

Request Detail -----

Attachment: No

RUSH SERVICE REQUESTED

Case/Application number: 14987791

Effective filing date that you are trying to beat:

Provide the concepts or limitations you want searched. Include synonyms and define acronyms (if any):

Please search the compound in formula as depicted in claim 1.

In addition, could you please search just the sequence without the conjugation in STN and as a text search? I have submitted an ABSS search for the sequence. Possible points of novelty in the sequence include the Aib at positions 2 and 13, and the fragment KIAQKAXVQ at positions 16-24. It would be helpful to have a search focusing on these positions combined with GLP as a text term, as well as a search in registry.

In addition to performing the structure search on the compound, could you also please search GLP as a text term in combination with a structure search for just the modification? I am interested in other GLPs having the ([2-(2-Amino-ethoxy)-ethoxy]-acetyl)2-(γGlu)a-CO-(CH₂)b-CO₂H conjugation, at any position, not just the lysine at position 20.

FILE 'REGISTRY' ENTERED AT 15:22:37 ON 11 JUL 2016
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 COPYRIGHT (C) 2016 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
 provided by InfoChem.

STRUCTURE FILE UPDATES: 10 JUL 2016 HIGHEST RN 1949018-78-3
 DICTIONARY FILE UPDATES: 10 JUL 2016 HIGHEST RN 1949018-78-3

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy>

TSCA INFORMATION NOW CURRENT THROUGH APRIL 29, 2016

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of
 experimental property data in the original document. For information
 on property searching in REGISTRY, refer to:

<http://www.cas.org/training/stn/database-specific>

Query 1 – seq.

L1 (244)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON LDKIAQ/SQSP
 L2 (10909)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON GTFTSDYS/SQSP
 L3 7 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L1 (L) L2

L3 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2016 ACS on STN
 RN 1485792-92-4 REGISTRY
 CN Peptide, (Xaa-Glu-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Ile-Ala-Leu-Asp-Lys-
 Ile-Ala-Gln-Arg-Ala-Phe-Val-Asn-Trp-Leu-Val-Ala-Xaa) (CA INDEX NAME)

OTHER NAMES:

CN 3: PN: WO2013164483 SEQID: 5 unclaimed sequence
 CI MAN
 SQL 28

SEQ 1 XEGTFTSDYS IALDKIAQRA FVNWL VAX

=====

HITS AT: 3-10, 13-18

REFERENCE 1: 159:737832

L3 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2016 ACS on STN
 RN 1482491-88-2 REGISTRY
 CN L-Lysinamide, L-tyrosyl-2-methylalanyl-L- α -glutamylglycyl-L-
 threonyl-L-phenylalanyl-L-threonyl-L-seryl-L- α -aspartyl-L-
 tyrosyl-L-seryl-L-isoleucyl-L-alanyl-L-leucyl-L- α -aspartyl-L-
 lysyl-L-isoleucyl-L-alanyl-L-glutamyl-L-arginyl-L-alanyl-L-
 phenylalanyl-L-valyl-L-asparaginyL-L-tryptophyl-L-leucyl-L-valyl-L-
 alanyl-2-methylalanyl- (CA INDEX NAME)

OTHER NAMES:

CN 3: PN: WO2013164483 SEQID: 3 claimed protein
 SQL 30

SEQ 1 YXEGTFTSDY SIALDKIAQR AFVNWLVA XK

===== = =====

HITS AT: 4-11, 14-19

REFERENCE 1: 159:737832

L3 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2016 ACS on STN

RN 1338013-60-7 REGISTRY

CN Peptide, (Tyr-Xaa-Glu-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Ile-Xaa-Leu-Asp-Lys-Ile-Ala-Gln-Arg-Ala-Phe-Val-Gln-Trp-Leu-Ile-Ala-Xaa-Lys-Gly-Lys-Lys-Gln-Glu-Trp-Lys-His-Gln-Ile-Thr-Gln) (CA INDEX NAME)

OTHER NAMES:

CN 5: PN: WO2011119657 SEQID: 5 claimed protein

CI MAN

SQL 42

SEQ 1 YXEGTFTSDY SIXLDKIAQR AFVQWLIAXK GKKQEWKHQI TQ

===== = =====

HITS AT: 4-11, 14-19

REFERENCE 1: 155:502417

L3 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2016 ACS on STN

RN 1338013-59-4 REGISTRY

CN Peptide, (Tyr-Xaa-Glu-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Ile-Xaa-Leu-Asp-Lys-Ile-Ala-Gln-Arg-Ala-Xaa-Val-Gln-Trp-Leu-Ile-Ala-Xaa-Lys-Gly-Lys-Lys-Gln-Glu-Trp-Lys-His-Gln-Ile-Thr-Gln) (CA INDEX NAME)

OTHER NAMES:

CN 4: PN: WO2011119657 SEQID: 4 claimed protein

CI MAN

SQL 42

SEQ 1 YXEGTFTSDY SIXLDKIAQR AXVQWLIAXK GKKQEWKHQI TQ

===== = =====

HITS AT: 4-11, 14-19

REFERENCE 1: 155:502417

L3 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2016 ACS on STN

RN 1338013-58-3 REGISTRY

CN Peptide, (Tyr-Xaa-Glu-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Ile-Xaa-Leu-Asp-Lys-Ile-Ala-Gln-Arg-Ala-Xaa-Val-Gln-Trp-Leu-Ile-Ala-Xaa-Lys-Gly-Lys-Lys-Gln-Glu-Trp-Lys-His-Gln-Ile-Thr-Gln-Cys-Cys) (CA INDEX NAME)

OTHER NAMES:

CN 3: PN: WO2011119657 SEQID: 3 claimed protein

CI MAN

SQL 44

SEQ 1 YXEGTFTSDY SIXLDKIAQR AXVQWLIAXK GKKQEWKHQI TQCC

===== = =====

HITS AT: 4-11, 14-19

REFERENCE 1: 155:502417

L3 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2016 ACS on STN

RN 1338013-57-2 REGISTRY
 CN Peptide, (Tyr-Xaa-Glu-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Ile-Xaa-Leu-Asp-Lys-Ile-Ala-Gln-Arg-Ala-Phe-Val-Gln-Trp-Leu-Ile-Ala-Xaa-Lys-Gly-Lys-Lys-Gln-Glu-Trp-Lys-His-Gln-Ile-Thr-Gln-Cys-Cys) (CA INDEX NAME)

OTHER NAMES:

CN 2: PN: WO2011119657 SEQID: 2 claimed protein
 CI MAN
 SQL 44

SEQ 1 YXEGTFTSDY SIXLDKIAQR AFVQWLIAXK GKKQEWKHQI TQCC

===== = =====

HITS AT: 4-11, 14-19

REFERENCE 1: 155:502417

L3 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2016 ACS on STN

RN 1338013-56-1 REGISTRY

CN Peptide, (Tyr-Xaa-Glu-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Ile-Xaa-Leu-Asp-Lys-Ile-Ala-Gln-Arg-Ala-Xaa-Val-Gln-Trp-Leu-Ile-Ala-Xaa-Lys-Gly-Lys-Lys-Gln-Glu-Trp-Lys-His-Gln-Ile-Thr-Gln-Xaa-Xaa) (CA INDEX NAME)

OTHER NAMES:

CN 1: PN: WO2011119657 SEQID: 1 claimed protein
 CI MAN
 SQL 44

SEQ 1 YXEGTFTSDY SIXLDKIAQR AXVQWLIAXK GKKQEWKHQI TQXX

===== = =====

HITS AT: 4-11, 14-19

REFERENCE 1: 155:502417

FILE 'HCAPLUS' ENTERED AT 15:22:38 ON 11 JUL 2016

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 11 Jul 2016 VOL 165 ISS 4

FILE LAST UPDATED: 10 Jul 2016 (20160710/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2015

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2015

HCAplus includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2016.

HCAplus now includes the comprehensive Cooperative Patent Classification

(CPC). See HELP CPC for details.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy>

This file contains CAS Registry Numbers for easy and accurate substance identification.

L4 2 L3

L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 08 Nov 2013

ACCESSION NUMBER: 2013:1734785 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 159:737832

TITLE: Gastric inhibitory peptide-glucagon-like peptide-1 dual agonist compounds and their therapeutic use
INVENTOR(S): Just, Rasmus; Riber, Ditte; Shelton, Anne Pernille Tofteng; Oesterlund, Torben; Hansen, Kate; Jessen, Lene

PATENT ASSIGNEE(S): Zealand Pharma A/S, Den.

SOURCE: PCT Int. Appl., 102pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2013164483	A1	20131107	WO 2013-EP59319	20130503
W: 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, 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, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, 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				
RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM				
CA 2872314	A1	20131107	CA 2013-2872314	20130503
AR 90937	A1	20141217	AR 2013-101524	20130503
AU 2013255751	A1	20141218	AU 2013-255751	20130503
IL 235463	A	20141231	IL 2013-235463	20130503
KR 2015003910	A	20150109	KR 2014-7033952	20130503
EP 2844669	A1	20150311	EP 2013-720931	20130503
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR, BA, ME				
CN 104470948	A	20150325	CN 2013-80032714	20130503
JP 2015517459	T	20150622	JP 2015-509461	20130503
US 20150299281	A1	20151022	US 2014-14398260	20141031

MX 2014013318	A	20150928	MX 2014-13318	20141103
IN 2014MN02304	A	20150807	IN 2014-MN2304	20141113
PRIORITY APPLN. INFO.:			US 2012-61642439	P 20120503
			US 2013-61765561	P 20130215
			WO 2013-EP59319	W 20130503

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 159:737832

AB The present invention relates to truncated GIP analogs which comprise one or more substitutions as compared to wild-type GIP and which may have the property of an altered, preferably increased GLP-1 activity, e.g., as assessed in in vitro efficacy assays. The invention provides GIP-GLP-1 dual agonist compds. and associated methods. In the present invention it has been found that GIP-GLP1 dual acting receptor agonists are superior to existing and marketed GLP-1 analogs because the dual agonists offer improved glycemic control, and enhanced body weight loss. The GIP-GLP1 dual agonists (also known as GIP analogs) may thus be used as therapeutics for type 2 diabetes mellitus, obesity and related disorders.

IT 1482491-88-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid sequence; prepared gastric inhibitory peptide-glucagon-like peptide-1 dual agonist compds. and their therapeutic use)

IT 1485792-92-4

RL: PRP (Properties)
(unclaimed sequence; gastric inhibitory peptide-glucagon-like peptide-1 dual agonist compds. and their therapeutic use)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 30 Sep 2011

ACCESSION NUMBER: 2011:1237438 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:502417

TITLE: Novel glucose-dependent insulintropic peptide analogs and methods for preparation of pegylated derivatives and therapeutic use

INVENTOR(S): Alsina-Fernandez, Jorge; Bokvist, Krister Bengt; Guo, Lili; Mayer, John Philip

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 32pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2011119657	A1	20110929	WO 2011-US29501	20110323

W: 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

RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AR 80592	A1	20120418	AR 2011-100835	20110316
CA 2794664	A1	20110929	CA 2011-2794664	20110323
US 20110237503	A1	20110929	US 2011-13069425	20110323
US 8815811	B2	20140826		
AU 2011232597	A1	20120830	AU 2011-232597	20110323
AU 2011232597	B2	20150129		
IL 222119	A	20121129	IL 2011-222119	20110323
KR 2012133402	A	20121210	KR 2012-7025038	20110323
KR 1407775	B1	20140617		
CN 102821779	A	20121212	CN 2011-80016089	20110323
CN 102821779	B	20150311		
EP 2552471	A1	20130206	EP 2011-711424	20110323
EP 2552471	B1	20160316		

R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR, BA, ME

JP 2013523647	T	20130617	JP 2013-501419	20110323
JP 5887335	B2	20160316		
EA 22489	B1	20160129	EA 2012-70723	20110323
ES 2568796	T3	20160504	ES 2011-711424	20110323
IN 2012CN06957	A	20151211	IN 2012-CN6957	20120808
CR 20120457	A	20121016	CR 2012-457	20120907
ZA 2012006832	A	20140326	ZA 2012-6832	20120912
MX 2012011127	A	20121015	MX 2012-11127	20120926

PRIORITY APPLN. INFO.: US 2010-61317850 P 20100326

WO 2011-US29501 W 20110323

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:502417

AB The present invention is in the field of treatment of diabetes and relates to peptides that exhibit activity for both glucose-dependent insulintropic peptide receptor (GIP-R) and glucagon-like peptide- 1 receptor (GLP-1-R) and are selective over glucagon receptor (Gluc-R). Specifically provided are GIP analogs with amino acid substitutions introduced to modulate activity for both GIP-R and GLP-1-R and maintain selectivity over Gluc-R.

