PTO/SB/57 (02-09)
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U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE

(Also referred to as FORM PTO-1465)

REQUEST FOR *EX PARTE* REEXAMINATION TRANSMITTAL FORM

Address to:
Mail Stop *Ex Parte* Reexam
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Attorney Docket No.: _____

Date: August 25, 2010

1. [x] This is a request for *ex parte* reexamination pursuant to 37 CFR 1.510 of patent number 5,541,206 issued July 30, 1996. The request is made by:
   [ ] patent owner. [x] third party requester.

2. [x] The name and address of the person requesting reexamination is:
   Public Patent Foundation
   55 Fifth Avenue, Suite 928
   New York, NY 10003

3. [ ] a. A check in the amount of $_____ is enclosed to cover the reexamination fee, 37 CFR 1.20(c)(1);
   [ ] b. The Director is hereby authorized to charge the fee as set forth in 37 CFR 1.20(c)(1) to Deposit Account No. _____ ; **or**
   [x] c. Payment by credit card. Form PTO-2038 is attached.

4. [x] Any refund should be made by [ ] check or [ ] credit to Deposit Account No. _____ 37 CFR 1.26(c). If payment is made by credit card, refund must be to credit card account.

5. [x] A copy of the patent to be reexamined having a double column format on one side of a separate paper is enclosed. 37 CFR 1.510(b)(4)

6. [ ] CD-ROM or CD-R in duplicate, Computer Program (Appendix) or large table
   [ ] Landscape Table on CD

7. [ ] Nucleotide and/or Amino Acid Sequence Submission
   If applicable, items a. – c. are required.
   a. [ ] Computer Readable Form (CRF)
   b. Specification Sequence Listing on:
      i. [ ] CD-ROM (2 copies) or CD-R (2 copies); **or**
      ii. [ ] paper
   c. [ ] Statements verifying identity of above copies

8. [x] A copy of any disclaimer, certificate of correction or reexamination certificate issued in the patent is included.

9. [x] Reexamination of claim(s) 1-19 is requested.

10. [x] A copy of every patent or printed publication relied upon is submitted herewith including a listing thereof on Form PTO/SB/08, PTO-1449, or equivalent.

11. [ ] An English language translation of all necessary and pertinent non-English language patents and/or printed publications is included.

12. [X] The attached detailed request includes at least the following items:

a. A statement identifying each substantial new question of patentability based on prior patents and printed publications. 37 CFR 1.510(b)(1)
b. An identification of every claim for which reexamination is requested, and a detailed explanation of the pertinency and manner of applying the cited art to every claim for which reexamination is requested. 37 CFR 1.510(b)(2).

13. [ ] A proposed amendment is included (only where the patent owner is the requester). 37 CFR 1.510(e)

14. [X] a. It is certified that a copy of this request (if filed by other than the patent owner) has been served in its entirety on the patent owner as provided in 37 CFR 1.33(c).
The name and address of the party served and the date of service are:

Paul D. Yasger, Abbott Laboratories

100 Abbott Park Road, Dept. 377/AP6A

Abbott Park, IL 60064-6008

Date of Service: August 25, 2010 ; or

[ ] b. A duplicate copy is enclosed because service on patent owner was not possible. An explanation of the efforts made to serve patent owner is attached. See MPEP 2220.

15. Correspondence Address: Direct all communications about the reexamination to:

[ ] The address associated with Customer Number:

OR

[X] Firm or Individual Name Public Patent Foundation

Address

55 Fifth Avenue, Suite 928

City New York	State NY	Zip 10003
Country USA		
Telephone (212) 790-0442	Email info@pubpat.org	

16. [ ] The patent is currently the subject of the following concurrent proceeding(s):

[ ] a. Copending reissue Application No. _____

[ ] b. Copending reexamination Control No. _____

[ ] c. Copending Interference No. _____

[ ] d. Copending litigation styled:

_____

_____

WARNING: Information on this form may become public. Credit card information should not be included on this form. Provide credit card information and authorization on PTO-2038.

Daniel B. Ravicher
Authorized Signature

August 25, 2010
Date

Daniel B. Ravicher
Typed/Printed Name

47,015
Registration No.

[ ] For Patent Owner Requester
[X] For Third Party Requester

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

PATENT NO.: 5,541,206

ISSUED: Jul. 30, 1996

TO: Kempf et al.

FOR: RETROVIRAL PROTEASE INHIBITING COMPOUNDS

ATTACHMENT TO FORM PTO/SB/57,
REQUEST FOR *EX PARTE* REEXAMINATION

SIR:

The Public Patent Foundation ("PUBPAT"), a not-for-profit public service organization that works to protect the public from the harms caused by undeserved patents and unsound patent policy, respectfully requests *ex parte* reexamination under 35 U.S.C. §§ 302-307 and 37 C.F.R. § 1.510 of every claim of United States Patent No. 5,541,206 issued July 30, 1996 to Kempf et al. and assigned to Abbott Laboratories ("the '206 patent") because they are all invalid under 35 U.S.C. §§ 102 and 103 and their existence is causing significant public harm.[1]

THE '206 PATENT IS CAUSING SIGNIFICANT PUBLIC HARM

HIV/AIDS is one of the greatest threats to public health faced by the world today. As of the end of 2008, over 33 million people worldwide were living with HIV/AIDS,[2] including more

1 A copy of the '206 patent is attached hereto as Appendix A.
2 http://www.avert.org/worldstats.htm, last visited August 3, 2010.

than one million Americans.[3] Every person afflicted with HIV/AIDS has the right to obtain the best medical treatment available without any improper obstacles placed in their way. More specifically, American men, women, and children suffering from HIV/AIDS are entitled to access the best pharmaceutical treatments available without undeserved patents making those treatments either too expensive or too limited in supply.

Ritonavir is a retroviral protease inhibitor that is a significant treatment for HIV/AIDS patients. Today it is widely used as a booster for other protease inhibitors. Abbott Laboratories is the sole distributor of ritonavir in the United States (under the brand name Norvir) and is using the '206 patent – and seven other patents for which requests for reexamination are being filed concurrently herewith – to prevent anyone else from offering ritonavir to HIV/AIDS patients in the United States.[4] Not only is the '206 patent being used to deny American HIV/AIDS patients fair access to the medical treatment that they need and deserve; it is also a barrier to further research on ritonavir here in the United States because there is no exception to patent infringement for such research. In these ways, the '206 patent is unquestionably causing significant public harm to the American people. Although these issues are not grounds to grant this request for reexamination, PUBPAT respectfully requests that they be considered when determining whether the validity of the '206 patent merits review by your office.

THE SUBSTANTIAL NEW QUESTIONS OF PATENTABILITY

1. Whether claims 1-19 of the '206 patent were anticipated or rendered obvious by U.S. Patent No. 5,142,056 to Kempf et al. issued on August 25, 1992 ("'056 patent");

3 http://www.avert.org/usa-statistics.htm, last visited August 3, 2010.

4 Approved Drug Products with Therapeutic Equivalence Evaluations, Food and Drug Administration ("Orange Book"), Application Number. N022417 (Approval Date February 10, 2010).

2. Whether claims 1-19 of the '206 patent were anticipated or rendered obvious by EP 337714A2, to Sigal et al. published on October 18, 1989 ("Sigal"); and
3. Whether claims 1-19 of the '206 patent were anticipated or rendered obvious by Ho et al., Nature vol. 375 Jan. 12, 1995 "Rapid Turnover of Plasma Virions and CD4 Lymphocytes in HIV-1 Infection" ("Ho").

These are new questions because neither the '056, Sigal nor Ho were cited as references, much less applied, during prosecution. A detailed explanation of the pertinency and manner of applying the cited patents and publications to the claims of the '206 patent is set forth below.[5]

THE '056 PATENT ANTICIPATED OR RENDERED OBVIOUS THE '206 PATENT

The '206 patent application was filed April 25, 1995. The applicants claimed priority to a series of applications, including application no. 07/998,114 (filed December 29, 1992) and application number 08/158,587 (filed December 2, 1993). However, the claims of the '206 patent are not entitled to those claims of priority because the specifications of those earlier applications were not sufficient to satisfy the written description requirement of 35 U.S.C. § 112 with respect to the nineteen claims of the '206 patent.

