

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
18 November 2004 (18.11.2004)

PCT

(10) International Publication Number
WO 2004/099135 A2

(51) International Patent Classification⁷: **C07D 207/00**

(21) International Application Number:
PCT/EP2004/050753

(22) International Filing Date: 10 May 2004 (10.05.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0310593.9 8 May 2003 (08.05.2003) GB

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(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

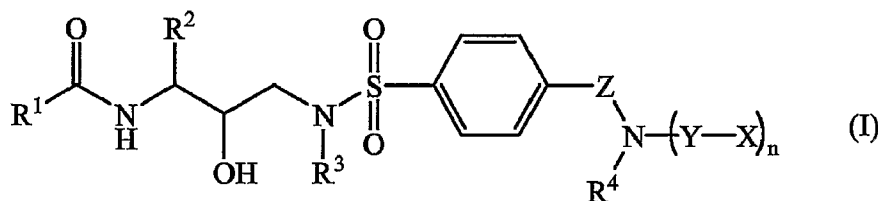
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,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

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[Continued on next page]

(54) Title: HIV PRODRUGS CLEAVABLE BY CD26



(57) Abstract: The present invention provides new prodrugs which are conjugates of a therapeutic compound and a peptide wherein the conjugate is cleavable by dipeptidyl-peptidases, more preferably by CD26, also known as DPPIV (dipeptidyl aminodiesterase IV). The present prodrugs have the formula (I), the stereoisomeric forms and salts thereof, wherein n is 1 to 5; Y is proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thiopline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine and threonine; X is selected from any amino acid in the D- or L-configuration; X and Y in each repeat of [Y-X] are chosen independently from one another and independently from other repeats; Z is a direct bond or a bivalent straight or branched saturated hydrocarbon group having from 1 to 4 carbon atoms; R¹ is an aryl, heteroaryl, aryloxy, heteroaryloxy, aryloxyC₁₋₄alkyl, heterocycloalkyloxy, heterocycloalkylC₁₋₄alkoxy, heteroaryloxyC₁₋₄alkyl, heteroarylC₁₋₄alkyloxy; R² is arylC₁₋₄alkyl; R³ is C₁₋₁₀alkyl, C₂₋₆alkenyl or C₃₋₇cycloalkylC₁₋₄alkyl; R⁴ is hydrogen or C₁₋₄alkyl. The present invention furthermore provides the use of said prodrugs as medicines as well as a method of producing said prodrugs.



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- *of inventorship (Rule 4.17(iv)) for US only*

Published:

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