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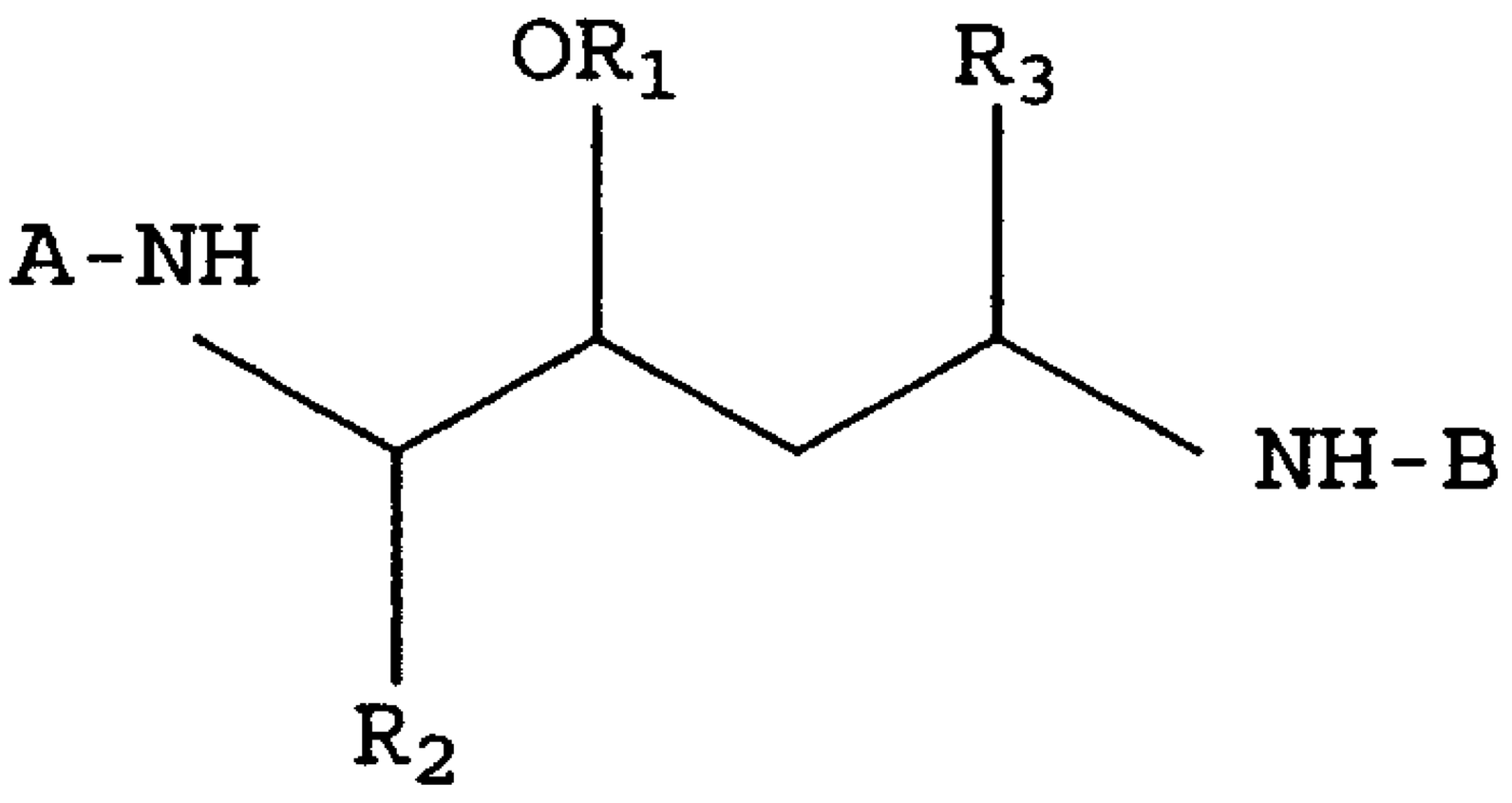
(54) Titre : COMPOSES INHIBANT LA PROTEASE DES RETROVIRUS
(54) Title: RETROVIRAL PROTEASE INHIBITING COMPOUNDS



