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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
29 April 2004 (29.04.2004)

PCT

(10) International Publication Number
WO 2004/035047 A1

(51) International Patent Classification[7]: A61K 31/404, C07D 209/08, 209/30, A61P 25/00

(21) International Application Number: PCT/EP2003/011323

(22) International Filing Date: 13 October 2003 (13.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/419,369 18 October 2002 (18.10.2002) US

(71) Applicant: F. HOFFMANN-LA ROCHE AG [CH/CH]; 124 Grenzacherstrasse, CH-4070 Basle (CH).

(72) Inventors: CLARK, Robin, Douglas; 4894 Kua Road, Lawai, HI 96765 (US). HARRIS, Ralph, New, III; 65-D Clinton Street, Redwood City, CA 94062 (US). REPKE, David, Bruce; 406 South Temple Drive, Milpitas, CA 95035 (US).

(74) Agents: WAECHTER, Dieter et al.; Grenzacherstrasse 124, CH-4070 Basel (CH).

(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, 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, 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, ZW.

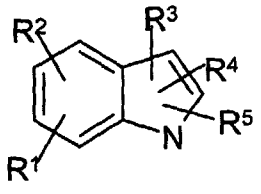
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ,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

Published:
— *with international search report*

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 2004/035047 A1

(54) Title: 4-PIPERAZINYL BENZENESULFONYL INDOLES WITH 5-HT6 RECEPTOR AFFINITY



(I)

(57) Abstract: This invention relates to compounds which have generally 5-HT6 receptor affinity and which are represented by Formula (I): wherein R^1, R^2, R^3, R^4, and R^5 are as defined herein; or individual isomer, racemic or non-racemic mixtures of isomers, or pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds, methods for their use as therapeutic agents, and methods of preparation thereof.