

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
9 December 2004 (09.12.2004)

PCT

(10) International Publication Number
WO 2004/106492 A3

(51) International Patent Classification⁷: **C07D 487/04**,
498/04, 513/04, A61K 31/519, A61P 35/00

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.

(21) International Application Number:
PCT/US2004/015972

(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, IT, LU, 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).

(22) International Filing Date: 21 May 2004 (21.05.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/472,880 22 May 2003 (22.05.2003) US

(71) Applicant (for all designated States except US): **BRIS-
TOL-MYERS SQUIBB COMPANY** [US/US]; Route
206 and Province Line Road, Princeton, New Jersey
08543-4000 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KIM, Kyoung, S.**
[US/US]; 252 Forest Lane, North Brunswick, New Jersey
08902 (US). **LU, Songfeng** [US/US]; 75 Vones Lane, Rar-
itan, New Jersey 08869 (US). **SHENG, Christopher, X.**
[US/US]; 722 Marlin Avenue, Apt 3, Foster City, CA 94404
(US). **CREWS, Alvin, Donald, Jr.** [US/US]; 41 Brook-
stone Drive, Voorhees, NJ 08043 (US).

(74) Agents: **GIBBONS, Maureen** et al.; Bristol-My-
ers Squibb Company, P.O. Box 4000, Princeton, NJ
08543-4000 (US).

(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,

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted
a patent (Rule 4.17(ii)) for the following designations 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, UZ, VC, VN, YU, ZA, ZM,
ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA,
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, PL, PT, RO, SE, SI, SK, TR), OAPI patent
(BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for all designations

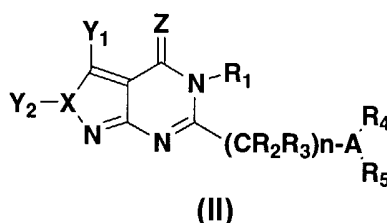
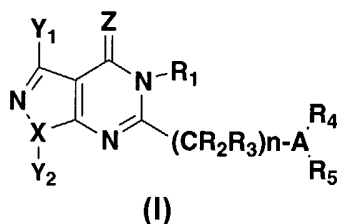
Published:

- with international search report

(88) Date of publication of the international search report:
19 May 2005

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: BICYCLICPYRIMIDONES AND THEIR USE TO TREAT DISEASES



(57) Abstract: The present invention is directed to dihydropyrimidones having formula (I) or (II) and methods of using them to induce mitotic arrest, thereby making them useful as anti-cancer agents and other diseases that can be treated by inducing mitotic arrest.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/15972¹

A. CLASSIFICATION OF SUBJECT MATTER IPC(7) : C07D 487/04, 498/04, 513/04; A61K 31/519; A61P 35/00 US CL : 514/260.1, 262.1; 544/255, 256 According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) U.S. : 514/260.1, 262.1; 544/255, 256 Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CASONLINE		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P --- A,P	WO 2003/049527 A2 (Merck & Co., Inc., USA) 19 June 2003 (19.06.2003) the entire document, especially claims 11-13 and 17	1-10 and 13-19 ----- 11 and 12
☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.		
* Special categories of cited documents:		
"A" document defining the general state of the art which is not considered to be of particular relevance	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention	
"E" earlier application or patent published on or after the international filing date	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone	
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art	
"O" document referring to an oral disclosure, use, exhibition or other means	"&" document member of the same patent family	
"P" document published prior to the international filing date but later than the priority date claimed		
Date of the actual completion of the international search	Date of mailing of the international search report	
30 September 2004 (30.09.2004)	15 FEB 2005	
Name and mailing address of the ISA/US	Authorized officer	
Mail Stop PCT, Attn: ISA/US Commissioner for Patents P.O. Box 1450 Alexandria, Virginia 22313-1450	Thomas C. McKenzie, Ph.D.	
Facsimile No. (703) 305-3230	Telephone No. (703) 308-1235	