The Federal Circuit recently confirmed that the written description requirement is a separate statutory requirement from the best mode and enablement requirements. Ariad Pharmaceuticals, Inc. v. Eli Lilly and Co., 598 F.3d 1336, 1351 (Fed. Cir. 2010). To satisfy the written description requirement, a specification must describe the claimed invention so that one of ordinary skill in the art can recognize what is claimed. Further, sufficient detail must be included in the specification to show one of ordinary skill in the art that the applicant possessed

5 Appendix B contains a copy of the cited patents and publications.

the claimed invention at the time of the filing of the application.

In this case, the inventors did not possess the claimed invention at the time of filing of the claimed priority applications because the specifications lacked evidence that the claimed methods were effective *in vivo*. The only evidence of efficacy was *in vitro*. and no evidence of the correlation between the *in vitro* results and successful treatment in humans was provided. Many antiviral agents that provide exceptional results *in vitro* are ineffective *in vivo*. This principle is substantiated by a 1987 review article[6] indicating that *in vitro* testing performed on anti-viral compounds is useful as a screening tool but is not predictive of *in vivo* efficacy. The specifications of the purported priority applications lacked *in vivo* data supporting the efficacy of the claimed methods, which thus renders them insufficient to satisfy the written description requirement. Therefore, the '206 patent is not entitled to claim priority to those earlier applications. Thus, the effective filing date of the '206 patent is its specific filing date, April 25, 1995.

The '056 patent issued on August 25, 1992. Accordingly, the '056 patent is 102(b) prior art to the '206 patent. As explained below, the '056 patent renders each claim of the '206 patent invalid.

In 2007 the Federal Circuit specifically addressed the standard for obviousness in another case involving a pharmaceutical composition. In that case, Pfizer v. Apotex, the patented besylate salt of the compound amlodipine was held obvious over a prior art patent that claimed a genus of pharmaceutically acceptable salts of amlodipine even though it did not disclose the besylate salt. 480 F.3d 1348 (Fed. Cir. 2007). The besylate salt was found obvious despite the

6 Sandstrom et al., "Antiviral Therapy In AIDS: Clinical Pharmacological Properties and Therapeutic Experience to Date," Drugs 34: 373-390 (1987).

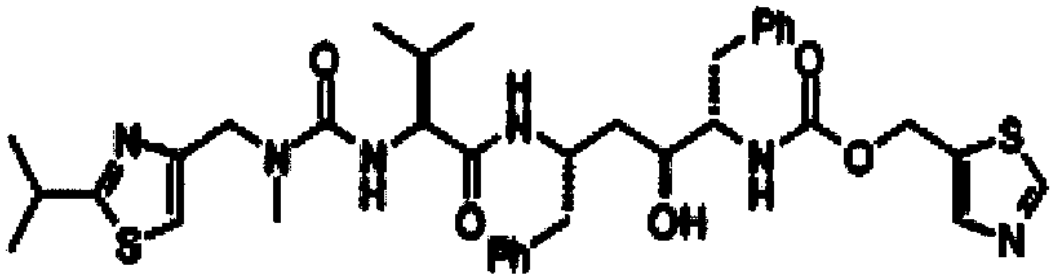
fact that none of the anions listed in the prior art patent had a cyclic structure as does besylate and it was undisputed that one cannot reliably predict the influence of a particular salt species on the behavior of a parent compound. The Federal Circuit's obviousness decision was based on the skilled artisan's motivation to combine prior art teachings to achieve the claimed invention and reasonable expectation of success. *Id.* at 1361. The Pfizer v. Apotex case is instructive as to the obviousness of the '206 patent over the '056 patent.

The '206 patent contains nineteen claims. The first thirteen recite a compound or one of a group of compounds or a pharmaceutically acceptable salt thereof. Claim 10 is representative and reads as follows:

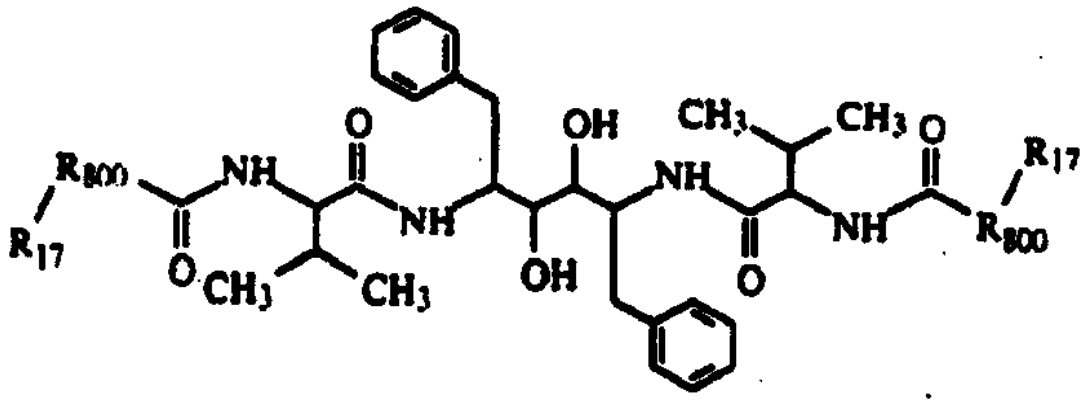
> 10. The compound (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)-methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.

The remaining six claims are dependent and purport to cover pharmaceutical compositions and methods of inhibiting HIV protease and HIV infection using the some of the claimed compounds.

The compound of claim 10 is depicted as follows:



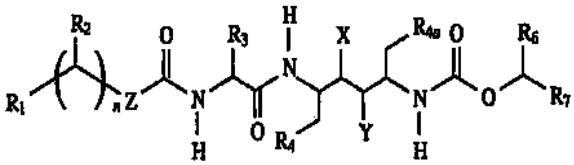
A compound disclosed in the '056 patent is depicted as follows:



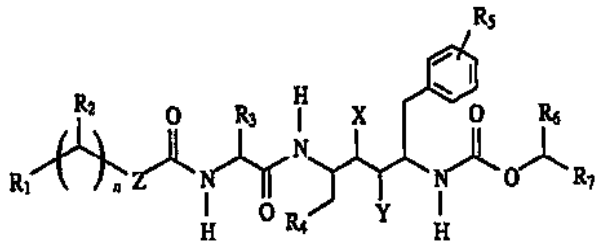
The claimed compound and the compound taught by the '056 patent have the same central substituent. Therefore, the '056 patent anticipates the claims of the '206 patent. The additional hydroxyl group described in the '206 patent is inherent in or obvious in light of the '056 patent compound.

The chart below sets forth a comparison of all nineteen claims of the '206 patent to the teaching of the '056 patent. In essence, the compounds claimed in the '206 patent represent a genus of or are rendered obvious by the class of compounds disclosed in the '056 patent. Although the '056 patent may not have explicitly disclosed the exact compounds recited in the '206 patent, each element of the '206 patent's claims is either inherent in or rendered obvious by the '056 patent's teachings. The '056 patent discloses compositions (col. 219) and methods (col. 220) of inhibiting HIV using the compounds it claims. Therefore each claim of the '206 patent is invalid and should be canceled.

'206 patent	**'056 patent**
1. A compound of the formula:	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 1, save one additional hydroxyl group. The equivalence of the central substituent having an additional

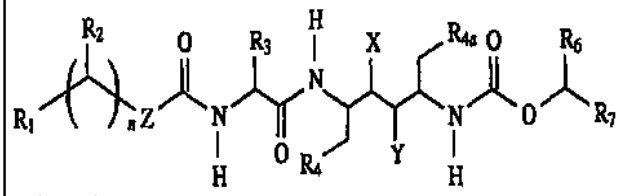
'206 patent	'056 patent
 wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii)phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv)C_1-C_6-thioalkoxy or benzyl-S--; n is 1, 2, or 3; R_2 is hydrogen or C_1-C_6-loweralkyl; R_3 is C_1-C_6-loweralkyl; R_4 and R_{4a} are independently selected from phenyl and substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with C_1-C_6--loweralkyl;	hydroxyl group was known in the art.

