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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
7 January 2010 (07.01.2010)

PCT

(10) International Publication Number
WO 2010/002779 A2

(51) International Patent Classification:
C07D 471/04 (2006.01) *A61P 35/00* (2006.01)
A61K 31/436 (2006.01)

(21) International Application Number:
PCT/US2009/049035

(22) International Filing Date:
29 June 2009 (29.06.2009)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/134,018 3 July 2008 (03.07.2008) US

(71) Applicant *(for all designated States except US)*: **MERCK SERONO S.A.** [CH/CH]; Centre Industriel, CH-1267 Coinsins, Vaud (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **XIAO, Yufang** [CA/US]; 29 Parish Path, Marshfield, Massachusetts 02050 (US). **CHEN, Xiaoling** [US/US]; 268 Grove Street, Apt. 7, Newton, Massachusetts 02466 (US). **KARRA, Srinivasa R.** [IN/US]; 58 Four Winds Drive, Pembroke, Massachusetts 02359 (US). **HUCK, Bayard R.** [US/US]; 50 Woodmere Drive, Sudbury, Massachusetts 01776 (US). **SUTTON, Amanda E.** [US/US]; 4 Triphammer Road, Hingham, Massachusetts 02043 (US). **GOUTOPOULOS, Andreas** [GR/US]; 146 Marlborough Str, Apt 3, Boston, Massachusetts 02116 (US).

(74) Agent: **SEEMANN, Joachim**; 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, 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, 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:

— *without international search report and to be republished upon receipt of that report (Rule 48.2(g))*

WO 2010/002779 A2

(54) **Title**: NAPHTHYRIDININONES AS AURORA KINASE INHIBITORS

(57) **Abstract**: Naphthyridinone derivative compounds that inhibit Aurora kinase enzymes are disclosed along with pharmaceutical compositions comprising these compounds and methods for synthesizing the same. Such compounds have utility in the treatment of proliferative diseases resulting from unregulated and/or disturbed Aurora kinases such as cancers, psoriasis, viral and bacterial infections, inflammatory and autoimmune diseases.