IT 1338013-59-4P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino acid sequence; novel glucose-dependent insulintropic

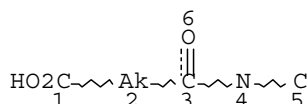
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peptide analogs and methods for preparation of pegylated derivs. and
therapeutic use)
IT 1338013-56-1P 1338013-57-2DP, pegylated
cysteines 1338013-58-3P 1338013-60-7P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(amino acid sequence; novel glucose-dependent insulinitropic
peptide analogs and methods for preparation of pegylated derivs. and
therapeutic use)
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS
RECORD (1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

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(FILE 'REGISTRY' ENTERED AT 15:23:06 ON 11 JUL 2016)

L5 STR



Query 2 - structure

NODE ATTRIBUTES:

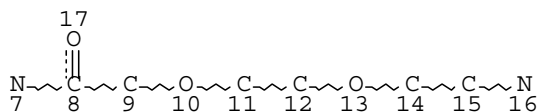
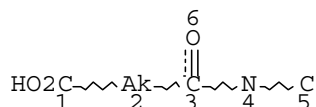
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CONNECT IS M2 RC AT 5
DEFAULT MLEVEL IS ATOM
GGCAT IS HIC AT 2
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 6

STEREO ATTRIBUTES: NONE

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L6      (      3011)SEA FILE=REGISTRY SSS FUL L5
L7      STR
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NODE ATTRIBUTES:

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CONNECT IS M2 RC AT 5
CONNECT IS X2 RC AT 7
CONNECT IS X2 RC AT 16
DEFAULT MLEVEL IS ATOM
GGCAT IS HIC AT 2
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L8 146 SEA FILE=REGISTRY SUB=L6 SSS FUL L7
L9 0 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L8 NOT CAPLUS/LC

FILE 'HCAPLUS' ENTERED AT 15:23:40 ON 11 JUL 2016

L10 44 SEA SPE=ON ABB=ON PLU=ON L8
L11 44 SEA SPE=ON ABB=ON PLU=ON L10 NOT L4

E1 THROUGH E146 ASSIGNED

L11 ANSWER 1 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 31 Mar 2016

ACCESSION NUMBER: 2016:506242 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 164:469646

TITLE: Incretin-insulin conjugates

INVENTOR(S): Liu, Fa; Dimarchi, Richard D.; Mayer, John P.;
Smiley, David L.

PATENT ASSIGNEE(S): Indiana University Research and Technology
Corporation, USA

SOURCE: PCT Int. Appl., 266pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2016049190	A1	20160331	WO 2015-US51728	20150923
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2014-62054666 P 20140924

OTHER SOURCE(S): MARPAT 164:469646

AB Disclosed herein are insulin agonist peptides conjugated to incretins wherein the incretin-insulin conjugate has agonist activity at the insulin receptor and the corresponding incretin receptor, and stimulates weight loss in an individual administered the compound

IT 1892583-12-8

RL: RGT (Reagent); RACT (Reactant or reagent)

(linking agent; preparation of stabile insulin agonist peptide-incretin conjugates for diabetes treatment)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L11 ANSWER 2 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 31 Mar 2016

ACCESSION NUMBER: 2016:506005 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 164:438263

TITLE: Convergent solid-phase and solution approaches in
the synthesis of liraglutide and semaglutide GLP-1
peptides

INVENTOR(S): Penias Navon, Sharon; Naveh, Shirly; Vasileiou,
Zoi; Barlos, Konstantinos

PATENT ASSIGNEE(S): Novetide, Ltd., Israel

SOURCE: PCT Int. Appl., 208pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2016046753	A1	20160331	WO 2015-IB57307	20150922
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			

GR 2014100479 A 20160505 GR 2014-100479 20140923

PRIORITY APPLN. INFO.: GR 2014-100479 A 20140923

OTHER SOURCE(S): MARPAT 164:438263

AB The invention is related to processes for the convergent synthesis of GLP-1 peptides, such as H-His-Ala-Glu-Gly-Thr-Phe-Thr-Ser-Asp-Val-Ser-Ser-Tyr-Leu-Glu-Gly-Gln-Ala-Ala-Lys(Pal-Glu)-Glu-Phe-Ile-Ala-Trp-Leu-Val-Arg-Gly-Arg-Gly-OH (I) (liraglutide) and H-His-Aib-Glu-Gly-Thr-Phe-Thr-Ser-Asp-Val-Ser-Ser-Tyr-Leu-Glu-Gly-Gln-Ala-Ala-Lys(W)-Glu-Phe-Ile-Ala-Trp-Leu-Val-Arg-Gly-Arg-Gly-OH (semaglutide), comprising a final coupling step in which at least two fragments are coupled at a terminal Gly residue. In particular, the invention is related to a process for preparing I in high yield and purity which involves coupling a peptide fragment containing amino acids (1-4) with a peptide fragment containing amino acids (5-31) which carries the Lys(Pal-Glu) residue, to form, after any deprotection and resin removal, and to a

purification process employing a two stage HPLC process using two different mobile phase systems.

IT 1890228-88-2P 1890228-89-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
 RACT (Reactant or reagent)

(convergent solid-phase and solution approaches in the synthesis of GLP-1 peptides)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L11 ANSWER 3 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 17 Dec 2015

ACCESSION NUMBER: 2015:2022450 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 164:111310

TITLE: Variants of apelin with increased stability or
 activity and their therapeutic uses

INVENTOR(S): Holder, Jerry Ryan; Swaminath, Gayathri; Frohn,
 Michael J.; Lanman, Brian Alan; Reed, Anthony B.;
 Miranda, Leslie P.; Allen, John Gordon; Pickrell,
 Alexander J.; Siegmund, Aaron C.; Pennington,
 Lewis D.; Yang, Bryant

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 198pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015191781	A2	20151217	WO 2015-US35205	20150610
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2014-62010322 P 20140610

OTHER SOURCE(S): MARPAT 164:111310

AB Variants of apelins with substitution of amino acids with non-standard amino acids and addnl. side chain modifications, including fatty acylation and PEGylation are described for therapeutic, especially in the treatment of cardiac disorders, such as heart failure. The apelin peptide may be modified to include D-amino acids or β -amino acids. The preparation of apelin analogs and the characterization of cognate receptor binding are reported. Analogs with an EC₅₀ of << 1 μ M were identified.

IT 1843249-71-7 1843249-75-1 1843249-97-7
 1843249-98-8 1843249-99-9 1843250-59-8
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study);
 USES (Uses)

(amino acid sequence, apelin homolog; variants of apelin with
 increased stability or activity and their therapeutic uses)

IT 1843219-99-7 1843220-40-5 1843220-41-6
 1843220-42-7 1843220-43-8 1843220-44-9
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study);
 USES (Uses)

(variants of apelin with increased stability or activity and their
 therapeutic uses)

L11 ANSWER 4 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 17 Dec 2015

ACCESSION NUMBER: 2015:2017828 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 164:95191

TITLE: Inhibitory aptamers targeting human complement C5

INVENTOR(S): Arata, Michelle Denise; Dhamnaskar, Ketki Ashok;
 Elbaum, Daniel; Josephson, Kristopher; Larson,
 Kelley Cronin; Ma, Zhong; Nims, Nathan Ezekiel;
 Ricardo, Alonso; Seyb, Kathleen; Tang, Guo-Qing;
 Treco, Douglas A.; Wang, Zhaolin; Ye, Ping; Zheng,
 Hong; Perlmutter, Sarah Jacqueline

PATENT ASSIGNEE(S): RA Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 136pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015191951	A2	20151217	WO 2015-US35473	20150612
WO 2015191951	A3	20160303		
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2014-62011368 P 20140612

US 2014-62077460 P 20141110

US 2015-62108772 P 20150128

OTHER SOURCE(S): MARPAT 164:95191

AB The authors disclose linear and cyclic peptides, peptidomimetics, and peptide aptamers the bind to complement C5 and inhibit complement-dependent lysis. In one example, a cyclic peptide aptamer was shown to inhibit thrombin-induced activation of complement.

IT 1841136-56-8 1841136-70-6

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitory aptamers targeting human complement C5)

L11 ANSWER 5 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 04 Sep 2015

ACCESSION NUMBER: 2015:1438575 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 163:392175

TITLE: Novel insulin derivatives and the medical uses thereof for treatment of diabetes and related conditions

INVENTOR(S): Madsen, Peter; Hostrup, Susanne; Muenzel, Martin; Kjeldsen, Thomas Boerglum; Hjoerringgaard, Claudia Ulrik; Fledelius, Christian

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 110pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015128403	A2	20150903	WO 2015-EP53989	20150226
WO 2015128403	A3	20151210		

W: 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

RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM

PRIORITY APPLN. INFO.:

EP 2014-157215

A 20140228

OTHER SOURCE(S): CASREACT 163:392175

AB The present invention is in the therapeutic fields of drugs for medical conditions relating to diabetes. More specifically the invention relates to novel acylated derivs. of human insulin analogs. The invention also provides pharmaceutical compns. comprising such insulin derivs., and relates to the use of such derivs. for the treatment or prevention of medical conditions relating to diabetes. The authors have discovered that the A22K acylated insulin derivs. of the present invention have significantly improved properties relative to similar insulin derivs. of the prior art. The authors have in particular discovered that the insulin derivs. of the invention, in

formulations containing no added zinc ions, and when compared to similar derivs. of the prior art, are associated with a smaller size of the mol. aggregates. The authors have also discovered that the insulin derivs. of the invention, relative to similar derivs. of the prior art, in formulations containing no added zinc ions, are absorbed more rapidly after s.c. administration to pigs, thereby demonstrating a potential clin. utility as insulins for prandial use. He A22K acylated insulin derivs. with tetradecanedioic acid, pentadecanedioic acid, or hexadecanedioic acid based albumin binders according to the invention have shown to confer very high insulin receptor binding affinities, affinities that are reduced in the presence of 1.5 % human serum albumin (HSA).

IT 1807900-96-4 1807901-00-3 1807901-01-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (novel, prepared insulin derivs. with improved stability and medical uses thereof for treatment of diabetes and related conditions)

IT 1377616-46-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (novel, prepared insulin derivs. with improved stability and medical uses thereof for treatment of diabetes and related conditions)

L11 ANSWER 6 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 05 Jun 2015

ACCESSION NUMBER: 2015:947182 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 163:51911

TITLE: Methods for oxime conjugation to ketone-modified polypeptides from disulfide-containing proteins

INVENTOR(S): Hu, Qi-Ying; Imase, Hidetomo

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: PCT Int. Appl., 74pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015079376	A1	20150604	WO 2014-IB66300	20141124
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			
CA 2928087	A1	20150604	CA 2014-2928087	20141124
US 20150150998	A1	20150604	US 2014-14551187	20141124
AU 2014356126	A1	20160519	AU 2014-356126	20141124
IL 245244	A	20160630	IL 2014-245244	20141124
PRIORITY APPLN. INFO.:			US 2013-61909236	P 20131126

US 2014-62002614 P 20140523

WO 2014-IB66300 W 20141124

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 163:51911

AB The invention provides improved methods to prepare protein conjugates from a ketone-modified protein. In one embodiment, the protein is prepared by linking two free cysteines by reaction with a 1,3-dihaloacetone or similar ketone-containing reactant, linking the sulfur atoms of the two cysteines together. The ketone inserted between the sulfur atoms is then used to form an oxime, thus conjugating the protein to a payload. In another embodiment, two cysteine residues are tied together by reaction with a 1,3-dihaloacetone or similar reactant, and the new ketone is used to form an oxime with a suitable payload mol., thus conjugating the protein to a payload. The method provides improved reaction conditions for the oxime formation, whereby higher yields and improved product homogeneity are achieved. Thus, a modified antibody B IgG antibody at 4 interchain disulfides was conjugated to DM-1 derivs.

IT 1448547-34-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(methods for oxime conjugation to ketone-modified polypeptides from disulfide-containing proteins)

IT 1448547-35-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(methods for oxime conjugation to ketone-modified polypeptides from disulfide-containing proteins)

IT 1448547-34-9DP, reaction products with aminoxy derivative
1613023-84-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(methods for oxime conjugation to ketone-modified polypeptides from disulfide-containing proteins)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L11 ANSWER 7 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 14 May 2015

ACCESSION NUMBER: 2015:822758 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 162:663339

TITLE: GIP-GLP-1 dual agonist compounds and methods

INVENTOR(S): Shelton, Anne Pernille Tofteng; Noerregaard, Pia;
Fog, Jacob Ulrik; Knudsen, Carsten Boye

PATENT ASSIGNEE(S): Zealand Pharma A/S, Den.

