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- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))

(88) Date of publication of the international search report:

15 December 2011

(54) Title: COMPOSITIONS AND METHODS FOR INHIBITING EZH2

(57) Abstract: The present invention relates to therapeutic targets for cancer. In particular, the present invention relates to small molecules and nucleic acids that target EZH2 expression in cancer (e.g., prostate cancer, breast cancer, other solid tumors, multiple myeloma).



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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2011/024297**A. CLASSIFICATION OF SUBJECT MATTER***A61K 31/426(2006.01)i, A61K 31/517(2006.01)i, A61K 31/343(2006.01)i, A61K 31/4152(2006.01)i, C12N 5/09(2010.01)i, A61P 35/00(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)

A61K 31/426; A61K 31/517; A61K 31/343; A61K 31/4152; C12N 5/09; A61P 35/00

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)

eKOMPASS (KIPO internal), NCBI (PubMed, MeSH)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category* | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|-----------|---|-----------------------|
| X | US 2009-0012031 A1 (ARUL M. CHINNAIYAN et al.) 08 January 2009 See paragraph [0166]; tables 1-2; claims 1-9; abstract. | 9-10 |
| X | EP 2003213 A2 (THE REGENTS OF THE UNIVERSITY OF MICHIGAN) 17 December 2008 See paragraph [0031]; claims 6-20; abstract. | 9 |
| X | US 2009-0306201 A1 (DANNY REINBERG et al.) 10 December 2009 See claims 17-19; abstract. | 9 |
| X | JING TAN et al., 'Pharmacologic disruption of Polycomb-repressive complex 2-mediated gene repression selectively induces apoptosis in cancer cells', Genes & Development, 2007, Vol. 21, No. 9, pp. 1050-1063, ISSN 0890-9369 See p. 1051; figure 1; abstract. | 9 |
| A | JULIAN PUPPE et al., 'BRCA1-deficient mammary tumor cells are dependent on EZH2 expression and sensitive to Polycomb Repressive Complex 2-inhibitor 3-deazaneplanocin A', Breast Cancer Research, 2009, Vol. 11, NO. 4, R63 (pp. 1-12), ISSN 1465-5411 See the whole document. | 9-10 |

 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

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Name and mailing address of the ISA/KR

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US2011/024297**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.: 1-8
because they relate to subject matter not required to be searched by this Authority, namely:
The claims pertain to methods for treatment of the human body by therapy, 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/US2011/024297

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
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| US 2009-0012031 A1 | 08.01.2009 | US 2010-0222420 A1 WO 2009-006577 A2 WO 2009-006577 A3 | 02.09.2010 08.01.2009 26.02.2009 |
| EP 2003213 A2 | 17.12.2008 | AU 2002-355852 B2 CA 2456184 A1 EP 1511855 A2 JP 04-251357 B2 JP 2005-518522 A NZ 530954 A US 2003-0175736 A1 US 2005-0136493 A1 US 2006-0211017 A1 US 2008-0222741 A1 US 2010-0297657 A1 US 7229774 B2 US 7332290 B2 US 7767393 B2 WO 2003-012067 A2 WO 2006-012646 A2 | 18.01.2007 13.02.2003 09.03.2005 08.04.2009 23.06.2005 30.04.2008 18.09.2003 23.06.2005 21.09.2006 11.09.2008 25.11.2010 12.06.2007 19.02.2008 03.08.2010 13.02.2003 02.02.2006 |
| US 2009-0306201 A1 | 10.12.2009 | WO 2007-149782 A2 WO 2007-149782 A3 | 27.12.2007 02.05.2008 |