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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
14 May 2010 (14.05.2010)

PCT

(10) International Publication Number
WO 2010/052143 A1

(51) International Patent Classification:
C07D 405/10 (2006.01) *A61K 51/00* (2006.01)

(21) International Application Number:
PCT/EP2009/064033

(22) International Filing Date:
26 October 2009 (26.10.2009)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
08168229.6 4 November 2008 (04.11.2008) 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, Daniela** [CH/CH]; Kirchplatz 4, CH-4800 Zofingen (CH). **BORRONI, Edilio Maurizio** [IT/CH]; Vogesenstrasse 108, CH-4056 Basel (CH). **HARTUNG, Thomas** [DE/DE]; Schlossstrasse 15C, 79541 Loerrach (DE). **NORCROSS, Roger** [GB/CH]; Rheinfelderstrasse 2, 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, 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, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

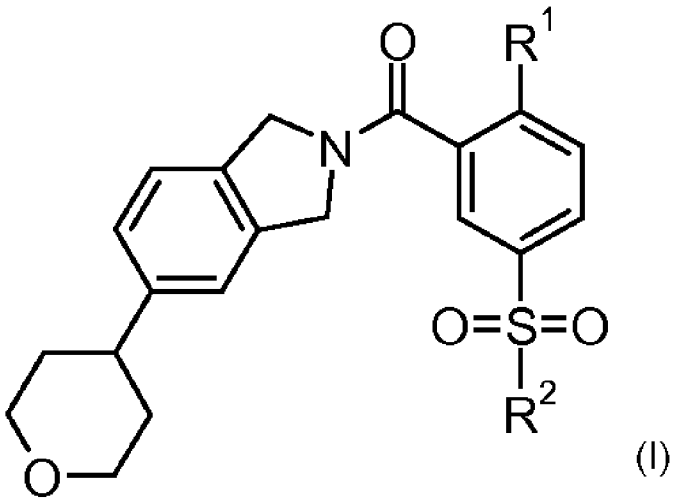
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS,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

WO 2010/052143 A1

(54) **Title**: RADIOLABELLED INHIBITORS OF THE GLYCINE 1 TRANSPORTER



(I)

(57) **Abstract**: The present invention relates to novel radiolabelled inhibitors of general formula (I) for the Glycine 1 transporter (GlyT1), useful for the labelling and diagnostic imaging of the glycine 1 transporter functionality. Wherein R^1 is isopropoxy or 2,2,2-trifluoro-1-methyl-ethoxy; and R^2 is a radiolabelled group CH_3, wherein the radionuclide is ^3H or ^{11}C. It has been found that the radiolabelled compounds of formula (I) may be used as PET (Positron Emission Tomography) radiotracer for the labelling and diagnostic molecular imaging of the glycine 1 transporter functionality.