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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
17 March 2005 (17.03.2005)

PCT

(10) International Publication Number
WO 2005/023260 A1

(51) International Patent Classification[7]: A61K 31/496, 31/495, C07D 295/18, A61P 25/28

(21) International Application Number:
PCT/EP2004/009665

(22) International Filing Date: 30 August 2004 (30.08.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03019686.9 9 September 2003 (09.09.2003) EP

(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)*: **ALBERATI-GIANI, Daniela** [CH/CH]; Kirchplatz 4, CH-4800 Zofingen (CH). **JOLIDON, Synese** [CH/CH]; Stutzhalde 2, CH-4223 Blauen (CH). **NARQUIZIAN, Robert** [FR/FR]; 4 Rue de Montreux, F-68300 St. Louis (FR). **NETTEKOVEN, Matthias, Heinrich** [DE/DE]; Winkelmatten 9, 79639 Grenzach-Wyhlen (DE). **NORCROSS, Roger, David** [GB/CH]; Alte Saline 20, CH-4310 Rheinfelden (CH). **PINARD, Emmanuel** [FR/FR]; 7, rue de Pujo, F-68480 Linsdorf (FR). **STALDER, Henri** [CH/CH]; St. Johanns-Ring 145, CH-4056 Basel (CH).

(74) Agent: **POPPE, Regina**; 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, 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.

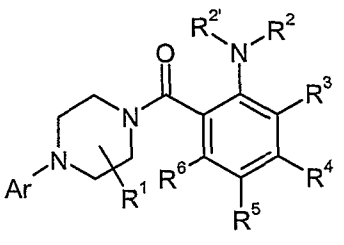
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, 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).

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 2005/023260 A1

(54) Title: 1- (2-AMINO-BENZOL) -PIPERAZINE DERIVATIVES AS GLYCINE UPTAKE INHIBITORS FOR THE TREATMENT OF PSYCHOSES



(I)

(57) Abstract: A compound of formula (I) wherein the substituents are described in claim 1 for the treatment of psychoses, pain, neurodegenerative disfunction in memory and learning, schizophrenia, dementia and other diseases in which cognitive processes are impaired, such as attention deficit disorders or Alzheimer's disease.