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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
20 January 2011 (20.01.2011)

PCT

(10) International Publication Number
WO 2011/006910 A1

(51) International Patent Classification:
C07D 401/04 (2006.01) *A61K 31/4439* (2006.01)
C07D 401/14 (2006.01) *A61P 25/00* (2006.01)
C07D 403/04 (2006.01)

(21) International Application Number:
PCT/EP2010/060097

(22) International Filing Date:
14 July 2010 (14.07.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
09165780.9 17 July 2009 (17.07.2009) 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)*: **JAESCHKE, Georg** [DE/CH]; Lerchenstrasse 76, CH-4059 Basel (CH). **LINDEMANN, Lothar** [DE/CH]; Jungstrasse 44, CH-4056 Basel (CH). **VIEIRA, Eric** [CH/CH]; Lindenstrasse 9, CH-4402 Frenkendorf (CH). **WICHMANN, Juergen** [DE/DE]; Birkenweg 25, 79585 Steinen (DE).

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

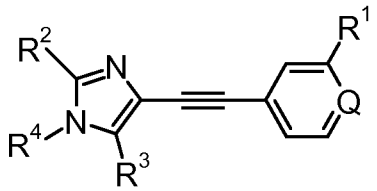
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

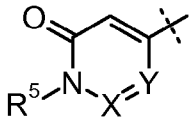
Published:

— *with international search report (Art. 21(3))*

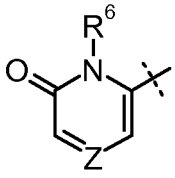
(54) **Title**: IMIDAZOLE DERIVATIVES AS MGLUR5 ANTAGONISTS



(I)



(IIa)



(IIb)

(57) **Abstract**: The present invention relates to imidazole derivatives of the general formula (I) wherein R^1 signifies halogen, lower alkyl or lower alkoxy; R^2 signifies lower alkyl, lower hydro xyalkyl or lower alkoxyalkyl; R^3 signifies hydrogen, lower alkyl, lower hydroxyalkyl or alkoxyalkyl; Q signifies either -N= or -CH=; R^4 is a group of formula IIa or IIb (formula IIa and IIb) wherein X, Y and Z independently are -CH= or -N=, and whereby only one of X or Y can be a nitrogen atom; R^5 and R^6 independently are hydrogen, lower alkyl, lower hydroxyalkyl, lower alkoxyalkyl, $-(CH_2)_m$-(CO)O-lower alkyl, $-(CH_2)_m$-$S(O)_2$-lower alkyl, $-(CH_2)_m$-C(O)-NR'R" and where m = 0-3 and R' and R" are independently hydrogen or lower alkyl; as well as to pharmaceutically acceptable salts thereof. It has now surprisingly been found that the compounds of general formula (I) are metabotropic glutamate receptor antagonists. They can be used in the treatment or prevention of mGluR5 receptor mediated disorders.

WO 2011/006910 A1