet Assigned
Application Type::	Regular
Subject Matter::	Utility
CD-ROM or CD-R?::	None
Sequence submission?::	None
Computer Readable Form (CRF)?::	No
Title::	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
Attorney Docket Number::	PH3080.PC7-TRK1
Request for Early Publication?::	No
Request for Non-Publication?::	No
Small Entity?::	No
Petition included?::	No

Portions or all of the application associated with this Application Data Sheet may fall under a Secrecy Order pursuant to 37 CFR 5.2:: No

Authorization to Permit Access to the Instant Application by the Participating Offices:: No

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

Representative Information

Representative Customer Number:: 25000

Domestic Priority Information

This application is a continuation application of U.S. Patent Application No. 14/057,675, filed October 18, 2013, which is a continuation application of U.S. Patent Application No. 13/609,614, filed September 11, 2012, which issued as U.S. Patent No. 8,580,765 on November 12, 2013, which is a continuation of U.S. Patent Application No. 13/099,671, filed May 3, 2011, which issued as U.S. Patent No. 8,334,270 on December 18, 2012, which is a continuation of U.S. Patent Application No. 12/053,015, filed March 21, 2008, which issued as U.S. Patent No. 7,964,580 on June 21, 2011, which claims the benefit of U.S. Provisional Application No. 60/982,309, filed October 24, 2007, and U.S. Provisional Application No. 60/909,315, filed March 30, 2007.

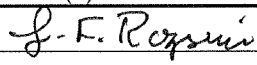
Foreign Priority Information

N/A

Applicant Information

Applicant Number:: 1
Applicant Type:: Assignee
Organization Name:: Gilead Pharmasset LLC
Street of mailing address:: 333 Lakeside Drive
City of mailing address:: Foster City
State or Province of mailing address:: CA
Postal or Zip Code of mailing address:: 94404
Phone Number:: (650) 574-3000
Fax Number:: (650) 522-5575

Non-Applicant Assignee Information**Signature:**

A signature of the applicant or representative is required in accordance with 37 CFR 1.33 and 10.18. Please see 37 CFR 1.4(d) for the form of the signature.			
Signature		Date	April 17, 2014
Name (Print/Type)	Lawrence F. Rozsnyai	Registration No. (Attorney/Agent)	58,427

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it displays a valid OMB control number

POWER OF ATTORNEY BY APPLICANT

I hereby revoke all previous powers of attorney given in the application identified in either the attached transmittal letter or the boxes below.

Application Number	Filing Date
Not Yet Assigned	Concurrently Herewith

(Note: The boxes above may be left blank if information is provided on form PTO/AIA/82A.)

☒ I hereby appoint Patent Practitioner(s) associated with the following Customer Number as my/our attorney(s) or agent(s), and to transact all business in the United States Patent and Trademark Office connected therewith for the application referenced in the attached transmittal letter (form PTO/AIA/82A) or identified above:

25000

OR

☐ I hereby appoint Practitioner(s) named in the attached list (form PTO/AIA/82C) as my/our attorney(s) or agent(s), and to transact all business in the United States Patent and Trademark Office connected therewith for the patent application referenced in the attached transmittal letter (form PTO/AIA/82A) or identified above. (Note: Complete form PTO/AIA/82C.)

Please recognize or change the correspondence address for the application identified in the attached transmittal letter to:

☐ The address associated with the above-mentioned Customer Number

OR

☐ The address associated with Customer Number:

OR

Firm or Individual Name					
Address					
City		State		Zip	
Country					
Telephone			Email		

I am the Applicant (if the Applicant is a juristic entity, list the Applicant name in the box):

--

☐ Inventor or Joint Inventor (title not required below)

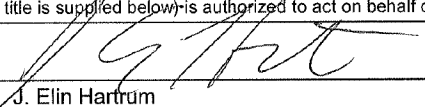
☐ Legal Representative of a Deceased or Legally Incapacitated Inventor (title not required below)

☒ Assignee or Person to Whom the Inventor is Under an Obligation to Assign (provide signer's title if applicant is a juristic entity)

☐ Person Who Otherwise Shows Sufficient Proprietary Interest (e.g., a petition under 37 CFR 1.46(b)(2) was granted in the application or is concurrently being filed with this document) (provide signer's title if applicant is a juristic entity)

SIGNATURE of Applicant for Patent

The undersigned (whose title is supplied below) is authorized to act on behalf of the applicant (e.g., where the applicant is a juristic entity).

Signature		Date (Optional)	4/16/14
Name	J. Elin Hartrum		
Title	Associate General Counsel, IP		

NOTE: Signature - This form must be signed by the applicant in accordance with 37 CFR 1.33. See 37 CFR 1.4 for signature requirements and certifications. If more than one applicant, use multiple forms.

☐ Total of 1 forms are submitted.

MERCHANT & GOULD P.C.

United States Patent Application

COMBINED DECLARATION AND POWER OF ATTORNEY

As a below named inventor I hereby declare that: my residence, post office address and citizenship are as stated below next to my name; that

I verily believe I am the original, first and sole inventor (if only one name is listed below) or a joint inventor (if plural inventors are named below) of the subject matter which is claimed and for which a patent is sought on the invention entitled: NUCLEOSIDE PHOSPHARAMIDATE PRODRUGS

The specification of which

- a. ☐ is attached hereto
 b. ☒ was filed on MARCH 21, 2008 as application serial no. 12/053,015 and was amended on (if applicable) (in the case of a PCT-filed application) described and claimed in international no. filed and as amended on (if any), which I have reviewed and for which I solicit a United States patent.

I hereby state that I have reviewed and understand the contents of the above-identified specification, including the claims, as amended by any amendment referred to above.

I hereby claim foreign priority benefits under Title 35, United States Code, § 119/365 of any foreign application(s) for patent or inventor's certificate listed below and have also identified below any foreign application for patent or inventor's certificate having a filing date before that of the application on the basis of which priority is claimed:

- a. ☒ no such applications have been filed.
 b. ☐ such applications have been filed as follows:

FOREIGN APPLICATION(S), IF ANY, CLAIMING PRIORITY UNDER 35 USC § 119			
COUNTRY	APPLICATION NUMBER	DATE OF FILING (day, month, year)	DATE OF ISSUE (day, month, year)
ALL FOREIGN APPLICATION(S), IF ANY, FILED BEFORE THE PRIORITY APPLICATION(S)			
COUNTRY	APPLICATION NUMBER	DATE OF FILING (day, month, year)	DATE OF ISSUE (day, month, year)

I hereby claim the benefit under Title 35, United States Code, § 120/365 of any United States and PCT international application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, § 112, I acknowledge the duty to disclose material information as defined in Title 37, Code of Federal Regulations, § 1.56(a) which occurred between the filing date of the prior application and the national or PCT international filing date of this application.

U.S. APPLICATION NUMBER	DATE OF FILING (day, month, year)	STATUS (patented, pending, abandoned)

I hereby claim the benefit under Title 35, United States Code § 119(e) of any United States provisional application(s) listed below:

U.S. PROVISIONAL APPLICATION NUMBER	DATE OF FILING (Day, Month, Year)
60/909,315	30 MARCH 2007
60/982,309	24 OCTOBER 2007

I acknowledge the duty to disclose information that is material to patentability of this application in accordance with Title 37, Code of Federal Regulations, § 1.56 (reprinted below):

§ 1.56 Duty to disclose information material to patentability.

(a) A patent by its very nature is affected with a public interest. The public interest is best served, and the most effective patent examination occurs when, at the time an application is being examined, the Office is aware of and evaluates the teachings of all information material to patentability. Each individual associated with the filing and prosecution of a patent application has a duty of candor and good faith in dealing with the Office, which includes a duty to disclose to the Office all information known to that individual to be material to patentability as defined in this section. The duty to disclose information exists with respect to each pending claim until the claim is canceled or withdrawn from consideration, or the application becomes abandoned. Information material to the patentability of a claim that is canceled or withdrawn from consideration need not be submitted if the information is not material to the patentability of any claim remaining under consideration in the application. There is no duty to submit information which is not material to the patentability of any existing claim. The duty to disclose all information known to be material to patentability is deemed to be satisfied if all information known to be material to patentability of any claim issued in a patent was cited by the Office or submitted to the Office in the manner prescribed by §§ 1.97(b)-(d) and 1.98. However, no patent will be granted on an application in connection with which fraud on the Office was practiced or attempted or the duty of disclosure was violated through had faith or intentional misconduct. The Office encourages applicants to carefully examine:

(1) prior art cited in search reports of a foreign patent office in a counterpart application, and

(2) the closest information over which individuals associated with the filing or prosecution of a patent application believe any pending claim patentably defines, to make sure that any material information contained therein is disclosed to the Office.

(b) Under this section, information is material to patentability when it is not cumulative to information already of record or being made of record in the application, and

(1) It establishes, by itself or in combination with other information, a prima facie case of unpatentability of a claim;

or

(2) It refutes, or is inconsistent with, a position the applicant takes in:

(i) Opposing an argument of unpatentability relied on by the Office, or

(ii) Asserting an argument of patentability.

A prima facie case of unpatentability is established when the information compels a conclusion that a claim is unpatentable under the preponderance of evidence, burden-of-proof standard, giving each term in the claim its broadest reasonable construction consistent with the specification, and before any consideration is given to evidence which may be submitted in an attempt to establish a contrary conclusion of patentability.

(c) Individuals associated with the filing or prosecution of a patent application within the meaning of this section are:

(1) Each inventor named in the application:

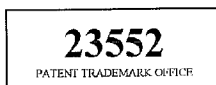
(2) Each attorney or agent who prepares or prosecutes the application; and

(3) Every other person who is substantively involved in the preparation or prosecution of the application and who is associated with the inventor, with the assignee or with anyone to whom there is an obligation to assign the application.

(d) Individuals other than the attorney, agent or inventor may comply with this section by disclosing information to the attorney, agent, or inventor.

(e) In any continuation-in-part application, the duty under this section includes the duty to disclose to the Office all information known to the person to be material to patentability, as defined in paragraph (b) of this section, which became available between the filing date of the prior application and the national or PCT international filing date of the continuation-in-part application.

I hereby appoint the attorney(s) and/or patent agent(s) associated with the following customer number to prosecute this application and to transact all business in the Patent and Trademark Office connected herewith.



I hereby authorize them to act and rely on instructions from and communicate directly with the person/assignee/attorney/firm/ organization who/which first sends/sent this case to them and by whom/which I hereby declare that I have consented after full disclosure to be represented unless/until I instruct Merchant & Gould P.C. to the contrary.

I understand that the execution of this document, and the grant of a power of attorney, does not in itself establish an attorney-client relationship between the undersigned and the law firm Merchant & Gould P.C., or any of its attorneys.

Please direct all correspondence in this case to customer number 23552.

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

2	Full Name Of Inventor	Family Name	First Given Name	Second Given Name
		SOFIA	MICHAEL	JOSEPH
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		DOYLESTOWN	PA	US
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Signature of Inventor 201: <i>Michael J. Sefea</i>			Date: <i>3/26/08</i>	
2	Full Name Of Inventor	Family Name	First Given Name	Second Given Name
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Signature of Inventor 202: <i>Jinfa Du</i>			Date: <i>3/26/08</i>	
2	Full Name Of Inventor	Family Name	First Given Name	Second Given Name
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Signature of Inventor 203: <i>Peiyuan Wang</i>			Date: <i>3/26/08</i>	
2	Full Name Of Inventor	Family Name	First Given Name	Second Given Name
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		BETHANY	CT	US
4	Mailing Address	Address	City	State & Zip Code/Country
		52 VIRGINIA RAIL DRIVE	BETHANY	06524
Signature of Inventor 204: <i>ks</i>			Date: <i>3/31/08</i>	

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application No.: Not yet assigned	)	
	:	Examiner: Not yet assigned
First Named Inventor:	)	
	:	Group Art Unit: Not yet assigned
JINFA DU	)	
	:	Confirmation No.: Not yet assigned
Filed: April 17, 2014	)	
	:	
For: NUCLEOSIDE	)	
PHOSPHORAMIDATE	:	
PRODRUGS	)	

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

PRELIMINARY AMENDMENT

Sir:

Prior to examination on the merits of the above-identified application, please amend the application as follows and consider the following remarks.