SOURCE: PCT Int. Appl., 86pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015067715	A2	20150514	WO 2014-EP73970	20141106
WO 2015067715	A3	20151015		

W: 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
 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS,
 MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, RU, TJ, TM

CA 2929459	A1	20150514	CA 2014-2929459	20141106
AR 98324	A1	20160526	AR 2014-104171	20141106
KR 2016079875	A	20160706	KR 2016-7014987	20141106
PRIORITY APPLN. INFO.:			EP 2013-191843	A 20131106

EP 2014-176878 A 20140714

WO 2014-EP73970 W 20141106

AB The present invention relates to acylated GIP analogs which have dual GIP and GLP-1 activity, and their use in the treatment of metabolic disorders.

IT 1335440-44-2 1344672-53-2 1702357-33-2
 1702357-37-6 1772616-74-6 1772616-75-7
 1772616-76-8 1772616-77-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (GIP-GLP-1 dual agonist compds. and methods)

L11 ANSWER 8 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 24 Apr 2015

ACCESSION NUMBER: 2015:695862 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 162:570919

TITLE: Acylated glucagon analogs and their use in treatment of obesity, diabetes and associated metabolic disorders

INVENTOR(S): Ribier, Ditte; Tolborg, Jakob Lind; Hamprecht, Dieter Wolfgang; Rist, Wolfgang

PATENT ASSIGNEE(S): Zealand Pharma A/S, Den.; Boehringer Ingelheim International GmbH

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	----	-----	-----
WO 2015055801	A1	20150423	WO 2014-EP72293	20141017
W:				
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
 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS,
 MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, RU, TJ, TM

CA 2926314	A1	20150423	CA 2014-2926314	20141017
US 20150111826	A1	20150423	US 2014-14517497	20141017
AU 2014336098	A1	20160421	AU 2014-336098	20141017
IL 244795	A	20160421	IL 2014-244795	20141017
AR 98065	A1	20160427	AR 2014-103869	20141017
KR 2016068961	A	20160615	KR 2016-7012846	20141017
CN 105745222	A	20160706	CN 2014-80056639	20141017
PRIORITY APPLN. INFO.:			US 2013-61892256	P 20131017

WO 2014-EP72293 W 20141017

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 162:570919; MARPAT 162:570919

AB The invention provides materials and methods for the treatment of obesity and excess weight, diabetes, and other associated metabolic disorders. In particular, the invention provides novel acylated glucagon analog peptides effective in such methods. The peptides may mediate their effect by having increased selectivity for the GLP-1 receptor as compared to human glucagon. Two exemplary peptides are H-H-Aib-QGTFTSDYSKYLDK-K([15-carboxy-pentadecanoyl]-isoGlu)-AAHDFVEWLLSA-NH2 and H-H-Aib-QGTFTSDYSKYLDK-K([17-carboxy-heptadecanoyl]-isoGlu-Peg3-Peg3)-RAAKDFIEWLESA-NH2.

IT **1335440-44-2DP**, peptide conjugates with
1702357-27-4DP, peptide conjugates with
1702357-33-2DP, peptide conjugates with
1702357-37-6DP, peptide conjugates with

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepared acylated glucagon analogs and their use in treatment of obesity, diabetes and associated metabolic disorders)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 17 Apr 2015

ACCESSION NUMBER: 2015:656430 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 162:556233

TITLE: Novel derivative of an insulin analogue

INVENTOR(S): Madsen, Peter; Tagmose, Tina Moeller; Naver, Helle; Kjeldsen, Thomas Boerglum

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 50pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2015052088	A1	20150416	WO 2014-EP71236	20141003
W:			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	
RW:			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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM	
CA 2926701	A1	20150416	CA 2014-2926701	20141003
AU 2014333979	A1	20160324	AU 2014-333979	20141003
IL 244507	A	20160421	IL 2014-244507	20141003
CN 105636979	A	20160601	CN 2014-80055375	20141003
KR 2016065126	A	20160608	KR 2016-7010201	20141003
PRIORITY APPLN. INFO.:			EP 2013-187626	A 20131007
			WO 2014-EP71236	W 20141003

OTHER SOURCE(S): CASREACT 162:556233

AB The present invention provides a novel derivative of an analog of human insulin, useful for the treatment of diabetes.

IT 1188328-38-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(novel derivative of an insulin analog)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 19 Mar 2015

ACCESSION NUMBER: 2015:456495 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 162:454438

TITLE: Biologically-active peptide conjugates with half-life-extending moieties for therapeutic use

INVENTOR(S): Shen, Weijun; Schultz, Peter G.; Muppidi, Avinash; Cramer, Andreas; Ahmad, Insha; Yang, Pengyu

PATENT ASSIGNEE(S): The California Institute for Biomedical Research, USA; The Scripps Research Institute

SOURCE: PCT Int. Appl., 170pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2015038938	A1	20150319	WO 2014-US55457	20140912
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			
CA 2924109	A1	20150319	CA 2014-2924109	20140912
AU 2014318579	A1	20160414	AU 2014-318579	20140912
KR 2016079776	A	20160706	KR 2016-7009482	20140912
CA 2933701	A1	20150625	CA 2014-2933701	20141217
WO 2015095406	A1	20150625	WO 2014-US70977	20141217

PRIORITY APPLN. INFO.:	US 2013-61877799	P	20130913
	US 2013-61917816	P	20131218
	WO 2014-US55457	W	20140912
	WO 2014-US70977	W	20141217

OTHER SOURCE(S) : CASREACT 162:454438

AB Methods for extending the half-life of a therapeutic agent, especially a biol.-active peptide, by conjugation with a stabilizing moiety are described for therapeutic use. One or more half-life extending moieties, such as XTEN peptides, lipids, or polymers, may be used. The preparation and screening of relaxin derivs. conjugated with fatty acid and peptide derivs. is described. Conjugates showed altered serum half-lives in mice and loss of biol. activity.

IT 1395881-03-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and reactions of; biol.-active peptide conjugates with
half-life-extending moieties for therapeutic use)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 05 Jun 2014

ACCESSION NUMBER: 2014:919926 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 161:70682

TITLE: Methods for making conjugates from
disulfide-containing proteins

INVENTOR(S): Hu, Qi-Ying; Imase, Hidetomo

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: PCT Int. Appl., 71pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2014083505	A1	20140605	WO 2013-IB60427	20131126
W:				
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, LT, 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				
RW:				
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, BF, BJ, CF, CG, CI, CM, GA, GN,				
GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS,				
MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,				
KZ, RU, TJ, TM				
CA 2888445	A1	20140605	CA 2013-2888445	20131126
AU 2013350802	A1	20150409	AU 2013-350802	20131126
KR 2015090081	A	20150805	KR 2015-7013920	20131126
CN 104853781	A	20150819	CN 2013-80062411	20131126
EP 2925368	A1	20151007	EP 2013-802452	20131126
R:				
AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,				
HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,				
PT, RO, RS, SE, SI, SK, SM, TR, BA, ME				
JP 2015537045	T	20151224	JP 2015-544587	20131126
IN 2015DN02913	A	20150918	IN 2015-DN2913	20150408
MX 2015006868	A	20151005	MX 2015-6868	20150529
PRIORITY APPLN. INFO.:			US 2012-61731852	P 20121130

WO 2013-IB60427 W 20131126

OTHER SOURCE(S): CASREACT 161:70682

AB The invention provides methods to prepare protein conjugates from proteins having at least two cysteines selected from antibodies and vaccine carriers. In one embodiment, a protein with a disulfide linkage is reduced to provide two free cysteines for reaction with a 1,3-dihaloacetone or similar reactant, linking the sulfur atoms of the two cysteines together. The ketone inserted between the sulfur atoms is then used to form a Schiff base to an aminated payload mol. selected from a drug, a detectable label, a binding group or an antigen, thus conjugating the protein to a payload. In another embodiment,

two cysteine residues are tied together by reaction with a 1,3-dihaloacetone or similar reactant. The linkage between the sulfur atoms in each case holds the protein or peptide in a constrained conformation, while also providing a convenient place for attaching a payload with good specificity and efficiency. Thus, a modified antibody B1gG antibody at 4 interchain disulfides was conjugated to DM-1 derivs.

IT 1448547-34-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (methods for making conjugates from disulfide-containing proteins)

IT 1448547-35-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
 RACT (Reactant or reagent)
 (methods for making conjugates from disulfide-containing proteins)

IT 1448547-34-9DP, reaction products with aminoxy derivative
 1613023-84-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (methods for making conjugates from disulfide-containing proteins)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS
 RECORD (4 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L11 ANSWER 12 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 24 Apr 2014

ACCESSION NUMBER: 2014:660663 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 160:626256

TITLE: Fatty acid acylated amino acids for oral delivery
 of growth hormone

INVENTOR(S): Reslow, Mats; Noerskov-Lauritsen, Leif; Bagger,
 Heidi Westh; Schioedt, Christine Bruun

PATENT ASSIGNEE(S): Novo Nordisk Health Care AG, Switz.

SOURCE: PCT Int. Appl., 78pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2014060512	A1	20140424	WO 2013-EP71719	20131017
W:	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, LT, 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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			
EP 2908845	A1	20150826	EP 2013-777291	20131017

R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,
 PT, RO, RS, SE, SI, SK, SM, TR, BA, ME

CN 104955472	A	20150930	CN 2013-80054126	20131017
JP 2015536314	T	20151221	JP 2015-537247	20131017
US 20150250882	A1	20150910	US 2015-14433181	20150402
PRIORITY APPLN. INFO.:			EP 2012-188838	A 20121017
			US 2012-61714982	P 20121017
			WO 2013-EP71719	W 20131017

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 160:626256

AB The present invention relates to oral pharmaceuticals of growth hormone (GH) comprising fatty acid acylated amino acids (FA-aas). The fatty acid acylated amino acids, e.g., N-acylated amino acids increase the absorption of GH compds. after oral administration. An increase of oral absorption of GH compds. by FA-aas is influenced by their identity. The strongest effect is observed for FA-aas with longer fatty acid chains and the effects further, to some degree, depend on the specific amino acid. The GH absorption enhancing effect of the fatty acid acylated amino acid is further potentiated by addition of a protease inhibitor. Thus, an increased bioavailability of growth hormone compound GH-A1 [GH(Q84C Y143C), 300 nmol] was obtained in rats after injection in mid-jejunum of an aqueous composition containing 30 mg/mL of sodium N-palmitoylsarcosine permeation enhancer and sodium phosphate buffer (pH 6.5), as compared to a composition containing no enhancer (AUC enhancer/AUC no enhancer = 6).

IT 1602829-53-7DP, reaction with hGH

RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(oral compns. of growth hormone comprising fatty acyl amino acids for increase of bioavailability)

IT 1319729-59-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oral compns. of growth hormone comprising fatty acyl amino acids for increase of bioavailability)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 24 Oct 2013

ACCESSION NUMBER: 2013:1658462 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 159:661607

TITLE: Variants of human amylin with increased selectivity for the amylin receptor and improved pharmacokinetics

INVENTOR(S): Dahl, Kirsten; Schaeffer, Lauge; Kruse, Thomas

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 215pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2013156594	A1	20131024	WO 2013-EP58165	20130419
W: 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, 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, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, 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 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM				
EP 2838914	A1	20150225	EP 2013-723681	20130419
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR, BA, ME				
CN 104395338	A	20150304	CN 2013-80032197	20130419
JP 2015514737	T	20150521	JP 2015-506251	20130419
US 20130281363	A1	20131024	US 2013-13930075	20130628
US 8575091	B2	20131105		
US 20140087995	A1	20140327	US 2013-14035501	20130924
US 9023789	B2	20150505		
PRIORITY APPLN. INFO.:			EP 2012-164692	A 20120419
			US 2012-61637806	P 20120424
			WO 2013-EP58165	W 20130419
			US 2013-13930075	A1 20130628

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 159:661607

AB Substitution variants and analogs of human amylin that show increased selectivity for the amylin receptor and improved, phys. stability and pharmacokinetic properties are described for use in the treatment of diabetes mellitus. These variants have an IC₅₀ for the human amylin receptor of ≤1200 pM. Amino acid substitutions improve the stability of the amylin at physiolo. pH and the attachment of moieties that bind the variant to serum proteins, especially serum albumins, prevent clearance from the blood.

IT 1344672-53-2D, conjugates with amylin derivs.