'206 patent	'056 patent
X is hydrogen and Y is —OH ; and Z is absent, —O—, —S—, —CH_2— or —N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen, C_1-C_6- loweralkyl or an N-protecting group selected from the group consisting of fbrmyl, acetyl, propionyl, pivaloyl, t-butylacetyl, 2-chloroacetyl, 2-bromoacetyl, trifluoroacetyl, trichloroacetyl, phthalyl, o-nitrophenoxyacetyl, α-chlorobutyryl, benzoyl, 4-chlorobenzoyl, 4-bromobenzoyl, 4-nitrobenzoyl, benzenesulfonyl, p-toluenesulfonyl, benzyloxycarbonyl, p -chlorobenzyloxycarbonyl, p-methoxybenzyloxycarbonyl, p-nitrobenzyloxycarbonyl, 2 -nitrobenzyloxycarbonyl, p-dimethoxybenzyloxycarbonyl, 3,5-dimethoxybenzyloxycarbonyl, 2,4dimethoxybenzyloxycarbonyl, 4-methoxybenzyloxycarbonyl, 2-nitro-4,5-dimethoxybenzyloxycarbonyl, 3,4,5-trimethoxybenzyloxycarbonyl, 1 -(p-biphenylyl)1-methylethoxycarbonyl, αα-dimethyl-3,5-dimethoxybenzyloxycarbonyl, benzhydryloxycarbonyl, t-butyloxycarbonyl, diisopropylmethoxycarbonyl, isopropyloxycarbonyl, ethoxycarbonyl, methoxycarbonyl, allyloxycarbonyl, 2,2,2,-trichloroethoxycarbonyl, phenoxycarbonyl, 4-nitrophenoxycarbonyl, fluorenyl9-methoxycarbonyl, cyclopentyloxycarbonyl, adamantyloxycarbonyl, cyclohexyloxycarbonyl, phenylthiocarbonyl, benzyl, triphenylmethyl, benzyloxymethyl and trimethylsilyl; or a pharmaceutically acceptable salt thereof.	
2. A compound of the formula:	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent

'206 patent	'056 patent
 wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii)phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-C_6-thioalkoxy or benzyl-S--; n is 1; R_2 is hydrogen or C_1-C_6-loweralkyl; R_3 is C_1-C_6-loweralkyl; R_4 is phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_5 is hydrogen, halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy or benzyl-S--;	as the compound of claim 2, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.

'206 patent	'056 patent
R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with C_1-C_6--loweralkyl; X is hydrogen and Y is —OH ; and Z is absent, —O—, —S—, —CH_2— or —N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen or C_1-C_6-loweralkyl; or a pharmaceutically acceptable salt thereof.	
3. The compound of claim 2 wherein R_2 is hydrogen, R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen and R_7 is thiazolyl or oxazolyl.	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 3, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.
4. The compound of claim 3, wherein R_7 is 5-thiazolyl or 5-oxazolyl.	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 4, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.
5. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is C_1-C_6-loweralkyl; ; R_2 is hydrogen; R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —O— or —N-(R_8)— wherein R_8 is C_1-C_6-loweralkyl.	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 5, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.

'206 patent	'056 patent
6. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; R_2 is hydrogen; R_3 is methyl or isopropyl; R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —O— .	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 6, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.
7. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; R_2 is hydrogen; R_3 is isopropyl; R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —N(R_8)-- wherein R_8 is methyl .	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 7, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.
8. (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 8, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.
9. A compound selected from the group consisting of: (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)-amino)carbonyl)alaninyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl 3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-methoxycarbonyl)arnino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl)-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 9, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.

'206 patent	'056 patent
(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)-valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)-valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	
10. (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 10, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.
11. A compound of the formula:  wherein R_1 is monosubstituted thiazolyl or	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 11, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.

'206 patent	'056 patent
monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii) phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-C_6-thioalkoxy or benzyl-S--; n is 1, 2, or 3; R_2 is hydrogen or C_1-C_6-loweralkyl; R_4 and R_{4a} are independently selected from phenyl and substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted and R_3 is C_2-C_6-loweralkyl and Z is absent, --O--, --S--, or --CH_2--; or R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is substituted with C_1-C_6_loweralkyl and R_3 is C_1-C_6-loweralkyl and Z is absent, —O—, —S—, —CH2—; or — N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen, C_1-C_6-loweralkyl or an N-protecting group selected from the group consisting of formyl, acetyl, propionyl,	

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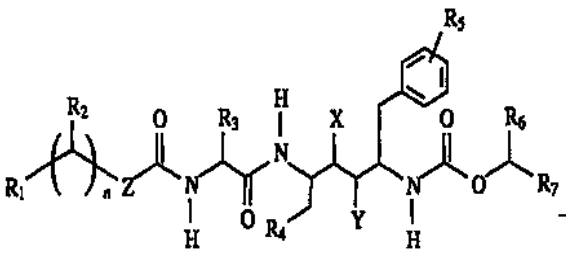
pivaloyl, t-butylacetyl, 2-chloroacetyl, 2-bromoacetyl, trifluoroacetyl, trichloroacetyl, phthalyl, o-nitrophenoxyacetyl, α-chlorobutyryl, benzoyl, 4-chlorobenzoyl, 4-bromobenzoyl, 4-nitrobenzoyl, benzenesulfonyl, p-toluenesulfonyl, benzyloxycarbonyl, p-chlorobenzyloxycarbonyl, p-methoxybenzyloxycarbonyl, p-nitrobenzyloxycarbonyl, 2-nitrobenzyloxycarbonyl, p-bromobenzyloxy carbonyl, 3,4-dimethoxybenzyloxycarbonyl, 3,5-dimethoxybenzyloxycarbonyl, 2,4-dimethoxybenzyloxycarbonyl, 4-methoxybenzyloxycarbonyl, 2-nitro-4,5-dimethoxy benzyloxycarbonyl, 3,4,5-trimethoxybenzyloxycarbonyl, l-(p-biphenylyl)-l-methylethoxycarbonyl, α,α-dimethyl-3,5 -dimethoxybenzyloxy carbonyl, benzyhydryloxycarbonyl, t-butyloxycarbonyl, diisopropylmethoxycarbonyl, isopropyloxycarbnyl, ethoxycarbonyl, methoxycarbonyl, allyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, phenoxycarbonyl, 4-nitrophenoxycarbonyl, fluorenyl-9-methoxycarbonyl, cyclopentyloxycarbonyl, adamantyloxycarbonyl, cyclohexyloxycarbonyl, phenylthiocarbonyl, benzyl, triphenylmethyl, benzyloxymethyl and trimethylsilyl; and X is –OH and Y is hydrogen; or a pharmaceutically acceptable salt thereof.	
12. A compound of the formula: 	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 12, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.

'206 patent	'056 patent
wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii) phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-C_6-thioalkoxy or benzyl-S--; n is 1; R_2 is hydrogen or C_1-C_6-loweralkyl; R_4 is phenyl or substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted and R_3 is C_2-C_6-loweralkyl and Z is absent, --O--, --S--, or --CH_2--; or R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is substituted with C_1-C_6-loweralkyl and R_3 is C_1-C_6-loweralkyl and Z is absent, —O—, —S—, —CH2—; or — N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen or C_1-C_6-loweralkyl; and	

'206 patent	'056 patent
X is –OH and Y is hydrogen; or a pharmaceutically acceptable salt thereof.	
13. A compound selected from the group consisting of: (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclohexyl-4thiazolyl)methyl)amino)-carbonyl)valmyl)amino)-2(N- ((5 -thiazolyl) methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S, 5S)-5-(N-(N-((N-Methyl-N-((2-(l,l-dimethyl)ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)- 1 ,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclopentenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)-methoxycarbonyl)amino)-1,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclohexenyl)4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-	The '056 patent claims compounds and pharmaceutically acceptable salts of compounds having the same central substituent as the compound of claim 13, save one additional hydroxyl group. The equivalence of the central substituent having an additional hydroxyl group was known in the art.