AMENDMENTS TO THE SPECIFICATION

At page 1, line 1, immediately after the title of invention and before the section titled “Field of Invention,” please add the following section heading and paragraph:

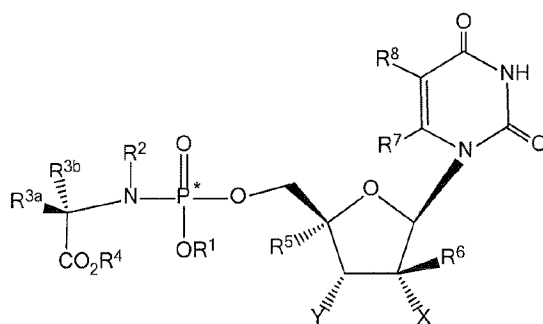
CROSS-REFERENCE TO RELATED APPLICATIONS

This application is a continuation application of U.S. Patent Application No. 14/057,675, filed October 18, 2013, which is a continuation application of U.S. Patent Application No. 13/609,614, filed September 11, 2012, which issued as U.S. Patent No. 8,580,765 on November 12, 2013, which is a continuation of U.S. Patent Application No. 13/099,671, filed May 3, 2011, which issued as U.S. Patent No. 8,334,270 on December 18, 2012, which is a continuation of U.S. Patent Application No. 12/053,015, filed March 21, 2008, which issued as U.S. Patent No. 7,964,580 on June 21, 2011, which claims the benefit of U.S. Provisional Application No. 60/982,309, filed October 24, 2007, and U.S. Provisional Application No. 60/909,315, filed March 30, 2007. The entire contents of the aforementioned applications are incorporated herein by reference in their entireties.

AMENDMENTS TO THE CLAIMS

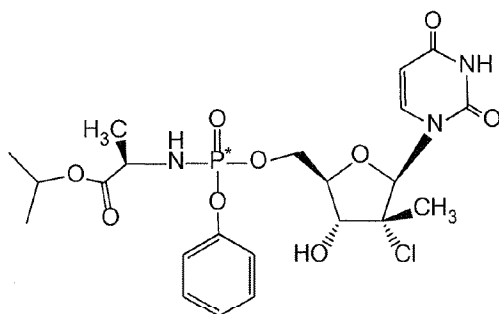
The following listing of the claims replaces all prior versions and listings.

- 1.-3. (Cancelled).
4. (New) A compound represented by the following formula:

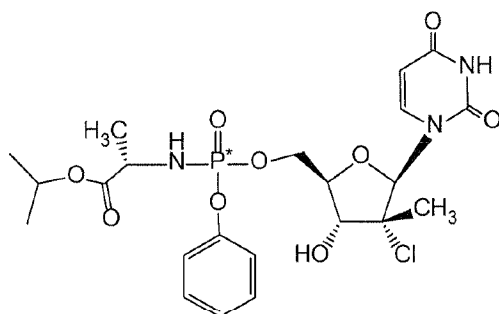


wherein

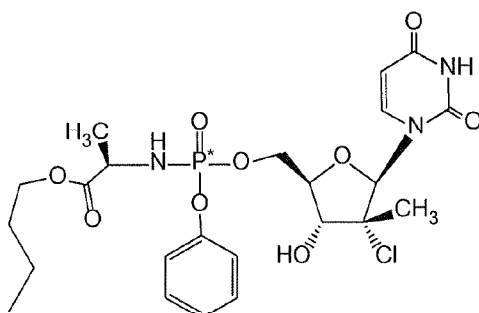
- (a) R^1 is phenyl;
 - (b) R^2 is hydrogen;
 - (c) R^{3a} and R^{3b} are independently H or CH_3 ;
 - (d) R^4 is i Pr, n Pr, n Bu, 2-butyl, t Bu, or benzyl;
 - (e) R^5 is H;
 - (f) R^6 is CH_3 ;
 - (g) X is Cl;
 - (h) Y is OH;
 - (i) R^7 and R^8 are H.
5. (New) The compound of claim 4, having the following structure:



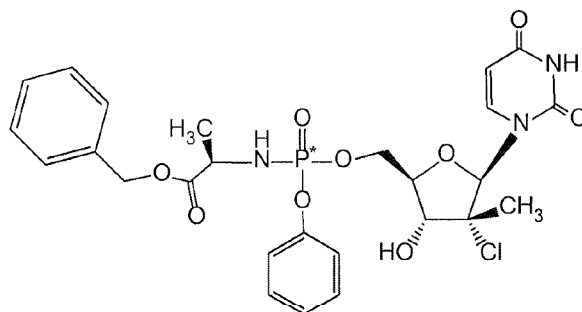
6. (New) The compound of claim 4, having the following structure:



7. (New) The compound of claim 4, having the following structure:



8. (New) The compound of claim 4, having the following structure:



9. (New) A pharmaceutical formulation comprising a compound of claim 4 and a pharmaceutically acceptable excipient.
10. (New) A method of treating HCV comprising administering a therapeutically effective amount of a compound of claim 1 to a human subject in need thereof.
11. (New) The method of claim 10 further comprising administering to the subject a therapeutically effective amount of another antiviral agent.
12. (New) The methods of claim 11, wherein the another antiviral agent is an NS5A inhibitor.
13. (New) The methods of claim 11, wherein the another antiviral agent is an NS3 inhibitor.
14. (New) The method of claim 13, further comprising administering to the subject a therapeutically effective amount of an NS5A inhibitor.

REMARKS

Claims 1-3 have been cancelled without prejudice or disclaimer of subject matter. Claims 4 to 14 have been added, with claim 4 being in independent form.

Support for the new claims may be found in the subject specification as filed. The following chart references exemplary supporting sections of the specification; support may also be found in other sections of the document.

Claim	Supporting Sections of Specification
4	Structure I-4 at p. 44
(a) R ¹ is phenyl;	p. 44
(b) R ² is hydrogen;	p. 44
(c) R ^{3a} and R ^{3b} are independently H or CH ₃ ;	p. 44; p. 37 regarding R ^{3a} and R ^{3b} may be independently chosen. See also p. 100.
(d) R ⁴ is ⁱ Pr, ⁿ Pr, ⁿ Bu, 2-butyl, ^t Bu, or benzyl;	p.44
(e) R ⁵ is H;	p. 45
(f) R ⁶ is CH ₃ ;	p. 45
(g) X is Cl;	p. 45
(h) Y is OH;	p. 45
(i) R ⁷ and R ⁸ are H.	p. 45
5 – 8	Selected species within Structure I-4.
9	pp. 641 - 642
10	p. 645
11-14	p. 647-648

In addition to the support listed above, several tables in the specification list compounds with various substituents. The table at pp. 662-668 shows additional structures and provides, in part, additional support that R^{3a} and R^{3b} may be independently be H or CH₃ (see, e.g., Example No.s 50-52 compared to the other compounds in the table). Additional support is provided by Structure 1 at p. 8.

The meaning of "P*" is described at p. 19, and includes both the "R" and "S" forms at the P atom of the described compounds. See also p. 101.

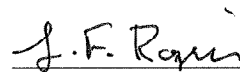
The specification has been amended to provide a cross-reference to related applications. Applicant submits that no new matter has been added.

Early and favorable action on the merits is respectfully requested.

Should the Examiner have any questions, he is invited to contact the undersigned attorney at (650) 522-1871.

Dated: April 17, 2014

Respectfully submitted,



Lawrence F. Rozsnyai
Registration No. 58,427
Attorney for the Applicant
Gilead Sciences, Inc.
333 Lakeside Drive
Foster City, California 94494
(650) 577-6841
(650) 522-5575 – Fax

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

Dated: 4/17/2014 Signature: L.F. Rozsnyai
(Lawrence F. Rozsnyai)

Docket No.: PH3080.PC7-TRK1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jinfa Du et al.

Application No.: Not Yet Assigned

Confirmation No.: N/A

Filed: Concurrently Herewith

Art Unit: N/A

For: NUCLEOSIDE PHOSPHORAMIDATE
PRODRUGS

Examiner: Not Yet Assigned

INFORMATION DISCLOSURE STATEMENT (IDS)

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Dear Madam:

Pursuant to 37 C.F.R. § 1.56, 1.97 and 1.98, the attention of the Patent and Trademark Office is hereby directed to the references listed on the attached PTO/SB/08. It is respectfully requested that the information be expressly considered during the prosecution of this application, and that the references be made of record therein and appear among the "References Cited" on any patent to issue therefrom.

This Information Disclosure Statement accompanies the new patent application submitted herewith.

Copies of the references on the PTO/SB/08 are not supplied because they were previously cited by or submitted to the Office in prior application numbers 14/057,675 filed October 18, 2013 and 13/609,614 filed September 11, 2012 relied upon in these applications for an earlier filing date under 35 U.S.C. § 120.

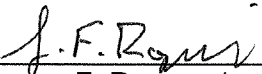
In accordance with 37 C.F.R. § 1.97(g), the filing of this Information Disclosure Statement shall not be construed to mean that a search has been made or that no other material information as defined in 37 C.F.R. § 1.56(a) exists. In accordance with 37 C.F.R. § 1.97(h), the filing of this Information Disclosure Statement shall not be construed to be an admission that any patent, publication or other information referred to therein is "prior art" for this invention unless specifically designated as such.

It is submitted that the Information Disclosure Statement is in compliance with 37 C.F.R. § 1.98 and the Examiner is respectfully requested to consider the listed references.

The Director is hereby authorized to charge any deficiency in the fees filed, asserted to be filed or which should have been filed herewith (or with any paper hereafter filed in this application by this firm) to our Deposit Account No. 07-1250, under Order No. PH3080.PC7-TRK1.

Dated: April 17, 2014

Respectfully submitted,

By 

Lawrence F. Rozsnyai

Registration No.: 58,427

GILEAD SCIENCES, INC.

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)	Application Number		13609614
	Filing Date		2012-09-11
	First Named Inventor	Michael Joseph Sofia	
	Art Unit	1623	
	Examiner Name	Patrick T. Lewis	
	Attorney Docket Number	03956.053800.2	

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	1	GUDMUNDSSON, Kristjan S., et al., "Phosphoramidate Protides of Carbocyclic 2',3'-Dideoxy-2',3'-Didehydro-7-Deazaadenosine with Potent Activity Against HIV and HBV," Nucleosides, Nucleotides & Nucleic Acids (2004) 23(12): 1929-1937.						☐

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	2	199 14 474	DE	A1	1999-10-07	Hoffmann La-Roche AG		☐
	3	0 180 276	EP	A1	1986-05-07	OCE ANDENO BV		☐
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Attorney Docket Number	03956.053800.2	

9	2 207 786	EP	B1	2012-03-07	EISAI, INC.		☐
10	5-238939	JP	A	1993-09-17	NODA SANGYO KAGAKU KENKYUSHO KIKKOMAN CORP		☐
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	30	99/32140	WO	A1	1999-07-01	ENZON, INC.		☐

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Attorney Docket Number	03956.053800.2	

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Art Unit	1623	
Examiner Name	Unassigned	
Attorney Docket Number	03956.053800.2	

10	2005/037214	WO	A2	2005-04-28	INTERMUNE INC.		☐
11	2005/067900	WO	A2	2005-07-28	ACHILLION PHARMACEUTICALS INC.		☐
12	2005/072361	WO	A2	2005-08-11	MERCK & CO., INC.		☐
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14	2005/087788	WO	A2	2005-09-22	UNIV. CALIFORNIA		☐
15	2005/095403	WO	A2	2005-10-13	INTERMUNE INC.		☐
16	2005/103045	WO	A1	2005-11-03	GLAXO GROUP LTD.		☐
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21	2006/020082	WO	A1	2006-02-23	SQUIBB BRISTOL MYERS CO.		☐
22	2006/029081	WO	A2	2006-03-16	NEOPHARM INC.		☐
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25	2006/035061	WO	A1	2006-04-06	TIBOTEC PHARM LTD.		☐
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	32	2006/100310	WO	A1	2006-09-28	TIBOTEC PHARM LTD.		☐
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	36	2007/002602	WO	A2	2007-01-04	DEPUY SPINE INC.		☐
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Application Number	13609614
Filing Date	2012-09-11
First Named Inventor	Michael Joseph Sofia
Art Unit	1623
Examiner Name	Unassigned
Attorney Docket Number	03956.053800.2

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	First Named Inventor	DU, Jinfa	
	Art Unit	1621	
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	1	U.S. Patent Application No. 14/013,237, as filed Aug. 29, 2013	☐
	2	Claims of U.S. Patent Application No. 14/013,237 as amended Aug. 30, 2013	☐
	3	U.S. Patent Application No. 13/956,195, as filed July 31, 2013	☐
	4	Claims of U.S. Patent Application No. 13/956,195 as amended Oct. 21, 2013	☐

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NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Field of Invention

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

10 Background

Hepatitis C virus (HCV) infection is a major health problem that leads to chronic
liver disease, such as cirrhosis and hepatocellular carcinoma, in a substantial number of
infected individuals, estimated to be 2-15% of the world's population. There are an
estimated 4.5 million infected people in the United States alone, according to the U.S.
15 Center for Disease Control. According to the World Health Organization, there are more
than 200 million infected individuals worldwide, with at least 3 to 4 million people being
infected each year. Once infected, about 20% of people clear the virus, but the rest can
harbor HCV the rest of their lives. Ten to twenty percent of chronically infected
individuals eventually develop liver-destroying cirrhosis or cancer. The viral disease is
20 transmitted parenterally by contaminated blood and blood products, contaminated
needles, or sexually and vertically from infected mothers or carrier mothers to their
offspring. Current treatments for HCV infection, which are restricted to immunotherapy

with recombinant interferon- α alone or in combination with the nucleoside analog ribavirin, are of limited clinical benefit. Moreover, there is no established vaccine for HCV. Consequently, there is an urgent need for improved therapeutic agents that effectively combat chronic HCV infection.

