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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
13 July 2006 (13.07.2006)

PCT

(10) International Publication Number
WO 2006/072435 A1

(51) International Patent Classification:
C07D 295/18 (2006.01) *A61K 31/496* (2006.01)
C07D 213/74 (2006.01) *A61K 31/495* (2006.01)
C07D 239/42 (2006.01) *A61P 25/28* (2006.01)
A61K 31/506 (2006.01)

(21) International Application Number:
PCT/EP2005/014081

(22) International Filing Date:
28 December 2005 (28.12.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
05100066.9 6 January 2005 (06.01.2005) 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)*: **JOLIDON, Synese** [CH/CH]; Stutzhalde 2, CH-4223 Blauen (CH). **NARQUIZIAN, Robert** [FR/FR]; 4, rue de Montreux, F-68300 St. Louis (FR). **NORCROSS, Roger, David** [GB/CH]; Maetteli 244, CH-4305 Olsberg (CH). **PINARD, Emmanuel** [FR/FR]; 7, rue de Pujo, F-68480 Linsdorf (FR).

(74) Agent: **POPPE, Regina**; Grenzacherstrasse 124, CH-4070 Basel (CH).

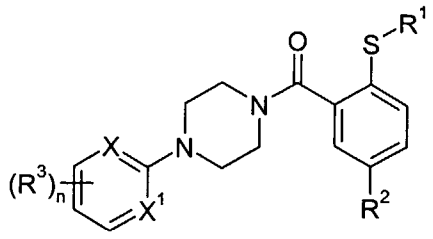
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, 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, LV, 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.

(54) **Title:** SULFANYL SUBSTITUTED PHENYL METHANONES AS GLYCINE TRANSPORTER 1 (GLYT-1) INHIBITORS FOR THE TREATMENT OF NEUROLOGICAL AND NEUROPSYCHIATRIC DISORDERS



(I)

(57) **Abstract:** The present invention relates to compounds of the general formula (I) wherein R^1 is lower alkyl or lower alkyl substituted by halogen; R^2 is $-S(O)_2$-lower alkyl, $-S(O)_2NH$-lower alkyl, NO_2 or CN; R^3 is halogen, CN, lower alkyl, lower alkyl substituted by halogen, NO_2, -C(O)-lower alkyl or $S(O)_2$-lower alkyl; X/X^1 are independently from each other CR^4 or N; R^4 is hydrogen or halogen; n is 0, 1 or 2; and to pharmaceutically acceptable acid addition salts thereof. It has been found that the compounds of general formula (I) are good inhibitors of the glycine transporter 1 (G1yT-1) for the treatment of schizophrenia.

WO 2006/072435 A1