(57) Abrégé/Abstract:
A retroviral protease inhibiting compound is diclosed. The compound is of the formula (see above formula) wherein R_1 is hydrogen and R_2 and R_3 are independently selected from C_6-aryl-C_1-to-C_6-alkyl, C_9-to-C_{10}-aryl-C_1-to-C_6-alkyl, C_3-to-C_7-cycloalkyl-C_1-to-C_6-alkyl and (heterocyclic)-C_1-to-C_6-alkyl; and A and B are independently selected from R_6-C(O)-(NH)-(CH(R_5))-C(O)- and R_6-C(O)- wherein at each occurrence R_6 is independently selected from R_7-N(C_1-to-C_6-loweralkyl)-, R_7-O- and R_7-S- wherein R_7 is heterocyclic or (heterocyclic)-C_1-to-C_6-alkyl and at each occurrence R_5 is independently selected from C_1-to-C_6-loweralkyl; or a pharmaceutically acceptable salt, thereof. Also disclosed are a composition use and kit for inhibiting a retroviral protease and for treating an HIV infection. Also disclosed are processes and intermediates useful for the preparation of the retroviral protease inhibitors.

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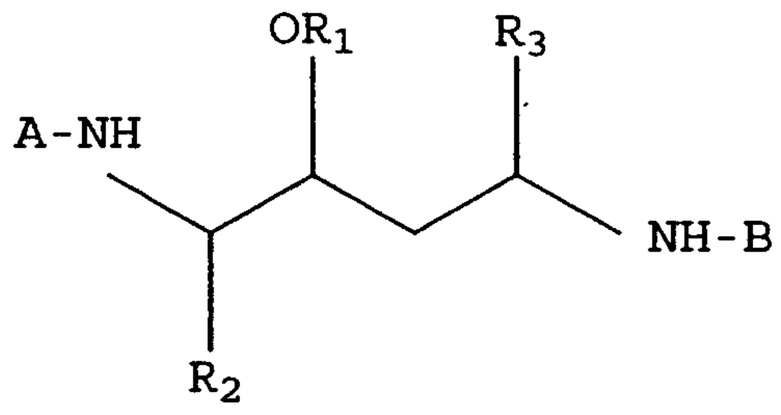
ABSTRACT

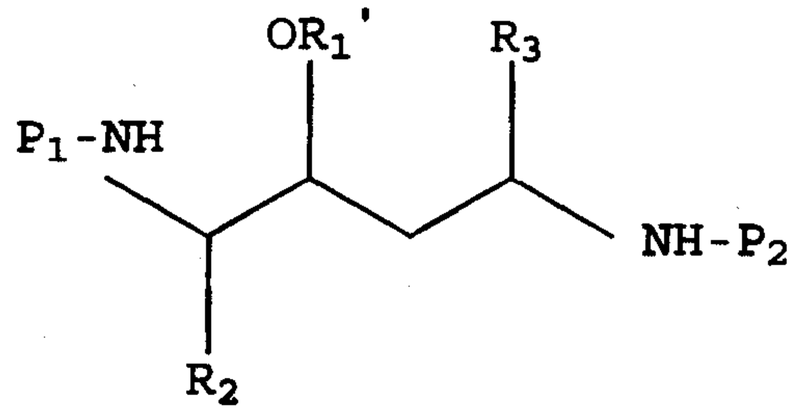
A retroviral protease inhibiting compound is diclosed. The compound is of the formula