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

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

Flaviviridae Viruses

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

5 groups on the basis of serological relatedness (Calisher et al., *J. Gen. Virol.* 1993,70,37-43). Clinical symptoms vary and include fever, encephalitis and hemorrhagic fever (*Fields Virology*, Editors: Fields, B. N., Knipe, D. M., and Howley, P. M., Lippincott-Raven Publishers, Philadelphia, PA, 1996, Chapter 31, 931-959). Flaviviruses of global concern that are associated with human disease include the Dengue Hemorrhagic Fever

10 viruses (DHF), yellow fever virus, shock syndrome and Japanese encephalitis virus (Halstead, S. B., *Rev. Infect. Dis.*, 1984, 6, 251-264; Halstead, S. B., *Science*, 239:476-481, 1988; Monath, T. P., *New Eng. J. Med.*, 1988, 319, 641-643).

The pestivirus genus includes bovine viral diarrhea virus (BVDV), classical swine fever virus (CSFV, also called hog cholera virus) and border disease virus (BDV) of

15 sheep (Moennig, V. et al. *Adv. Vir. Res.* 1992, 41, 53-98). Pestivirus infections of domesticated livestock (cattle, pigs and sheep) cause significant economic losses worldwide. BVDV causes mucosal disease in cattle and is of significant economic importance to the livestock industry (Meyers, G. and Thiel, H.J., *Advances in Virus Research*, 1996, 47, 53-118; Moennig V., et al, *Adv. Vir. Res.* 1992, 41, 53-98). Human

20 pestiviruses have not been as extensively characterized as the animal pestiviruses. However, serological surveys indicate considerable pestivirus exposure in humans.

Pestiviruses and hepaciviruses are closely related virus groups within the Flaviviridae family. Other closely related viruses in this family include the GB virus A,

GB virus A-like agents, GB virus-B and GB virus-C (also called hepatitis G virus, HGV).

The hepacivirus group (hepatitis C virus; HCV) consists of a number of closely related but genotypically distinguishable viruses that infect humans. There are at least 6 HCV genotypes and more than 50 subtypes. Due to the similarities between pestiviruses and
5 hepaciviruses, combined with the poor ability of hepaciviruses to grow efficiently in cell culture, bovine viral diarrhea virus (BVDV) is often used as a surrogate to study the HCV virus.

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

20 The NS proteins of pestiviruses and hepaciviruses share sequence domains that are characteristic of specific protein functions. For example, the NS3 proteins of viruses in both groups possess amino acid sequence motifs characteristic of serine proteinases and of helicases (Gorbalenya et al., *Nature*, 1988, 333, 22; Bazan and Fletterick *Virology*

, 1989, 171, 637-639; Gorbalenya et al., *Nucleic Acid Res.*, 1989, 17, 3889-3897).

Similarly, the NS5B proteins of pestiviruses and hepaciviruses have the motifs characteristic of RNA-directed RNA polymerases (Koonin, E.V. and Dolja, V.V., *Crit. Rev. Biochem. Molec. Biol.* 1993, 28, 375-430).

- 5 The actual roles and functions of the NS proteins of pestiviruses and hepaciviruses in the lifecycle of the viruses are directly analogous. In both cases, the NS3 serine proteinase is responsible for all proteolytic processing of polyprotein precursors downstream of its position in the ORF (Wiskerchen and Collett, *Virology*, 1991, 184, 341-350; Bartenschlager et al., *J. Virol.* 1993, 67, 3835-3844; Eckart et al. *Biochem.*
- 10 *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.*,
- 15 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;
- 20 Lechmann et al., *J. Virol.*, 1997, 71, 8416-8428; Yuan et al., *Biochem. Biophys. Res. Comm.* 1997, 232, 231-235; Hagedorn, PCT WO 97/12033; Zhong et al, *J. Virol.*, 1998, 72, 9365-9369).

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

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

Inhibitors of HCV NS5B as potential therapies for HCV infection have been reviewed: Tan, S.-L., et al., *Nature Rev. Drug Discov.*, 2002, 1, 867-881; Walker, M.P. et al., *Exp. Opin. Investigational Drugs*, 2003, 12, 1269-1280; Ni, Z.-J., et al., *Current Opinion in Drug Discovery and Development*, 2004, 7, 446-459; Beaulieu, P. L., et al., *Current Opinion in Investigational Drugs*, 2004, 5, 838-850; Wu, J., et al., *Current Drug Targets-Infectious Disorders*, 2003, 3, 207-219; Griffith, R.C., et al., *Annual Reports in Medicinal Chemistry*, 2004, 39, 223-237; Carrol, S., et al., *Infectious Disorders-Drug Targets*, 2006, 6, 17-29. The potential for the emergence of resistant HCV strains and the need to identify agents with broad genotype coverage supports the need for continuing efforts to identify novel and more effective nucleosides as HCV NS5B inhibitors.

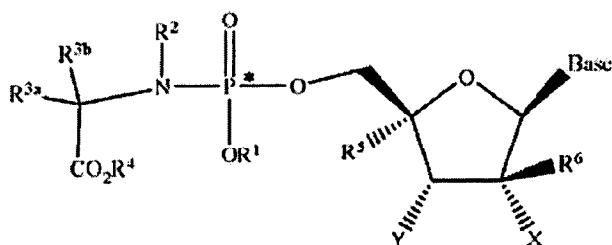
Nucleoside inhibitors of NS5B polymerase can act either as a non-natural substrate that results in chain termination or as a competitive inhibitor which competes with nucleotide binding to the polymerase. To function as a chain terminator the nucleoside analog must be taken up by the cell and converted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

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

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

SUMMARY OF THE INVENTION

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



I

20 wherein

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

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

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^3)_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_eCOR^{3*}$, aryl and aryl C_{1-3} alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C_{1-10} alkyl, C_{1-6} alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C_{1-6} alkyl; (iii) R^{3a} and R^{3b} together are $(CH_2)_f$ so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^3 is independently hydrogen or C_{1-6} alkyl and R^{3*} is $-OR^1$ or $-N(R^3)_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where R^3 is independently hydrogen or

alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3'} is – OR' or –N(R^{3'})₂;

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

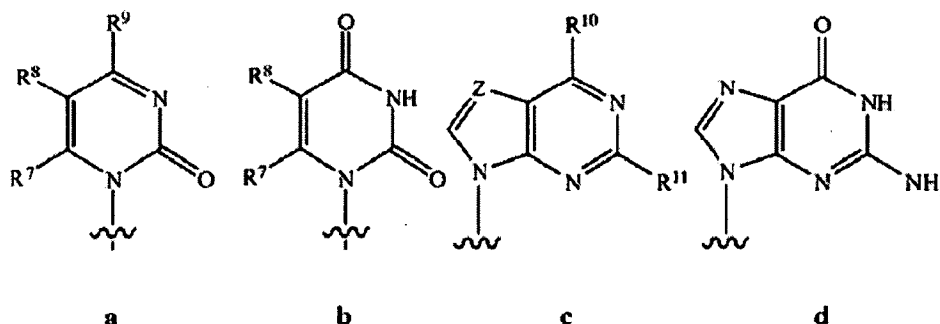
- (e) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., –(CH₂)_pOH, where p is 1–6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is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

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

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

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

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



5 wherein

Z is N or CR¹²;

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

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

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

DEFINITIONS

10 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.

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

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

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

The term "alkenyl" refers to an unsubstituted hydrocarbon chain radical having from 2 to 10 carbon atoms having one or two olefinic double bonds, preferably one olefinic double bond. The term "C_{2-N} alkenyl" refers to an alkenyl comprising 2 to N

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

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

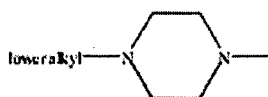
 The term "alkyl" refers to an unbranched or branched chain, saturated, monovalent hydrocarbon residue containing 1 to 30 carbon atoms. The term "C_{1-M} alkyl" refers to an alkyl comprising 1 to M carbon atoms, where M is an integer having the
10 following values: 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, or 30. The term "C₁₋₄ alkyl" refers to an alkyl containing 1 to 4 carbon atoms. The term "lower alkyl" denotes a straight or branched chain hydrocarbon residue comprising 1 to 6 carbon atoms. "C₁₋₂₀ alkyl" as used herein refers to an alkyl comprising 1 to 20 carbon atoms. "C₁₋₁₀ alkyl" as used herein refers to an alkyl
15 comprising 1 to 10 carbons. Examples of alkyl groups include, but are not limited to, lower alkyl groups include methyl, ethyl, propyl, *i*-propyl, *n*-butyl, *i*-butyl, *t*-butyl or pentyl, isopentyl, neopentyl, hexyl, heptyl, and octyl. The term (ar)alkyl or (heteroaryl)alkyl indicate the alkyl group is optionally substituted by an aryl or a heteroaryl group respectively.

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

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

The term "cycloheteroalkyl" refers to an unsubstituted or substituted heterocycle, in which the heterocycle contains 2 to 9 carbon atoms; preferably 2 to 7 carbon atoms; more preferably 2 to 5 carbon atoms. Examples of cycloheteroalkyls include, but are not limited to, aziridin-2-yl, *N*-C₁₋₃-alkyl-aziridin-2-yl, azetidiny, *N*-C₁₋₃-alkyl-azetidin-m'-yl, pyrrolidin-m'-yl, *N*-C₁₋₃-alkyl-pyrrolidin-m'-yl, piperidin-m'-yl, and *N*-C₁₋₃-alkyl-piperidin-m'-yl, where m' is 2, 3, or 4 depending on the cycloheteroalkyl. Specific examples of *N*-C₁₋₃-alkyl-cycloheteroalkyls include, but are not limited to, *N*-methyl-aziridin-2-yl, *N*-methyl-azetidin-3-yl, *N*-methyl-pyrrolidin-3-yl, *N*-methyl-pyrrolidin-4-yl, *N*-methyl-piperidin-2-yl, *N*-methyl-piperidin-3-yl, and *N*-methyl-piperidin-4-yl. In the instance of R⁴, the point of attachment between the cycloheteroalkyl ring carbon and the oxygen occurs at any one of m'

The term "heterocycle" refers to an unsubstituted or substituted heterocycle containing carbon, hydrogen, and at least one of N, O, and S, where the C and N can be trivalent or tetravalent, i.e., sp²- or sp³-hybridized. Examples of heterocycles include, but are not limited to, aziridine, azetidine, pyrrolidine, piperidine, imidazole, oxazole, piperazine, etc. In the instance of piperazine, as related to R¹⁰ for NR², the corresponding opposite nitrogen atom of the piperazinyl is substituted by a lower alkyl represented by the following structure:



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

The term "halogenated alkyl" (or "haloalkyl") refers to an unbranched or branched chain alkyl comprising at least one of F, Cl, Br, and I. The term "C_{1-M} haloalkyl" refers to an alkyl comprising 1 to M carbon atoms that comprises at least one of F, Cl, Br, and I, where M is an integer having the following values: 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, or 30. "C₁₋₃ haloalkyl" refers to a haloalkyl comprising 1 to 3 carbons and at least one of F, Cl, Br, and I. The term "halogenated lower alkyl" (or "lower haloalkyl") refers to a haloalkyl comprising 1 to 6

carbon atoms and at least one of F, Cl, Br, and I. Examples include, but are not limited to, fluoromethyl, chloromethyl, bromomethyl, iodomethyl, difluoromethyl, dichloromethyl, dibromomethyl, diiodomethyl, trifluoromethyl, trichloromethyl, tribromomethyl, triiodomethyl, 1-fluoroethyl, 1-chloroethyl, 1-bromoethyl, 1-iodoethyl,
5 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2-dichloroethyl, 2,2-dibromomethyl, 2,2-diiodomethyl, 3-fluoropropyl, 3-chloropropyl, 3-bromopropyl, 2,2,2-trifluoroethyl or 1,1,2,2,2-pentafluoroethyl.