'206 patent	'056 patent
(cyclopentenyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;	
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclohexenyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;	
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)rnethoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;	
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl-l-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valmyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;	
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclohexyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;	
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane;	
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-benzyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane;	
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-	

'206 patent	'056 patent
phenyl)ethyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-(4-fluoro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-chloro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methoxy)phe- 5 nyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5- 10 thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)arnino)-2-(N-((5tMazolyl)methoxycarbonyl)amino)-l ,6-dipheny 1-3-hy- 15 droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isoproploxy-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; 20 (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-	

'206 patent	'056 patent
thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)-arnino)-1,6-dipheny 1-3-hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-propyl-4-thiaz- 25 olyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S,)-5-(N-(N-(N-Methyl-N-((2-(methyl)propyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2- 30 (N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl)propyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-ethyl)propyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphe- 4Q nyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)analinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-Isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane;	

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(2S,3S,5S)-2-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiaz- 60 olyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazo]yl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiaz- 65 olyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Cyclopropyl-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)alaninyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-(l-(2-Isopropyl-4-thiazolyl)ethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Cyclopropyl-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino-2-(N((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-	

'206 patent	'056 patent
1,6-diphenyl3-hydroxyhexane; (2S, 3S, 5S) -5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)arnino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((4-Isopropyl-2-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Diethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-dipheny 1-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-(((N,N-dimethylamino)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)aniino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(methoxymethyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((2methyl-5-	

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thiazolyl)methoxycarbonyl)amino)-1,6diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((2-Isopropyl-4-thiazolyl)thi omethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-(3-(2-Isopropyl-4-thiazolyl)propanoyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-(l(5-thiazolyl)ethoxycarbonyl)amino)-l,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-2-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-5-(N-((2methyl-5-thiazolyl)methoxycarbonyl)amino)-1,6diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclopentyl-4thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclohexyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l,l-dimethyl- 5)ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-	

'206 patent	'056 patent
cyclobutyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)ainino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-ethyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hy- 20 droxyhexane: (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-ethenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-propenyl)-4thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclopentenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclohexenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclopentenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2- 40 (N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-	

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diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclohexenyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphe-45 nyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl-l-propenyl)-4-tWazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methyl-1 -propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)-amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l,2-dimethyl-l-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclopentyl)methyl-4-	

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thiazolyl)methyl)amino)carbonyl)va]inyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclohexyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-benzyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-phenyl)ethyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)ainino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-phenyl-l-ethenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(4-fluoro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-cWoro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-	

'206 patent	'056 patent
1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-methoxy)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-thiazolyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyloxy-4thiazolyl)methyl)amino)carbonyl)valinyl)ainino)-2(N-((5-oxazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)-	

'206 patent	'056 patent
amino)-1,6-diphenyl-3-hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-propyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-ethyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)alaninyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Ethyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-	

'206 patent	'056 patent
(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimetfaylanrino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-ox- 35 azolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hy- 40 droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-tMazolyl)methoxycarbonyl)amino)-1,6-diphenyl3 -hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-pentyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxy carbonyl)arnino)-1,6-diphenyl3-	

'206 patent	'056 patent
hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiaz- 50 olyl)methyl)amino)carbonyl)valmyl)amino)-2-(N-(((2isopropyl)-5-thiazolyl)methoxycarbonyl)amino)-1,6diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(2-Isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxy- 55 carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(2-Isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)acetyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-	

'206 patent	'056 patent
diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-(l-Propyl)-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-(Isobutyl)-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N -((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)-L-alaninyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclopentyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Ethyl-N-((2-cyclopentyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-((N-Methyl-N-(2-(2-	

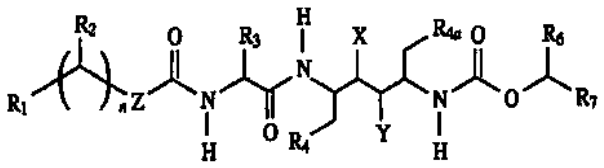
'206 patent	'056 patent
isopropyl-4-thiazolyl)ethyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-(tert-Butyloxycarbonylamino)N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and (2S,3S,5S)-5-(N-(N-((N-(Amino)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane Hydrochloride; or a pharmaceutically acceptable salt thereof.	
14. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 1.	The '056 patent discloses compositions for inhibiting HIV comprising the compounds discussed above with a pharmaceutical carrier. (col. 218-219)
15. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 2.	The '056 patent discloses compositions for inhibiting HIV comprising the compounds discussed above with a pharmaceutical carrier. (col. 218-219)
16. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 10.	The '056 patent discloses compositions for inhibiting HIV comprising the compound discussed above with a pharmaceutical carrier. (col. 218-219)
17. A pharmaceutical composition for inhibiting an HIV infection comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 10.	The '056 patent discloses compositions for inhibiting HIV comprising the compound discussed above with a pharmaceutical carrier. (col. 218-219)
18. A method for inhibiting HIV protease comprising administering to a human in need thereof a therapeutically effective amount of a	The '056 patent discloses a method for inhibiting HIV comprising administering the compounds discussed above to a human. (col.

'206 patent	'056 patent
compound of claim 10.	220)
19. A method for inhibiting an HIV infection comprising administering to a human in need thereof a therapeutically effective amount of a compound of claim 10.	The '056 patent discloses a method for inhibiting HIV comprising administering the compounds discussed above to a human. (col. 220)

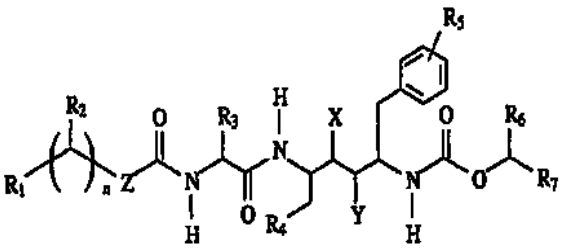
SIGAL ANTICIPATED OR RENDERED OBVIOUS THE '206 PATENT

In addition to being anticipated or rendered obvious by the '056 patent, the '206 patent was also anticipated or rendered obvious by Sigal. The Sigal application was published October 18, 1989. Accordingly, it is 102(b) prior art to the '206 patent which has an effective filing date of April 25, 1995 as explained above. Pfizer v. Apotex is again instructive as to why the '206 patent is invalid over Sigal.

The chart below sets forth an element-by-element comparison of all nineteen claims of the '206 patent to the teaching of Sigal. In essence, the compounds claimed in the '206 patent represent a genus of or are rendered obvious by the class of compounds disclosed in Sigal. Sigal discloses compounds having the identical central substituent as that of the compound named in the claims of the '206 patent and pharmaceutically acceptable salts thereof. Sigal at 42. It also discloses a method of treating and a pharmaceutical composition for treating HIV infection and AIDS. "The treatment involves administering to a patient in need of such treatment a pharmaceutical composition comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of [Sigal] or a pharmaceutically-acceptable salt therof." Id. Although Sigal may not have explicitly disclosed the exact compounds recited in the '206 patent, each element of the '206 patent's claims is either inherent in or rendered obvious by Sigal's teachings. Therefore each claim of the '206 patent is invalid and should be canceled.

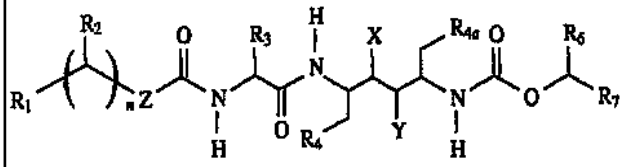
'206 patent	Sigal
1. A compound of the formula:  wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii)phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv)C_1-C_6-thioalkoxy or benzyl-S--; n is 1, 2, or 3; R_2 is hydrogen or C_1-C_6-loweralkyl; R_3 is C_1-C_6-loweralkyl; R_4 and R_{4a} are independently selected from phenyl and substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 1.

