2004067781

12 NOV 2004

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
27 November 2003 (27.11.2003)

PCT

(10) International Publication Number
WO 03/097616 A1

(51) International Patent Classification[7]: C07D 261/20, 493/04, 413/12, 417/12, A61K 31/423, 31/427, A61P 31/18 // (C07D 493/04, 307:00, 307:00)

(21) International Application Number: PCT/EP03/50173

(22) International Filing Date: 16 May 2003 (16.05.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
02076957.6 17 May 2002 (17.05.2002) EP

(71) Applicant *(for all designated States except US)*: **TIBOTEC PHARMACEUTICALS LTD** [IE/IE]; Unit 4, Block 4B, Blanchardstown Corporate Park, Blanchardstown, 15 Dublin (IE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **SURLERAUX, Dominique, Louis, Nestor, Ghislain** [BE/BE]; Rue de la scaillée, 13, B-1440 Braine-le-château (BE). **VERGOUWEN, Bernhard, Joanna, Bernard** [BE/BE]; Rietstraat 13, B-3680 Maaseik (BE). **DE KOCK, Herman, Augustinus** [BE/BE]; Wolfseind 10, B-2370 Arendonk (BE).

(74) Agent: **DAELEMANS, Frank**; Tibotec-Virco Comm. VA, Generaal De Wittelaan L11B3, B-2800 Mechelen (BE).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, 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, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

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

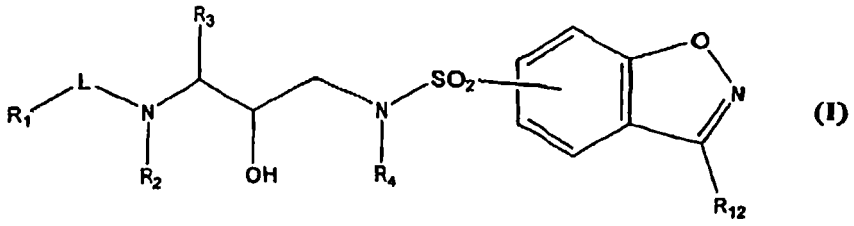
Declaration under Rule 4.17:
— *of inventorship (Rule 4.17(iv)) for US only*

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

162162

(54) Title: BROADSPECTRUM SUBSTITUTED BENZISOXAZOLE SULFONAMIDE HIV PROTEASE INHIBITORS



(I)

(57) Abstract: The present invention concerns the compounds having the formula *N*-oxides, salts, stereoisomeric forms, racemic mixtures, prodrugs esters and metabolites thereof. It further relates to their use as broadspectrum HIV protease inhibitors, processes for their preparation as well as pharmaceutical compositions and diagnostic kits comprising them. It also concerns combinations thereof with another anti-retroviral agent, and to their use in assays as reference compounds or as reagents.

WO 03/097616 A1

ACTION