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

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

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

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

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

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

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

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

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

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

10 The term "aryl," as used herein, and unless otherwise specified, refers to substituted or unsubstituted phenyl (Ph), biphenyl, or naphthyl, preferably the term aryl refers to substituted or unsubstituted phenyl. The aryl group can be substituted with one or more moieties selected from among hydroxyl, F, Cl, Br, I, amino, alkylamino, arylamino, alkoxy, aryloxy, nitro, cyano, sulfonic acid, sulfate, phosphonic acid, 15 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.

20 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.

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

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

The term "acyl" refers to a substituent containing a carbonyl moiety and a non-carbonyl moiety. The carbonyl moiety contains a double-bond between the carbonyl carbon and a heteroatom, where the heteroatom is selected from among O, N and S.

- 5 When the heteroatom is N, the N is substituted by a lower alkyl. The non-carbonyl moiety is selected from straight, branched, and cyclic alkyl, which includes, but is not limited to, a straight, branched, or cyclic C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or lower alkyl; alkoxyalkyl, including methoxymethyl; aralkyl, including benzyl; aryloxyalkyl, such as phenoxymethyl; or aryl, including phenyl optionally substituted with halogen (F, Cl, Br, 10 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.

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

- The term "purine" or "pyrimidine" base includes, but is not limited to, adenine, N⁶-alkylpurines, N⁶-acylpurines (wherein acyl is C(O)(alkyl, aryl, alkylaryl, or arylalkyl), N⁶-benzylpurine, N⁶-halopurine, N⁶-vinylpurine, N⁶-acetylenic purine, N⁶-acyl purine, 20 N⁶-hydroxyalkyl purine, N⁶-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- 25 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,

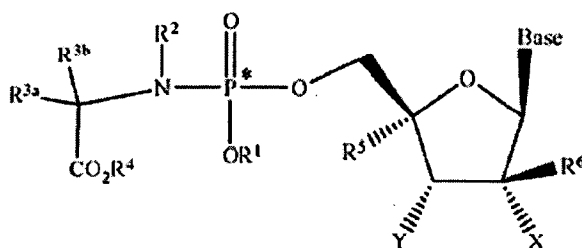
hypoxanthine, 2,6-diaminopurine, and 6-chloropurine. Functional oxygen and nitrogen groups on the base can be protected as necessary or desired. Suitable protecting groups are well known to those skilled in the art, and include trimethylsilyl, dimethylhexylsilyl, *t*-butyldimethylsilyl, and *t*-butyldiphenylsilyl, 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(R^{1'})₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R^{1'})₂, COR^{1'}, and -SO₂C₁₋₆ alkyl; (R^{1'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1'} is -OR' or -N(R^{1'})₂);

- (b) R² is hydrogen, C₁₋₁₀ alkyl, R^{3a} or R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR^{3a}R^{3b}NHR¹, where n is 2 to 4 and R¹, R^{3a}, and R^{3b};
- (c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR^{3'}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3'} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3'} is -OR' or -N(R^{3'})₂;

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

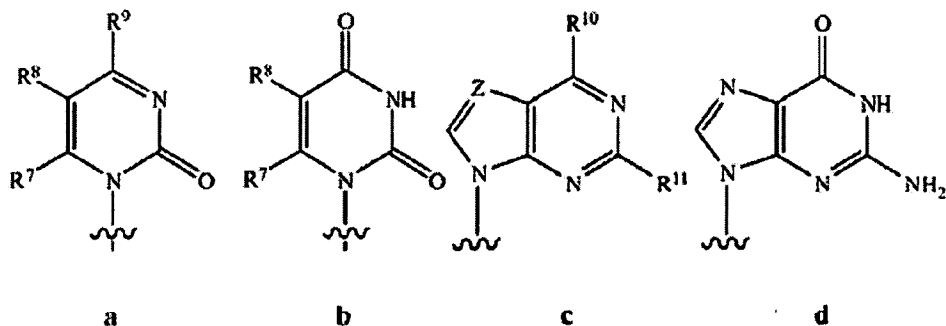
5 (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1 -6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos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

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

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

(h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3 , CN, Cl, Br, F, I, NO_2 , $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$
15 alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl) $_2$, $N(C_{1-4}$
20 $acyl)_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$
25 alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl) $_2$, $N(C_{1-4}$ acyl) $_2$;

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



wherein

Z is N or CR¹²;

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

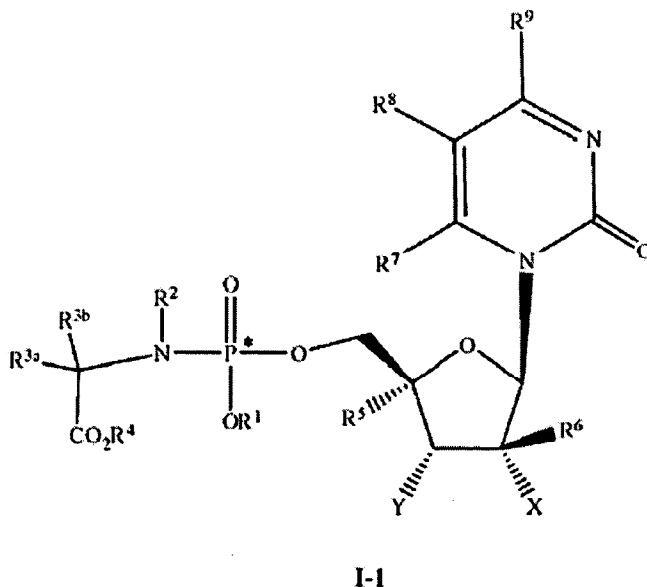
- wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally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

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

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

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

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



wherein

- (a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^1)_2$, C_{1-6} acylamino, $-NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^1)_2$, COR^1 , and $-SO_2C_{1-6}$ alkyl; (R^1 is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, R^1 is $-OR'$ or $-N(R^1)_2$);
- (b) R^2 is hydrogen, C_{1-10} alkyl, R^{3a} or R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and R^1 , R^{3a} , and R^{3b} ;
- (c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^3)_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_dS(O)_eMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_xCOR^{3*}$, aryl and aryl C_{1-3} alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C_{1-10} alkyl, C_{1-6} alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C_{1-6} alkyl; (iii) R^{3a} and R^{3b} together are $(CH_2)_f$ so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^3 is independently hydrogen or C_{1-6} alkyl and R^{3*} is $-OR'$ or $-N(R^3)_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-$

Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R³ 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, di(lower alkyl)-amino, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

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

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

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

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

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

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

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

wherein

- (a) R¹ is hydrogen, n-alkyl or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where R³ is independently hydrogen or C₁₋₆ alkyl and R^{3'} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), or CH₂SH and R^{3b} is H;

- (d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;
- 5 (e) R^5 is H, CN, CH_3 , vinyl, OCH_3 , OCH_2CH_3 , CH_2OH , $CH_2(halo)$, such as CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 ;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- 10 (g) X is H, OH, F, OMe, Cl, Br, I, NH_2 , or N_3 ;
- (h) Y is OH, H, C_{1-4} alkyl, vinyl, N_3 , CN, Cl, Br, F, I, $O(C_{1-6} \text{ acyl})$, $O(C_{1-4} \text{ alkyl})$, NH_2 , $NH(C_{1-4} \text{ alkyl})$, $NH(C_{2-4} \text{ alkenyl})$, $NH(C_{2-4} \text{ alkynyl})$, $NH(C_{1-4} \text{ acyl})$, $N(C_{1-4} \text{ alkyl})_2$, $N(C_{1-18} \text{ acyl})_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , $OC(O)O(C_{1-4} \text{ alkyl})$, $OC(O)O(C_{1-4} \text{ alkyl})$, $OC(O)O(C_{2-4} \text{ alkynyl})$, $OC(O)O(C_{2-4} \text{ alkenyl})$, $OC_{1-4} \text{ haloalkyl}$, $O(\text{aminoacyl})$, $O(C_{1-4} \text{ acyl})$, $O(C_{1-4} \text{ alkyl})$, $O(C_{2-4} \text{ alkenyl})$, $S(C_{1-4} \text{ acyl})$, $S(C_{1-4} \text{ alkyl})$, $S(C_{2-4} \text{ alkynyl})$, $S(C_{2-4} \text{ alkenyl})$, $SO(C_{1-4} \text{ acyl})$, $SO(C_{1-4} \text{ alkyl})$, $SO(C_{2-4} \text{ alkynyl})$, $SO(C_{2-4} \text{ alkenyl})$, $SO_2(C_{1-4} \text{ acyl})$, $SO_2(C_{1-4} \text{ alkyl})$, $SO_2(C_{2-4} \text{ alkynyl})$, $SO_2(C_{2-4} \text{ alkenyl})$, $OS(O)_2(C_{1-4} \text{ acyl})$, $OS(O)_2(C_{1-4} \text{ alkyl})$, $OS(O)_2(C_{2-4} \text{ alkenyl})$, NH_2 , $NH(C_{1-4} \text{ alkyl})$, $NH(C_{2-4} \text{ alkenyl})$, $NH(C_{2-4} \text{ alkynyl})$, $NH(C_{1-4} \text{ acyl})$, $N(C_{1-4} \text{ alkyl})_2$, or $N(C_{1-4} \text{ acyl})_2$;
- 15 20 (i) R^7 , R^8 , R^9 are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH_2 , NHR' , NR'_2 , lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C_2-C_6 , CO_2H , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, wherein R' is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a C_2-C_6 alkenyl, a C_2-C_6 alkynyl.