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study);
 USES (Uses)

(variants of human amylin with increased selectivity for amylin
 receptor and improved pharmacokinetics)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L11 ANSWER 14 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 02 Aug 2013

ACCESSION NUMBER: 2013:1198730 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 159:305738

TITLE: Synthetic apelin mimetics for the treatment of diseases benefiting from APJ receptor agonists

INVENTOR(S): Golosov, Andrei; Grosche, Philipp; Hu, Qi-Ying; Imase, Hidetomo; Parker, David Thomas; Yasoshima, Kayo; Zecri, Frederic; Zhao, Hongjuan

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: PCT Int. Appl., 117pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2013111110	A2	20130801	WO 2013-IB50666	20130125
WO 2013111110	A3	20131031		
W:	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, 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, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, 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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			
US 20130196899	A1	20130801	US 2013-13747621	20130123
US 8673848	B2	20140318		
CA 2862240	A1	20130801	CA 2013-2862240	20130125
AR 89808	A1	20140917	AR 2013-100228	20130125
AU 2013213265	A1	20140918	AU 2013-213265	20130125
AU 2013213265	B2	20160526		
IL 233792	A	20140930	IL 2013-233792	20130125
KR 2014117603	A	20141007	KR 2014-7023505	20130125
EP 2807183	A2	20141203	EP 2013-711953	20130125
R:	AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR, BA			
CN 104220452	A	20141217	CN 2013-80016261	20130125
JP 2015506370	T	20150302	JP 2014-553847	20130125
NZ 627772	A	20151127	NZ 2013-627772	20130125
US 20140142022	A1	20140522	US 2014-14165680	20140128
US 9067971	B2	20150630		
MX 2014009085	A	20140827	MX 2014-9085	20140725
CR 20140364	A	20141117	CR 2014-364	20140728
US 20150252076	A1	20150910	US 2015-14714914	20150518
PRIORITY APPLN. INFO.:			US 2012-61591557	P 20120127
			US 2012-61717760	P 20121024

US 2012-61731697	P	20121130
US 2013-13747621	A1	20130123
WO 2013-IB50666	W	20130125
US 2014-14165680	A1	20140128

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 159:305738; MARPAT 159:305738

AB The invention provides a synthetic apelin based polypeptide or an amide, an ester or a salt thereof. The polypeptides are agonist of the APJ receptor. The invention also relates to a method for manufacturing the polypeptides of the invention, and its therapeutic uses such as treatment or prevention of acute decompensated heart failure (ADHF), chronic heart failure, pulmonary hypertension, atrial fibrillation, Brugada syndrome, ventricular tachycardia, atherosclerosis, hypertension, restenosis, ischemic cardiovascular diseases, cardiomyopathy, cardiac fibrosis, arrhythmia, water retention, diabetes (including gestational diabetes), obesity, peripheral arterial disease, cerebrovascular accidents, transient ischemic attacks, traumatic brain injuries, amyotrophic lateral sclerosis, burn injuries (including sunburn) and preeclampsia. The present invention further provides a combination of pharmacol. active agents and a pharmaceutical composition. An example of a prepared polypeptide is pE-R-P-R-L-K*-H-F-G-P-Nle-D*-Phenethylamine (* lactam bridge).

IT 1448547-24-7P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid sequence; synthetic apelin mimetics for treatment of diseases benefiting from APJ receptor agonists)

IT 1448547-35-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(amino acid sequence; synthetic apelin mimetics for treatment of diseases benefiting from APJ receptor agonists)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L11 ANSWER 15 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 20 Dec 2012

ACCESSION NUMBER: 2012:1853841 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 158:105466

TITLE: Preparation of multisubstituted insulins by acylation and/or reductive alkylation for treatment of diabetes and hyperglycemia

INVENTOR(S): Hoeg-Jensen, Thomas; Madsen, Peter; Spetzler, Jane; Tagmose, Tina Moeller

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 94pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2012171994      A1      20121220      WO 2012-EP61284      20120614
W:  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, QA, RO, RS, RU, RW, 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
RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN,
    GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW,
    MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ,
    RU, TJ, TM
CN 103596584      A      20140219      CN 2012-80029002      20120614
EP 2720711      A1      20140423      EP 2012-729479      20120614
R:  AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
    HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,
    PT, RO, RS, SE, SI, SK, SM, TR
JP 2014518207      T      20140728      JP 2014-515187      20120614
US 20140228285      A1      20140814      US 2014-14126215      20140321
US 9260503      B2      20160216
PRIORITY APPLN. INFO.:      EP 2011-170009      A      20110615
                                US 2011-61499203      P      20110621
                                WO 2012-EP61284      W      20120614

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 158:105466; MARPAT 158:105466

AB The invention is related to the preparation of an insulin derivative containing at least 2 albumin binding moieties, wherein the albumin binding moieties contain fatty diacid substitutions by acylation and/or reductive alkylation. Thus, A22Nε-octadecanedioyl-γ-D-glutamyl B29Nε-octadecanedioyl-γ-D-glutamyl A22K desB30 human insulin was prepared and studied for its insulin receptor affinity, albumin affinity and prolongation in vivo. Pharmaceutical compns. containing an insulin of the invention are also described.

IT 1169630-40-3 1188328-38-2 1217489-30-9
1416263-19-8 1416263-20-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of multisubstituted insulins by acylation and/or reductive alkylation for treatment of diabetes and hyperglycemia)

IT 1356497-83-0P 1416263-15-4P
1416263-16-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)

(preparation of multisubstituted insulins by acylation and/or reductive alkylation for treatment of diabetes and hyperglycemia)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L11 ANSWER 16 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN
ED Entered STN: 07 Sep 2012

ACCESSION NUMBER: 2012:1301685 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 157:463053
 TITLE: Antagonistic DR3 ligands or anti-human DR3
 antibodies for treatment of inflammatory disease
 INVENTOR(S): Andersen, Mette Dahl; Noerdby, Peder Lisby;
 Kjaergaard, Kristian; Grell, Susanne Nedergaard;
 Gruhler, Albrecht; Buchardt, Jens; Andersen,
 Henrik Sune; Padkjaer, Soeren; Kastrup, Jesper;
 Haakansson, Katarina; Hornum, Lars; Friedrichsen,
 Birgitte; Baunsgaard, Dorrit
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 100pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2012117067	A1	20120907	WO 2012-EP53539	20120301
W:	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, QA, RO, RS, RU, RW, 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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2828464	A1	20120907	CA 2012-2828464	20120301
IL 227535	A	20130930	IL 2012-227535	20120301
EP 2681237	A1	20140108	EP 2012-707996	20120301
R:	AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR			
CN 103517918	A	20140115	CN 2012-80011136	20120301
KR 2014043720	A	20140410	KR 2013-7021269	20120301
JP 2014509325	T	20140417	JP 2013-555876	20120301
ZA 2013006110	A	20140430	ZA 2013-6110	20130814
US 20130330360	A1	20131212	US 2013-13982617	20130822
US 20130336984	A1	20131219	US 2013-13974275	20130823
US 8765130	B2	20140701		
MX 2013009859	A	20140228	MX 2013-9859	20130827
US 20140294853	A1	20141002	US 2014-14267028	20140501
PRIORITY APPLN. INFO.:			EP 2011-156416	A 20110301
			US 2011-61448827	P 20110303
			WO 2012-EP53539	W 20120301
			US 2013-13982617	A1 20130822

US 2013-13974275

A3 20130823

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 157:463053

AB The present disclosure relates to treatment of inflammatory diseases. In particular, the present disclosure relates to antagonistic DR3 ligands useful for treating inflammatory diseases.

IT **1395880-97-3DP**, Cys239 (Kabat numbering) antibody heavy chain conjugate **1395880-98-4DP**, Cys239 (Kabat numbering) antibody heavy chain conjugate **1395880-99-5DP**, Cys239 (Kabat numbering) antibody heavy chain conjugate **1395881-00-1DP**, Cys239 (Kabat numbering) antibody heavy chain conjugate
 RL: BSU (Biological study, unclassified); MOA (Modifier or additive use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (antagonistic DR3 ligands or anti-human DR3 antibodies for treatment of inflammatory disease)

IT **1319729-59-3P** **1319729-60-6P**
1395881-02-3P **1395881-03-4P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (antagonistic DR3 ligands or anti-human DR3 antibodies for treatment of inflammatory disease)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 23 Aug 2012

ACCESSION NUMBER: 2012:1236192 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 157:400650

TITLE: Acylated derivatives of interleukin 1 receptor antagonist with prolonged serum half-lives and their preparation and therapeutic use

INVENTOR(S): Bak-Jensen, Kristian Sass; Hoeg-Jensen, Thomas; Noerby, Inga Sig Nielsen

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 39pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2012110422	A1	20120823	WO 2012-EP52317	20120210
W:	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, QA, RO, RS, RU, RW, 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
 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW,
 MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ,
 MD, RU, TJ, TM
 CN 103370075 A 20131023 CN 2012-80009013 20120210
 EP 2675470 A1 20131225 EP 2012-703535 20120210
 R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,
 PT, RO, RS, SE, SI, SK, SM, TR
 JP 2014510516 T 20140501 JP 2013-552970 20120210
 US 20140011728 A1 20140109 US 2013-13982340 20130920
 PRIORITY APPLN. INFO.: EP 2011-154445 A 20110215
 US 2011-61443451 P 20110216
 WO 2012-EP52317 W 20120210

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Fatty acylated derivs. of an interleukin 1 receptor antagonist (IL1RA) with longer serum half-lives than anakinra are described for use as antiinflammatories. The IL1RA moiety may have N-terminal deletions, and fatty acylation is carried out at lysine residues. Acylation may be directed by selective replacement of lysine residues with arginine. Preparation of anakinra derivs. using fatty acid esters of γ -L-glutamylsuccinimide is demonstrated. In rat the serum half-lives of these derivs. were 113-222 min, compared to 67 min for Anakinra, and in pig the half-life of Anakinra was 80 min, with the derivs. showing half-lives of 270-840 min.

IT 1169630-40-3 1188328-38-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(acylation of Anakinra with; acylated derivs. of IL1RA with prolonged serum half-lives and their preparation and therapeutic use)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 02 Aug 2012

ACCESSION NUMBER: 2012:1120033 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 157:315946

TITLE: Leptin derivatives and their therapeutic use

INVENTOR(S): Kodra, Janos Tibor; Conde-Frieboes, Kilian Waldemar; Paulsson, Johan Fredrik; Raun, Kirsten

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 68pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2012101124	A1	20120802	WO 2012-EP51055	20120124

W: 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, QA, RO, RS, RU, RW, 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

RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CA 2825683	A1	20120802	CA 2012-2825683	20120124
AU 2012210624	A1	20130711	AU 2012-210624	20120124
IL 227079	A	20130829	IL 2012-227079	20120124
CN 103379919	A	20131030	CN 2012-80006700	20120124
EP 2667899	A1	20131204	EP 2012-708721	20120124

R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR

KR 2013141648	A	20131226	KR 2013-7018975	20120124
JP 2014505060	T	20140227	JP 2013-550857	20120124
ZA 2013004984	A	20140326	ZA 2013-4984	20130703
MX 2013008559	A	20130821	MX 2013-8559	20130724
IN 2013CN06074	A	20140912	IN 2013-CN6074	20130729
US 20140018290	A1	20140116	US 2013-13979773	20131002

PRIORITY APPLN. INFO.: EP 2011-152160 A 20110126

US 2011-61437895 P 20110131

WO 2012-EP51055 W 20120124

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 157:315946; MARPAT 157:315946

AB The invention relates to Leptin derivs., compns. and therapeutic use there-of. The present invention relates to a compound of the general formula Z-Y-X-Leptin compound, wherein Z is an acyl group; Y is a spacer as defined herein; and X is an attachment group as defined herein. In another aspect, the invention relates to a compound as described herein for the treatment of obesity, diabetes or lipodystrophy. In yet another aspect, the invention relates to a composition comprising a compound as defined herein and one or more pharmaceutical excipients, and optionally one or more further antiobesity agents and/or anti-diabetes agents, such as pramlintide.

