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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
21 May 2004 (21.05.2004)

PCT

(10) International Publication Number
WO 2004/041822 A1

(51) International Patent Classification[7]: C07D 487/04, A61K 31/519, A61P 35/00 // (C07D 487/04, 239:00, 239:00)

(21) International Application Number: PCT/EP2003/011896

(22) International Filing Date: 27 October 2003 (27.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/423,670 4 November 2002 (04.11.2002) US

(71) Applicant: F. HOFFMANN-LA ROCHE AG [CH/CH]; 124 Grenzacharstrasse, CH-4070 Basle (CH).

(72) Inventors: DERMATAKIS, Apostolos; 923 Edison Glen Terrace, Edison, NJ 08837 (US). KABAT, Marek, Michal; 87 Alexander Avenue, Nutley, NJ 07110 (US). LUK, Kin-Chun; 66 Evergreen Drive, North Caldwell, NJ 07006 (US). ROSSMAN, Pamela, Loreen; 82 Oakley Terrace, Nutley, NJ 07110 (US). SO, Sung-Sau; 6 Wilmington Drive, Nutley, NJ 07110 (US).

(74) Agent: WITTE, Hubert; 124 Grenzacharstrasse, CH-4070 Basle (CH).

(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, EG, 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, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW.

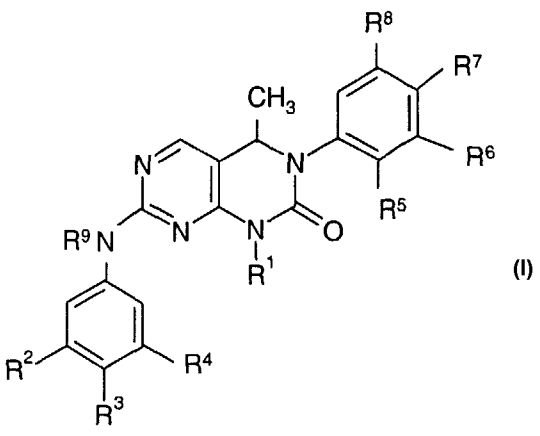
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF,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

Published:
— with international search report

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.

WO 2004/041822 A1

(54) Title: PYRIMIDO[4,5-D]PYRIMIDINE DERIVATIVES WITH ANTICANCER ACTIVITY



(I)

(57) Abstract: Disclosed are novel pyrimido compounds of the formula (I) wherein R^1 to R^9 are as defined in the specification, that are selective inhibitors of both KDR an FGFR kinases and are selective against LCK. These compounds and their pharmaceutically acceptable salts are anti-proliferative agents useful in the treatment or control of solid tumors, in particular breast, colon, lung and prostate tumors. Also disclosed are pharmaceutical compositions containing these compounds and the use for 10 treating cancer.