

(19) World Intellectual Property  
Organization  
International Bureau



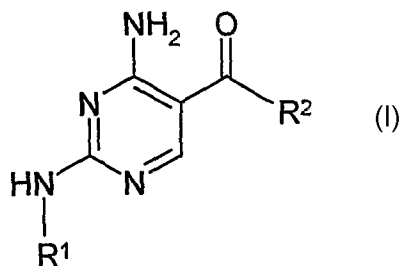
(43) International Publication Date  
19 August 2004 (19.08.2004)

PCT

(10) International Publication Number  
**WO 2004/069139 A2**

- (51) International Patent Classification<sup>7</sup>: **A61K**
- (21) International Application Number:  
PCT/EP2004/000971
- (22) International Filing Date: 3 February 2004 (03.02.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
60/446,273 10 February 2003 (10.02.2003) US  
60/514,926 28 October 2003 (28.10.2003) US
- (71) Applicant: **F.HOFFMANN-LA ROCHE AG** [CH/CH];  
Grenzacherstrasse 124, CH-4070 Basel (CH).
- (72) Inventors: **BARTKOVITZ, David, Joseph**; 21 Pover-  
shon Road, Nutley, NH 07110 (US). **CHU, Xin-jie**; 21  
Riker Hill Road, Livingston, NJ 07039 (US). **DING,  
Qingjie**; 23 Sterling Drive, Bridgewater, NJ 08807 (US).  
**JIANG, Nan**; 11 Henning Drive, Fairfield, NJ 07004  
(US). **LOVEY, Allen, John**; 6 Hamilton Drive South,  
North Caldwell, NJ 07006 (US). **MOLITERNI, John,  
Anthony**; 9 Peter Avenue, Staten Island, NY 10306 (US).  
**MULLIN, John, Guilfoyle, Jr.**; 519 Goffle Hill Road,  
Hawthorne, NJ 07512 (US). **VU, Binh, Thanh**; 1 Willow  
Lane, North Caldwell, NJ 07006 (US). **WOVKULICH,  
Peter, Michael**; 124 Rhoda Avenue, Nutley, NJ 07110  
(US).
- (74) Agent: **WITTE, Hubert**; 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, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, 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, 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, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-  
pean (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 (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*
- For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.*

(54) Title: 4-AMINOPYRIMIDINE-5-ONE



(57) Abstract: Novel 4-aminopyrimidine-5-one derivatives of the formula (I) wherein R<sup>1</sup> and R<sup>2</sup> are as defined in the specification, are disclosed. These compounds inhibit cyclin-dependent kinases, in particular cyclin-dependent kinase 4 (Cdk4). These compounds and their pharmaceutically acceptable salts and esters have antiproliferative activity and are useful in the treatment or control of cancer, in particular solid tumors. This invention is also directed to pharmaceutical compositions containing such compounds, their use for treating or controlling cancer, to a process of their preparation and to intermediates useful in their preparation.



WO 2004/069139 A2