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- (71) **Applicant (for all designated States except US):** THE REGENTS OF THE UNIVERSITY OF MICHIGAN [US/US]; 3003 S. State St., Ann Arbor, MI 48109 (US).
- (72) **Inventors; and**
- (75) **Inventors/Applicants (for US only):** CHINNAIYAN, Arul, M. [US/US]; 51300 Plymouth Valley Drive, Plymouth, MI 48170 (US). LNU, Sooryanarayana [IN/US]; 1053 Island Drive Ct. Apt. #101, Ann Arbor, MI 48105 (US). CAO, Qi [CN/US]; 2450 Laurelwood Circle, Ann Arbor, MI 48108 (US).
- (74) **Agent:** ARENSON, Tanya, A.; Casimir Jones, S.c., 440 Science Drive, Suite 203, Madison, WI 53711 (US).
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(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 prostate cancer.

A. CLASSIFICATION OF SUBJECT MATTER*A61K 45/00(2006.01)i, A61K 31/52(2006.01)i, A61K 31/7072(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 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)

e-KIPASS(kipo internal), PubMed, STN(CAplus)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	KANAZAWA M. et al., Isoliquiritigenin Inhibits the Growth of Prostate Cancer , European Urology, May 2003, Vol. 43, No. 5, pp. 580-586 see the abstract and Fig. 1	1-7
Y	VARAMBALLY S. et al., The polycomb group protein EZH2 is involved in progression of prostate cancer, Nature, 10 Oct. 2002, Vol. 419, No. 6907, pp. 624-629 see the abstract	1-7
Y	MAGGIOLINI M. et al., Estrogenic and antiproliferative activities of isoliquiritigenin in MCF7 breast cancer cells, The Journal of Steroid Biochemistry and Molecular Biology, Nov. 2002, Vol. 82, No. 4-5, pp. 315-322 see the abstract and Fig. 6	1-6, 8
Y	KLEER C.G. et al., EZH2 is a marker of aggressive breast cancer and promotes neoplastic transformation of breast epithelial cells, Proceedings of the National Academy of Sciences of the United States of America, 30 Sep. 2003, Vol. 100, No. 20, pp. 11606-11611 see the abstract, Figs. 2 and 3	1-6, 8
Y	RAMAN J.D. et al., Increased expression of the polycomb group gene, EZH2, in transitional cell carcinoma of the bladder, Clin. Cancer Res., 15 Dec. 2005, Vol. 11, No. 24, pp. 8570-8576 see the abstract	1-6, 8

 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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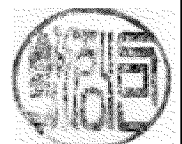
Korean Intellectual Property Office
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Authorized officer

Park, Jung Min

Telephone No. 82-42-481-8291



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.: 9-16
because they relate to subject matter not required to be searched by this Authority, namely:
Claims 9-16 pertain to methods for treatment of the human or animal body by therapy, as well as diagnostic methods, and thus relate to a subject matter which this International Searching Authority is not required to search under Article 17(2)(a)(i) of the PCT and Rule 39.1(iv) of the Regulations under the PCT.
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.