'206 patent	Sigal
substituted with C_1-C_6--loweralkyl; X is hydrogen and Y is —OH ; and	
Z is absent, —O—, —S—, —CH_2— or —N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen, C_1-C_6- loweralkyl or an N-protecting group selected from the group consisting of fbrmyl, acetyl, propionyl, pivaloyl, t-butylacetyl, 2-chloroacetyl, 2-bromoacetyl, trifluoroacetyl, trichloroacetyl, phthalyl, o-nitrophenoxyacetyl, α-chlorobutyryl, benzoyl, 4-chlorobenzoyl, 4-bromobenzoyl, 4-nitrobenzoyl, benzenesulfonyl, p-toluenesulfonyl, benzyloxycarbonyl, p -chlorobenzyloxycarbonyl, p-methoxybenzyloxycarbonyl, p-nitrobenzyloxycarbonyl, 2 -nitrobenzyloxycarbonyl, p-dimethoxybenzyloxycarbonyl, 3,5-dimethoxybenzyloxycarbonyl, 2,4dimethoxybenzyloxycarbonyl, 4-methoxybenzyloxycarbonyl, 2-nitro-4,5-dimethoxybenzyloxycarbonyl, 3,4,5-trimethoxybenzyloxycarbonyl, 1 -(p-biphenylyl)1-methylethoxycarbonyl, αα-dimethyl-3,5-dimethoxybenzyloxycarbonyl, benzhydryloxycarbonyl, t-butyloxycarbonyl, diisopropylmethoxycarbonyl, isopropyloxycarbonyl, ethoxycarbonyl, methoxycarbonyl, allyloxycarbonyl, 2,2,2,-trichloroethoxycarbonyl, phenoxycarbonyl, 4-nitrophenoxycarbonyl, fluorenyl9-methoxycarbonyl, cyclopentyloxycarbonyl, adamantyloxycarbonyl, cyclohexyloxycarbonyl, phenylthiocarbonyl, benzyl, triphenylmethyl, benzyloxymethyl and trimethylsilyl; or a pharmaceutically acceptable salt thereof.	
2. A compound of the formula:	Sigal discloses compounds and pharmaceutically acceptable salts of

'206 patent	Sigal
 wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii)phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-C_6-thioalkoxy or benzyl-S--; n is 1; R_2 is hydrogen or C_1-C_6-loweralkyl; R_3 is C_1-C_6-loweralkyl; R_4 is phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_5 is hydrogen, halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy or benzyl-S--;	compounds having the identical central substituent as the compound of claim 2.

'206 patent	Sigal
R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with C_1-C_6--loweralkyl; X is hydrogen and Y is —OH ; and Z is absent, —O—, —S—, —CH_2— or —N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen or C_1-C_6-loweralkyl; or a pharmaceutically acceptable salt thereof.	
3. The compound of claim 2 wherein R_2 is hydrogen, R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen and R_7 is thiazolyl or oxazolyl.	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 3.
4. The compound of claim 3, wherein R_7 is 5-thiazolyl or 5-oxazolyl.	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 4.
5. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is C_1-C_6-loweralkyl; ; R_2 is hydrogen; R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —O— or —N-(R_8)— wherein R_8 is C_1-C_6-loweralkyl.	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 5.
6. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; R_2 is hydrogen; R_3 is methyl or isopropyl; R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —O— .	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 6.
7. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; R_2 is hydrogen; R_3 is isopropyl; R_4 is phenyl; R_5 is	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 7.

'206 patent	Sigal
hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —N(R_8)-- wherein R_8 is methyl .	
8. (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 8.
9. A compound selected from the group consisting of: (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)-amino)carbonyl)alaninyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl 3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-methoxycarbonyl)arnino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl)-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)-valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)-valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane;	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 9.

'206 patent	Sigal
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	
10. (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 10.
11. A compound of the formula:  wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii) phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 11.

'206 patent	Sigal
above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-C_6-thioalkoxy or benzyl-S--; n is 1, 2, or 3; R_2 is hydrogen or C_1-C_6-loweralkyl; R_4 and R_{4a} are independently selected from phenyl and substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted and R_3 is C_2-C_6-loweralkyl and Z is absent, --O--, --S--, or --CH_2--; or R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is substituted with C_1-C_6-loweralkyl and R_3 is C_1-C_6-loweralkyl and Z is absent, —O—, —S—, —CH2—; or — N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen, C_1-C_6-loweralkyl or an N-protecting group selected from the group consisting of formyl, acetyl, propionyl, pivaloyl, t-butylacetyl, 2-chloroacetyl, 2-bromoacetyl, trifluoroacetyl, trichloroacetyl, phthalyl, o-nitrophenoxyacetyl, α-chlorobutyryl, benzoyl, 4-chlorobenzoyl, 4-bromobenzoyl, 4-nitrobenzoyl, benzenesulfonyl, p-toluenesulfonyl, benzyloxycarbonyl, p-chlorobenzyloxycarbonyl, p-methoxybenzyloxycarbonyl, p-nitrobenzyloxycarbonyl, 2-nitrobenzyloxycarbonyl, p-bromobenzyloxy carbonyl, 3,4-dimethoxybenzyloxycarbonyl, 3,5-dimethoxybenzyloxycarbonyl, 2,4-dimethoxybenzyloxycarbonyl, 4-methoxybenzyloxycarbonyl, 2-nitro-4,5-	

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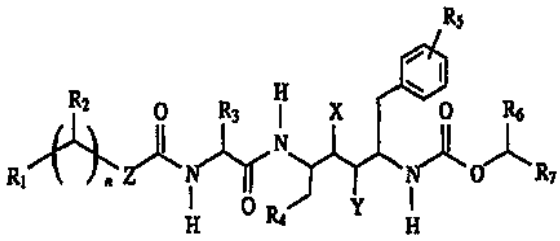
dimethoxy benzyloxycarbonyl, 3,4,5-trimethoxybenzyloxycarbonyl, 1-(p-biphenylyl)-1-methylethoxycarbonyl, α,α-dimethyl-3,5 -dimethoxybenzyloxy carbonyl, benzyhydryloxycarbonyl, t-butyloxycarbonyl, diisopropylmethoxycarbonyl, isopropyloxycarbnyl, ethoxycarbonyl, methoxycarbonyl, allyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, phenoxycarbonyl, 4-nitrophenoxycarbonyl, fluorenyl-9-methoxycarbonyl, cyclopentyloxycarbonyl, adamantyloxycarbonyl, cyclohexyloxycarbonyl, phenylthiocarbonyl, benzyl, triphenylmethyl, benzyloxymethyl and trimethylsilyl; and X is –OH and Y is hydrogen; or a pharmaceutically acceptable salt thereof.	
12. A compound of the formula:  wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--,	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 12.

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(xii) phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-C_6-thioalkoxy or benzyl-S--; n is 1; R_2 is hydrogen or C_1-C_6-loweralkyl; R_4 is phenyl or substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted and R_3 is C_2-C_6-loweralkyl and Z is absent, --O--, --S--, or --CH_2--; or R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is substituted with C_1-C_6-loweralkyl and R_3 is C_1-C_6-loweralkyl and Z is absent, —O—, —S—, —CH2—; or — N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen or C_1-C_6-loweralkyl; and X is –OH and Y is hydrogen; or a pharmaceutically acceptable salt thereof.	
13. A compound selected from the group consisting of: (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclohexyl-4thiazolyl)methyl)amino)-carbonyl)valmyl)amino)-2(N- ((5 -thiazolyl) methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S, 5S)-5-(N-(N-((N-Methyl-N-((2-(l,l-dimethyl)ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-	Sigal discloses compounds and pharmaceutically acceptable salts of compounds having the identical central substituent as the compound of claim 13.

