

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
19 March 2009 (19.03.2009)

PCT

(10) International Publication Number
WO 2009/036059 A3

(51) International Patent Classification:
A61K 31/505 (2006.01) A61K 38/17 (2006.01)
A61K 31/55 (2006.01)

(74) Agents: BAKER, Hollie L. et al.; Wilmer Cutler Pickering
Hale And Dorr LLP, 60 State Street, Boston, MA 02109
(US).

(21) International Application Number:
PCT/US2008/075848

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

(22) International Filing Date:
10 September 2008 (10.09.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/971,144 10 September 2007 (10.09.2007) US
61/013,372 13 December 2007 (13.12.2007) US

(71) Applicant (for all designated States except US): BOSTON
BIOMEDICAL, INC. [US/US]; 333 Providence High-
way, Norwood, MA 02062 (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, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL,
NO, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG,
CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (for US only): JIANG, Zhiwei
[US/US]; 27 Kettle Plain Road, Stow, MA 01775 (US).
LI, Chiang, Jia [CN/US]; Unit 1606, 8 Museum Way,
Cambridge, MA 02141 (US). LI, Wei [US/US]; 18 Oak
Street, Wayland, MA 01778 (US). LEGGETT, David
[US/US]; 5 Lincoln Street, Milton, MA 02186 (US).

[Continued on next page]

(54) Title: NOVEL STAT3 PATHWAY INHIBITORS AND CANCER STEM CELL INHIBITORS

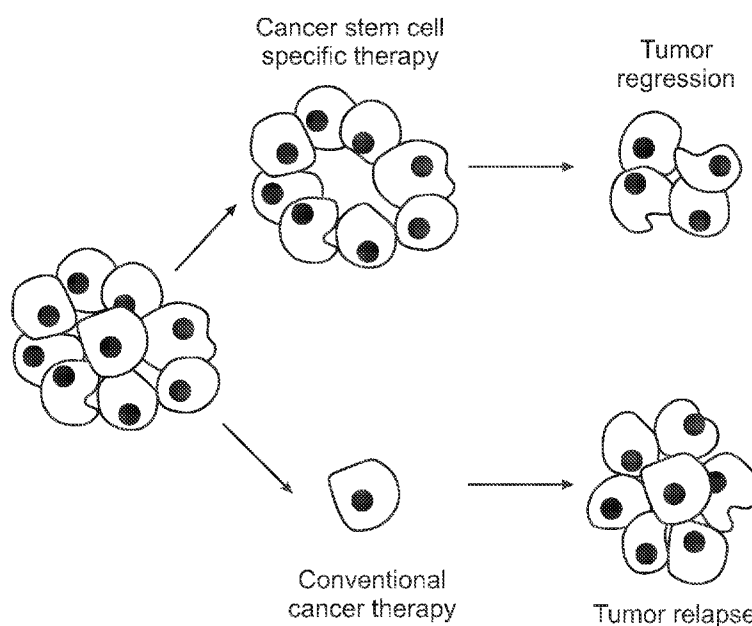


FIG. 2

(57) Abstract: The present invention relates to a novel naphtho class of compounds as Stat3 pathway inhibitors and as cancer stem cell inhibitors; to methods of using such compounds to treat cancer; to methods of using such compounds to treat disorders in a mammal related to aberrant Stat3 pathway activity; to pharmaceutical compositions containing such compounds.

WO 2009/036059 A3



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*

(88) Date of publication of the international search report:
2 July 2009

A. CLASSIFICATION OF SUBJECT MATTER*A61K 31/505(2006.01)i, A61K 31/55(2006.01)i, A61K 38/17(2006.01)i*

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)

IPC 8 as above

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)

eKIPASS(KIPO internal) , PubMed & keyword: naphtho class of compound, Stat3 pathway, cancer

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X Y	US 6,337,346, B1(LEE et al.) 8 January 2002 See the claim7, column 1, line 15 - column 1, line18, column 9, line 6 - column 9, line 11	1, 3, 5, 10, 14, 15, 32 2, 4, 6, 8, 9, 11-13
X Y	SERGIO R. PERAZA-SNCHEZ et al., "Cytotoxic Constituents of the Roots of Ekmanianthe longiflora", Journal of natural products, 2000, Vol. 63, pp.492-495 See the page 492, right-hand column	1, 3, 7, 10, 14, 15, 32 2, 4, 6, 8, 9, 11-13
A	US 6,828,337 B2(BELLONI et al) 7 December 2004 See the claim 4, 12, 13 and column3, line 46 - column3, line 56	1-15, 32

 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

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"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

"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

"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

"&" document member of the same patent family

Date of the actual completion of the international search

14 MAY 2009 (14.05.2009)

Date of mailing of the international search report

14 MAY 2009 (14.05.2009)

Name and mailing address of the ISA/KR

Korean Intellectual Property Office
Government Complex-Daejeon, 139 Seonsa-ro, Seo-gu, Daejeon 302-701, Republic of Korea

Facsimile No. 82-42-472-7140

Authorized officer

CHO, Hyun Kyung

Telephone No. 82-42-481-5629



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.: 16-31, 33-50
because they relate to subject matter not required to be searched by this Authority, namely:
Claim 16-31, 33-50 pertain to methods for treatment of the human or animal body by therapy, as well as diagnostic method, and thus relate to a subject matter which this International Searching Authority is not required, under Article 17(2)(a)(i) of the PCT and Rule 39.1(iv) of the Regulations under the PCT, to search.
2. Claims Nos.:
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:
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 an additional fee, this Authority did not invite payment of any additional fee.
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

Information on patent family members

International application No.

PCT/US2008/075848

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 6337346 B1	08.01.2002	AU 1999-45488 A1	20.12.1999
		AU 4548899 A	20.12.1999
		CN 1150197 C	19.05.2004
		CN 1322206 A	14.11.2001
		DE 69913697 D1	29.01.2004
		EP 1093456 A2	25.04.2001
		EP 1093456 B1	17.12.2003
		HK 1041482 A1	11.03.2005
		JP 2002-517397	18.06.2002
		TW 238165 B	21.08.2005
		TW 238165 A	21.08.2005
		US 6174913 B1	16.01.2001
		US 6337346 B1	08.01.2002
		WO 99-62909 A2	09.12.1999
		WO 99-62909 A3	02.03.2000
		WO 99-62909 A9	27.04.2000
US 6828337 B2	07.12.2004	AT 279386 T	15.10.2004
		AU 2000-64373 A1	19.02.2001
		AU 2000-64373 B2	19.02.2001
		AU 6437300 A	19.02.2001
		AU 772551 B2	29.04.2004
		BR 0012951 A	30.04.2002
		CA 2386793 A1	08.02.2001
		CA 2386793 C	28.03.2006
		CA 2386793 C	08.02.2001
		CN 1166618 C	15.09.2004
		CN 1368946 A	11.09.2002
		DE 60014928 D1	18.11.2004
		DE 60014928 T2	09.03.2006
		EP 1206436 A2	22.05.2002
		EP 1206436 B1	13.10.2004
		ES 2228587 T3	16.04.2005
		JP 2003-526626 T	09.09.2003
		JP 3961827 B2	22.08.2007
		KR 10-2002-0030089	22.04.2002
		PA 02000828 A	30.07.2002
		PT 1206436 T	31.12.2004
		TR 200200263 T2	21.12.2004
		US 2003-0176726 A1	18.09.2003
		US 2005-0113447 A1	26.05.2005
		US 6528677 B1	04.03.2003
		US 6828337 B2	07.12.2004
WO 01-09076 A2	08.02.2001		
ZA 200200516 A	22.04.2003		