wherein R_1 is hydrogen and R_2 and R_3 are independently selected from C_6-aryl-C_1-to-C_6-alkyl, C_9-to-C_{10}-aryl-C_1-to-C_6-alkyl, C_3-to-C_7-cycloalkyl-C_1-to-C_6-alkyl and (heterocyclic)-C_1-to-C_6-alkyl; and A and B are independently selected from R_6-C(O)-(NH)-(CH(R_5))-C(O)- and R_6-C(O)- wherein at each occurrence R_6 is independently selected from R_7-N(C_1-to-C_6-loweralkyl)-, R_7-O- and R_7-S- wherein R_7 is heterocyclic or (heterocyclic)-C_1-to-C_6-alkyl and at each occurrence R_5 is independently selected from C_1-to-C_6-loweralkyl; or a pharmaceutically acceptable salt, thereof. Also disclosed are a composition use and kit for inhibiting a retroviral protease and for treating an HIV infection. Also disclosed are processes and intermediates useful for the preparation of the retroviral protease inhibitors.

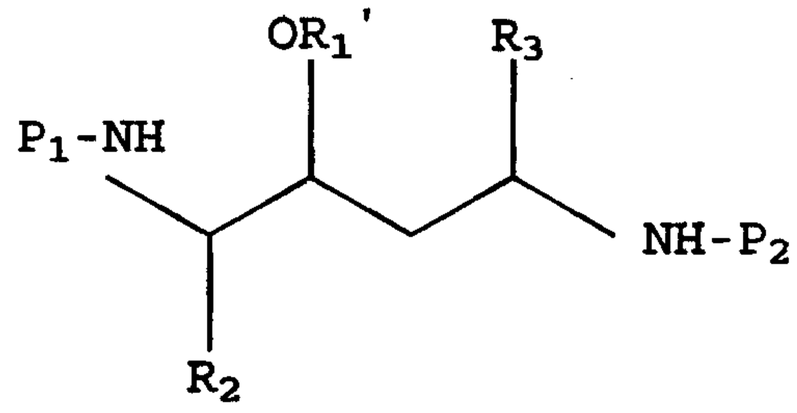
CLAIMS

1. A compound having the formula:



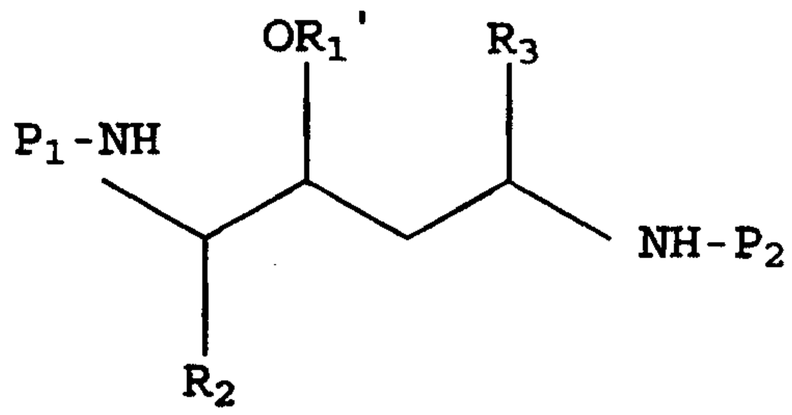
wherein P_1 and P_2 are independently selected from hydrogen and an N-protecting group; R_1' is hydrogen or an O-protecting group, and R_2 and R_3 are independently selected from C_6-aryl-C_1-to-C_6-alkyl, C_9-to-C_{10}-aryl-C_1-to-C_6-alkyl, C_3-to-C_7-cycloalkyl-C_1-to-C_6-alkyl and (heterocyclic)-C_1-to-C_6-alkyl; or a salt thereof.