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

wherein

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

(b) R^2 is hydrogen or CH_3 ;

5 (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where R^3 is independently hydrogen or C_{1-6} alkyl and $R^{3'}$ is $-OR'$ or $-N(R^{3'})_2$; (vi)
10 R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, -
15 $CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, or CH_2SH and R^{3b} is H;

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

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

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

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

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

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

(j) R⁹ is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C1-20 alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C1-20 alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

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

wherein

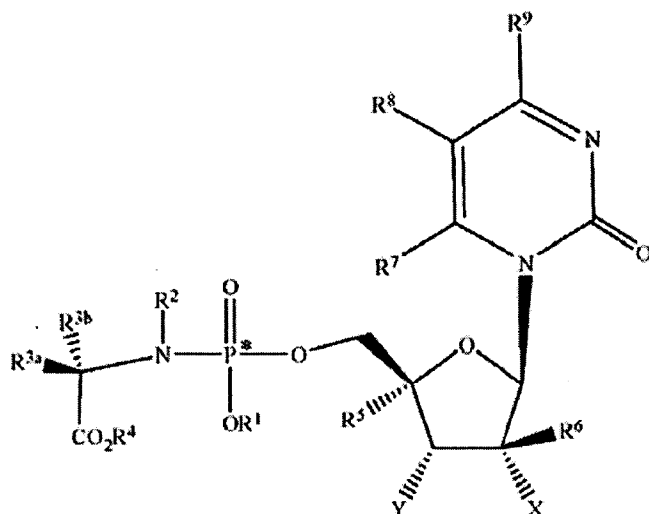
(a) R¹ is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;

(b) R² is hydrogen or CH₃;

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

- (d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;
- 5 (e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , $CH_2(halo)$, such as CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 ;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- (g) X is H, OH, F, OCH_3 , NH_2 , or N_3 ;
- 10 (h) Y is OH, H, CH_3 , vinyl, N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 , NH_2 , $NHCH_3$, $NH(vinyl)$, $NH(acetyl)$, $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$, or $O(aminoacyl)$;
- (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2H ,
15 CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R^1 is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a C_2-C_6 alkenyl, a C_2-C_6 alkynyl; and
- (j) R^9 is selected from among OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} alkyl)$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} alkyl)$, which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and
20 $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A fourth aspect of the first embodiment is directed to a compound represented by formula 1-2



I-2

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or
5 unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a
 CH_3 , OCH_3 , F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is
1-3;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
10 CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH ,
 $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-
yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl or R^{3a} is CH_3 ,
 $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$,
 CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -
15 $CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-$
Ph), CH_2SH , or lower cycloalkyl and R^{3b} is H;

- (d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;
- 5 (e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 ;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- (g) X is H, OH, F, OCH_3 , Cl, Br, I, NH_2 , or N_3 ;
- 10 (h) Y is OH, H, CH_3 , vinyl, N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 , NH_2 , $NHCH_3$, $NH(vinyl)$, $NH(acetyl)$, $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$, or O(aminoacyl);
- (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2H ,
15 CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R^1 is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a C_2-C_6 alkenyl, a C_2-C_6 alkynyl; and
- (j) R^9 is selected from among OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and
20 $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A fifth aspect of the first embodiment is directed to a compound represented by formula 1-2

wherein

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

(b) R^2 is hydrogen or CH_3 ;

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

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

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

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

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

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

(i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, CH_3 , CH_3-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

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

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- 5 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl,
- 10 heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;
- (e) R^5 is H, OMe, CN, CH_2F , F, Cl, Br, or I;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, F, OCH_3 , F, Cl, Br, I, or N_3 ;
- (h) Y is H, OH, CH_3 , F, Cl, Br, I, or N_3 , OCH_3 , $OC(O)CH_3$, or O(aminoacyl);
- 15 (i) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$; and
- (j) R^9 is selected from among OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$,
- 20 which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, i -Pr, n -Pr, n -Bu, 2-butyl, i -Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, or N_3 ;
- (h) Y is OH, OCH_3 , $OC(O)CH_3$, or O(aminoacyl);
- (i) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , $CH_{3-q}X_q$, where X is F,
15 Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$; and
- (j) R^9 is selected from among OH, OCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20}$
20 alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20}$ alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from
20 among 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

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

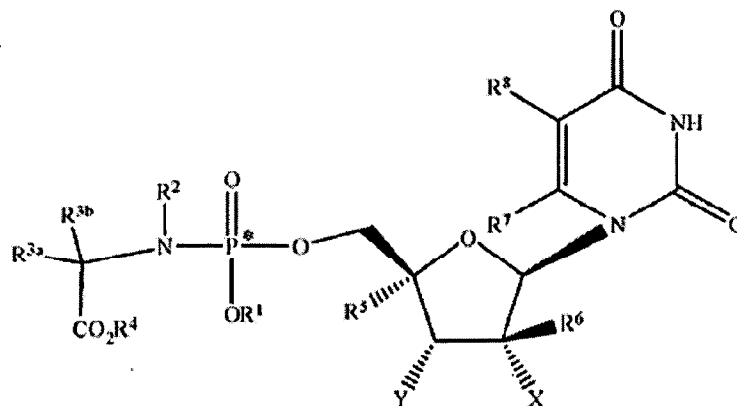
wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, 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;
- 10 (e) R^5 is H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, or N_3 ;
- (h) Y is OH, OCH_3 , $OC(O)CH_3$, or O(aminoacyl);
- (i) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , $CH_{3-q}X_q$, where X is F,
15 Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$;
- (j) R^9 is selected from among OH, OCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20}$
20 alkyl), which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20}$ alkyl), which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from
20 among 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

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

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

formula I-3



I-3

wherein

- 5 (a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^{1'})_2$, C_{1-6} acylamino, $-NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^{1'})_2$, $COR^{1'}$, and $-SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{1'}$ is $-OR^1$ or $-N(R^{1'})_2$);
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_cCOR^{3''}$, aryl and aryl C_{1-3} alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C_{1-10} alkyl, C_{1-6} alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C_{1-6} alkyl; (iii) R^{3a} and R^{3b} together are $(CH_2)_r$ so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are
- 15
- 20

- (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃,
5 CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -
10 CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

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

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

- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- 25 (g) X is H, OH, F, OMe, Cl, Br, I, NH₂, or N₃;

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

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

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

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

wherein

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

(b) R² is hydrogen or CH₃;

(c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together
25 are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi)

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

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

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

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

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

(h) Y is OH, H, C_{1-4} alkyl, vinyl, N_3 , CN, Cl, Br, F, I, $O(C_{1-6} \text{ acyl})$, $O(C_{1-4} \text{ alkyl})$, 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
20 by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , $OC(O)O(C_{1-4} \text{ alkyl})$, $OC(O)O(C_{1-4} \text{ alkyl})$, $OC(O)O(C_{2-4} \text{ alkynyl})$, $OC(O)O(C_{2-4} \text{ alkenyl})$, $OC_{1-10} \text{ haloalkyl}$, $O(\text{aminoacyl})$, $O(C_{1-4} \text{ acyl})$, $O(C_{1-4} \text{ alkyl})$, $O(C_{2-4} \text{ alkenyl})$, $S(C_{1-4} \text{ acyl})$, $S(C_{1-4} \text{ alkyl})$, $S(C_{2-4} \text{ alkynyl})$, $S(C_{2-4} \text{ alkenyl})$, $SO(C_{1-4} \text{ acyl})$, $SO(C_{1-4} \text{ alkyl})$, $SO(C_{2-4} \text{ alkynyl})$, $SO(C_{2-4} \text{ alkenyl})$,
25 $SO_2(C_{1-4} \text{ acyl})$, $SO_2(C_{1-4} \text{ alkyl})$, $SO_2(C_{2-4} \text{ alkynyl})$, $SO_2(C_{2-4} \text{ alkenyl})$, $OS(O)_2(C_{1-4} \text{ acyl})$, $OS(O)_2(C_{1-4} \text{ alkyl})$, $OS(O)_2(C_{2-4} \text{ alkenyl})$, NH_2 , $NH(C_{1-4} \text{ alkyl})$, $NH(C_{2-4} \text{ alkenyl})$, $NH(C_{2-4} \text{ alkynyl})$, $NH(C_{1-4} \text{ acyl})$, $N(C_{1-4} \text{ alkyl})_2$, $N(C_{1-4} \text{ acyl})_2$;

(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.

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

wherein

(a) R^1 is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a C_{1-3} alkyl, a C_{1-3} alkoxy, F, Cl, 10 Br, I, nitro, cyano, and a C_{1-3} haloalkyl;

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

(c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R^2 together 15 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 - 20 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, - 25 $CH_2CH_2SCH_3$, 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, 'Pr, "Pr, "Bu, 2-butyl, 'Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-

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

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

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

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

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

(i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH_3 , SH, SCH_3 , NH_2 ,
10 $NHCH_3$, $N(CH_3)_2$, CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2H , CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$.

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

wherein

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

(b) R^2 is hydrogen or CH_3 ;

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

$\text{CH}_2\text{CH}_2\text{CH}_2\text{NHC}(\text{NH})\text{NH}_2$, CH_2 -imidazol-4-yl, CH_2OH , $\text{CH}(\text{OH})\text{CH}_3$, $\text{CH}_2((4'\text{-OH})\text{-Ph})$, CH_2SH , or lower cycloalkyl and R^{3b} is H;

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

(e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , $\text{CH}_2(\text{halo})$, such as CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $\text{CH}_2\text{N}(\text{CH}_3)_2$, halogen, including F, Cl, Br, or I;

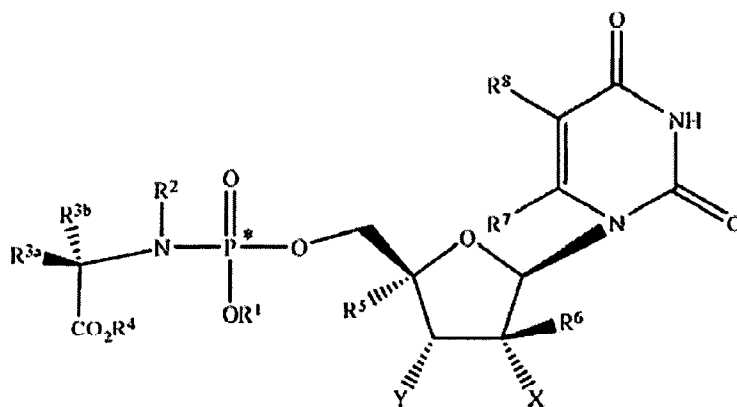
(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

- 10 (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, $\text{OC}(\text{O})\text{CH}_3$, OCH_3 , NH_2 , NHCH_3 , $\text{NH}(\text{vinyl})$, $\text{NH}(\text{acetyl})$, $\text{NH}(\text{C}(\text{O})\text{CH}_3)$, $\text{N}(\text{CH}_3)_2$, $\text{N}(\text{C}(\text{O})\text{CH}_3)_2$;

- (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH_3 , SH, SCH_3 , NH_2 , NHCH_3 , $\text{N}(\text{CH}_3)_2$, CH_3 , $\text{CH}_3\text{-qX}_q$, 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 $\text{CON}(\text{CH}_3)_2$, wherein R^1 is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a $\text{C}_2\text{-C}_6$ alkenyl, a $\text{C}_2\text{-C}_6$ alkynyl.

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



I-4

wherein

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

(b) R^2 is hydrogen or CH_3 ;

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

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

- (e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- (g) X is H, OH, F, OCH_3 , Cl, Br, I, NH_2 , or N_3 ;
- 5 (h) Y is OH, H, CH_3 , vinyl, N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 , NH_2 , $NHCH_3$, $NH(vinyl)$, $NH(acetyl)$, $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$;
- (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2H , CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R^1 is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a C_2-C_6 alkenyl, a C_2-C_6 alkynyl,
- 10

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- 15
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , $CH_2-indol-3-yl$, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, $CH_2-imidazol-4-$
- 20 yl , CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, *i*-Pr, *n*-Pr, *n*-Bu, 2-butyl, *t*-Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

- (e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, 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;
- 5 (h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;
- (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.
- 10 The seventh aspect of the second embodiment is directed to a compound represented by formula I-4
- wherein
- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- 15 (b) R^2 is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- (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-
- 20 methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H, OMe, CN, CH₂F, F, Cl, Br, or I;
- (f) R^6 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) R⁷ and R⁸ are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂;

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

wherein

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

(b) R² is hydrogen;

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

(d) R⁴ is hydrogen, CH₃, Et, 'Pr, "Pr, "Bu, 2-butyl, 'Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower
15 haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R⁵ is H;

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

(g) X is H, OH, OCH₃, F, NH₂ or N₃;

(h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

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

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

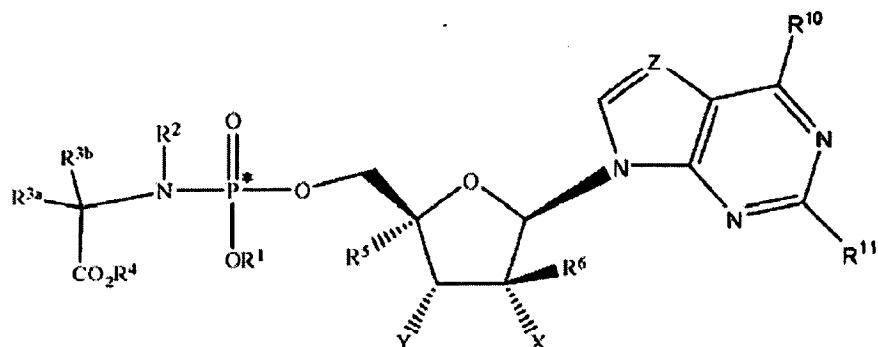
wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- 5 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, 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
- 10 haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, or N_3 ;
- (h) Y is OH, OCH_3 , or $OC(O)CH_3$;
- 15 (i) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$.