'206 patent	Sigal
thiazolyl)methoxycarbonyl)amino)- 1 ,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclopentenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)-methoxycarbonyl)amino)-1,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclohexenyl)4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-(cyclopentenyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclohexenyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)rnethoxy	

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carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl-l-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valmyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclohexyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-benzyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-phenyl)ethyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-(4-fluoro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-chloro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-	

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hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methoxy)phe- 5 nyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5- 10 thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)arnino)-2-(N-((5tMazolyl)methoxycarbonyl)amino)-l ,6-dipheny 1-3-hy- 15 droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isoproploxy-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; 20 (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)-arnino)-1,6-dipheny 1-3-hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-propyl-4-thiaz- 25 olyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S,)-5-(N-(N-(N-Methyl-N-((2-(methyl)propyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2- 30 (N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;	

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(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl)propyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-ethyl)propyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphe- 4Q nyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)analinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-Isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiaz- 60 olyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazo]yl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-	

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Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiaz- 65 olyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Cyclopropyl-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)alaninyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-(l-(2-Isopropyl-4-thiazolyl)ethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Cyclopropyl-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino-2-(N((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S, 3S, 5S) -5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)arnino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((4-Isopropyl-2-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;	

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(2S,3S,5S)-5-(N-(N-((2-(N,N-Diethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-dipheny 1-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-(((N,N-dimethylamino)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)aniino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(methoxymethyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((2methyl-5-thiazolyl)methoxycarbonyl)amino)-1,6diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((2-Isopropyl-4-thiazolyl)thi omethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-(3-(2-Isopropyl-4-thiazolyl)propanoyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-(l(5-thiazolyl)ethoxycarbonyl)amino)-	

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l,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-2-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-5-(N-((2methyl-5-thiazolyl)methoxycarbonyl)amino)-1,6diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclopentyl-4thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclohexyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l,l-dimethyl- 5)ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclobutyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)ainino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-ethyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hy- 20 droxyhexane: (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-ethenyl-	

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4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-propenyl)-4thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(1-cyclopentenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(1-cyclohexenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclopentenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2- 40 (N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclohexenyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphe-45 nyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)ami	

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no)-2(N-((5-oxazoly l)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl-l-propenyl)-4-tWazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methyl-1 -propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)-amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l,2-dimethyl-l-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclopentyl)methyl-4-thiazolyl)methyl)amino)carbonyl)va]inyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclohexyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane;	

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(2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-benzyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-phenyl)ethyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)ainino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-phenyl-l-ethenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(4-fluoro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-cWoro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-methoxy)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-	

'206 patent	Sigal
thiazolyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyloxy-4thiazolyl)methyl)amino)carbonyl)valinyl)aini no)-2(N-((5-oxazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)-amino)-1,6-diphenyl-3-hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-propyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl)propyl-4-	

'206 patent	Sigal
thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-ethyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)alaninyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Ethyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-	

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thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimetfaylanrino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-ox- 35 azolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hy- 40 droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-tMazolyl)methoxycarbonyl)amino)-1,6-diphenyl3 -hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-pentyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxy carbonyl)arnino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiaz- 50 olyl)methyl)amino)carbonyl)valmyl)amino)-2-(N-(((2isopropyl)-5-thiazolyl)methoxycarbonyl)amino)-1,6diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(2-Isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxy- 55 carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;	

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(2S,3S,5S)-2-(N-(N-((2-(2-Isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)acetyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-(l-Propyl)-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-(Isobutyl)-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N -((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane;	

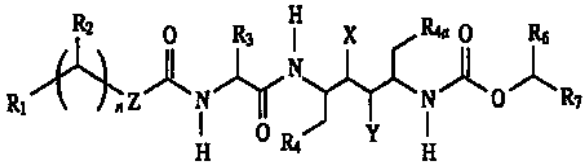
'206 patent	Sigal
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)-L-alaninyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclopentyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Ethyl-N-((2-cyclopentyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-((N-Methyl-N-(2-(2-isopropyl-4-thiazolyl)ethyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-(tert-Butyloxycarbonylamino)N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and (2S,3S,5S)-5-(N-(N-((N-(Amino)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy	

'206 patent	Sigal
carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane Hydrochloride; or a pharmaceutically acceptable salt thereof.	
14. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 1.	Sigal discloses compositions for inhibiting HIV comprising the compounds discussed above with a pharmaceutical carrier.
15. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 2.	Sigal discloses compositions for inhibiting HIV comprising the compounds discussed above with a pharmaceutical carrier.
16. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 10.	Sigal discloses compositions for inhibiting HIV comprising the compound discussed above with a pharmaceutical carrier.
17. A pharmaceutical composition for inhibiting an HIV infection comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 10.	Sigal discloses compositions for inhibiting HIV comprising the compound discussed above with a pharmaceutical carrier.
18. A method for inhibiting HIV protease comprising administering to a human in need thereof a therapeutically effective amount of a compound of claim 10.	Sigal discloses a method for inhibiting HIV comprising administering the compounds discussed above to a human.
19. A method for inhibiting an HIV infection comprising administering to a human in need thereof a therapeutically effective amount of a compound of claim 10.	Sigal discloses a method for inhibiting HIV comprising administering the compounds discussed above to a human.

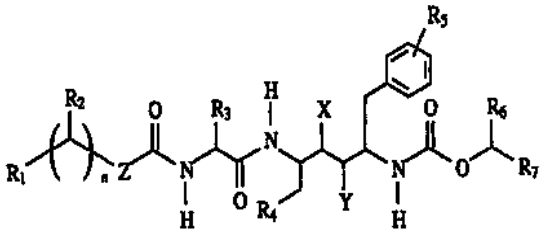
THE '206 PATENT WAS ANTICIPATED OR RENDERED OBVIOUS BY HO

Ho, published in January of 1995, predates the April 1995 filing of the '206 application and is thus prior art to the '206 patent under 102(a). Ho provides *in vivo* evidence that the compound recited in claim 10 of the '206 patent, referred to as ABT-538 in the article, which is

only slightly different from the other compounds claimed in the '206 patent, was effective in treating HIV when administered to humans. Ho at 123. Ho thus anticipated the invention claimed in the '206 patent. The chart below sets forth an element-by-element comparison of all nineteen claims of the '206 patent to the teaching of Ho. Because Ho disclosed a method of treatment using the exact compound recited in claim 10 of the '206 patent, and nearly identical to the other compounds claimed in the '206 patent, each element of the '206 patent's claims is either inherent in or rendered obvious by Ho's teachings. Therefore each claim of the '206 patent is invalid and should be canceled.

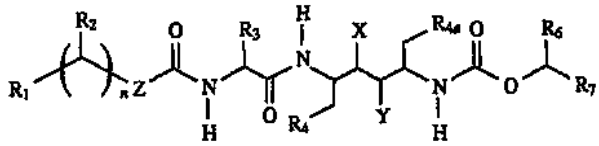
'206 patent	**Ho**
1. A compound of the formula:  wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii)phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv)C_1-	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 1.

