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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
20 July 2006 (20.07.2006)

PCT

(10) International Publication Number
WO 2006/074884 A1

(51) International Patent Classification:
C07D 417/14 (2006.01) *A61K 31/415* (2006.01)
C07D 417/12 (2006.01) *A61K 31/426* (2006.01)
C07D 419/12 (2006.01) *A61P 25/00* (2006.01)
C07D 277/42 (2006.01)

(21) International Application Number:
PCT/EP2006/000090

(22) International Filing Date: 9 January 2006 (09.01.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
05100203.8 14 January 2005 (14.01.2005) EP

(71) Applicant *(for all designated States except US)*: **F.HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstr. 124, CH-4070 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BUETTELMANN, Bernd** [DE/DE]; Amselweg 10, 79650 Schopfheim (DE). **CECCARELLI, Simona, Maria.** [IT/CH]; Unterer Rheonweg 62, CH-4057 Basel (CH). **JAESCHKE, Georg** [DE/CH]; Eulerstrasse 82, CH-4051 Basel (CH). **KOLCZEWSKI, Sabine** [DE/DE]; Schillerstrasse 35, 79618 Rheinfelden (DE). **PORTER, Richard, Hugh, Philip** [GB/CH]; Herrenweg 34, CH-4153 Reinach (CH). **VIEIRA, Eric** [CH/CH]; Lindenstrasse 9, CH-4402 Frenkendorf (CH).

(74) Agent: **BRAUN, Axel**; Grenzacherstrasse 124, CH-4070 Basel (CH).

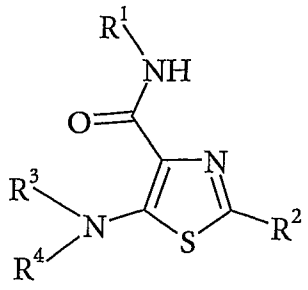
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, 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*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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:** THIAZOLE-4-CARBOXAMIDE DERIVATIVES AS MGLUR5 ANTAGONISTS



(I)

(57) **Abstract:** The present invention is concerned with novel thiazole 4-carboxyamide derivatives of the general formula (I) and with methods for the preparation thereof, which compounds are useful as metabotropic glutamate receptor antagonists: wherein R^1 to R^4 are as defined in the specification.

WO 2006/074884 A1