A third embodiment of the invention is directed to a compound represented by formula I in which the base is a structure represented by formula c above, wherein R^1 , R^2 , R^{3a} , R^{3b} , R^4 , R^5 , R^6 , X, Y, Z, R^{10} , R^{11} , and R^{12} are defined in the Summary of the

20 Invention section above; with the proviso that R^{11} is not H.

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



I-5

wherein

- (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹)₂, COR¹, and -SO₂C₁₋₆ alkyl; (R¹ is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R¹ is -OR¹ or -N(R¹)₂);
- (b) R² is hydrogen or CH₃;
- (c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^x)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^x, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R³ᵃ and R³ᵇ both are C₁₋₆ alkyl; (iii) R³ᵃ and R³ᵇ together are (CH₂)_f so as to form a spiro ring; (iv) R³ᵃ is hydrogen and R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R³ᵇ is hydrogen and R³ᵃ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^x is independently hydrogen

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

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

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

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

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

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

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

- 10 (i) R¹⁰ and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂,
NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower
alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-
C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-
C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR',
15 CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso that when R¹⁰ is OH and R¹¹
is not NH₂;

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

- 25 (j) Z is N or CR¹²; and

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

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

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

5 wherein

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

(b) R² is hydrogen or CH₃;

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

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

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

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

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

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

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

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

(j) Z is N or CR¹²; and

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

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

- 10 wherein

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

(b) R² is hydrogen or CH₃;

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

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

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

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

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

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR', 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

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'.

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

wherein

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

(b) R² is hydrogen;

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

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

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

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

(g) X is H, OH, OCH₃, F, NH₂ or N₃;

(h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

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

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

(j) Z is N or CR¹²; and

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

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

15 wherein

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

(b) R² is hydrogen;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

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

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

- (d) R^4 is hydrogen, CH_3 , Et, i -Pr, n -Pr, n -Bu, 2-butyl, t -Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 5 (e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , CH_2F , halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H.
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- (g) X is H, OH, F, OCH_3 , Cl, Br, I, NH_2 , or N_3 ;
- (h) Y is OH, H, CH_3 , vinyl, NH_2 , N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 ;
- 10 (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;
- wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and
- 15 (j) Z is N or CR^{12} ; and
- R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

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

- 20 wherein

- (a) R^1 is hydrogen, methyl, ethyl, n -propyl, i -propyl, phenyl, p -tolyl, p -bromo-phenyl, p -chloro-phenyl, p -fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;

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

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

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

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

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

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

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

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

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

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

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

wherein

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

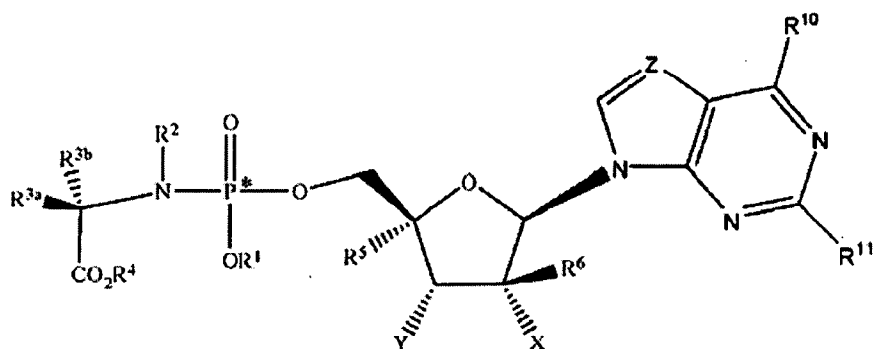
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, iBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl;
- (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- 10 (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;
- 15 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and
- (j) Z is N or CR^{12} ; and
- R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.
- 20

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

wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, 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, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- 15 (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;
- wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and
- 20 (j) Z is N or CR^{12} ; and
- R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

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



I-6

wherein

- (a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^1)_2$, C_{1-6} acylamino, $-NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^1)_2$, $COR^{1'}$, and $-SO_2C_{1-6}$ alkyl; (R^1 is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{1'}$ is $-OR^1$ 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, $-(CH_2)_c(NR^3)_3$, 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 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 C₁₋₆ alkyl and R^{3'} is -OR' or -N(R^{3'})₂); (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3'} is -OR' or -N(R^{3'})₂);

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

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

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

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

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

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

- 10 (i) R¹⁰ 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
15 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
20 by formula I-6

wherein

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

$\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{NHC}(\text{NH})\text{NH}_2$, CH_2 -imidazol-4-yl, CH_2OH , $\text{CH}(\text{OH})\text{CH}_3$, $\text{CH}_2((4'\text{-OH})\text{-Ph})$, CH_2SH , or lower cycloalkyl;

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

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

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

- 10 (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, $\text{OC}(\text{O})\text{CH}_3$, OCH_3 ;

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

- 15 wherein R' is a lower alkyl, a lower cycloalkyl, or $\text{C}(\text{O})(\text{lower alkyl})$ 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 $\text{C}_1\text{-C}_6$, $\text{CO}_2\text{R}'$, CONH_2 , CONHR' , CONR'_2 , $\text{CH}=\text{CHCO}_2\text{H}$, or $\text{CH}=\text{CHCO}_2\text{R}'$.
- 20

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, 'Pr, "Pr, "Bu, 2-butyl, 'Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H, CN, CH_2F , F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, F, OCH_3 , F, Cl, Br, I, NH_2 or N_3 ;
- (h) Y is H, OH, CH_3 , F, Cl, Br, I, NH_2 or N_3 , OCH_3 , or $OC(O)CH_3$;
- 15 (i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

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

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

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

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

by formula I-6

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- 5 (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-
10 methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- 15 (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} 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 ;
- 20 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' , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

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

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

(d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^{n}Pr , ^tBu , 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, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;

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

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

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

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

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

(j) Z is N or CR¹²; and

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

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

wherein

- 10 (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R^{1'})₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R^{1'})₂, COR^{1'}, and -SO₂C₁₋₆ alkyl; (R^{1'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1'} is -OR' or -N(R^{1'})₂);
- 15

(b) R² is hydrogen or CH₃;

- (c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR³)₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, - (CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, - (CH₂)_eCOR^{3'}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen
- 20
- 25

or C₁₋₆ alkyl and R³⁷ is -OR' or -N(R³⁷)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 R³ is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R³⁷ is -OR' or -N(R³⁷)₂;

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

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

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

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

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

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

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

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

- 15 (j) Z is N or CR¹²; and

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

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

- 20 wherein

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

(b) R² is hydrogen or CH₃;

- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
25 CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH,

$\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{NHC}(\text{NH})\text{NH}_2$, CH_2 -imidazol-4-yl, CH_2OH , $\text{CH}(\text{OH})\text{CH}_3$, $\text{CH}_2((4'\text{-OH})\text{-Ph})$, CH_2SH , or lower cycloalkyl;

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

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

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

- 10 (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, $\text{OC}(\text{O})\text{CH}_3$, OCH_3 ;

(i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , $\text{CO}_2\text{R}'$, CONH_2 , CONHR' , CONR'_2 , $\text{CH}=\text{CHCO}_2\text{H}$, or $\text{CH}=\text{CHCO}_2\text{R}'$;

- 15 wherein R' is a lower alkyl, a lower cycloalkyl, or $\text{C}(\text{O})(\text{lower alkyl})$ 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 $\text{C}_1\text{-C}_6$, $\text{CO}_2\text{R}'$, CONH_2 , CONHR' , CONR'_2 , $\text{CH}=\text{CHCO}_2\text{H}$, or $\text{CH}=\text{CHCO}_2\text{R}'$.

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

wherein

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

- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H, CN, CH_2F , F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- 10 (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, F, OCH_3 , F, Cl, Br, I, NH_2 or N_3 ;
- (h) Y is H, OH, CH_3 , F, Cl, Br, I, NH_2 or N_3 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;
- 15 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and
- (j) Z is N or CR^{12} ; and
- R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.
- 20

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, *i*Pr, *n*Pr, *n*Bu, 2-butyl, *t*Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- 15 (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 are joined to form a heterocycle comprising at least two carbon atoms; and

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

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

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

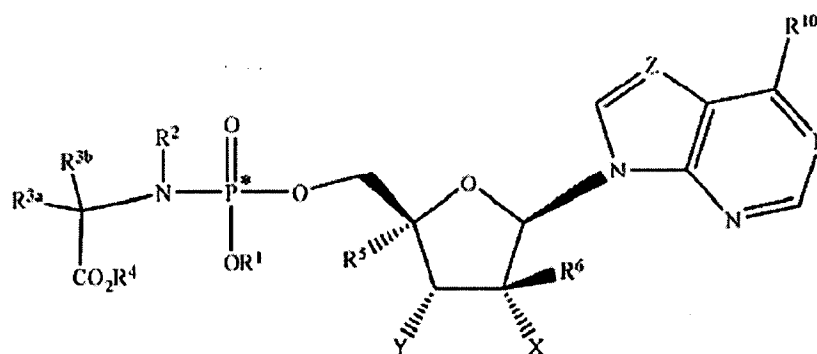
wherein

- (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, 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
- 10 haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- 15 (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} is NH_2 and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;
- wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined
- 20 to form a heterocycle comprising at least two carbon atoms; and
- (j) Z is N or CR^{12} ; and
- R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

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

5

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



I-7

10

wherein

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

15

(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, -

20

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

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

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

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

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

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

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

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

(j) Z is N or CR¹²; and

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

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

wherein

- (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R² is hydrogen or CH₃;
- 15 (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;
- 20 (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ^tBu, 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.
- 25 (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

- (g) X is H, OH, F, OCH₃, halogen, NH₂, or N₃;
- (h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;
- (i) R¹⁰ is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R';
- 5 wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and
- (j) Z is N or CR¹²; and
- 10 R¹² is H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.
- A third aspect of the third embodiment is directed to a compound represented by formula I-7
- wherein
- 15 (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- 20 (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

- (e) R^5 is H, CN, CH_2F , F, Cl, Br, or I; with the proviso that X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, F, OCH_3 , F, Cl, Br, I, NH_2 or N_3 ;
- 5 (h) Y is H, OH, CH_3 , F, Cl, Br, I, NH_2 or N_3 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$,
- wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)$ (lower alkyl) or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined
- 10 to form a heterocycle comprising at least two carbon atoms; and
- (j) Z is N or CR^{12} ; and
- R^{12} is H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1 - C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$; with the proviso that R^{12} is not a: (i) $-C\equiv C-H$, (ii) $-C=CH_2$, or (iii) $-NO_2$.
- 15 A fourth aspect of the fourth embodiment is directed to a compound represented by formula I-7
- wherein
- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- 20 (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, *i*Pr, *n*Pr, *n*Bu, 2-butyl, *i*Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-

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

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

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

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

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

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

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

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

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

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

wherein

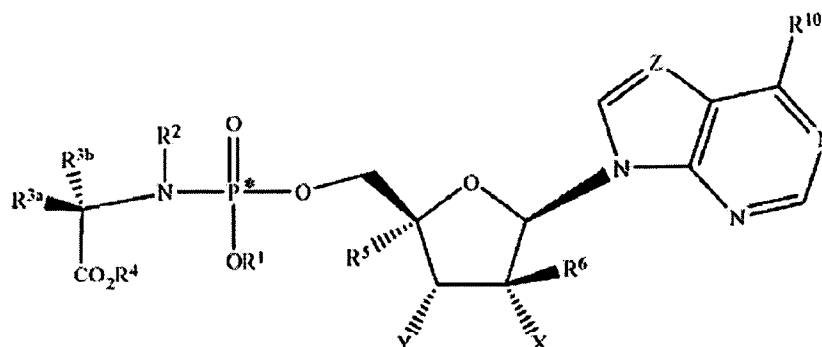
20 (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

(b) R^2 is hydrogen;

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

- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 5 (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- 10 (i) R^{10} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$,
- wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and
- 15 (j) Z is N or CR^{12} ; and
- R^{12} is H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

