20020683 85
13/11/02

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
3 January 2002 (03.01.2002)

PCT

(10) International Publication Number
WO 02/00649 A1

(51) International Patent Classification[7]: C07D 403/12, 409/12, 413/12, A61K 31/505, A61P 35/00

(21) International Application Number: PCT/SE01/01450

(22) International Filing Date: 21 June 2001 (21.06.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
00401842.0 28 June 2000 (28.06.2000) EP

(71) Applicant *(for all designated States except US)*: **ASTRAZENECA AB** [SE/SE]; S-151 85 Södertälje (SE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **MORTLOCK, Andrew** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). **JUNG, Frederic** [FR/FR]; AstraZeneca Pharma, Parc Industriel Pompelle, Chemin de Vrilly, BP 1050, F-51689 Reims Cedex 2 (FR).

(74) Agent: **GLOBAL INTELLECTUAL PROPERTY ASTRAZENCA AB**; Global Intellectual Property, S-151 85 Södertälje (SE).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

**Declaration under Rule 4.17:**
— *of inventorship (Rule 4.17(iv)) for US only*

**Published:**
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

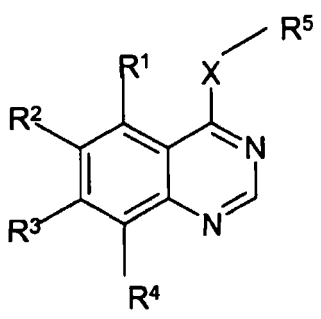
*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*



*162162*

(54) Title: SUBSTITUTED QUINAZOLINE DERIVATIVES AND THEIR USE AS INHIBITORS

WO 02/00649 A1



(I)

(57) Abstract: The use of a compound of formula (I) or a salt, ester or amide thereof; where X is O, or S, S(O) or $S(O)_2$, or $NR^6$ where $R^6$ is hydrogen or $C_{1-6}$alkyl; $R^5$ is an optionally substituted 5-membered heteroaromatic ring, $R^1$, $R^2$, $R^3$, $R^4$ are independently selected from various specified moieties, in the preparation of a medicament for use in the inhibition of aurora 2 kinase. Certain compounds are novel and these, together with pharmaceutical compositions containing them are also described and claimed.



*ACTION*