

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
7 July 2011 (07.07.2011)

PCT

(10) International Publication Number
WO 2011/080102 A3

(51) International Patent Classification:

C07K 14/605 (2006.01) *C07D 233/64* (2006.01)
A61K 47/48 (2006.01) *A61K 38/26* (2006.01)

(21) International Application Number:

PCT/EP2010/069931

(22) International Filing Date:

16 December 2010 (16.12.2010)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

09179390.1 16 December 2009 (16.12.2009) EP
61/288,601 21 December 2009 (21.12.2009) US

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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, 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, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, 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.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, 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, ML, MR, NE, SN, TD, TG).

Published:

- with international search report (Art. 21(3))
- with sequence listing part of description (Rule 5.2(a))

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

9 September 2011

(54) Title: GLP-1 ANALOGUES AND DERIVATIVES

(57) Abstract: The invention relates to a GLP-1 analogue which comprises a histidine (H) residue at a position corresponding to position 31 of GLP-1(7-37) (SEQ ID NO: 1), a glutamine (Q) residue at a position corresponding to position 34 of GLP-1 (7-37) (SEQ ID NO: 1), and a maximum of ten amino acid modifications as compared to GLP-1 (7-37) (SEQ ID NO: 1); wherein the H residue is designated H³¹, and the Q residue is designated Q³⁴, or a pharmaceutically acceptable salt, amide, or ester thereof. The invention also relates to derivatives thereof, as well as the pharmaceutical use of these analogues and derivatives, for example in the treatment and/or prevention of all forms of diabetes and related diseases. The invention furthermore relates to corresponding novel side chain intermediates. The derivatives are suitable for oral administration.



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INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2010/069931

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.:

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.:

see additional sheet(s)

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/EP2010/069931

A. CLASSIFICATION OF SUBJECT MATTER INV. C07K14/605 A61K47/48 C07D233/64 A61K38/26 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) 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 practical, search terms used) EPO-Internal, BIOSIS, CHEM ABS Data, EMBASE, WPI Data		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00/16797 A2 (LILLY CO ELI [US]; EFENDIC SUAD [SE]) 30 March 2000 (2000-03-30) page 7, lines 11-18 -----	1-8, 10-13
Y	WO 2006/096515 A2 (BIOREXIS PHARMACEUTICAL CORP [US]; SADEGHI HOMAYOUN [US]; TURNER ANDRE) 14 September 2006 (2006-09-14) claim 16 -----	1-8, 10-13
A	WO 2009/030771 A1 (NOVO NORDISK AS [DK]; SPETZLER JANE [DK]; SCHAEFFER LAUGE [DK]; LAU JE) 12 March 2009 (2009-03-12) claims 11, 16; examples 68, 69, 71 -----	1-8, 10-13
Y	US 5 545 618 A (BUCKLEY DOUGLAS I [US] ET AL) 13 August 1996 (1996-08-13) column 2, line 52 - column 3, line 15; claim 2 -----	1-8, 10-13
<input type="checkbox"/> Further documents are listed in the continuation of Box C. <input checked="" type="checkbox"/> 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 document 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 25 March 2011		Date of mailing of the international search report 20/06/2011
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016		Authorized officer Huber, Angelika

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No PCT/EP2010/069931

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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			US 2010261637 A1 14-10-2010
US 5545618	A	13-08-1996	NONE

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

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

1. claims: 1-8, 10-13

A GLP-1 analogue comprising a histidine residue at a position corresponding to position 31 of GLP-1(7-37) and a glutamine residue at a position corresponding to position 34 of GLP-1(7-37) and a maximum of 10 amino acid modification as compared to GLP-1(7-37), derivative of said analogue, said analogue or derivative for use as a medicament, for use in the treatment and/or prevention of diabetes and related disorders.

2. claim: 9(partially)

An intermediate product selected from Chem. 67

3. claim: 9(partially)

An intermediate product selected from Chem. 68.
