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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
22 December 2005 (22.12.2005)

PCT

(10) International Publication Number
WO 2005/121145 A3

(51) International Patent Classification[7]: C07D 487/04, A61K 31/407

(21) International Application Number: PCT/EP2005/005895

(22) International Filing Date: 1 June 2005 (01.06.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/578,759 9 June 2004 (09.06.2004) US

(71) Applicant *(for all designated States except US)*: **F. HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstrasse 124, CH-4070 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **LEE, Eun, Kyung** [KR/US]; 791 Aurora Avenue, San Jose, CA 95129 (US). **MELVILLE, Chris, Richard** [US/US]; 2230 Hanover Street, Palo Alto, CA 94306 (US). **ROTSTEIN, David, Mark** [US/US]; 939 Lorne Way, Sunnyvale, CA 94087 (US).

(74) Agent: **RAUBER, Beat**; Grenzacherstrasse 124, CH-4070 Basel (CH).

(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, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, 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, IS, IT, LT, 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).

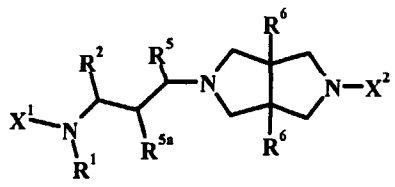
Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

(88) Date of publication of the international search report:
2 March 2006

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.

WO 2005/121145 A3

(54) Title: OCTAHYDRO-PYRROLO[3,4-C] DERIVATIVES AND THEIR USE AS ANTIVIRAL COMPOUNDS



(I)

(57) Abstract: Chemokine receptor antagonists, in particular, 3,7-diazabicyclo [3.3.0] octane compounds according to formula (I) are antagonists of chemokine CCR5 receptors which are useful for treating or preventing an human immunodeficiency virus (HIV) infection, or treating AIDS or ARC. The invention further provides methods for treating diseases that are allieviated with CCR5 antagonists. The invention includes pharmaceutical compositions and methods of using the compounds for the treatment of these diseases. The invention further includes processes for the preparation of compounds according to formula (I).