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(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, BN, BR, BW, BY, BZ, CA, CH, CL, 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, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

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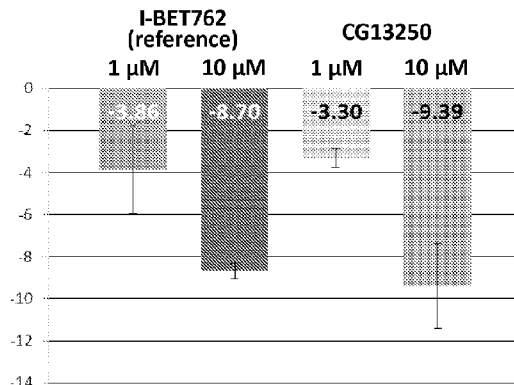
Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

[Continued on next page]

(54) Title: METHODS AND COMPOSITIONS FOR INHIBITION OF BROMODOMAIN AND EXTRATERMINAL PROTEINS

Figure 1: Suppression of MYC gene expression by bromodomain inhibitors. MYC gene expression was measured in MV4-11 AML cell line with real-time quantitative PCR following one-hour exposure to I-BET762, a reference bromodomain inhibitor, and to CG13250, a bromodomain inhibitor of the present invention, at indicated concentrations. Dose-dependent suppression of MYC gene was observed with both bromodomain inhibitors.



(57) Abstract: The present invention relates to compounds that bind to and otherwise modulate the activity of bromodomain-containing proteins, to processes for preparing these compounds, to pharmaceutical compositions containing these compounds, and to methods of using these compounds for treating a wide variety of conditions and disorders.

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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))*

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2015/060494**A. CLASSIFICATION OF SUBJECT MATTER****C07D 413/04(2006.01)i, C07D 413/14(2006.01)i, C07D 401/04(2006.01)i, C07D 215/227(2006.01)i, C07D 215/40(2006.01)i, A61K 31/4725(2006.01)i, A61K 31/422(2006.01)i, A61P 35/00(2006.01)i, A61P 25/28(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)

C07D 413/04; A61K 31/5517; A61K 31/4738; C07D 413/14; C07D 401/04; C07D 215/227; C07D 215/40; A61K 31/4725; A61K 31/422; A61P 35/00; A61P 25/28

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Korean utility models and applications for utility models
Japanese utility models and applications for utility models

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

eKOMPASS(KIPO internal), STN (Registry, Caplus, Marpat), Google & Keywords: inhibit, protein, histone, cancer, tumor, leukemia, carcinoma, myeloma, lymphoma, glioblastoma, neuroblastoma, sarcoma, HIV

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	TIWARI, ABHISHEK et al., "Synthesis of new pyrazolidine 3,5 dione derivatives of potential analgesic, antipyretic and anti-inflammatory activities", Middle-East Journal of Scientific Research, 2013, Vol. 17, No. 7, pp. 926-93 See abstract; page 927; and Fig. 1.	1-3,7,8
X	WO 2014-159837 A1 (CONVERGENE LLC) 2 October 2014 See abstract; page 1, lines 5-10, 30-35; page 2, lines 23-24; page 24, lines 25-35; page 25, lines 20-25; page 30, lines 25-31; pages 47-59; page 81, lines 5-20; page 84, lines 1-25; page 86, lines 1-25; claim 1; and Table 4.	1-16,22-27
X	UKRAINETZ, I. V. et al., "4-HYDROXY-2-QUINOLONES. 192.* RELATIONSHIP OF STRUCTURE AND ANALGESIC ACTIVITY OF 4-AMINO-2-OXO-1,2-DIHYDROQUINOLINE-3-CARBOXYLIC ACIDS AND THEIR DERIVATIVES", Chemistry of Heterocyclic Compounds, February 2011, Vol. 46, No. 11, pp.1371-1379 (Russian Original Vol. 46, No. 1, November 2010) See abstract; and page 1372.	10-13

 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 another 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

30 June 2016 (30.06.2016)

Date of mailing of the international search report

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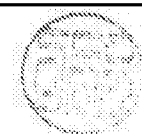
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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US2015/060494**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.: 17-21, 28
because they relate to subject matter not required to be searched by this Authority, namely:
Claims 17-21 and 28 pertain to methods for treatment of the human body by surgery or therapy, and thus relate to a subject matter which this International Searching Authority is not required to search (PCT Article 17(2)(a)(i) and PCT Rule 39.1(iv))
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 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/US2015/060494

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	UKRAINETS, I. V. et al., "4-HYDROXYQUINOL-2-ONES. 87*. UNUSUAL SYNTHESIS OF 1-R-4-HYDROXY-2-OXO-1,2-DIHYDROQUINOLINE-3-CARBOXYLIC ACID PYRIDYLAMIDES ", Chemistry of Heterocyclic Compounds, 2005, Vol. 41, No. 9, pp. 1158-1166 See abstract; and page 1159.	10-13
X	Chemical Abstract compound, STN express. See RN 1136445-44-7 (Entered STN: 19 Apr. 2009).	10-13
X	FARHANULLAH et al., "Design and synthesis of quinolinones as methionyl-tRNA synthetase inhibitors" , Bioorganic & Medicinal Chemistry, 2006, Vol. 14, pp.7154-7159 See abstract; and page 7157.	10, 12, 13
X	Chemical Abstract compounds, STN express. See RN 792868-63-4 (Entered STN: 06 Dec. 2004) and RN 765232-57-3 (Entered STN: 18 Oct. 2004).	10, 12, 13

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2015/060494

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2014-159837 A1	02/10/2014	AU 2015-244426 A1 CA 2905451 A1 CN 105407894 A EP 2968360 A1 KR 10-2015-0135359 A US 2016-0024096 A1	22/10/2015 02/10/2014 16/03/2016 20/01/2016 02/12/2015 28/01/2016