IT 1356497-83-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepared leptin derivs. and their therapeutic use)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 27 Jan 2012

ACCESSION NUMBER: 2012:126557 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 156:222974
 TITLE: Preparation and therapeutic effects of N-terminal modified fibroblast growth factor 21 compounds
 INVENTOR(S): Tagmose, Tina Moeller; Garibay, Patrick William; Zhang, Xujia; Thoegersen, Henning; Nielsen, Peter Kresten; Andersen, Birgitte; Wang, Jishu; Bak-Jensen, Kristian Sass; Woeldike, Helle Fabricius
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 74pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2012010553	A1	20120126	WO 2011-EP62238	20110718
W: 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 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2595647	A1	20130529	EP 2011-732488	20110718
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
JP 2013532644	T	20130819	JP 2013-520107	20110718
CN 103415300	A	20131127	CN 2011-80045216	20110718
US 20130190232	A1	20130725	US 2013-13809273	20130307
PRIORITY APPLN. INFO.:			WO 2010-CN1087	A 20100720
			US 2010-61374072	P 20100816
			WO 2011-EP62238	W 20110718

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 156:222974; MARPAT 156:222974

AB Analogs of fibroblast growth factor 21 carrying a modifying, substituted ethylene or benzyl group can be used for treatment or prevention of diabetes, dyslipidemia, obesity, cardiovascular diseases, metabolic syndrome, and/or Non Alc. Fatty Liver Disease (NAFLD). The derivs. of the invention are protracted, e.g., capable of maintaining a low blood glucose level for a longer period of time, capable of increasing the in vivo half-life of FGF21, and/or result in a lower clearance of FGF21. The protracted FGF21 derivs. retain satisfactory biol. activity and may be administered less frequently.

IT 1356497-80-7DP, N-terminal modified fibroblast growth factor

21 1356497-81-8DP, N-terminal modified fibroblast growth factor 21 1356497-82-9DP, N-terminal modified fibroblast growth factor 21
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and therapeutic effects of N-terminal modified fibroblast growth factor 21 compds.)

IT 1169630-40-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and therapeutic effects of N-terminal modified fibroblast growth factor 21 compds.)

IT 1356497-83-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and therapeutic effects of N-terminal modified fibroblast growth factor 21 compds.)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 29 Dec 2011

ACCESSION NUMBER: 2011:1681290 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 156:115098

TITLE: Human insulin derivatives containing additional disulfide bonds and their therapeutic use

INVENTOR(S): Madsen, Peter; Hubalek, Frantisek; Kjeldsen, Thomas Boerglum; Ludvigsen, Svend

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 100pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011161125	A1	20111229	WO 2011-EP60383	20110621
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2802510	A1	20111229	CA 2011-2802510	20110621
AU 2011269000	A1	20121213	AU 2011-269000	20110621
AU 2011269000	B2	20150423		

IL 223288	A	20130131	IL 2011-223288	20110621
CN 102985440	A	20130320	CN 2011-80031021	20110621
KR 2013036290	A	20130411	KR 2013-7001441	20110621
EP 2585485	A1	20130501	EP 2011-728615	20110621
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
JP 2013530974	T	20130801	JP 2013-515874	20110621
JP 5931857	B2	20160608		
CN 104693302	A	20150610	CN 2015-10007033	20110621
ZA 2012009345	A	20130828	ZA 2012-9345	20121210
MX 2012014641	A	20130207	MX 2012-14641	20121213
IN 2012CN10542	A	20151225	IN 2012-CN10542	20121218
US 20130157938	A1	20130620	US 2013-13805774	20130307
US 8853155	B2	20141007		
US 20140371140	A1	20141218	US 2014-14471258	20140828
PRIORITY APPLN. INFO.:			EP 2010-167033	A 20100623
			EP 2010-167046	A 20100623
			US 2010-61359150	P 20100628
			US 2010-61359500	P 20100629
			CN 2011-80031021	A3 20110621
			WO 2011-EP60383	W 20110621
			US 2013-13805774	A1 20130307

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 156:115098

AB The present invention is related to insulin derivs. containing addnl. disulfide bonds and methods of making such. The present invention is related to human insulin derivs. having two or more cysteine substitutions, where the three disulfide bonds of human insulin are retained and the sites of cysteine substitutions are chosen in such a way that the introduced cysteine residues are placed in the three dimensional structure of the folded insulin analog to allow for the formation of one or more addnl. disulfide bonds not present in human insulin. In one embodiment, an insulin derivative according to the invention is used for the preparation of a medicament for the treatment or prevention of diabetes mellitus. The human insulin derivative of the present invention retains the desired biol. activities associated with human insulin, and has increased phys. stability relative to human insulin and/or parent insulin.

IT 1169630-40-3 1188328-38-2 1217489-30-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(human acylated insulin derivs. containing addnl. disulfide bonds and their therapeutic use)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 16 Dec 2011

ACCESSION NUMBER: 2011:1619725 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 156:65930

TITLE: Fgf21 analogues and derivatives with improved pharmacokinetics for treatment of type 2 diabetes

INVENTOR(S): Garibay, Patrick William; Woeldike, Helle; Zhang, Xujia; Thoegersen, Henning; Nielsen, Peter Kresten; Andersen, Birgitte; Wang, Jishu; Bak-Jensen, Kristian Sass; Tagmose, Tina Moeller

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 32pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011154349	A2	20111215	WO 2011-EP59273	20110606
WO 2011154349	A3	20120301		
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2010142665	A1	20101216	WO 2010-EP57986	20100608
W:	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			
RW:	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, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 2579889	A2	20130417	EP 2011-729390	20110606
R:	AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR			
CN 103124562	A	20130529	CN 2011-80028014	20110606
JP 2013533227	T	20130822	JP 2013-513645	20110606
US 20130252884	A1	20130926	US 2013-13702122	20130515

PRIORITY APPLN. INFO.:	WO 2010-EP57986	A	20100608
	WO 2010-CN1099	A	20100721
	US 2010-61373290	P	20100813
	EP 2009-162521	A	20090611
	US 2009-61186471	P	20090612
	WO 2011-EP59273	W	20110606

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 156:65930

AB Derivs. of Fibroblast Growth Factor 21 which have improved properties for treating diabetes can be prepared by a recombinant process. The present invention relates to novel analogs and derivs. of FGF21. In said derivs., a modifying group is covalently attached to the FGF21 analog. The invention also relates to the use of said analogs and derivs. in pharmaceutical compns., in particular for the treatment of type 2 diabetes. The derivs. of the invention are protracted, e.g., capable of maintaining a low blood glucose level for a longer period of time, capable of increasing the in vivo half-life of FGF21, and/or result in a lower clearance of FGF21.

IT 1169630-40-3 1217489-30-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepared FGF21 analogs and derivs. with improved pharmacokinetics
for treatment of type 2 diabetes)

IT 1237767-92-8P 1237767-98-4P
1377616-46-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(prepared FGF21 analogs and derivs. with improved pharmacokinetics
for treatment of type 2 diabetes)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS
RECORD (2 CITINGS)

L11 ANSWER 22 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 27 Oct 2011

ACCESSION NUMBER: 2011:1370335 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:581273

TITLE: Long-acting gastrin derivatives and their
therapeutic use

INVENTOR(S): Kofoed, Jacob; Stidsen, Carsten Enggaard; Hubalek,
Frantisek; Nielsen, Flemming S.; Thoegersen,
Henning; Fels, Johannes; Andersen, Rikke Bjerring;
Kodra, Janos Tibor

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2011131646	A1	20111027	WO 2011-EP56197	20110419

W: 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

RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 2560675 A1 20130227 EP 2011-714784 20110419

R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR

US 20130059781 A1 20130307 US 2012-13642184 20121120

US 9040660 B2 20150526

PRIORITY APPLN. INFO.: EP 2010-160402 A 20100420

US 2010-61327381 P 20100423

WO 2011-EP56197 W 20110419

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:581273; MARPAT 155:581273

AB The present invention relates to gastrin derivs. comprising gastrin or an analog or fragment thereof and a derivatization group and therapeutic use thereof. In one embodiment the gastrin derivative comprises gastrin selected from the group consisting of gastrin-34, gastrin-17 and gastrin-14. The gastrin derivative may be used for stimulation of beta cell proliferation, treatment of or reduction of symptoms associated with type 1 diabetes or type 2 diabetes, or treatment of diabetes, hypertension, chronic heart failure, fluid retentive states, obesity or metabolic syndrome.

IT 1344672-21-4P 1344672-22-5P
 1344672-23-6P 1344672-24-7P
 1344672-26-9P 1344672-27-0P
 1344672-28-1P 1344672-29-2P
 1344672-30-5P 1344672-31-6P
 1344672-32-7P 1344672-33-8P
 1344672-34-9P 1344672-35-0P
 1344672-36-1P 1344672-37-2P
 1344672-38-3P 1344672-39-4P
 1344672-40-7P 1344672-41-8P
 1344672-42-9P 1344672-43-0P
 1344672-44-1P 1344672-45-2P
 1344672-46-3P 1344672-47-4P
 1344672-48-5P 1344672-49-6P
 1344672-50-9P 1344672-51-0P
 1344672-52-1P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (long-acting gastrin derivs. and their therapeutic use)

IT 1344672-53-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(long-acting gastrin derivs. and their therapeutic use)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L11 ANSWER 23 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 20 Sep 2011

ACCESSION NUMBER: 2011:1186581 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:476060

TITLE: Glucagon-like peptide 1 derivative and its
applicationINVENTOR(S): Wang, Yinxiang; Tan, Fenlai; Hu, Shaojing; Zhao,
Xiangdong; Ma, Cunbo; Wang, Yanping; Shen,
Xiaoyan; Ding, Lieming; Hu, Yunyan; Cao, Hong;
Long, Wei

PATENT ASSIGNEE(S): Zhejiang Beta Pharma Inc., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing, 14 pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 102186881	A	20110914	CN 2011-80000353	20110429
CN 102186881	B	20150408		
CA 2797431	A1	20111103	CA 2011-2797431	20110429
CA 2797431	C	20160621		
WO 2011134284	A1	20111103	WO 2011-CN764	20110429
W: 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				
RW: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2565205	A1	20130306	EP 2011-774275	20110429
EP 2565205	B1	20150701		
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
KR 2013040894	A	20130424	KR 2012-7030750	20110429
KR 1496136	B1	20150226		
JP 2013527160	T	20130627	JP 2013-506456	20110429
JP 5871905	B2	20160301		
AU 2011247824	B2	20140213	AU 2011-247824	20110429
RU 2531590	C2	20141020	RU 2012-150108	20110429

ES 2548259	T3	20151015	ES 2011-774275	20110429
HK 1162037	A1	20150911	HK 2012-102503	20120313
US 20130053304	A1	20130228	US 2012-13643840	20121026
US 8951959	B2	20150210		
IN 2012CN09746	A	20140502	IN 2012-CN9746	20121119
ZA 2012008829	A	20130925	ZA 2012-8829	20121122
JP 2015214553	A	20151203	JP 2015-116642	20150609
PRIORITY APPLN. INFO.:			CN 2010-10156732	A 20100427
			CN 2011-10078365	A 20110330
			WO 2011-CN764	W 20110429
			JP 2013-506456	A3 20110429

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:476060

AB The invention relates to glucagon-like peptide 1 derivative (the end can be glycine or glycinamide), its pharmaceutical acceptable salt, solvate, chelate or non-covalent complex, prodrug based on the derivative, and their mixture. The derivative has stable chemical property, and is hardly decomposed by in-vivo dipeptidyl-peptidase IV. When the derivative or drug containing the derivative as active ingredient is used to lower blood glucose concentration, the plasma half-life is higher than 30h, and the glucose lowering effect is good.

