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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
19 February 2004 (19.02.2004)

PCT

(10) International Publication Number
WO 2004/014881 A3

(51) International Patent Classification[7]: C07D 413/12, 413/14, 417/14, A61K 31/4245, A61P 25/00

(21) International Application Number: PCT/US2003/024846

(22) International Filing Date: 8 August 2003 (08.08.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/402,040 9 August 2002 (09.08.2002) US

(71) Applicants *(for all designated States except US)*: **ASTRA ZENECA AB** [SE/SE]; S-151 85, Söderstälje (SE). **NPS PHARMACEUTICALS, INC.** [US/US]; 420 Chipeta Way, Salt Lake City, UT 84108 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **WENSBO, David** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sodertajie (SE). **XIN, Tao** [CA/CA]; 10 Comoq Avenue, Woodbridge,Ontario L4H 2B1 (CA). **STEFANAC, Tomislav** [CA/CA]; 4483 Bennett Road, Burlington, Ontaria L7L 1Y5 (CA). **ARORA, Jalaj** [CA/CA]; 34 Alona Avenue, Cambridge, Ontario N3C 3Y5 (CA). **EDWARDS, Louise** [CA/CA]; 871 Chippenham Drive, Mississauga, Ontario L5H 3S6 (CA). **ISAAC, Methvin** [CA/CA]; 2101 Islington Avenue Apt #2105, Etobicoke, Ontario M9P 3R2 (CA). **SLASSI, Abdelmalik** [CA/CA]; 4780 Fulwell Road, Mississauga, Ontario L5M 7J7 (CA). **STORMANN, Thomas, M.** [US/US]; 1327 Harrison Avenue, Salt lake City, UT 84105 (US). **MCLEOD, Donald, A.** [US/US]; 7740 South Newport Way, Salt Lake City, UT 84121 (US). **KERS, Annika** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sodertalje (SE). **MALMBERG, Johan** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sodertalje (SE). **OSCARSSON, Karin** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sofertalje (SE). **GYBACK, Helena** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sodertalje (SE). **JOHANSSON, Martin** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sofertalje (SE). **MINIDIS, Alexander** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sodertalje (SE). **WALDMAN, Mangus** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sodertalje (SE). **YNGVE, Ulrika** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sodertalje (SE). **OSTERWALL, Christoffer** [SE/SE]; c/o AstraZeneca AB, S-151 85 Sodertalje (SE).

(74) Agent: **BENT, Stephen, A.**; Foley & Lardner, Washington Harbour, 3000 K Street, N.W. Suite 500, Washington, DC 20007-5101 (US).

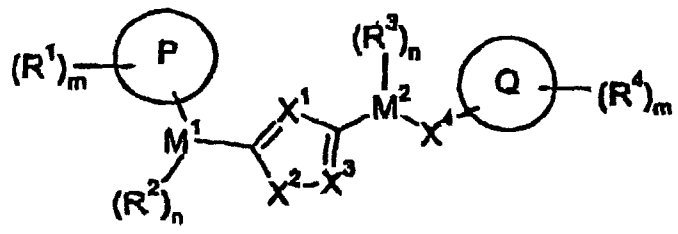
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, 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*

[Continued on next page]

(54) Title: "1,2,4"OXADIAZOLES AS MODULATORS OF METABOTROPIC GLUTAMATE RECEPTOR-5



(I)

(57) Abstract: The present invention relates to new compounds of formula (I), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compounds and to the use of said compounds in therapy. In particular, there are compounds according to the present invention that are potent and selective for the mGluR Group I receptor and more particularly for mGluR5. Thus, it is expected that the compounds of the invention are well suited for the prevention and/or treatment of mGluR5 receptor-mediated disorders such as acute and chronic neurological and psychiatric disorders and chronic and acute pain disorders.

WO 2004/014881 A3

— *with amended claims*

(88) Date of publication of the international search report:
27 May 2004

Date of publication of the amended claims: 15 July 2004

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.