'206 patent	Ho
C_6-thioalkoxy or benzyl-S--; n is 1, 2, or 3; R_2 is hydrogen or C_1-C_6-loweralkyl; R_3 is C_1-C_6-loweralkyl; R_4 and R_{4a} are independently selected from phenyl and substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with C_1-C_6--loweralkyl; X is hydrogen and Y is —OH ; and Z is absent, —O—, —S—, —CH_2— or —N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen, C_1-C_6- loweralkyl or an N-protecting group selected from the group consisting of fbrmyl, acetyl, propionyl, pivaloyl, t-butylacetyl, 2-chloroacetyl, 2-bromoacetyl, trifluoroacetyl, trichloroacetyl, phthalyl, o-nitrophenoxyacetyl, α-chlorobutyryl, benzoyl, 4-chlorobenzoyl, 4-bromobenzoyl, 4-nitrobenzoyl, benzenesulfonyl, p-toluenesulfonyl, benzyloxycarbonyl, p -chlorobenzyloxycarbonyl, p-methoxybenzyloxycarbonyl, p-nitrobenzyloxycarbonyl, 2 -nitrobenzyloxycarbonyl, p-dimethoxybenzyloxycarbonyl, 3,5-dimethoxybenzyloxycarbonyl, 2,4dimethoxybenzyloxycarbonyl, 4-methoxybenzyloxycarbonyl, 2-nitro-4,5-dimethoxybenzyloxycarbonyl, 3,4,5-trimethoxybenzyloxycarbonyl, 1 -(p-biphenylyl)1-methylethoxycarbonyl, αα-	

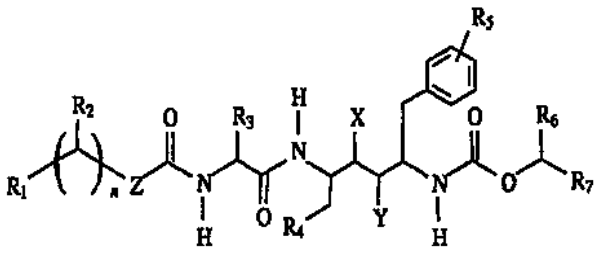
'206 patent	Ho
dimethyl-3,5-dimethoxybenzyloxycarbonyl, benzhydryloxycarbonyl, t-butyloxycarbonyl, diisopropylmethoxycarbonyl, isopropyloxycarbonyl, ethoxycarbonyl, methoxycarbonyl, allyloxycarbonyl, 2,2,2,-trichloroethoxycarbonyl, phenoxycarbonyl, 4-nitrophenoxycarbonyl, fluorenyl9-methoxycarbonyl, cyclopentyloxycarbonyl, adamantyloxycarbonyl, cyclohexyloxycarbonyl, phenylthiocarbonyl, benzyl, triphenylmethyl, benzyloxymethyl and trimethylsilyl; or a pharmaceutically acceptable salt thereof.	
2. A compound of the formula:  wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii)phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 2.

'206 patent	Ho
C_6-thioalkoxy or benzyl-S--; n is 1; R_2 is hydrogen or C_1-C_6-loweralkyl; R_3 is C_1-C_6-loweralkyl; R_4 is phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_5 is hydrogen, halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with C_1-C_6--loweralkyl; X is hydrogen and Y is —OH ; and Z is absent, —O—, —S—, —CH_2— or —N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen or C_1-C_6-loweralkyl; or a pharmaceutically acceptable salt thereof.	
3. The compound of claim 2 wherein R_2 is hydrogen, R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen and R_7 is thiazolyl or oxazolyl.	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 3.
4. The compound of claim 3, wherein R_7 is 5-thiazolyl or 5-oxazolyl.	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 4.
5. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is C_1-C_6-loweralkyl; ; R_2 is hydrogen; R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —O— or —N-(R_8)— wherein R_8 is C_1-C_6-	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 5.

'206 patent	Ho
loweralkyl.	
6. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; R_2 is hydrogen; R_3 is methyl or isopropyl; R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —O— .	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 6.
7. The compound of claim 2 wherein R_1 is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; R_2 is hydrogen; R_3 is isopropyl; R_4 is phenyl; R_5 is hydrogen; R_6 is hydrogen; R_7 is 5-thiazolyl or 5-oxazolyl; and Z is —N(R_8)-- wherein R_8 is methyl .	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 7.
8. (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 8.
9. A compound selected from the group consisting of: (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)-amino)carbonyl)alaninyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl 3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-methoxycarbonyl)arnino)-1,6-diphenyl-3-hydroxyhexane;	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 9.

'206 patent	Ho
(2S,3S,5S)-5-(N-(N-((2-Isopropyl)-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)-valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)-valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	
10. (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.	Ho taught the efficacy in AIDS/HIV treatment of the exact compound recited in claim 10.
11. A compound of the formula:  wherein R_1 is monosubstituted thiazolyl or	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 11.

'206 patent	Ho
monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii) phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-C_6-thioalkoxy or benzyl-S--; n is 1, 2, or 3; R_2 is hydrogen or C_1-C_6-loweralkyl; R_4 and R_{4a} are independently selected from phenyl and substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted and R_3 is C_2-C_6-loweralkyl and Z is absent, --O--, --S--, or --CH_2--; or R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is substituted with C_1-C_6-loweralkyl and R_3 is C_1-C_6-loweralkyl and Z is absent, —O—, —S—, —CH2—; or — N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen, C_1-C_6-loweralkyl or an N-protecting group selected from the group consisting of formyl, acetyl, propionyl,	

'206 patent	Ho
pivaloyl, t-butylacetyl, 2-chloroacetyl, 2-bromoacetyl, trifluoroacetyl, trichloroacetyl, phthalyl, o-nitrophenoxyacetyl, α-chlorobutyryl, benzoyl, 4-chlorobenzoyl, 4-bromobenzoyl, 4-nitrobenzoyl, benzenesulfonyl, p-toluenesulfonyl, benzyloxycarbonyl, p-chlorobenzyloxycarbonyl, p-methoxybenzyloxycarbonyl, p-nitrobenzyloxycarbonyl, 2-nitrobenzyloxycarbonyl, p-bromobenzyloxy carbonyl, 3,4-dimethoxybenzyloxycarbonyl, 3,5-dimethoxybenzyloxycarbonyl, 2,4-dimethoxybenzyloxycarbonyl, 4-methoxybenzyloxycarbonyl, 2-nitro-4,5-dimethoxy benzyloxycarbonyl, 3,4,5-trimethoxybenzyloxycarbonyl, l-(p-biphenylyl)-l-methylethoxycarbonyl, α,α-dimethyl-3,5 -dimethoxybenzyloxy carbonyl, benzyhydryloxycarbonyl, t-butyloxycarbonyl, diisopropylmethoxycarbonyl, isopropyloxycarbnyl, ethoxycarbonyl, methoxycarbonyl, allyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, phenoxycarbonyl, 4-nitrophenoxycarbonyl, fluorenyl-9-methoxycarbonyl, cyclopentyloxycarbonyl, adamantyloxycarbonyl, cyclohexyloxycarbonyl, phenylthiocarbonyl, benzyl, triphenylmethyl, benzyloxymethyl and trimethylsilyl; and X is –OH and Y is hydrogen; or a pharmaceutically acceptable salt thereof.	
12. A compound of the formula: 	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 12.

'206 patent	Ho
wherein R_1 is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the sustituent is selected from (i) C_1-C_6-loweralkyl, (ii) C_2-C_6 loweralkenyl, (iii) C_3-C_7 cycloalkyl, (iv)C_3-C_7 -cycloalkyl-C_1-C_6-alkyl, (v) C_3-C_5-cycloalkenyl, (vi) C_5-C_7 -cycloalkyl-C_1-C_6-alkyl, (vii) C_1-C_6-alkoxy-C_1-C_6-alkyl or benzyloxy-C_1-C_6-alkyl, (viii) C_1-C_6-thioalkoxy-C_1-C_6-alkyl or benzyl- S—C_1-C_6-alkyl, (ix) C_1-C_6-alkylamino, (x) di-C_1-C_6-alkylamino, (xi) phenyl wherein the phenyl ring is unsibstituted or substituted with a substituent selected from halo, C_1-C_6-loweralkyl, hydroxy, C_1-C_6-alkoxy, benzyloxy, C_1-C_6-thioalkoxy and benzyl-S--, (xii) phenyl-C_1-C_6-alkyl wherein the phenyl ring is unsubstituted or substtuted as defined above, (xiii) di-C_1-C_6-alkylamino-C_1-C_6-alkyl, (xiv) C_1-C_6-alkoxy or benzyloxy and (xv) C_1-C_6-thioalkoxy or benzyl-S--; n is 1; R_2 is hydrogen or C_1-C_6-loweralkyl; R_4 is phenyl or substituted phenyl wherein the phenyl ring is substituted with a substituent selected from (i) halo, (ii) C_1-C_6-loweralkyl, (iii) hydroxy, (iv) C_1-C_6-alkoxy or benzyloxy and (v) C_1-C_6-thioalkoxy or benzyl-S--; R_6 is hydrogen or C_1-C_6-loweralkyl; R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted and R_3 is C_2-C_6-loweralkyl and Z is absent, --O--, --S--, or --CH_2--; or R_7 is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is substituted with C_1-C_6-loweralkyl and R_3 is C_1-C_6-loweralkyl and Z is absent, —O—, —S—, —CH2—; or — N(R_8)— wherein R_8 is C_1-C_6-loweralkyl, C_3-C_7-cycloalkyl, —OH or —NHR_{8a} wherein R_{8a} is hydrogen or C_1-C_6-loweralkyl; and	

