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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
19 August 2004 (19.08.2004)

PCT

(10) International Publication Number
WO 2004/069812 A1

(51) International Patent Classification[7]: C07D 239/47, A61K 31/505, A61P 31/18

(21) International Application Number: PCT/EP2004/001011

(22) International Filing Date: 4 February 2004 (04.02.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PCT/EP03/01291 7 February 2003 (07.02.2003) EP

(71) Applicant *(for all designated States except US)*: **JANSSEN PHARMACEUTICA N.V.** [BE/BE]; Turnhoutseweg 30, B-2340 Beerse (BE).

(71) Applicants *(for US only)*: **ARTS, Theodora, Joanna, Francisca** (heiress of the deceased inventor) [/]; Antwerpsesteenweg, 20, B-2350 Vosselaar (BE). **JANSSEN, Graziella, Maria, Constantina** (heiress of the deceased inventor) [/BE]; Wilgentakjesdreef 6, B-2300 Turnhout (BE). **JANSSEN, Herwig, Josephus, Margareta** (heir of the deceased inventor) [/BE]; Koekoeksdreef 47, B-2970 Schilde (BE). **JANSSEN, Jasmine, Josée, Werner** (heiress of the deceased inventor) [/BE]; Zinkvalstraat 5, B-2360 Aartselaar (BE). **JANSSEN, Paul, Peter, Maria** (heir of the deceased inventor) [/BE]; Grotesteenweg-Zuid 4, B-9052 Zwijnaarde (BE). **JANSSEN, Maroussia, Godelieve, Frank** (heiress of the deceased inventor) [/BE]; Drève du Carmel 17, B-1410 Waterloo (BE). **GUILLEMONT, Jérôme, Emile, Georges** [FR/FR]; Janssen-Cilag, 1, rue Camille Desmoulins, TSA 91003, F-92787 Issy-les-Moulineaux Cedex 9 (FR). **PASQUIER, Elisabeth, Therese, Jeanne** [FR/FR]; Janssen-Cilag, 1, rue Camille Desmoulins, TSA 91003, F-92787 Issy-les-Moulineaux Cedex 9 (FR).

(72) Inventor: **JANSSEN, Paul, Adriaan, Jan** (deceased).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **HEERES, Jan** [NL/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **LEWI, Paulus, Joannes** [BE/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **DE JONGE, Marc, René** [NL/NL]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **KOYMANS, Lucien, Maria, Henricus** [NL/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **DAEYAERT, Frederik, Frans, Desire** [BE/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **VINKERS, Hendrik, Maarten** [NL/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE).

(74) Common Representative: **JANSSEN PHARMACEUTICA N.V.**; Turnhoutseweg 30, B-2340 Beerse (BE).

(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.

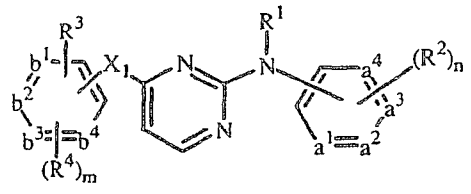
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,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

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations 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, UZ, VC, VN, YU, ZA, ZM,*

[Continued on next page]

(54) Title: PYRIMIDINE DERIVATIVES FOR THE PREVENTION OF HIV INFECTION



(I)

(57) Abstract: This invention concerns the use of a compound for the manufacture of a medicament for the prevention of HIV infection via sexual intercourse and related intimate contact between partners, wherein the compound is a compound of formula (I) a *N*-oxide, a pharmaceutically acceptable addition salt, a quaternary amine and a stereochemically isomeric form thereof, wherein the ring containing $-a^1=a^2-a^3=a^4-$ and $-b^1=b^2-b^3=b^4-$ represents phenyl, pyridyl, pyrimidinyl, pirazinyl, pyridazinyl; and pharmaceutical compositions comprising them.

WO 2004/069812 A1

ZW, ARIPO patent (BW, 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)

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations*

— *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.