



(51) International Patent Classification:

C07D 207/34 (2006.01) **C07D 333/38** (2006.01)
C07D 213/30 (2006.01) **C07D 401/12** (2006.01)
C07D 213/61 (2006.01) **C07D 413/12** (2006.01)
C07D 213/64 (2006.01) **C07D 471/02** (2006.01)
C07D 215/14 (2006.01) **A61K 31/381** (2006.01)
C07D 217/16 (2006.01) **A61K 31/40** (2006.01)
C07D 241/12 (2006.01) **A61K 31/437** (2006.01)
C07D 241/42 (2006.01)

(21) International Application Number:

PCT/EP2010/053736

(22) International Filing Date:

23 March 2010 (23.03.2010)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

09156260.3 26 March 2009 (26.03.2009) 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): **HUNZIKER, Daniel** [CH/CH]; Buerklistrasse 14, CH-4313 Moehlin (CH). **LERNER, Christian** [DE/CH]; Zeigerweg 2, CH-4102 Binningen (CH). **MUELLER, Werner** [CH/CH]; Im Augarten 10, CH-4147 Aesch BL (CH). **OBST SANDER, Ulrike** [DE/CH]; Therwilerstrasse 41, CH-4153 Reinach BL (CH). **PFLIEGER, Philippe**

[FR/FR]; 1, rue du Vignoble, F-68130 Schwoben (FR). **WALDMEIER, Pius** [CH/CH]; Bachstrasse 10, CH-4317 Wegenstetten (CH).

(74) Agent: **KLOSTERMEYER-RAUBER, Doerte**; Grenzacherstrasse 124, CH-4070 Basel (CH).

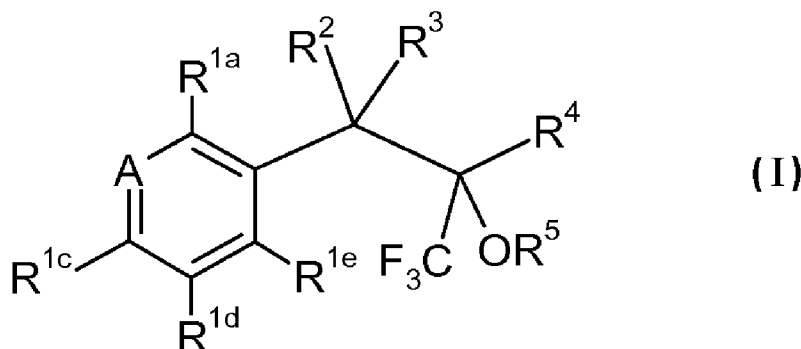
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, 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, 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))

(54) Title: 1,1,1-TRIFLUORO-2-HYDROXYPROPYL COMPOUNDS



(57) Abstract: The present invention relates to compounds of formula I wherein R^{1a} to R^{1e} and R² to R⁵ are as defined in the description and claims, and pharmaceutically acceptable salts thereof. The compounds are glucocorticoid receptor antagonists useful for the treatment and/or prevention of diseases such as diabetes, dyslipidemia, obesity, hypertension, cardiovascular diseases, adrenal imbalance or depression.