

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
4 May 2006 (04.05.2006)

PCT

(10) International Publication Number  
**WO 2006/047631 A3**

(51) International Patent Classification:  
A61K 31/4196 (2006.01) C07D 249/08 (2006.01)

(74) Agent: KLOSEK, Sarah; Synnestvedt, Lechner & Wood-bridge LLP, P.O. Box 592, Princeton, NJ 08542 (US).

(21) International Application Number:  
PCT/US2005/038655

(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.

(22) International Filing Date: 25 October 2005 (25.10.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/621,722 25 October 2004 (25.10.2004) US  
60/666,944 31 March 2005 (31.03.2005) US

(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).

(71) Applicant (for all designated States except US): UNIVERSITY OF MEDICINE AND DENTISTRY OF NEW JERSEY [US/US]; Office Of Patents And Licensing, Liberty plaza, Suite 3200, 335 George Street, New Brunswick, NJ 08901 (US).

**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

(72) Inventors; and  
(75) Inventors/Applicants (for US only): WELSH, William, J. [US/US]; 66 Maybury Hill Road, Princeton, NJ 08540 (US). PENG, Youyi [CN/US]; 900 Davidson Road, Apt. 87, Piscataway, NJ 08854 (US). WANG, Xin [—/US]. KEENAN, Susan, M. [US/US]; 4 Arthur Glick Blvd., Franklin Park, NJ 08823 (US). ZHANG, Quiang [CN/US]; 900 Davidson Road, Apt. 87, Piscataway, NJ 08854 (US). ARORA, Sonia [IN/US]; 1450 Oak Tree Drive, Apt. C, North Brunswick, NJ 08902 (US).

(88) Date of publication of the international search report:  
3 August 2006

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: ANTI-MITOTIC ANTI-PROLIFERATIVE COMPOUNDS

(57) Abstract: Substituted triazole compounds and pharmaceutical compositions thereof are presented. Also presented are methods for treating a pathology linked to a hyperproliferative disorder by administering the substituted triazole compounds to a patient in need thereof.



WO 2006/047631 A3

**INTERNATIONAL SEARCH REPORT**

International application No.

PCT/US05/38655

<p><b>A. CLASSIFICATION OF SUBJECT MATTER</b>                  IPC: <b>A61K 31/4196( 2006.01);C07D 249/08( 2006.01)</b></p> <p>USPC: 514/383;548/265.8                  According to International Patent Classification (IPC) or to both national classification and IPC</p>														
<p><b>B. FIELDS SEARCHED</b></p> <p>Minimum documentation searched (classification system followed by classification symbols)                  U.S. : 514/383; 548/265.8</p> <p>Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched</p> <p>Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)</p>														
<p><b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b></p> <table border="1"> <thead> <tr> <th>Category *</th> <th>Citation of document, with indication, where appropriate, of the relevant passages</th> <th>Relevant to claim No.</th> </tr> </thead> <tbody> <tr> <td>Y</td> <td>EP 0155486 A1 (SOMORAI et al) 07 February 1985 (07.02.1985), page 2, lines 17-21.</td> <td>12</td> </tr> <tr> <td>Y</td> <td>ASKER, W. et al. Action of Organomagnesium Compounds, Piperidine, and Aromatic Thiols on 4-Arylazo-2-phenyloxazolin-5-ones. J. Org. Chem., June 1960, Vol. 26, pages 1440-1443, especially page 1441.</td> <td>12</td> </tr> <tr> <td>Y</td> <td>SZILAGYI, G. et al. Preparation and antiarthritic activity of new 1,5-diaryl-3-alkylthio-1H-1,2,4-triazoles and corresponding sulfoxides and sulfones. Eur. Jour. Med. Chem., March 1990, Vol. 25, Iss. 2, pages 95-101, especially page 95.</td> <td>12</td> </tr> </tbody> </table>			Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.	Y	EP 0155486 A1 (SOMORAI et al) 07 February 1985 (07.02.1985), page 2, lines 17-21.	12	Y	ASKER, W. et al. Action of Organomagnesium Compounds, Piperidine, and Aromatic Thiols on 4-Arylazo-2-phenyloxazolin-5-ones. J. Org. Chem., June 1960, Vol. 26, pages 1440-1443, especially page 1441.	12	Y	SZILAGYI, G. et al. Preparation and antiarthritic activity of new 1,5-diaryl-3-alkylthio-1H-1,2,4-triazoles and corresponding sulfoxides and sulfones. Eur. Jour. Med. Chem., March 1990, Vol. 25, Iss. 2, pages 95-101, especially page 95.	12
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.												
Y	EP 0155486 A1 (SOMORAI et al) 07 February 1985 (07.02.1985), page 2, lines 17-21.	12												
Y	ASKER, W. et al. Action of Organomagnesium Compounds, Piperidine, and Aromatic Thiols on 4-Arylazo-2-phenyloxazolin-5-ones. J. Org. Chem., June 1960, Vol. 26, pages 1440-1443, especially page 1441.	12												
Y	SZILAGYI, G. et al. Preparation and antiarthritic activity of new 1,5-diaryl-3-alkylthio-1H-1,2,4-triazoles and corresponding sulfoxides and sulfones. Eur. Jour. Med. Chem., March 1990, Vol. 25, Iss. 2, pages 95-101, especially page 95.	12												
<p><input type="checkbox"/> Further documents are listed in the continuation of Box C.      <input type="checkbox"/> See patent family annex.</p>														
<p>* Special categories of cited documents:</p> <table border="0"> <tr> <td>"A" document defining the general state of the art which is not considered to be of particular relevance</td> <td>"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</td> </tr> <tr> <td>"E" earlier application or patent published on or after the international filing date</td> <td>"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</td> </tr> <tr> <td>"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)</td> <td>"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</td> </tr> <tr> <td>"O" document referring to an oral disclosure, use, exhibition or other means</td> <td>"&amp;" document member of the same patent family</td> </tr> <tr> <td>"P" document published prior to the international filing date but later than the priority date claimed</td> <td></td> </tr> </table>			"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			
"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														
<p>Date of the actual completion of the international search                  24 April 2006 (24.04.2006)</p>		<p>Date of mailing of the international search report                  09 JUN 2006</p>												
<p>Name and mailing address of the ISA/US                  Mail Stop PCT, Attn: ISA/US                  Commissioner for Patents                  P.O. Box 1450                  Alexandria, Virginia 22313-1450                  Facsimile No. (571) 273-3201</p>		<p>Authorized officer  <i>Valerie Bell-Harris</i>                  Susannah Chung                  Telephone No. 571-272-1600</p>												

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US05/38655

## Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
  
2.  Claims Nos.: 1-11 and 13-25  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:  
Please See Continuation Sheet
  
3.  Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1.  As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
  2.  As all searchable claims could be searched without effort justifying additional fees, this Authority did not invite payment of any additional fees.
  3.  As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
  
  4.  No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
- Remark on Protest**
- The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
  - The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
  - No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US05/38655

**Continuation of Box II Reason 2:**

The numerous variables, e.g., Het, Z1, Z2, Z3, Ar1, Ar2, Ra, and their voluminous, complex meanings and their virtual incomprehensible permutations and combinations make it impossible to determine the full scope and complete meaning of the claimed subject matter. As presented, the claimed subject matter cannot be regarded as being a clear and concise description for which protection is sought and as such the listed claims do not comply with the requirements of PCT Article 6. Thus it is impossible to form a meaningful written opinion on these claims. A written opinion will be provided for the first discernable invention, which is Claim 12, limited to compounds containing the same core.