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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
21 March 2013 (21.03.2013)

WIPO | PCT

(10) International Publication Number
WO 2013/040044 A1

(51) International Patent Classification:
C07D 239/48 (2006.01) *C07D 405/14* (2006.01)
C07D 401/04 (2006.01) *C07D 413/04* (2006.01)
C07D 401/12 (2006.01) *C07D 413/14* (2006.01)
C07D 401/14 (2006.01) *C07D 417/14* (2006.01)
C07D 403/04 (2006.01) *A61K 31/506* (2006.01)
C07D 403/12 (2006.01) *A61P 35/00* (2006.01)

(21) International Application Number:
PCT/US2012/054877

(22) International Filing Date:
12 September 2012 (12.09.2012)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/533,606 12 September 2011 (12.09.2011) 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)*: **LAN, Rouxi** [CA/US]; 204 Clocktower Drive, Unit 6309, Waltham, Massachusetts 02452 (US). **HUCK, Bayard R.** [US/US]; 50 Woodmere Drive, Subury, Massachusetts 01776 (US). **CHEN, Xiaoling** [CA/US]; 250 Hammond Pond Pkwy, U906S, Chestnut Hill, Massachusetts 02467 (US). **DESELM, Lizbeth Celeste** [US/US]; 33A South High Street, Melrose, Massachusetts 02176 (US). **XIAO, Yufang** [US/US]; 11 Ames Avenue, Lexington, Massachusetts 02421 (US). **QIU, Hui** [CN/US]; 20 Robinwood Road, Acton, Massachusetts 01720 (US). **NEAGU, Constantin** [RO/US]; 15 Marlboro Street, Apt# 3, Belmont, Massachusetts 02478 (US). **MOCHALKIN, Igor** [RU/US]; 25 Bedford Street, Burlington, Massachusetts 01803 (US). **JOHNSON, Theresa L.** [US/US]; 28 English Street, Salem, Massachusetts 01970 (US).

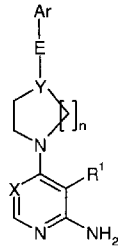
(74) Agent: **BROWN, Thomas, W.**; EMD Serono Research Institute, One Technology Place, Rockland, Massachusetts 02370 (US).

(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, 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, 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))*
— *with sequence listing part of description (Rule 5.2(a))*

(54) Title: AMINOPYRIMIDINE DERIVATIVES FOR USE AS MODULATORS OF KINASE ACTIVITY



(I)

(57) Abstract: The invention provides novel heterocyclic amine compounds accord¬ ing to Formula (I) and their manufacture and use for the treatment of hyperproliferative diseases, such as cancer.

WO 2013/040044 A1