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(81) Designated States (unless otherwise indicated, for every kind of national protection available):

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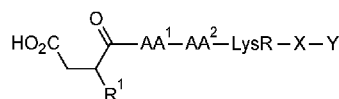
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(54) Title: GLP-1 RECEPTOR ANTAGONISTS



(1)

(57) Abstract: The disclosures herein relate to novel compounds of formula (1): and salts thereof, wherein R¹, AA¹, AA², LysR, X and Y are defined herein, and their use in treating, preventing, ameliorating, controlling or reducing the risk of disorders associated with Glucagon-like peptide-1 (GLP-1) receptors.



INTERNATIONAL SEARCH REPORT

International application No
PCT/GB2019/052915

A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K38/26 C07K14/00 C07K14/605
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 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)

EP0-Internal, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	STEFFEN RUNGE ET AL: "Differential Structural Properties of GLP-1 and Exendin-4 Determine Their Relative Affinity for the GLP-1 Receptor N-Terminal Extracellular Domain +", BIOCHEMISTRY, vol. 46, no. 19, 1 May 2007 (2007-05-01), pages 5830-5840, XP055138608, ISSN: 0006-2960, DOI: 10.1021/bi062309m Abstract; Fig. 1; Tab. 1 ----- -/-	1-25



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

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20/11/2020

Name and mailing address of the ISA/

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INTERNATIONAL SEARCH REPORT

International application No
PCT/GB2019/052915

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	KIMURA HIROYUKI ET AL: "Evaluation of 18F-labeled exendin(9-39) derivatives targeting glucagon-like peptide-1 receptor for pancreatic [beta]-cell imaging", BIOORGANIC & MEDICINAL CHEMISTRY : A TETRAHEDRON PUBLICATION FOR THE RAPID DISSEMINATION OF FULL ORIGINAL RESEARCH PAPERS AND CRITICAL REVIEWS ON BIOMOLECULAR CHEMISTRY, MEDICINAL CHEMISTRY AND RELATED DISCIPLINES, ELSEVIER, NL, vol. 26, no. 2, 5 December 2017 (2017-12-05), pages 463-469, XP085324175, ISSN: 0968-0896, DOI: 10.1016/J.BMC.2017.12.007 p. 465; Table 1 -----	1-25
A	EP 2 335 739 A1 (UNIV KYOTO [JP]; ARKRAY INC [JP]) 22 June 2011 (2011-06-22) par. 14; seq. 2 -----	1-25
A	CHAHRAZAD MONTROSE-RAFIZADEH ET AL: "High Potency Antagonists of the Pancreatic Glucagon-like Peptide-1 Receptor", JOURNAL OF BIOLOGICAL CHEMISTRY,, vol. 272, no. 34, 22 August 1997 (1997-08-22), pages 21201-21206, XP002247450, ISSN: 0021-9258, DOI: 10.1074/JBC.272.34.21201 Abstract; Fig. 3 -----	1-25
X	EP 2 474 326 A1 (UNIV KYOTO [JP]; ARKRAY INC [JP]) 11 July 2012 (2012-07-11)	1
A	Example 1; par. 155 -----	2-25

INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB2019/052915

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.:
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:

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.:

1-25(partially)
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.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

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

1. claims: 1-25(partially)

A compound according to Eq. (1) of claim 1, wherein R1=H.

2. claims: 1-25(partially)

A compound according to Eq. (1) of claim 1, wherein R1=NH₂.

3. claims: 1-25(partially)

A compound according to Eq. (1) of claim 1, wherein R1=NHR₂ with R₂ not being H.

4. claims: 1-25(partially)

A compound according to Eq. (1) of claim 1, wherein R1=CHR₂.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/GB2019/052915

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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			EP 2335739 A1 22-06-2011
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