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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
20 April 2006 (20.04.2006)

PCT

(10) International Publication Number
WO 2006/040050 A1

(51) International Patent Classification:
C07D 417/06 (2006.01) *A61K 31/517* (2006.01)
A61K 31/427 (2006.01) *A61P 35/00* (2006.01)

(21) International Application Number:
PCT/EP2005/010703

(22) International Filing Date: 5 October 2005 (05.10.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/618,612 14 October 2004 (14.10.2004) US
60/681,079 13 May 2005 (13.05.2005) US

(71) Applicant *(for all designated States except US)*: **F.HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstr. 124, CH-4070 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **CHEN, Li** [CN/CN]; 1 Longdong Avenue, Shanghai 201203 (CN). **CHEN, Shaoqing** [US/US]; 52 Whitehead Road, Bridgewater, NJ 08807 (US). **LIU, Jin-Jun** [US/US]; 50 Highmount Avenue, Warren, NJ 07059 (US).

(74) Agent: **KLEIN, Thomas**; Grenzacherstrasse 124, CH-4070 Basel (CH).

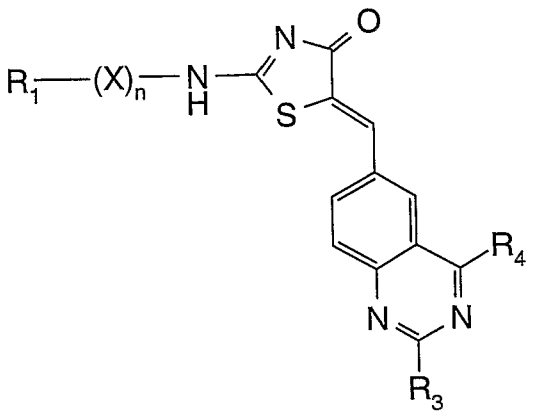
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, 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, HU, IE, IS, IT, LT, LU, LV, MC, NL, 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:
— *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.

(54) Title: QUINAZOLINYLMETHYLENE THIAZOLINONES AS CDK1 INHIBITORS



(I)

(57) Abstract: The present invention discloses Quinazoline thiazolinone derivatives of the formula (I), wherein R_1, R_3, R_4, X and n have the meanings as defined herein before, which demonstrate CDK1 antiproliferative activity and are useful as anti-cancer agents.

WO 2006/040050 A1