'206 patent	Ho
X is –OH and Y is hydrogen; or a pharmaceutically acceptable salt thereof.	
13. A compound selected from the group consisting of: (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclohexyl-4thiazolyl)methyl)amino)-carbonyl)valmyl)amino)-2(N- ((5 -thiazolyl) methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S, 5S)-5-(N-(N-((N-Methyl-N-((2-(l,l-dimethyl)ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)- 1 ,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclopentenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)-methoxycarbonyl)amino)-1,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclohexenyl)4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-(cyclopentenyl-	Ho taught the efficacy in AIDS/HIV treatment of a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 13.

'206 patent	Ho
4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclohexenyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(1-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)rnethoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(1-methyl-1-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valmyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclohexyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-benzyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-phenyl)ethyl4-	

'206 patent	Ho
thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-(4-fluoro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-chloro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methoxy)phe- 5 nyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5- 10 thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)arnino)-2-(N-((5tMazolyl)methoxycarbonyl)amino)-l ,6-dipheny 1-3-hy- 15 droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isoproploxy-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; 20 (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amin	

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o)-2-(N-((5-thiazolyl)methoxycarbonyl)-arnino)-1,6-dipheny 1-3-hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-propyl-4-thiaz- 25 olyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S,)-5-(N-(N-(N-Methyl-N-((2-(methyl)propyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2- 30 (N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl)propyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-ethyl)propyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphe- 4Q nyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)analinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-Isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-Isopropyl-4-	

'206 patent	Ho
thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiaz- 60 olyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazo]yl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiaz- 65 olyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Cyclopropyl-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)alaninyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-(l-(2-Isopropyl-4-thiazolyl)ethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Cyclopropyl-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino-2-(N((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane;	

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(2S, 3S, 5S) -5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)arnino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((4-Isopropyl-2-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Diethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-dipheny 1-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-(((N,N-dimethylamino)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)aniino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(methoxymethyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((2methyl-5-thiazolyl)methoxycarbonyl)amino)-1,6-	

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diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((2-Isopropyl-4-thiazolyl)thi omethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-(3-(2-Isopropyl-4-thiazolyl)propanoyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-(l(5-thiazolyl)ethoxycarbonyl)amino)-l,6-diphenyl-3hydroxyhexane; (2S,3S,5S)-2-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-5-(N-((2methyl-5-thiazolyl)methoxycarbonyl)amino)-1,6diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclopentyl-4thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclohexyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l,l-dimethyl- 5)ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclobutyl-4-thiazolyl)methyl)amino)-	

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carbonyl)valinyl)ainino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-ethyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hy- 20 droxyhexane: (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-ethenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-propenyl)-4thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclopentenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-cyclohexenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclopentenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2- 40 (N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-	

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diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((4-cyclohexenyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphe-45 nyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-propenyl)-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazoly l)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl-l-propenyl)-4-tWazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methyl-1 -propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)-amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l,2-dimethyl-l-propenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclopentyl)methyl-4-	

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thiazolyl)methyl)amino)carbonyl)va]inyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(cyclohexyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-benzyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-phenyl)ethyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)ainino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-phenyl-l-ethenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino-2-(N-((5-oxazolyl)-methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(4-fluoro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-cWoro)phenyl4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-	

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1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-methoxy)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-thiazolyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyloxy-4thiazolyl)methyl)amino)carbonyl)valinyl)ainino)-2(N-((5-oxazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)-	

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amino)-1,6-diphenyl-3-hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-propyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(2-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-oxazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(l-ethyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)alaninyl)amino)-2-(N((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Ethyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-	

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(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimetfaylanrino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-ox- 35 azolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hy- 40 droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-tMazolyl)methoxycarbonyl)amino)-1,6-diphenyl3 -hy droxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-pentyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxy carbonyl)arnino)-1,6-diphenyl3-	

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hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiaz- 50 olyl)methyl)amino)carbonyl)valmyl)amino)-2-(N-(((2isopropyl)-5-thiazolyl)methoxycarbonyl)amino)-1,6diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-(2-Isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxy- 55 carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-2-(N-(N-((2-(2-Isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazolyl)acetyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Methyl-N-((2-cyclopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-	

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diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-(l-Propyl)-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-(Isobutyl)-N-((2-isopropyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N -((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)-L-alaninyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclopentyl-4thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N,N-((N-Ethyl-N-((2-cyclopentyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-((N-Methyl-N-(2-(2-	

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isopropyl-4-thiazolyl)ethyl)amino)carbonyl)valinyl)amino)-2-(N-((5thiazolyl)methoxycarbonyl)amino)-l,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((N-(tert-Butyloxycarbonylamino)N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and (2S,3S,5S)-5-(N-(N-((N-(Amino)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2(N-((5-thiazolyl)methoxy carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane Hydrochloride; or a pharmaceutically acceptable salt thereof.	
14. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 1.	Ho discloses use in HIV treatment of a pharmaceutical composition using a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 1.
15. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 2.	Ho discloses use in HIV treatment of a pharmaceutical composition using a compound having the identical central substituent and nearly identical side groups as a compound claimed in claim 2.
16. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 10.	Ho discloses use in HIV treatment of a pharmaceutical composition using the compound of claim 10.
17. A pharmaceutical composition for inhibiting an HIV infection comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of claim 10.	Ho discloses use in HIV treatment of a pharmaceutical composition using the compound of claim 10.
18. A method for inhibiting HIV protease comprising administering to a human in need	Ho discloses a method for inhibiting HIV using the compound of claim 10.

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thereof a therapeutically effective amount of a compound of claim 10.	
19. A method for inhibiting an HIV infection comprising administering to a human in need thereof a therapeutically effective amount of a compound of claim 10.	Ho discloses a method for inhibiting HIV using the compound of claim 10.

CONCLUSION

For the reasons set forth above, each of the claims of the '206 patent is invalid. Accordingly, PUBPAT respectfully requests that they be examined *ex parte* and subsequently canceled.

August 25, 2010

Date

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APPENDIX A

APPENDIX B

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Sheet 1 of 2

Complete if Known	
Application Number	90/ ,
Filing Date	
First Named Inventor	Kempf, Dale J.
Art Unit	
Examiner Name	
Attorney Docket Number	

U. S. PATENT DOCUMENTS

Examiner Initials*	Cite No.[1]	Document Number Number-Kind Code[2] (if known)	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		US- 5,142,056	08-25-1992	Kempf, et al.	
		US-			
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FOREIGN PATENT DOCUMENTS

Examiner Initials*	Cite No.[1]	Foreign Patent Document Country Code[3]-Number[4]-Kind Code[5] (if known)	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages Or Relevant Figures Appear	T[6]
		EP 0 337 714 A2	10-18-1989	Merck & Co. Inc.		

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Sheet 2 of 2

Complete If Known	
Application Number	09/___,___
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First Named Inventor	Kempf, Dale J.
Art Unit	
Examiner Name	
Attorney Docket Number	

NON PATENT LITERATURE DOCUMENTS

Examiner Initials*	Cite No.[1]	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T[2]
		HO et al., Rapid Turnover of Plasma Virions and CD4 Lymphocytes in HIV-1 Infection, Nature, 373: 123-126, January 1995.	

Examiner Signature		Date Considered	

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