IT 1335440-44-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT (Reactant or reagent)

(glucagon-like peptide 1 derivative and its application)

L11 ANSWER 24 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 26 Aug 2011

ACCESSION NUMBER: 2011:1073198 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:371885

TITLE: Conjugated proteins

INVENTOR(S): Buchardt, Jens; Behrens, Carsten

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 60pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2011101277	A1	20110825	WO 2011-EP51889	20110209
W:				
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				
RW:				
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, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW,
 MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM

CN 102812039	A	20121205	CN 2011-80009866	20110209
CN 102812039	B	20160120		
EP 2536752	A1	20121226	EP 2011-708419	20110209
EP 2536752	B1	20150408		
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
JP 2013519698	T	20130530	JP 2012-553263	20110209
JP 5826772	B2	20151202		
ES 2541369	T3	20150717	ES 2011-708419	20110209
US 20130004524	A1	20130103	US 2012-13575207	20120911
US 9234192	B2	20160112		
PRIORITY APPLN. INFO.:			EP 2010-153716	A 20100216
			US 2010-61305723	P 20100218
			WO 2011-EP51889	W 20110209

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:371885

- AB The present invention relates to recombinant protein mols., such as e.g. antigen binding fragments of antibodies, FVII, FVIII, and FIX, wherein said protein mols. are covalently conjugated to at least one hydrophobic side group via a sialic acid. The invention furthermore relates to methods for making such conjugates as well as use of such conjugates. Such conjugates have a modified circulatory half life and do preferably have a relatively homogeneous structure. The conjugates furthermore retain biol. activity and are preferably produced without using any organic solvents. It is however, possible to employ a method for making such mols. wherein said method comprises use of trace amts. of organic solvents. The proteins can be used to treat diseases such as hemophilia and inflammatory diseases.
- IT **1331887-34-3DP**, conjugates with B-domain-deleted recombinant factor VIII
- RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (conjugates of proteins with hydrophobic side groups linked via a sialic acid for disease treatment)
- IT **1332367-91-5DP**, conjugates with asialo transferrin
1332367-92-6P
- RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (conjugates of proteins with hydrophobic side groups linked via a sialic acid for disease treatment)
- IT **1217489-30-9**
- RL: RCT (Reactant); RACT (Reactant or reagent)
 (conjugates of proteins with hydrophobic side groups linked via a sialic acid for disease treatment)
- IT **1331887-32-1DP**, resin-bound **1331887-33-2P**
1331887-34-3P **1332367-91-5P**
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(conjugates of proteins with hydrophobic side groups linked via a sialic acid for disease treatment)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 25 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 26 Aug 2011

ACCESSION NUMBER: 2011:1073163 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:347153

TITLE: Method for purification of a protein that is conjugated to an albumin binding moiety

INVENTOR(S): Buchardt, Jens

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 69pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011101261	A2	20110825	WO 2011-EP51570	20110203
WO 2011101261	A3	20120202		

W: 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

RW: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 2536434	A2	20121226	EP 2011-703642	20110203
EP 2536434	B1	20160420		

R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR

US 20130012684	A1	20130110	US 2012-13575556	20120911
PRIORITY APPLN. INFO.:			EP 2010-153713	A 20100216

US 2010-61306101	P	20100219
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WO 2011-EP51570	W	20110203
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:347153

AB The present invention provides a method for purification of a protein that is conjugated to an albumin binding moiety from a mixture comprising (i) said

protein in said conjugated form and (ii) said protein in a form that is not conjugated to said albumin-binding moiety, the method comprising: (a) providing a solid support comprising a substance capable of specifically binding to the albumin binding moiety; (b) contacting said solid support of (a) with said mixture comprising protein and conjugated protein under suitable conditions for binding of the albumin binding moiety to the substance as defined in (a); and (c) eluting components bound to the solid support.

IT 1331887-34-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(method for purification of a protein that is conjugated to an albumin binding moiety containing a fatty acid or fatty acid derivative)

IT 1207716-05-9

RL: BUU (Biological use, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(method for purification of a protein that is conjugated to an albumin binding moiety containing a fatty acid or fatty acid derivative)

IT 1331887-32-1D, reaction with Rink-amide resin

RL: RCT (Reactant); RACT (Reactant or reagent)

(method for purification of a protein that is conjugated to an albumin binding moiety containing a fatty acid or fatty acid derivative)

IT 1331887-33-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(method for purification of a protein that is conjugated to an albumin binding moiety containing a fatty acid or fatty acid derivative)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L11 ANSWER 26 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 28 Jul 2011

ACCESSION NUMBER: 2011:939762 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:271574

TITLE: Preparation of growth hormone conjugates with prolonged in-vivo efficacy useful in therapy

INVENTOR(S): Behrens, Carsten; Johansen, Nils Langeland; Andersen, Henrik Sune; Noerskov-Lauritsen, Leif; Buchardt, Jens

PATENT ASSIGNEE(S): Novo Nordisk Health Care AG, Switz.

SOURCE: PCT Int. Appl., 195pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011089255	A1	20110728	WO 2011-EP50923	20110124
W:	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			

RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW,
 MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM

CA 2787890	A1	20110728	CA 2011-2787890	20110124
AU 2011208625	A1	20120719	AU 2011-208625	20110124
AU 2011208625	B2	20140508		
IL 220605	A	20120830	IL 2011-220605	20110124
EP 2525834	A1	20121128	EP 2011-705470	20110124

R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,
 PT, RO, RS, SE, SI, SK, SM, TR

KR 2013028053	A	20130318	KR 2012-7021413	20110124
CN 103002918	A	20130327	CN 2011-80015252	20110124
CN 103002918	B	20160504		
JP 2013518038	T	20130520	JP 2012-549377	20110124
JP 5875527	B2	20160302		
TW I508737	B	20151121	TW 2011-102456	20110124
MX 2012008000	A	20120803	MX 2012-8000	20120709
ZA 2012005385	A	20130424	ZA 2012-5385	20120718
ZA 2012005386	A	20130424	ZA 2012-5386	20120718
IN 2012CN06923	A	20160311	IN 2012-CN6923	20120808
US 20120309944	A1	20121206	US 2012-13522390	20120824
AU 2013204527	A1	20130509	AU 2013-204527	20130412
US 20140107324	A1	20140417	US 2013-14132919	20131218
US 8779109	B2	20140715		
JP 2016026204	A	20160212	JP 2015-209720	20151026

PRIORITY APPLN. INFO.:

EP 2010-151405	A	20100122
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US 2010-61297305	P	20100122
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AU 2011-208625	A3	20110124
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JP 2012-549377	A3	20110124
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WO 2011-EP50923	W	20110124
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US 2012-13522390	A1	20120824
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:271574; MARPAT 155:271574

AB The invention is related to a growth hormone conjugate containing a growth hormone compound (GH) having (a) a single Cys mutation, (b) an addnl. disulfide bridge, or (c) a single Cys mutation and an addnl. disulfide bridge, wherein an albumin binding residue via a hydrophilic spacer is linked to GH variant, or a pharmaceutically acceptable salt thereof. Conjugates of the invention have increased resistance to proteolytic degradation in combination with a protracted profile of action and are useful in therapy. Thus, an albumin binder C20-diacid-Trx-γGlu-Glu-OEG-OEG-Gly-Gly-dimethylacetal was prepared and reacted with hGH[Q84, Y143C] to give a conjugate of the invention.

IT	1207716-02-6P	1207716-05-9P
	1207716-06-0P	1207716-07-1P
	1207716-08-2P	1319729-56-0P

1319729-58-2P 1319729-59-3P
 1319729-60-6P 1319729-65-1P
 1319729-66-2P 1319729-68-4P
 1319729-73-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
 RACT (Reactant or reagent)
 (albumin binder; preparation of growth hormone conjugates with prolonged
 in-vivo efficacy)

IT 1319729-66-2DP, resin-bound 1319729-74-2P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation);
 PREP (Preparation); RACT (Reactant or reagent)
 (preparation of growth hormone conjugates with prolonged in-vivo
 efficacy)

IT 1169630-40-3 1207716-53-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of growth hormone conjugates with prolonged in-vivo
 efficacy)

IT 1319729-67-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
 RACT (Reactant or reagent)
 (preparation of growth hormone conjugates with prolonged in-vivo
 efficacy)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS
 RECORD (2 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L11 ANSWER 27 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 05 May 2011

ACCESSION NUMBER: 2011:557864 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 154:557807

TITLE: Acylated calcitonin gene-related peptide compounds
 with prolonged action and their therapeutic use

INVENTOR(S): Nielsen, Anette Sams; Kruse, Thomas; Kodra, Janos
 Tibor; Lau, Jesper F.; Kofoed, Jacob; Raun,
 Kirsten; Nilsson, Cecilia

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 46pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011051312	A1	20110505	WO 2010-EP66216	20101027
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW,
 MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM

EP 2493919 A1 20120905 EP 2010-775768 20101027

R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,
 PT, RO, RS, SE, SI, SK, SM, TR

CN 102666579 A 20120912 CN 2010-80048722 20101027

US 20120245083 A1 20120927 US 2012-13501872 20120614

US 8835379 B2 20140916

PRIORITY APPLN. INFO.:

EP 2009-174673 A 20091030

US 2009-61259341 P 20091109

EP 2009-180154 A 20091221

US 2009-61289478 P 20091223

EP 2010-168560 A 20100706

US 2010-61362476 P 20100708

WO 2010-EP66216 W 20101027

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 154:557807; MARPAT 154:557807

AB Acylated CGRP compds. with a linker have prolonged action and are valuable as medicaments. The present invention relates to compds. of the general formula X-Y-Z, wherein X designates a CGRP compound from which, formally, a hydrogen has been removed from one of the amino groups present in an amino acid residue; Y is a linker as defined herein; and Z is an acyl group; wherein the -Y-Z moiety is connected to an amino group present in an amino acid residue present in the CGRP compound. This invention relates to the furnishing of compds. causing improved pharmacokinetic and pharmacodynamic properties compared with those caused by CGRP. Another aspect of this invention relates to the furnishing of compds. which cause higher plasma GLP-1 values, release of GLP-1 from cultured L-cells, reduction in food intake, increase in energy expenditure, increase in weight loss, reduction in blood pressure compared with that caused by CGRP.

IT 1300662-37-6 1300662-38-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of acylated calcitonin gene-related peptide compds. with prolonged action and their therapeutic use)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L11 ANSWER 28 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 11 Feb 2011

ACCESSION NUMBER: 2011:175706 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 154:268690

TITLE: Growth hormones with prolonged in-vivo efficacy,
 pharmaceutical composition comprising the same,
 and use thereof

INVENTOR(S) : Johansen, Nils Langeland; Andersen, Henrik Sune;
 Buchardt, Jens; Behrens, Carsten;
 Noerskov-Lauritsen, Leif; Su, Jing
 PATENT ASSIGNEE(S) : Novo Nordisk Health Care AG, Switz.
 SOURCE: PCT Int. Appl., 111pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011015649	A1	20110210	WO 2010-EP61473	20100806
W: 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 RW: 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, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2461831	A1	20120613	EP 2010-743085	20100806
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR				
CN 102612376	A	20120725	CN 2010-80035764	20100806
JP 2013501036	T	20130110	JP 2012-523348	20100806
US 20120172303	A1	20120705	US 2012-13389180	20120316
US 8841249	B2	20140923		
US 20140329750	A1	20141106	US 2014-14334095	20140717
PRIORITY APPLN. INFO.:			EP 2009-167350	A 20090806
			US 2009-61232967	P 20090811
			WO 2010-EP61473	W 20100806
			US 2012-13389180	A1 20120316

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 154:268690; MARPAT 154:268690

AB The present invention relates to polypeptide compound optimized for s.c. administration, exemplified by growth hormone conjugates having a linker providing non-covalent binding to albumin. the conjugate has a formula A-W-B-GH (GH represents a growth hormone compound; B represents a hydrophc spacer; W is a chemical group linking A and B; and A represent an albumin binding residue), and pharmaceutically acceptable salts, solvates, and prodrugs thereof. A pharmaceutical composition containing the conjugates, and the use of the conjugate in the manufacture of a medicament for treatment of related diseases are also disclosed. for example an albumin-binding linker compound,

C20-diacid-Trx-γGlu-Glu-OEG-OEG-Gly-Gly-dimethylacetal, was reacted with hGH to give a conjugate of the present invention.

IT 1265919-89-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(albumin-binding linker compound; growth hormones with prolonged in-vivo efficacy, pharmaceutical composition comprising the same, and use thereof)

IT 1207716-01-5P 1207716-02-6P
1207716-05-9P 1207716-06-0P
1207716-07-1P 1207716-08-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(albumin-binding linker compound; growth hormones with prolonged in-vivo efficacy, pharmaceutical composition comprising the same, and use thereof)

IT 1345853-29-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(growth hormones with prolonged in-vivo efficacy, pharmaceutical composition comprising the same, and use thereof)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 29 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 17 Dec 2010

ACCESSION NUMBER: 2010:1568872 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 154:40616

TITLE: GLP-1 and FGF21 combinations for treatment of diabetes type 2

INVENTOR(S): Andersen, Birgitte; Hansen, Ann Maria Kruse; Rolin, Bidida Charlotte

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 43pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2010142665	A1	20101216	WO 2010-EP57986	20100608
W:	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			
RW:	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, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,			

TJ, TM

EP 2440235	A1	20120418	EP 2010-724816	20100608
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HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,				
PT, RO, SE, SI, SK, SM, TR				
JP 2012529463	T	20121122	JP 2012-514442	20100608
CN 102802657	A	20121128	CN 2010-80026383	20100608
WO 2011154349	A2	20111215	WO 2011-EP59273	20110606
WO 2011154349	A3	20120301		
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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				
RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN,				
GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW,				
MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD,				
RU, TJ, TM				
US 20120035099	A1	20120209	US 2011-13154081	20110606
EP 2579889	A2	20130417	EP 2011-729390	20110606
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,				
HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,				
PT, RO, RS, SE, SI, SK, SM, TR				
CN 103124562	A	20130529	CN 2011-80028014	20110606
JP 2013533227	T	20130822	JP 2013-513645	20110606
US 20120172298	A1	20120705	US 2012-13375360	20120320
PRIORITY APPLN. INFO.:			EP 2009-162521	A 20090611
			US 2009-61186471	P 20090612
			WO 2010-EP57986	W 20100608
			WO 2010-CN1099	A 20100721
			US 2010-61373290	P 20100813
			WO 2011-EP59273	W 20110606

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 154:40616

AB The invention relates to the use of a Fibroblast Growth Factor 21 (FGF21) compound and a Glucagon-Like Peptide 1 (GLP-1) compound in combination for the preparation of a medicament for the treatment of diabetes, more in particular type 2 diabetes, as well as pharmaceutical compns. comprising certain FGF21 and GLP-1 compds. in combination, together with a pharmaceutically acceptable carrier. The combination has a significant effect on parameters of relevance for diabetes type 2, viz. on the viability of beta cells ex vivo in the presence of free fatty acids, on caspase activity of beta cells ex vivo (a measure of cell apoptosis), and a blood glucose lowering effect on db/db mice in vivo.

