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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
31 May 2012 (31.05.2012)

WIPO | PCT

(10) International Publication Number
WO 2012/069146 A1

(51) International Patent Classification:
C07D 403/12 (2006.01)

(21) International Application Number:
PCT/EP2011/005691

(22) International Filing Date:
11 November 2011 (11.11.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/417,131 24 November 2010 (24.11.2010) US

(71) Applicant *(for all designated States except US)*: **MERCK PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **HUCK, Bayard, R.** [US/US]; 50 Woodmere Drive, Sudbury, MA 01776 (US). **JONES, Reinaldo** [US/US]; 31 Highland Ave., Lowell, MA 01851 (US). **XIAO, Yufang** [US/US]; 11 Ames Avenue, Lexington, MA 02421 (US). **NEAGU, Constantin** [RO/US]; 15 Marlboro Street Apt# 3, Belmont, MA 02478 (US). **BANKSTON, Donald** [US/US]; 95 Phillips Rd, Pembroke, MA 02359 (US). **GOUTOPOULOS, Andreas** [GR/US]; 73 Worcester St., Apt 5, Boston, MA 02118 (US).

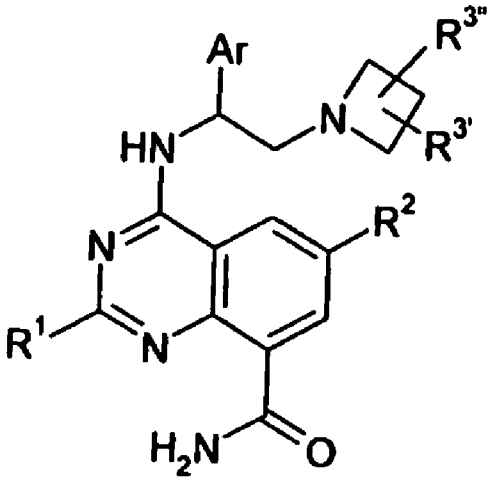
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,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

[Continued on next page]

(54) Title: QUINAZOLINE CARBOXAMIDE AZETIDINES

(57) Abstract: The invention provides novel quinazoline carboxamide azetidine compounds according to Formula (I) and use for the treatment of hyperproliferative diseases, such as cancer.



(I)

WO 2012/069146 A1

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).

Published:

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