2. A compound having the formula:



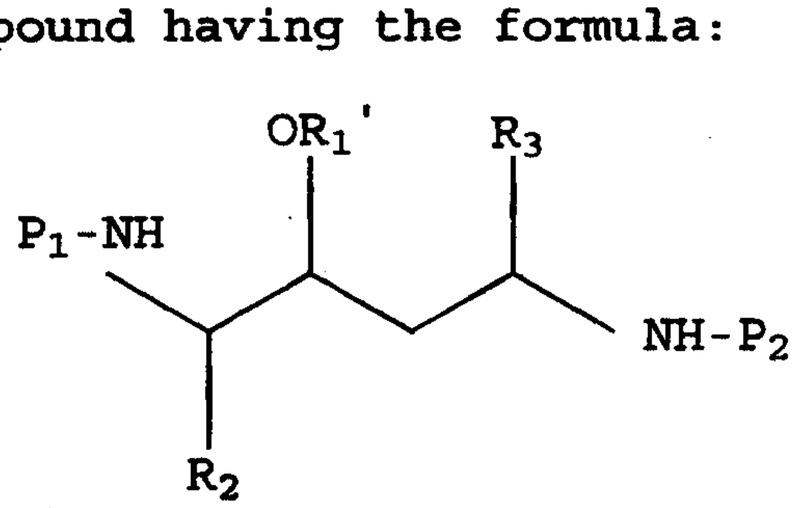
wherein P_1 and P_2 are independently selected from hydrogen and an N-protecting group; R_1' is hydrogen or an O-protecting group; and R_2 and R_3 are independently selected from C_6-aryl-C_1-to-C_6-alkyl and C_9-to-C_{10}-aryl-C_1-to-C_6-alkyl; or a salt thereof.

3. A compound having the formula:



wherein P_1 and P_2 are independently selected from hydrogen and an N-protecting group; R_1' is hydrogen or an O-protecting group; and R_2 and R_3 are independently selected from C_6-aryl-C_1-to-C_6-alkyl and C_9-to-C_{10}-aryl-C_1-to-C_6-alkyl; or a salt thereof; wherein the carbon atom bearing the R_2 substituent has the "S" configuration, the atom bearing the OR_1' substituent has the "S" configuration and the carbon atom bearing the R_3 substituent has the "S" configuration.

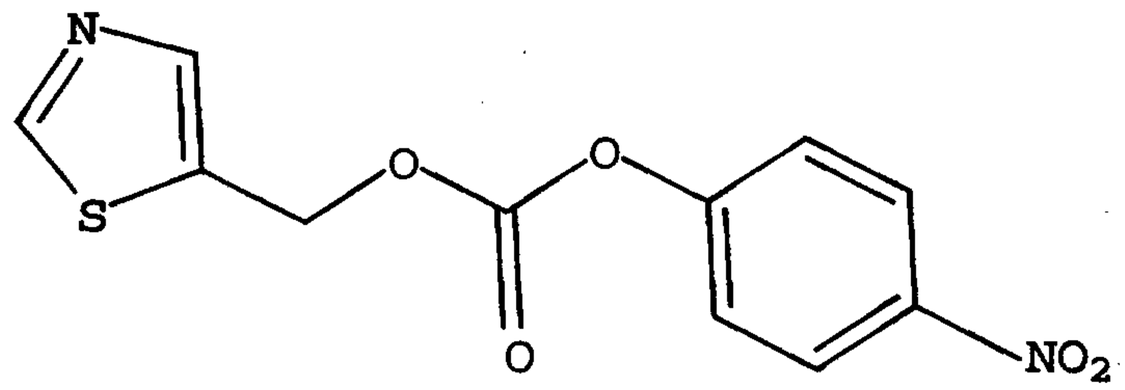
4. A compound having the formula:



wherein P_1 and P_2 are independently selected from hydrogen and an N-protecting group; R_1' is hydrogen or an O-protecting group; and R_2 and R_3 are benzyl; or a salt thereof; wherein the carbon atom bearing the R_2 substituent has the "S" configuration, the atom bearing the OR_1' substituent has the "S" configuration and the carbon atom bearing the R_3 substituent has the "S" configuration.