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



I-8

wherein

- (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁-₆ alkyl, C₂-₆ alkenyl, C₂-₆ alkynyl, C₁-₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁-₆ haloalkyl, -N(R¹)₂, C₁-₆ acylamino, -NHSO₂C₁-₆ alkyl, -SO₂N(R¹)₂, COR¹', and -SO₂C₁-₆ alkyl; (R¹' is independently hydrogen or alkyl, which includes, but is not limited to, C₁-₂₀ alkyl, C₁-₁₀ alkyl, or C₁-₆ alkyl, R¹' is -OR¹' or -N(R¹')₂);

- (b) R² is hydrogen or CH₃;

- (c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁-₁₀ alkyl, cycloalkyl, -(CH₂)ₑ(NR³ᶻ)₂, C₁-₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)ₑMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)ₓCOR³ʳ, aryl and aryl C₁-₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁-₁₀ alkyl, C₁-₆ alkoxy, halogen, nitro and cyano; (ii) R³ᵃ and R³ᵇ both are C₁-₆ alkyl; (iii) R³ᵃ and R³ᵇ together are (CH₂)ᶠ so as to form a spiro ring; (iv) R³ᵃ is hydrogen and R³ᵇ and R² together are (CH₂)ₙ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R³ᵇ is hydrogen and R³ᵃ and R² together are (CH₂)ₙ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R³ʳ is independently hydrogen

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

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

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

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

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

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

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

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

- 15 (j) Z is N or CR¹²; and

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

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

wherein

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

- (c) R^{3a} is H and R^{3b} is H, 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;
- 5 (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
10 I, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- (g) X is H, OH, F, OCH_3 , halogen, NH_2 , or N_3 ;
- (h) Y is OH, H, CH_3 , vinyl, NH_2 , N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 ;
- (i) R^{10} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$,
15 $CONR'_2$, $CH=CHCO_2H$, 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
- 20 R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1 - C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$; with the proviso that R^{12} is not a: (i) $-C\equiv C-H$, (ii) $-C=CH_2$, or (iii) $-NO_2$.

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

- 25 wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, 'Pr, "Pr, "Bu, 2-butyl, 'Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H, CN, CH_2F , F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, F, OCH_3 , F, Cl, Br, I, NH_2 or N_3 ;
- (h) Y is H, OH, CH_3 , F, Cl, Br, I, NH_2 or N_3 , OCH_3 , or $OC(O)CH_3$;
- 15 (i) R^{10} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$,

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

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

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

A ninth aspect of the fourth embodiment is directed to a compound represented by

formula I-8

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- 5 (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- (d) R^4 is hydrogen, CH₃, Et, ^{*i*}Pr, ^{*n*}Pr, ^{*n*}Bu, 2-butyl, ^{*t*}Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-
- 10 methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H, with the provisos that when X is OH, R^6 is CH₃ or CH₂F, R^5 cannot be H;
- (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, or F;
- 15 (g) X is H, OH, OCH₃, F, NH₂ or N₃;
- (h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;
- (i) R^{10} 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

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 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 $CH=CHCO_2R'$; with the proviso that R^{12} is not a: (i) $-C\equiv C-H$, (ii) $-C=CH_2$, or (iii) $-NO_2$.

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

wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- 10 (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, 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
15 haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- 20 (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- (i) R^{10} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;

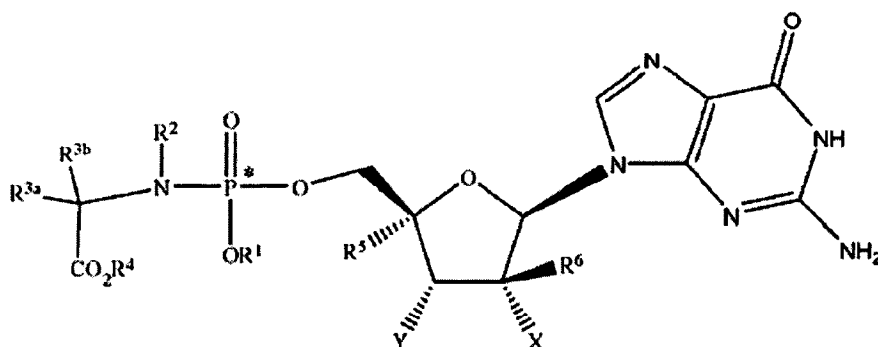
wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR¹²; and

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

A fifth embodiment of the invention is directed to a compound represented by formula I in which the base is a structure represented by formula d above, wherein R¹,
10 R², R^{3a}, R^{3b}, R⁴, R⁵, R⁶, X, and Y are defined in the Summary of the Invention section above.

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



15

I-9

wherein

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

alkyl, $-\text{SO}_2\text{N}(\text{R}^1)_2$, COR^1 , and $-\text{SO}_2\text{C}_{1-6}$ alkyl; (R^1 is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, R^1 is $-\text{OR}'$ or $-\text{N}(\text{R}^1)_2$);

(b) R^2 is hydrogen or CH_3 ;

- 5 (c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(\text{CH}_2)_c(\text{NR}^3)_2$, C_{1-6} hydroxyalkyl, $-\text{CH}_2\text{SH}$, $-(\text{CH}_2)_2\text{S}(\text{O})_d\text{Me}$, $-(\text{CH}_2)_3\text{NHC}(=\text{NH})\text{NH}_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(\text{CH}_2)_e\text{COR}^3$, aryl and aryl C_{1-3} alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C_{1-10} alkyl, C_{1-6} alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C_{1-6} alkyl; (iii) R^{3a} and R^{3b} together are $(\text{CH}_2)_f$ so as to form a spiro ring; 10 (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(\text{CH}_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(\text{CH}_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^3 is independently hydrogen or C_{1-6} alkyl and R^3 is $-\text{OR}'$ or $-\text{N}(\text{R}^3)_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $\text{CH}(\text{CH}_3)_2$, $\text{CH}_2\text{CH}(\text{CH}_3)_2$, $\text{CH}(\text{CH}_3)\text{CH}_2\text{CH}_3$, CH_2Ph , CH_2 -indol-3-yl, $-\text{CH}_2\text{CH}_2\text{SCH}_3$, $\text{CH}_2\text{CO}_2\text{H}$, $\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{COOH}$, $\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{NHC}(\text{NH})\text{NH}_2$, CH_2 -imidazol-4-yl, CH_2OH , $\text{CH}(\text{OH})\text{CH}_3$, $\text{CH}_2((4'\text{-OH})\text{-Ph})$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-\text{CH}_2\text{CH}_3$, $\text{CH}(\text{CH}_3)_2$, $\text{CH}_2\text{CH}(\text{CH}_3)_2$, $\text{CH}(\text{CH}_3)\text{CH}_2\text{CH}_3$, CH_2Ph , CH_2 -indol-3-yl, $-\text{CH}_2\text{CH}_2\text{SCH}_3$, $\text{CH}_2\text{CO}_2\text{H}$, $\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{COOH}$, $\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{NHC}(\text{NH})\text{NH}_2$, CH_2 -imidazol-4-yl, CH_2OH , $\text{CH}(\text{OH})\text{CH}_3$, $\text{CH}_2((4'\text{-OH})\text{-Ph})$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where 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 $-\text{OR}'$ or $-\text{N}(\text{R}^3)_2$; 25

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

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

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

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

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

A second aspect of the fifth embodiment is directed to a compound represented by formula 1-9

wherein

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

(b) R^2 is hydrogen or CH_3 ;

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

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

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

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

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

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

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

wherein

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

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

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

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

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

(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;

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

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

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

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

10 wherein

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

(b) R^2 is hydrogen;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
15 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;

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

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

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

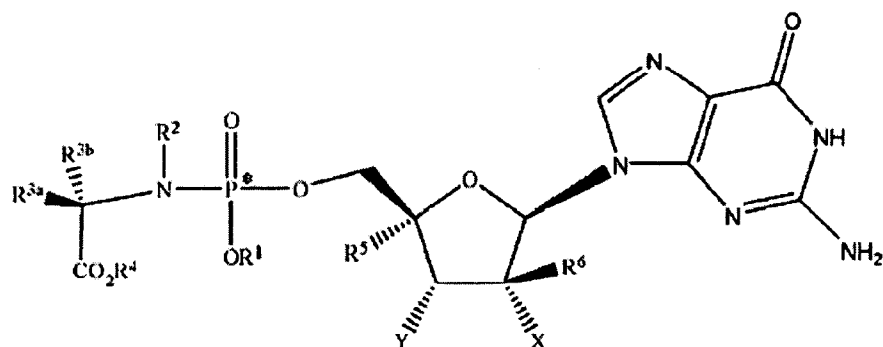
(h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

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

wherein

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

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



I-10

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or
 5 unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a
 CH_3 , OCH_3 , F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is
 1-3;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
 10 CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH ,
 $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-
 yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl or R^{3a} is CH_3 ,
 $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$,
 CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -
 15 $CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-$
 $Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H;
- (d) R^4 is hydrogen, CH_3 , Et, *i*Pr, *n*Pr, *n*Bu, 2-butyl, *t*Bu, benzyl, cyclopropyl,
 cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-
 methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower
 20 haloalkyl, or di(lower alkyl)amino-lower alkyl;

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

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

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

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

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

10 wherein

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

(b) R^2 is hydrogen or CH_3 ;

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

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

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

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

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

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

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

5 wherein

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

(b) R² is hydrogen or CH₃;

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

(d) R⁴ is hydrogen, CH₃, Et, 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;

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

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

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

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

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

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, 'Pr, "Pr, "Bu, 2-butyl, 'Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;

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

wherein

- (a) R^1 is hydrogen, methyl, phenyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- 20 (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, 'Pr, "Pr, "Bu, 2-butyl, 'Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-

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

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

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

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

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

The following tables contain numeric identifiers associated with various substituent designators that should be viewed in light of the accompanying structure.

10 These structures are contemplated species of the various aspects of the disclosed embodiments and are not intended to be limiting on full breadth of the contemplated compound represented by the structure of formula I. However, it is contemplated that any one of the exemplified nucleoside bases can be used in combination with any one of contemplated species that specify a particular combination of R^1 , R^2 , R^{3a} , R^{3b} , R^4 , R^5 , R^6 , 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.

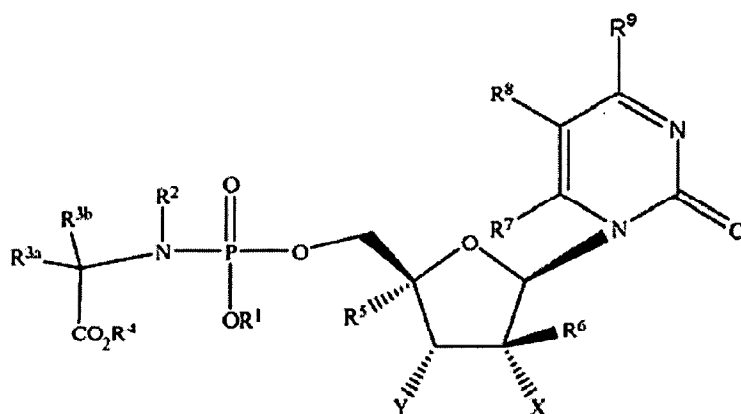
15 structures I-1, I-3, I-5, I-7, and I-9 above). It is contemplated that the compounds recited below embody compounds in which R^{3a} projects toward the viewer while R^{3b} projects away from the viewer (cf. structures I-2, I-4, I-6, I-8, and I-10). Moreover, it is

20 contemplated that the compounds recited below also embody compounds in which R^{3a} projects away from the viewer while R^{3b} projects towards the viewer. Not meant to be limiting, however, it is contemplated that preferred compounds are those in which R^{3a} projects towards the viewer and R^{3b} projects away from the viewer such that the natural L-amino acid (S)-configuration is presented. Additionally, the inventors recognize that

25 the phosphorus atom of the phosphoramidate moiety is another source of chirality. Although the structures below do not specifically depict chirality at phosphorus, the inventors recognize that stereochemical configurations are possible such that in a staggered (or zig-zag) line structure the oxo-substituent projects towards the viewer

while the OR¹ substituent projects away from the viewer, and vice versa, i.e., where the Cahn-Ingold-Prelog stereochemical designation of phosphorous is either R or S.

Therefore, the structures below include all possible stereochemical configurations possible for phosphorus.



