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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
31 March 2011 (31.03.2011)

PCT

(10) International Publication Number
WO 2011/036127 A1

(51) International Patent Classification:
C07D 471/04 (2006.01) *A61K 31/437* (2006.01)
C07D 487/04 (2006.01)

(21) International Application Number:
PCT/EP2010/063830

(22) International Filing Date:
21 September 2010 (21.09.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
09171253.9 24 September 2009 (24.09.2009) 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)*: **ALBERATI, Daniela** [CH/CH]; Lindenberg 2a, CH-4058 Basel (CH). **ALVAREZ SÁNCHEZ, Rubén** [ES/FR]; 31c, rue des Champs, F-68128 Rosenau (FR). **BLEICHER, Konrad** [DE/DE]; Reichsgrafenstrasse 16, 79102 Freiburg (DE). **FLOHR, Alexander** [DE/DE]; Nachtigallenweg 9, 79540 Loerrach (DE). **GROEBKE ZBINDEN, Katrin** [CH/CH]; Laubibergstrasse 61, CH-4410 Liestal (CH). **KOERNER, Matthias** [DE/DE]; Kolpingstrasse 10, 79639 Grenzach-Wyhlen (DE). **KUHN, Bernd** [DE/CH]; Hinterlindenweg 26a, CH-4153 Reinach BL (CH). **PETERS, Jens-Uwe** [DE/DE]; Winkelmatten 8, 79639 Grenzach-Wyhlen (DE). **RUDOLPH, Markus** [DE/CH]; Loewenbergstrasse 24, CH-4059 Basel (CH).

(74) Agent: **SALUD, Carlos**; 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).

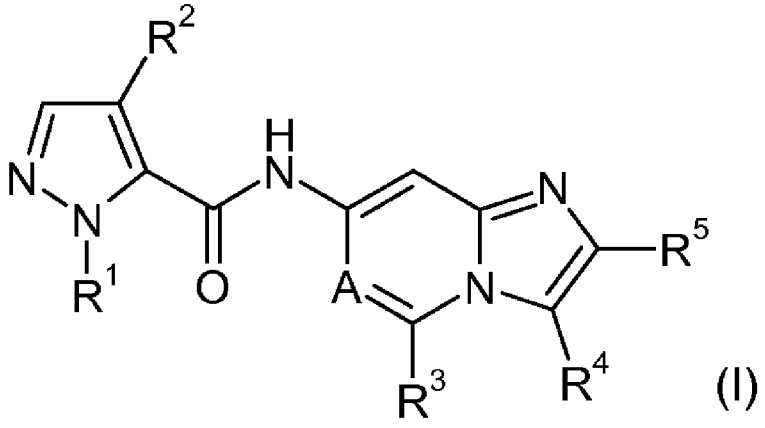
Published:

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

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

— *with sequence listing part of description (Rule 5.2(a))*

(54) Title: IMIDAZOPYRIDINE OR IMIDAZOPYRIMIDINE DERIVATIVES AS PHOSPHODIESTERASE 10A INHIBITORS



(I)

(57) Abstract: The invention is concerned with novel imidazopyridine derivatives of formula (I) wherein R^1, R^2, R^3, R^4, R^5 and A are as defined in the description and in the claims, as well as physiologically acceptable salts and esters thereof. These compounds inhibit PDE10A and can be used as medicaments.

WO 2011/036127 A1