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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
29 October 2009 (29.10.2009)

PCT

(10) International Publication Number
WO 2009/130679 A1

(51) International Patent Classification:
C07D 235/14 (2006.01) *A61P 9/00* (2006.01)
A61K 31/4184 (2006.01)

(21) International Application Number:
PCT/IB2009/051668

(22) International Filing Date:
23 April 2009 (23.04.2009)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PCT/IB2008/051602 25 April 2008 (25.04.2008) IB

(71) Applicant *(for all designated States except US)*: **ACTELION PHARMACEUTICALS LTD** [CH/CH]; Gewerbestrasse 16, CH-4123 Allschwil (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **HUBLER, Francis** [FR/FR]; 16A, rue de Buschwiller, F-68220 Hégenheim (FR). **HILPERT, Kurt** [CH/CH]; Im Wygärtli 22, CH-4114 Hofstetten (CH). **RENNEBERG, Dorte** [DE/CH]; Blotzheimerstrasse 28A, CH-4055 Basel (CH).

(74) Agent: **GSCHWEND, Thomas**; c/o Actelion Pharmaceuticals Ltd., Legal Department, Gewerbestrasse 16, CH-4123 Allschwil (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, 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, 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.

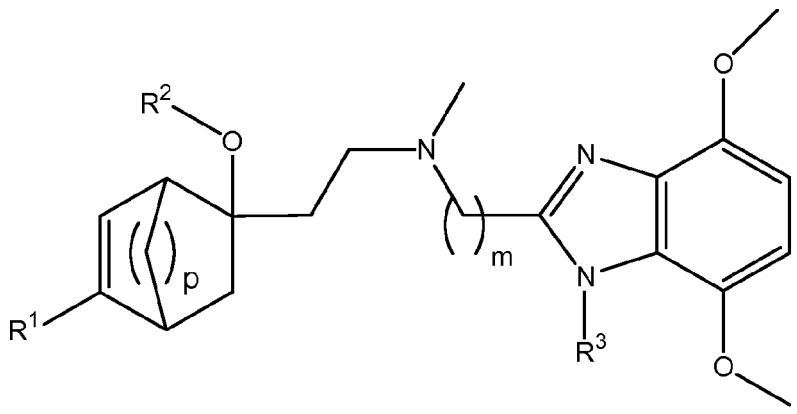
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, 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 2009/130679 A1

(54) Title: BENZIMIDAZOLE DERIVATIVES AS CALCIUM CHANNEL BLOCKERS



(I)

(57) Abstract: The invention relates to compounds of formula (I) wherein R^1 represents aryl, which is unsubstituted, or mono-, di-, or tri-substituted wherein the substituents are independently selected from the group consisting of (C_{1-4}alkyl, (C_{1-4})alkoxy, halogen, and trifluoromethyl; R^2 represents hydrogen, or -CO-R^{21}; R^{21} represents (C_{1-5})alkyl, (C_{1-3})fluoroalkyl, or (C_{3-6})cycloalkyl; m represents the integer 2, or 3; p represents the integer 2 or 3; and R^3 represents hydrogen, or (C_{1-5})alkyl; and pharmaceutically acceptable salts of such compounds. These compounds are useful as calcium channel blockers.