IT 1169630-40-3 1237767-93-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepared GLP-1 and FGF21 analogs and combinations for treatment of
diabetes type 2)

IT 1237767-96-2P 1237767-98-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(prepared GLP-1 and FGF21 analogs and combinations for treatment of
diabetes type 2)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS
RECORD (4 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L11 ANSWER 30 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 16 Sep 2010

ACCESSION NUMBER: 2010:1161104 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 153:431716

TITLE: Modification of factor VIII

INVENTOR(S): Zundel, Magali; Peschke, Bernd; Karpf, Ditte
Maria; Madsen, Kjeld

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 64pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010102886	A1	20100916	WO 2010-EP52022	20100218
W:				
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				
RW:				
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,				
SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,				
ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD,				
SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2398822	A1	20111228	EP 2010-704152	20100218
EP 2398822	B1	20130102		
R:				
AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR,				
HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT,				
RO, SE, SI, SK, SM, TR				
CN 102333788	A	20120125	CN 2010-80007495	20100218
JP 2012518029	T	20120809	JP 2011-550560	20100218
ES 2401965	T3	20130425	ES 2010-704152	20100218
US 20110306551	A1	20111215	US 2011-13146760	20110901
PRIORITY APPLN. INFO.:			EP 2009-153257	A 20090219
			US 2009-61161510	P 20090319

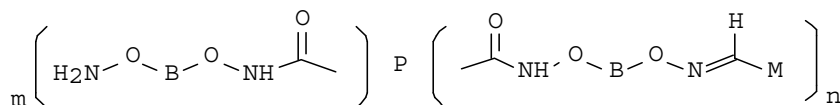
WO 2010-EP52022

W 20100218

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S) : CASREACT 153:431716; MARPAT 153:431716

GI



I

AB A Factor VIII derivative of formula (I): wherein: B represents C2 to C10 alkylene; m represents 0 or an integer from 1 to 19, n represents an integer from 1 to 20, and the sum of m and n is from 1 to 20; P represents a mono or polyradical of Factor VIII obtained by removing m + n carbamoyl groups from the side chains of glutamine residues in Factor VIII; and M represents a moiety (M1) that increases the plasma half-life of the Factor VIII derivative or a reporter moiety (M2); or a pharmaceutically acceptable salt thereof.

IT 1207716-01-5P 1245813-82-4DP, fusion protein
with SFSQNSRHPSQNPPVLKRHQR peptide and Factor VIII
1265919-89-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(modification of factor VIII)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS
RECORD (3 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L11 ANSWER 31 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 29 Jul 2010

ACCESSION NUMBER: 2010:937324 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 153:278479

TITLE: Fgf21 derivatives with albumin binder a-b-c-d-e-
and their use

INVENTOR(S): Tagmose, Tina Moeller; Garibay, Patrick William;
Andersen, Birgitte; Wang, Jishu; Frieboes, Kilian
Waldemar Conde Frieboes; Bak-Jensen, Kristian
Sass; Thoegersen, Henning; Woeldike, Helle;
Nielsen, Peter Kresten; Slaaby, Rita; Zhang,
Xujia; Wieczorek, Birgit

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010084169	A2	20100729	WO 2010-EP50720	20100122
WO 2010084169	A3	20110217		
W:	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			
RW:	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, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2748593	A1	20100729	CA 2010-2748593	20100122
AU 2010207721	A1	20110728	AU 2010-207721	20100122
AU 2010207721	B2	20150326		
KR 2011117666	A	20111027	KR 2011-7017722	20100122
EP 2389190	A2	20111130	EP 2010-701661	20100122
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR			
CN 102361647	A	20120222	CN 2010-80014029	20100122
JP 2012515747	T	20120712	JP 2011-546796	20100122
JP 5599822	B2	20141001		
IL 213848	A	20130131	IL 2010-213848	20100122
RU 2525393	C2	20140810	RU 2011-134454	20100122
BR 2010007313	A2	20160210	BR 2010-7313	20100122
TW I494127	B	20150801	TW 2010-101919	20100125
WO 2011089170	A2	20110728	WO 2011-EP50718	20110120
WO 2011089170	A3	20111117		
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CN 102791730	A	20121121	CN 2011-80006741	20110120
EP 2526117	A2	20121128	EP 2011-701494	20110120
EP 2526117	B1	20150506		
R:	AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR			

ES 2543634	T3	20150820	ES 2011-701494	20110120
MX 2011007544	A	20110812	MX 2011-7544	20110714
IN 2011CN05267	A	20121123	IN 2011-CN5267	20110720
ZA 2011005423	A	20130626	ZA 2011-5423	20110722
US 20130005951	A1	20130103	US 2012-13522804	20120917
US 9120871	B2	20150901		
PRIORITY APPLN. INFO.:			EP 2009-151227	A 20090123
			EP 2009-152144	A 20090205
			EP 2009-164904	A 20090708
			US 2009-61151355	P 20090210
			US 2009-61151357	P 20090210
			US 2009-61225387	P 20090714
			US 2010-692227	A 20100122
			WO 2010-EP50720	W 20100122
			EP 2010-165927	A 20100615
			US 2010-61356086	P 20100618
			WO 2011-EP50718	W 20110120

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 153:278479; MARPAT 153:278479

AB The present invention relates to Fibroblast Growth Factor 21 (FGF21), more in particular to derivs. of FGF21 compds. having an albumin binder of the formula A-B- C-D-E- covalently attached. The invention also relates to novel FGF21 analogs, as well as to the pharmaceutical use of these FGF21 derivs. and analogs, in particular for the treatment of diabetes, dyslipidemia, obesity, cardiovascular diseases, metabolic syndrome, and/or Non Alc. Fatty Liver Disease (NAFLD). The derivs. of the invention are protracted, e.g., capable of maintaining a low blood glucose level for a longer period of time, capable of increasing the in vivo half-life of FGF21, and/or result in a lower clearance of FGF21. The derivs. of the invention are preferably furthermore of an improved oxidative stability.

IT 1237767-92-8DP, Fgf21 derivs. containing

1237767-96-2DP, Fgf21 derivs. containing

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant);

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(Fgf21 derivs. with albumin binder a-b-c-d-e- and their therapeutic use)

IT 1237767-93-9DP, Fgf21 derivs. containing

1237767-94-0DP, Fgf21 derivs. containing

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(Fgf21 derivs. with albumin binder a-b-c-d-e- and their therapeutic use)

IT 1169630-40-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(Fgf21 derivs. with albumin binder a-b-c-d-e- and their therapeutic use)

IT 1188328-38-2P 1237767-92-8P
1237767-93-9P 1237767-98-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(Fgf21 derivs. with albumin binder a-b-c-d-e- and their therapeutic use)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS
RECORD (1 CITINGS)

L11 ANSWER 32 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 03 Jun 2010

ACCESSION NUMBER: 2010:679931 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 153:4046

TITLE: Peptides for treatment of obesity

INVENTOR(S): Lau, Jesper F.; Sensfuss, Ulrich; Conde-Frieboes,
Kilian Waldemar; Wulff, Birgitte Schjellerup

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 117pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010060901	A1	20100603	WO 2009-EP65721	20091124
W: 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, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: 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, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2370104	A1	20111005	EP 2009-756324	20091124
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CN 102223898	A	20111019	CN 2009-80147105	20091124
JP 2012509862	T	20120426	JP 2011-536897	20091124
US 20100173835	A1	20100708	US 2009-626188	20091125
US 20120021973	A1	20120126	US 2011-13130839	20110914
PRIORITY APPLN. INFO.:			EP 2008-169871	A 20081125
			US 2008-61117999	P 20081126
			WO 2009-EP65721	W 20091124

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 153:4046; MARPAT 153:4046

AB The present invention relates to novel peptide compds. which are effective in modulating one or more melanocortin receptor types, to the use of the compds. in therapy, to methods of treatment comprising administration of the compds. to patients in need thereof, and to the use of the compds. in the manufacture of medicaments. The compds. of the invention are of particular interest in relation to the treatment of obesity as well as a variety of diseases or conditions associated with obesity.

IT 1228015-19-7P 1228015-22-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptides for treatment of obesity)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 33 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 18 Mar 2010

ACCESSION NUMBER: 2010:331055 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 152:381750

TITLE: Method of acylating a peptide or protein

INVENTOR(S): Christensen, Caspar; Severinsen, Rune; Petersen, Anders Klarskov; Kidal, Steffen; Jessen, Claus U.; Madsen, Peter; Valore, Henrik; Tagmose, Tina Moeller; Soerensen, Jan Lindy

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 61pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

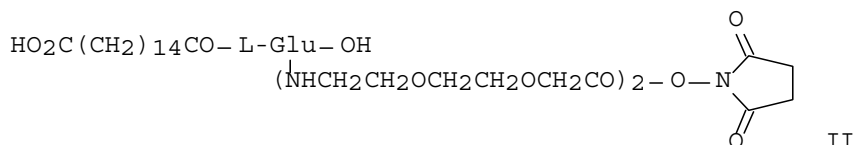
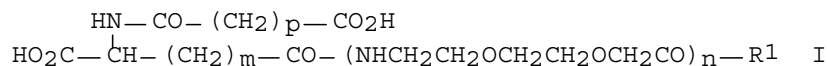
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010029159	A1	20100318	WO 2009-EP61821	20090911
W:	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, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	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, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 2340049	A1	20110706	EP 2009-782928	20090911
EP 2340049	B1	20151111		
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT,			

RO, SE, SI, SK, SM, TR, AL, BA, RS						
CN	102149411	A	20110810	CN	2009-80135621	20090911
JP	2012502083	T	20120126	JP	2011-526498	20090911
JP	5591243	B2	20140917			
ES	2561208	T3	20160225	ES	2009-782928	20090911
IN	2011CN01364	A	20111230	IN	2011-CN1364	20110228
US	20110213131	A1	20110901	US	2011-13063548	20110413
US	8637647	B2	20140128			
US	20140142280	A1	20140522	US	2014-14166148	20140128
US	8791236	B2	20140729			
JP	2014221823	A	20141127	JP	2014-152682	20140728
PRIORITY APPLN. INFO.:				EP	2008-164261	A 20080912
				EP	2009-161650	A 20090602
				US	2008-61097645	P 20080917
				JP	2011-526498	A3 20090911
				WO	2009-EP61821	W 20090911
				US	2011-13063548	A1 20110413

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 152:381750; MARPAT 152:381750
 GI



AB A method for selectively acylating one amino group in a peptide or protein which has two or more reactive nucleophilic groups comprising the use of acylating reagents I [$n = 1-6$; $m = 1, 2$; $p = 4-20$; R^1 = leaving group which when reacting said acylating agent with a free amine facilitates the formation of an amide bond between the carbonyl group attached to R^1 and said amine], wherein pH in the aqueous media is between pHs 8-14, isolating the N-acylated peptide or protein, wherein an N-acylated peptide or protein is obtained comprising at least one reactive nucleophilic functional group which is not or only partially acylated, is claimed. For example, the acylation reagent glutamate derivative II was prepared from (S)-2-(15-benzyloxycarbonyl-pentadecanoylamino)pentanedioic acid 5-benzyl ester 1-(2,5-dioxopyrrolidin-1-yl) ester and 17-amino-10-oxo-3,6,12,15-tetraoxa-9-azaheptadecan-1-oic acid in NMP using N-hydroxysuccinimide and N,N-dicyclohexylcarbodiimide for the coupling step, followed by hydrogenation with Pd/C. II was used to acylate

[Aib8,Arg34]GLP-1(7-37) to give 92.8% of Lys26-monoacylated and 1.3% of N α ,Lys26-diacylated peptides.

