



(51) International Patent Classification:

C07D 217/22 (2006.01) C07D 471/04 (2006.01)
C07D 401/04 (2006.01) C07D 491/113 (2006.01)
C07D 401/14 (2006.01) C07D 491/107 (2006.01)
C07D 405/14 (2006.01) A61K 31/4375 (2006.01)
C07D 413/14 (2006.01) A61K 31/4725 (2006.01)
C07D 417/14 (2006.01) A61P 9/12 (2006.01)

(21) International Application Number:

PCT/EP2012/073653

(22) International Filing Date:

27 November 2012 (27.11.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

PCT/CN2011/083229

30 November 2011 (30.11.2011)

CN

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

(72) Inventors; and

(71) Applicants (for US only): **AEBI, Johannes** [CH/CH]; Im Kugelfang 27, CH-4102 Binningen (CH). **AMREIN, Kurt** [CH/CH]; Lettenweg 8, CH-4452 Ittingen (CH). **CHEN, Wenming** [CN/CN]; Room 102, Building No. 23, Lane 393 Dongjing Road, Pudong, Shanghai 201208 (CN). **HORNSPERGER, Benoit** [FR/FR]; 9, rue des Sources, F-68130 Altkirch (FR). **KUHN, Bernd** [CH/CH]; Hinterlindenweg 26a, CH-4153 Reinach BL (CH). **LIU, Yongfu** [CN/CN]; Room 303, No. 5, Lane 652 Kongjiang Road,

Yangpu District, Shanghai 200093 (CN). **MAERKI, Hans P.** [CH/CH]; Seltisbergerstrasse 75, CH-4059 Basel (CH). **MAYWEG, Alexander V.** [DE/CN]; Unit 101, Block 6, 99 Dong Xiu Road, Pudong New District, Shanghai 200127 (CN). **MOHR, Peter** [CH/CH]; Benkenstrasse 26, CH-4054 Basel (CH). **TAN, Xuefei** [US/CN]; Room 101, No. 20, Lane 300 Jinxiu Road, Pudong, Shanghai 200135 (CN). **WANG, Zhanguo** [CN/CN]; Room 1507, No. 860, Qinzhou Road, Xuhui District, Shanghai 200233 (CN). **ZHOU, Mingwei** [CN/CN]; Room 1505, Lane 3046, Chuan Bei Road, Shanghai 101210 (CN).

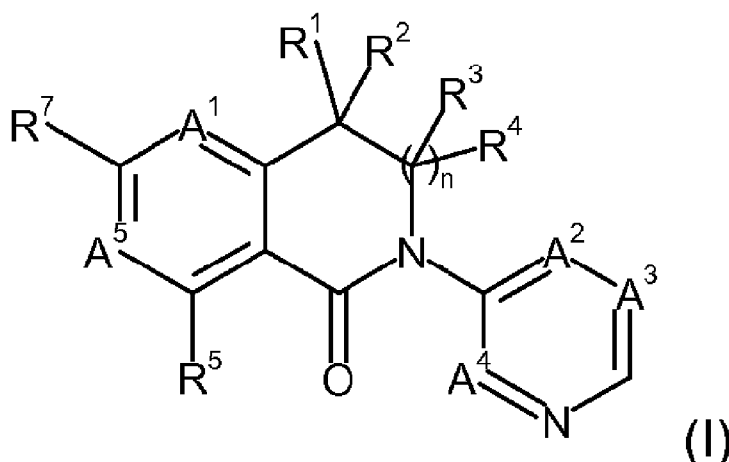
(74) Agent: **SALUD, Carlos**; Grenzacherstrasse 124, CH-4070 Basel (CH).

(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, BN, 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, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, 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, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, 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,

[Continued on next page]

(54) Title: NEW BICYCLIC DIHYDROISOQUINOLINE-1-ONE DERIVATIVES



(57) Abstract: The invention provides novel compounds having the general formula (I) wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , A^1 , A^2 , A^3 , A^4 , A^5 and n are as described herein, compositions including the compounds and methods of using the compounds as aldosterone synthase (CYP11B2 or CYP11B1) inhibitors for the treatment or prophylaxis of chronic kidney disease, congestive heart failure, hypertension, primary aldosteronism and Cushing syndrome.



MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, **Published:**
SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, — *with international search report (Art. 21(3))*
GW, ML, MR, NE, SN, TD, TG).