5

II

Table II-1.

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

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

Table II-2.

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

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

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

Table II-3.

№	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.

№	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.

№	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

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

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

Table II-6.

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

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

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

Table II-8.

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

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

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

Table II-10.

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

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

Table II-11.

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

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

Table II-12.

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

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

5 Table II-13.

№	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	^t Pr	H	H	H	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-13-2	^t Pr	H	H	CH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-13-3	^t Pr	H	H	CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-13-4	^t Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-13-5	^t Pr	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-13-6	^t Pr	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-13-7	^t Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-13-8	^t Pr	*	H	*	Et	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-14.

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

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

Table II-15.

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

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

5 Table II-16.

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

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

Table II-17.

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-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	OH	OH	H	H	NH ₂
II-18-8	p-Cl-Ph	*	H	*	Et	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-19.

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

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

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

Table II-20.

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

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

Table II-21.

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

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

Table II-22.

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

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ 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

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

Table II-23.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-23-1	ⁱ 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.

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

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-25-1	Ph	H	H	H	ⁱ 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

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

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

Table II-26.

№	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.

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

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

Table II-28.

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

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

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

Table II-29.

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

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

Table II-30.

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

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

Table II-31.

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

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

Table II-32.

№	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.

№	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.

№	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.

№	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.

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

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

Table II-37.

№	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.

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

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

5 Table II-39.

№	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-l-Ph	H	H	H	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-2	p-l-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-3	p-l-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-4	p-l-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-5	p-l-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-6	p-l-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-7	p-l-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	OH	OH	H	H	NH ₂
II-40-8	p-l-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.

5 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
II-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-42-8	Et	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-43.

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

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

Table II-44.

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

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

Table II-45.

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

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

Table II-46.

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-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-47-8	p-F-Ph	*	H	*	Bz	H	CH ₃	OH	OH	H	H	NH ₂

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

Table II-48.

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

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

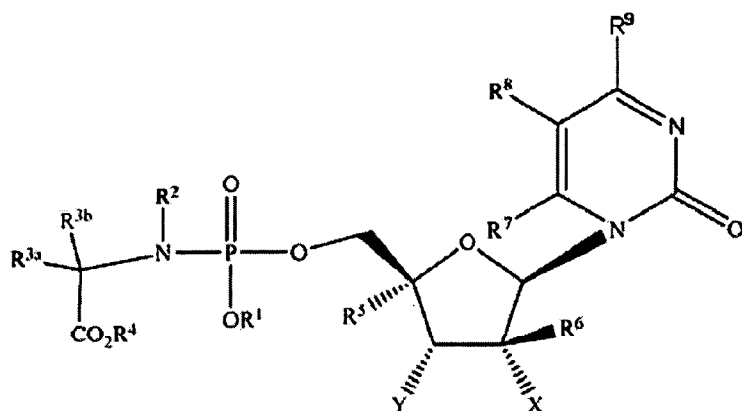
N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
II-49-1	p-Br-Ph	H	H	H	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	OH	OH	H	H	NH ₂
II-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz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

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

Table II-50.

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

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



III

Table III-1.

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

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

5 Table III-2.

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

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

Table III-3.

№	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	'Pr	H	H	H	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-3-2	'Pr	H	H	CH ₃	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-3-3	'Pr	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-3-4	'Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-3-5	'Pr	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-3-6	'Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-3-7	'Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-3-8	'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.

№	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	'Bu	H	H	H	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-4-2	'Bu	H	H	CH ₃	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-4-3	'Bu	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-4-4	'Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-4-5	'Bu	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-4-6	'Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-4-7	'Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH	H	H	NH ₂
III-4-8	'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.

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

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

Table III-6.

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

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

Table III-7.

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

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

5 Table III-8.

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

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

Table III-9.

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

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

Table III-10.

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

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

5 Table III-11.

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

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

Table III-12.

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

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

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

5 Table III-14.

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

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

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

Table III-15.

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

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

Table III-16.

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

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

Table III-17.

№	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.

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

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

5 Table III-19.

№	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
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	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-2	CH ₃	H	H	CH ₃	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-3	CH ₃	H	H	CH(CH ₃) ₂	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-5	CH ₃	H	H	CH ₂ Ph	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-21-8	CH ₃	*	H	*	^t Pr	H	CH ₃	F	OH	H	H	NH ₂

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

5 Table III-22.

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	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-2	Et	H	H	CH ₃	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-3	Et	H	H	CH(CH ₃) ₂	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-5	Et	H	H	CH ₂ Ph	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-6	Et	H	H	CH ₂ -indol-3-yl	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	^t Pr	H	CH ₃	F	OH	H	H	NH ₂
III-22-8	Et	*	H	*	^t 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.

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

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

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

5 Table III-25.

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

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

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

Table III-27.

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

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

5 Table III-28.

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

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

Table III-29.

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

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

Table III-30.

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

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

5 Table III-31.

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

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

Table III-32.

№	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.

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

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

5 Table III-34.

№	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	ⁿ Bu	H	H	H	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-34-2	ⁿ Bu	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-34-3	ⁿ Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-34-4	ⁿ Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-34-5	ⁿ Bu	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-34-6	ⁿ Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-34-7	ⁿ Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂
III-34-8	ⁿ Bu	*	H	*	ⁿ Bu	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-35.

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

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

Table III-36.

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

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

5 Table III-37.

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

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

Table III-38.

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

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

Table III-39.

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

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

5 Table III-40.

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

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

Table III-41.

№	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.

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

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

5 Table III-43.

№	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	^t Pr	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-2	^t Pr	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-3	^t Pr	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-4	^t Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-5	^t Pr	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-6	^t Pr	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-7	^t Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-43-8	^t 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	'Bu	H	H	H	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-2	'Bu	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-3	'Bu	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-4	'Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-5	'Bu	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-6	'Bu	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-7	'Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-44-8	'Bu	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-45.

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

5 *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

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
III-46-8	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.

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-47-2	p-F-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH	H	H	NH ₂
III-47-8	p-F-Ph	*	H	*	Bz	H	CH ₃	F	OH	H	H	NH ₂

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

Table III-48.

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

5 *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

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

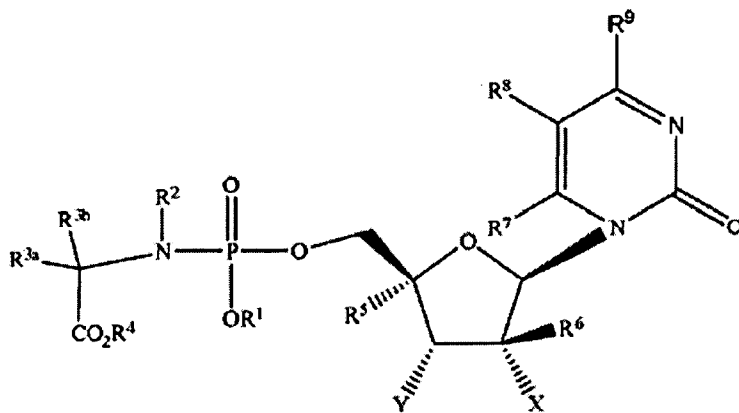
*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table III-50.

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

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

5



IV

Table IV-1.

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

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

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

Table IV-2.

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

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

Table IV-3.

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

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

Table IV-4.

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

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

Table IV-5.

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

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

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

Table IV-7.

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

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

Table IV-8.

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

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

5 Table IV-9.

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

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

Table IV-10.

№	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.

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

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

5 Table IV-12.

№	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	^t Pr	H	H	H	Et	H	F	F	OH	H	H	NH ₂
IV-13-2	^t Pr	H	H	CH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-13-3	^t Pr	H	H	CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-13-4	^t Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-13-5	^t Pr	H	H	CH ₂ Ph	Et	H	F	F	OH	H	H	NH ₂
IV-13-6	^t Pr	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH	H	H	NH ₂
IV-13-7	^t Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-13-8	^t Pr	*	H	*	Et	H	F	F	OH	H	H	NH ₂

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

Table IV-14.

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
IV-14-2	^t Bu	H	H	CH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH	H	H	NH ₂
IV-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	F	F	OH	H	H	NH ₂
IV-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH	H	H	NH ₂
IV-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH	H	H	NH ₂
IV-14-8	^t Bu	*	H	*	Et	H	F	F	OH	H	H	NH ₂

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

5 Table IV-15.

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

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

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

Table IV-17.

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

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

Table IV-18.

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

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

Table IV-19.

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

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

5 Table IV-20.

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

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

Table IV-21.

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

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

5 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
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	'Bu	H	H	H	'Pr	H	F	F	OH	H	H	NH ₂
IV-24-2	'Bu	H	H	CH ₃	'Pr	H	F	F	OH	H	H	NH ₂
IV-24-3	'Bu	H	H	CH(CH ₃) ₂	'Pr	H	F	F	OH	H	H	NH ₂
IV-24-4	'Bu	H	H	CH ₂ CH(CH ₃) ₂	'Pr	H	F	F	OH	H	H	NH ₂
IV-24-5	'Bu	H	H	CH ₂ Ph	'Pr	H	F	F	OH	H	H	NH ₂
IV-24-6	'Bu	H	H	CH ₂ -indol-3-yl	'Pr	H	F	F	OH	H	H	NH ₂
IV-24-7	'Bu	H	H	CH ₂ CH ₂ SCH ₃	'Pr	H	F	F	OH	H	H	NH ₂
IV-24-8	'Bu	*	H	*	'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	'Pr	H	F	F	OH	H	H	NH ₂
IV-25-2	Ph	H	H	CH ₃	'Pr	H	F	F	OH	H	H	NH ₂
IV-25-3	Ph	H	H	CH(CH ₃) ₂	'Pr	H	F	F	OH	H	H	NH ₂
IV-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	'Pr	H	F	F	OH	H	H	NH ₂
IV-25-5	Ph	H	H	CH ₂ Ph	'Pr	H	F	F	OH	H	H	NH ₂
IV-25-6	Ph	H	H	CH ₂ -indol-3-yl	'Pr	H	F	F	OH	H	H	NH ₂
IV-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	'Pr	H	F	F	OH	H	H	NH ₂
IV-25-8	Ph	*	H	*	'Pr	H	F	F	OH	H	H	NH ₂

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

5 Table IV-26.

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	'Pr	H	F	F	OH	H	H	NH ₂
IV-26-2	p-Me-Ph	H	H	CH ₃	'Pr	H	F	F	OH	H	H	NH ₂
IV-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	'Pr	H	F	F	OH	H	H	NH ₂
IV-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	'Pr	H	F	F	OH	H	H	NH ₂
IV-26-5	p-Me-Ph	H	H	CH ₂ Ph	'Pr	H	F	F	OH	H	H	NH ₂
IV-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	'Pr	H	F	F	OH	H	H	NH ₂
IV-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	'Pr	H	F	F	OH	H	H	NH ₂
IV-26-8	p-Me-Ph	*	H	*	'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.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-27-1	p-F-Ph	H	H	H	^t Pr	H	F	F	OH	H	H	NH ₂
IV-27-2	p-F-Ph	H	H	CH ₃	^t Pr	H	F	F	OH	H	H	NH ₂
IV-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	^t Pr	H	F	F	OH	H	H	NH ₂
IV-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	^t Pr	H	F	F	OH	H	H	NH ₂
IV-27-5	p-F-Ph	H	H	CH ₂ Ph	^t Pr	H	F	F	OH	H	H	NH ₂
IV-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	^t Pr	H	F	F	OH	H	H	NH ₂
IV-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	^t Pr	H	F	F	OH	H	H	NH ₂
IV-27-8	p-F-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-28.

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

5 Table IV-29.

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

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸	R ⁹
IV-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
IV-30-8	p-I-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-31.

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

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

5 Table IV-32.

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

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

Table IV-33.

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	ⁱ Bu	H	H	H	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-34-2	ⁱ Bu	H	H	CH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-34-3	ⁱ Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-34-4	ⁱ Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-34-5	ⁱ Bu	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-34-6	ⁱ Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-34-7	ⁱ Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-34-8	ⁱ 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.

5 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

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

Table IV-36.

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

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

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

5 Table IV-38.

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

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

Table IV-39.

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
IV-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH	H	H	NH ₂
IV-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	F	OH	H	H	NH ₂

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

5 Table IV-41.

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.