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(54) Title: ANTIBODY DRUG CONJUGATES HAVING LINKERS COMPRISING HYDROPHILIC GROUPS

(57) Abstract: Provided herein are linkers, linker-drug groups and antibody-drug conjugates comprising hydrophilic groups.

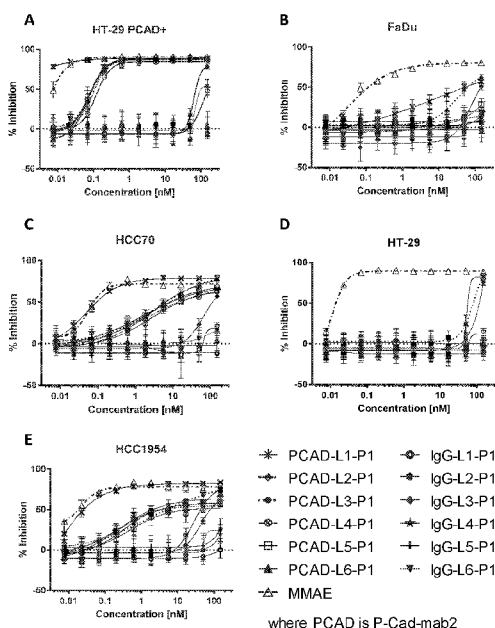


FIGURE 1



(84) **Designated States** (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

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))*

Published:

- *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:**

14 January 2021 (14.01.2021)

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2020/033648

A. CLASSIFICATION OF SUBJECT MATTER

INV. A61K47/68 A61K47/60 A61K47/65 A61P35/00 A61K31/00
C07K16/28

ADD.

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 A61P C07K

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)

EPO-Internal, WPI Data, BIOSIS, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y A	WO 2014/100762 A1 (BIOLLIANCE C V [NL]; ABGENOMICS INTERNAT INC [US]) 26 June 2014 (2014-06-26) paragraphs [0008], [0053]; claims 1-49	1-9, 23-33, 47,48 10-22, 34-46
Y A	----- WO 2018/086239 A1 (SHANGHAI INST MATERIA MEDICA CAS [CN]) 17 May 2018 (2018-05-17) paragraphs [0023], [0167] - [0169]; claims 1-11	1-9, 23-33, 47,48 10-22, 34-46
Y A	----- WO 2018/098269 A2 (MERSANA THERAPEUTICS INC [US]) 31 May 2018 (2018-05-31) paragraph [0023]; claims 1-56	1-9, 23-33, 47,48 10-22, 34-46



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

14 October 2020

Date of mailing of the international search report

17/12/2020

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2020/033648

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2014100762	A1	26-06-2014	AR 094280 A1 22-07-2015
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			WO 2018098269 A2 31-05-2018

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 10-22, 34-46(completely); 1-9, 23-33, 47, 48(partially)

Compounds and conjugates of formula (II), wherein R2 is selected from polyethylene glycol or polyalkylene glycol;

2. claims: 1-9, 23-33, 47, 48(all partially)

Compounds and conjugates of formula (II), wherein R2 is selected from a sugar or an oligosaccharide;

3. claims: 1-9, 23-33, 47, 48(all partially)

Compounds and conjugates of formula (II), wherein R2 is selected from a polysarcosine or a polypeptide

4. claims: 1-9, 23-33, 47, 48(all partially)

Compounds and conjugates of formula (II), wherein R2 is selected from a substituted C2 to C6 alkyl as recited in dependent claim 5.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box II.2

Claims Nos.: 1, 2, 4-9, 23-25, 27-33, 47(all partially)

The reasons for which no meaningful search is possible are specified in the annexed provisional opinion accompanying the partial search results.

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guidelines C-IV, 7.2), should the problems which led to the Article 17(2) PCT declaration be overcome.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2020/033648

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, 2, 4-9, 23-25, 27-33, 47(all partially)
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:
see FURTHER INFORMATION sheet PCT/ISA/210
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:

see additional sheet

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 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.:
10-22, 34-46(completely); 1-9, 23-33, 47, 48(partially)

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.