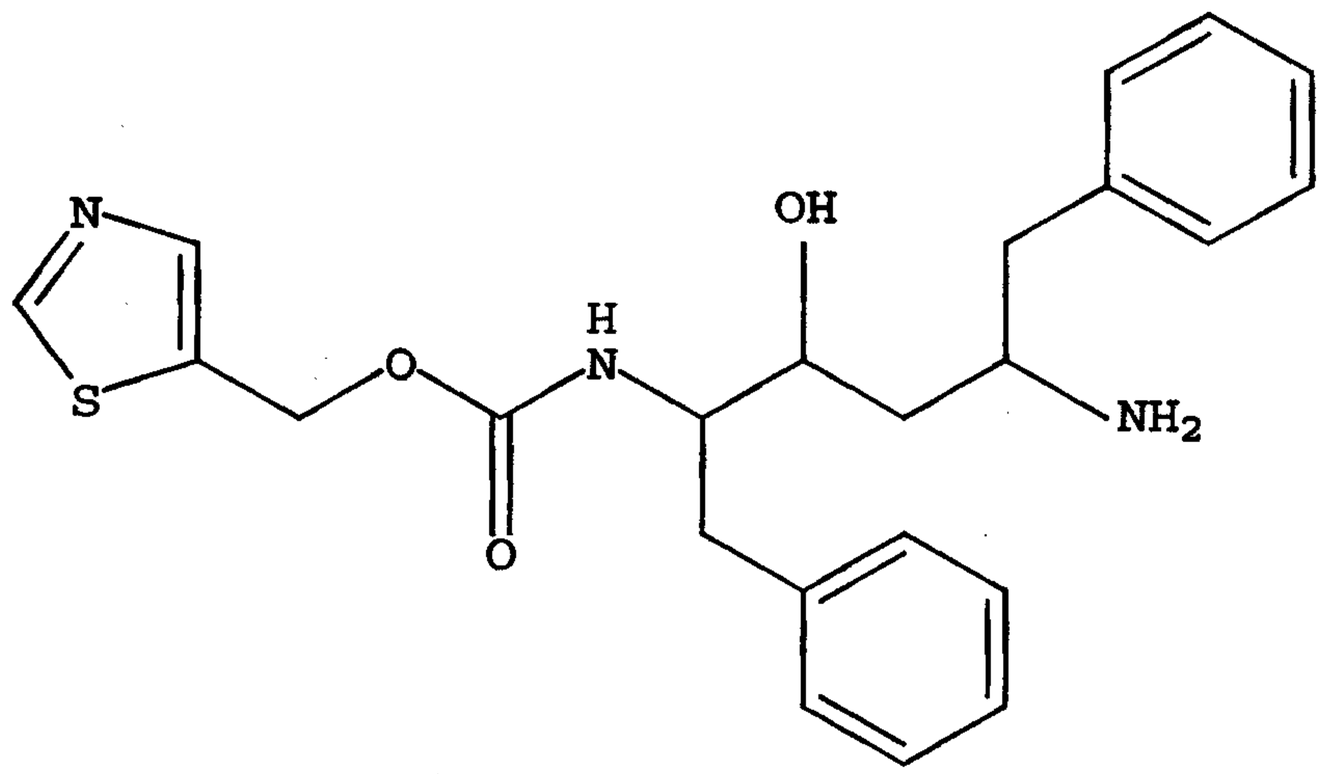
5. The compound according to Claim 1 which is (2S,3S,5S)-2,5-Diamino-1,6-diphenyl-3-hydroxyhexane; or a salt thereof.

6. A compound having the formula:



or an acid addition salt thereof.

7. A compound useful having the formula:



or an acid addition salt thereof.

8. The compound according to Claim 7 which is (2S,3S,5S)-5-amino-2-(N-(5-thiazolyl)-methoxycarbonyl)amino-1,6-diphenyl-3-hydroxyhexane; or an acid addition salt thereof.

9. The compound according to Claim 1 which is (2S,3S,5S)-5-Amino-2-(N-(((t-butyl)oxy)carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane or a salt thereof.

10. The compound according to Claim 1 which is (2S,3S,5S)-2-Amino-5-(N-(((t-butyl)oxy)carbonyl)amino)-1,6-diphenyl-3-hydroxyhexane or a salt thereof.