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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
30 June 2011 (30.06.2011)

PCT

(10) International Publication Number
WO 2011/079119 A1

(51) International Patent Classification:
A61K 9/14 (2006.01) *A61P 25/16* (2006.01)
A61K 9/16 (2006.01) *A61P 25/18* (2006.01)
A61K 9/20 (2006.01) *A61P 25/24* (2006.01)
A61K 31/517 (2006.01) *A61P 25/28* (2006.01)
A61P 25/08 (2006.01)

(21) International Application Number:
PCT/US2010/061553

(22) International Filing Date:
21 December 2010 (21.12.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/288,985 22 December 2009 (22.12.2009) US

(71) Applicant *(for all designated States except US)*: **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **ZIELINSKI, Joseph Lawrence** [US/US]; Novartis, One Health Plaza, East Hanover, New Jersey 07936 (US). **VRETTOS, John** [US/US]; Novartis, One Health Plaza, East Hanover, New Jersey 07936 (US). **JI, Qin** [US/US]; Novartis, One Health Plaza, East Hanover, New Jersey 07936 (US). **PATEL, Subash** [US/US]; Novartis, One Health Plaza, East Hanover, New Jersey 07936 (US).

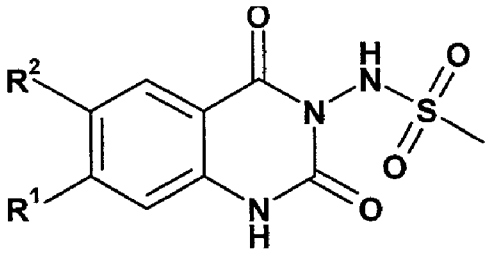
(74) Agent: **MULKEEN, Matthew**; Novartis, Patent Department, One Health Plaza, Bldg. 101, East Hanover, New Jersey 07936 (US).

(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, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: FORMULATION COMPRISING 1 H - QUINAZOLINE - 2, 4 - DIONE AMPA RECEPTOR ANTAGONISTS, IN THE FORM OF IMMEDIATE RELEASE TABLETS AND PREPARATION THEREOF



(I)

(57) Abstract: The present invention provides a tablet comprising a hydroxypropylcellulose and an active ingredient selected from compounds of Formula (I) and salts thereof: wherein R^1 represents CF_3, CHF_2, CH_2F, CH_3CHF-, CH_3CF_2-, ethyl or isopropyl and R^2 represents alkyl substituted by one or more substituents, the substituents being selected from the group consisting of halogen, nitro, cyano, acyl, hydroxy, oxo (=0), alkoxy, cycloalkoxy, acyloxy, alkoxycarbonyloxy, amino, alkylamino, dialkylamino, formyl, acylamino, alkoxycarbonylamino or R^2 represents heterocyclylalkyl substituted by one or more substituents, the substituents being selected from the group consisting of halogen, nitro, cyano, hydroxy, alkoxy, alkylcarbonyloxy, alkoxycarbonyloxy, amino, alkylamino, dialkylamino, alkoxycarbonylamino, or R^2 represents phenyl substituted by one or more substituents, the substituents being selected from the group consisting of cyano, hydroxy, alkanediyl, alkenediyl, alkoxy, hydroxyalkyl, formyl, alkylcarbonyl, alkoxycarbonyl, alkylcarbonyloxy, alkoxycarbonyloxy, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoxycarbonylamino, or R^2 represents heterocyclyl optionally substituted by one or more substituents, the substituents being selected from the group consisting of halogen, hydroxy, amino, nitro, cyano, alkyl, hydroxalkyl, alkoxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, acyl, alkoxy, acyloxy, alkoxycarbonyloxy, amino, alkylamino, dialkylamino, acylamino, alkoxycarbonylamino and whereby the heterocycle is bound to the phenyl ring by a carbon-atom. The invention also provides a process for preparing the above tablet.

WO 2011/079119 A1

Published:

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