IT 1169630-40-3P 1188328-38-2P
1217489-30-9P 1217489-32-1P
1217489-33-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)

(preparation of glutamic acid-containing acylating reagents for selective
acylation of amino group(s) in peptides or proteins)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS
RECORD (2 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L11 ANSWER 34 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 12 Feb 2010

ACCESSION NUMBER: 2010:187403 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 152:263109

TITLE: Preparation of conjugated proteins with prolonged
in vivo efficacy for treating hemophilia

INVENTOR(S): Behrens, Carsten; Garibay, Patrick William;
Oestergaard, Soeren; Andersen, Henrik Sune;
Johansen, Nils Langeland; Peschke, Bernd; Bak,
Sonja

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 133pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

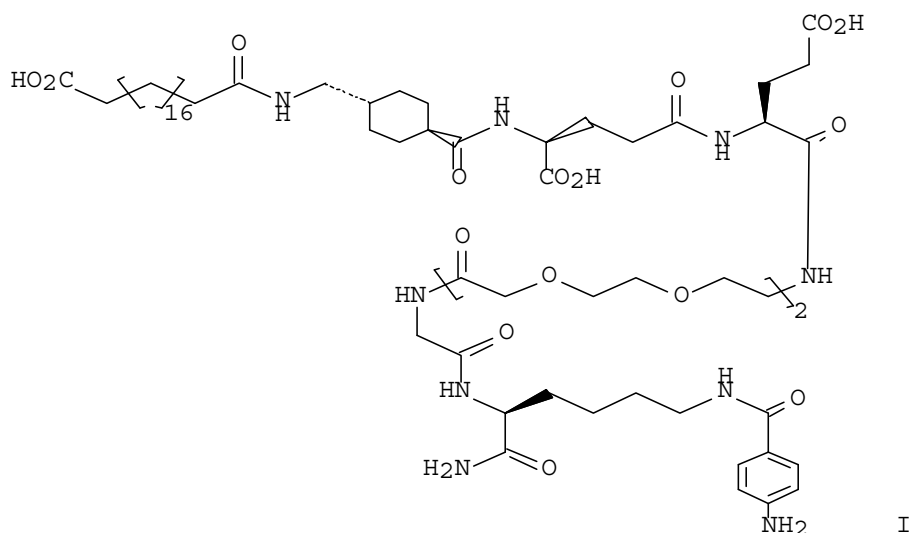
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010015668	A1	20100211	WO 2009-EP60186	20090806
W:				
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, TJ, TM, TN, TR, TT, TZ, UA, UG,				
US, UZ, VC, VN, ZA, ZM, ZW				
RW:				
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,				
SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,				
ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD,				
SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2009279090	A1	20100211	AU 2009-279090	20090806
CA 2733200	A1	20100211	CA 2009-2733200	20090806
KR 2011039348	A	20110415	KR 2011-7003464	20090806
EP 2323694	A1	20110525	EP 2009-781544	20090806
R:				
AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR,				
HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT,				
RO, SE, SI, SK, SM, TR, AL, BA, RS				
CN 102112157	A	20110629	CN 2009-80130961	20090806

CN 102112157	B	20130529		
JP 2011529948	T	20111215	JP 2011-521577	20090806
RU 2526804	C2	20140827	RU 2011-106573	20090806
BR 2009017000	A2	20160216	BR 2009-17000	20090806
MX 2011000847	A	20110225	MX 2011-847	20110121
IN 2011CN00755	A	20111223	IN 2011-CN755	20110203
US 20110223151	A1	20110915	US 2011-13055871	20110526
US 8865868	B2	20141021		
PRIORITY APPLN. INFO.:			EP 2008-161905	A 20080806
			US 2008-61088157	P 20080812
			WO 2009-EP60186	W 20090806

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 152:263109; MARPAT 152:263109
 GI



AB The invention is related to a process for the preparation of a conjugated protein or glycoprotein, in particular but not exclusively, blood coagulation factors, e.g., human growth hormone (hGH) - I, by reacting a protein or glycoprotein with a water insol. albumin binder in the presence of an optionally substituted cyclodextrin mol., to pharmaceutical compns. containing it and to its use in therapy, in particular but not exclusively, for the treatment of diseases alleviated by blood coagulation factors such as the prophylactic treatment of hemophilia. Thus, transamination of hGH with 1,3-diamino-2-propanol in the presence of transglutaminase, oxidation of the transaminated product with NaIO₄ in HEPES buffer and reductive amination of albumin binder I (preparation given) with the modified hGH gave the hGH - I conjugate.

IT 1265919-89-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of conjugated proteins with prolonged in vivo efficacy for treating hemophilia)

IT 1207716-01-5P 1207716-02-6P
1207716-05-9P 1207716-06-0P
1207716-07-1P 1207716-08-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)

(preparation of conjugated proteins with prolonged in vivo efficacy for treating hemophilia)

IT 1207716-25-3DP, conjugate with growth hormone transaminated and oxidated at a glutamine site 1207716-28-6DP, conjugate with growth hormone transaminated and oxidated at a glutamine site 1207716-29-7DP, conjugate with growth hormone transaminated and oxidated at a glutamine site 1207716-30-0DP, conjugate with growth hormone transaminated and oxidated at a glutamine site 1207716-31-1DP, conjugate with growth hormone transaminated and oxidated at a glutamine site 1207716-47-9DP, conjugate with growth hormone at the Phe1 site 1207716-53-7DP, conjugates with human growth hormone

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of conjugated proteins with prolonged in vivo efficacy for treating hemophilia)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 35 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 19 Nov 2009

ACCESSION NUMBER: 2009:1431091 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 151:577542

TITLE: Long-acting Y2 and/or Y4 receptor agonists, PYY or PP peptide derivs. with serum albumin binding side chains comprising a distal tetrazole or carboxylic acid group

INVENTOR(S): Oestergaard, Soeren; Knudsen, Sanne Moeller; Spetzler, Jane; Joergensen, Rasmus; Kofoed, Jacob

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 179pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2009138511	A1	20091119	WO 2009-EP55989	20090518
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK,			

SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
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RW: 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,
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AU 2009248041 A1 20091119 AU 2009-248041 20090518
AU 2009248041 B2 20131003
CA 2723855 A1 20091119 CA 2009-2723855 20090518
EP 2279204 A1 20110202 EP 2009-745843 20090518
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR,
HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT,
RO, SE, SI, SK, TR, AL, BA, RS
KR 2011017874 A 20110222 KR 2010-7027495 20090518
CN 102027007 A 20110420 CN 2009-80117304 20090518
JP 2011520847 T 20110721 JP 2011-508945 20090518
IL 208836 A 20121231 IL 2009-208836 20090518
RU 2504550 C2 20140120 RU 2010-149474 20090518
BR 2009012615 A2 20160126 BR 2009-12615 20090518
IN 2010DN07480 A 20120224 IN 2010-DN7480 20101022
MX 2010011845 A 20101122 MX 2010-11845 20101028
ZA 2010008264 A 20110928 ZA 2010-8264 20101118
US 20110275559 A1 20111110 US 2011-993015 20110223
PRIORITY APPLN. INFO.: EP 2008-156360 A 20080516
EP 2009-154461 A 20090305
US 2008-61055310 P 20080522
US 2009-61157761 P 20090305
WO 2009-EP55989 W 20090518

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 151:577542; MARPAT 151:577542

AB The present invention relates to a PYY or PP peptide derivative or analog thereof derivatized with one or more serum albumin binding side chains comprising a distal tetrazole or carboxylic acid group. Moreover, the invention relates to compns. hereof and methods of treatment of conditions responsive to Y receptor modulation.

IT 1195985-21-7P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(long-acting Y2 and/or Y4 receptor agonists, PYY or PP peptide derivs. with serum albumin binding side chains comprising a distal tetrazole or carboxylic acid group)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 36 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN
ED Entered STN: 24 Sep 2009

ACCESSION NUMBER: 2009:1163599 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 151:396482
 TITLE: Protease stabilized, acylated insulin analogues
 for therapeutic use
 INVENTOR(S): Madsen, Peter; Kjeldsen, Thomas Boerglum;
 Hoeg-Jensen, Thomas; Jakobsen, Palle; Tagmose,
 Tina Moeller; Glendorf, Tine; Kodra, Janos Tibor;
 Garibay, Patrick William
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 233pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009115469	A1	20090924	WO 2009-EP53017	20090313
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	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, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2009226910	A1	20090924	AU 2009-226910	20090313
AU 2009226910	B2	20140206		
CA 2718738	A1	20090924	CA 2009-2718738	20090313
EP 2254906	A1	20101201	EP 2009-722934	20090313
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, RS			
KR 2011004366	A	20110113	KR 2010-7020828	20090313
CN 102037008	A	20110427	CN 2009-80118484	20090313
JP 2011515358	T	20110519	JP 2011-500166	20090313
JP 5749155	B2	20150715		
IL 207748	A	20121231	IL 2009-207748	20090313
EP 2910569	A1	20150826	EP 2014-198511	20090313
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR			
EP 2910570	A1	20150826	EP 2014-198513	20090313
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR			
EP 2910571	A1	20150826	EP 2014-198514	20090313
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR			
RU 2571857	C2	20151220	RU 2010-141481	20090313

KR 2016073431	A	20160624	KR 2016-7015848	20090313
WO 2010060667	A1	20100603	WO 2009-EP62126	20090918
W: 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, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: 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, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2370059	A1	20111005	EP 2009-783181	20090918
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR				
CN 102227213	A	20111026	CN 2009-80147524	20090918
JP 2012510438	T	20120510	JP 2011-537906	20090918
WO 2010066636	A1	20100617	WO 2009-EP66335	20091203
W: 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, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: 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, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2376531	A1	20111019	EP 2009-771326	20091203
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR				
CN 102245633	A	20111116	CN 2009-80149419	20091203
JP 2012511506	T	20120524	JP 2011-539029	20091203
IN 2010DN05847	A	20111125	IN 2010-DN5847	20100817
ZA 2010006126	A	20111130	ZA 2010-6126	20100827
MX 2010009850	A	20100930	MX 2010-9850	20100907
US 20110105720	A1	20110505	US 2010-922117	20101210
US 8691759	B2	20140408		
IN 2011CN03604	A	20120323	IN 2011-CN3604	20110526
US 20110294729	A1	20111201	US 2011-13133465	20110805
US 20110293714	A1	20111201	US 2011-13131608	20110811
AU 2013205270	A1	20130502	AU 2013-205270	20130410
AU 2013205270	B2	20150115		
AU 2013205273	A1	20130502	AU 2013-205273	20130410
AU 2013205273	B2	20141218		
AU 2013205275	A1	20130502	AU 2013-205275	20130410
AU 2013205275	B2	20150903		
US 20140073564	A1	20140313	US 2013-13973183	20130822

US 9045560	B2	20150602		
JP 2015147781	A	20150820	JP 2015-57775	20150320
JP 2015147782	A	20150820	JP 2015-57776	20150320
JP 2015155421	A	20150827	JP 2015-57777	20150320
US 20150210747	A1	20150730	US 2015-14684863	20150413
US 20150210748	A1	20150730	US 2015-14686176	20150414
PRIORITY APPLN. INFO.:			EP 2008-102708	A 20080318
			EP 2008-170231	A 20081128
			US 2008-61039120	P 20080325
			EP 2008-171086	A 20081209
			AU 2009-226910	A3 20090313
			EP 2009-722934	A3 20090313
			JP 2011-500166	A3 20090313
			KR 2010-7020828	A3 20090313
			WO 2009-EP53017	W 20090313
			EP 2009-161014	A 20090525
			EP 2009-161232	A 20090527
			WO 2009-EP62126	W 20090918
			WO 2009-EP66335	W 20091203
			US 2010-922117	A1 20101210
			US 2013-13973183	A1 20130822
			US 2015-14684863	A1 20150413

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 151:396482

AB Novel acylated insulin analogs exhibiting resistance towards proteases can, effectively, be administered pulmonary or orally. The insulin analogs contain B25H and A14E or A14H, and can be used for the preparation of a pharmaceutical preparation for the reducing of blood glucose level in mammals, in particularly for the treatment of diabetes.

IT 1169630-40-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(protease stabilized, acylated insulin analogs for therapeutic use)

IT 1188328-38-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(protease stabilized, acylated insulin analogs for therapeutic use)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS
RECORD (10 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L11 ANSWER 37 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 10 Jul 2009

ACCESSION NUMBER: 2009:826302 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 151:156216

TITLE: Semi-recombinant preparation of GLP-1 analogues

INVENTOR(S): Lau, Jesper Faergeman; Andersen, Asser Sloth;
Bloch, Paw; Lau, Jesper; Garibay, Patrick William;
Kruse, Thomas; Noerby, Inga Sig Nielsen; Jessen,
Claus Ulrich; Christensen, Caspar; Norrild, Jens
Christian

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 130pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009083549	A1	20090709	WO 2008-EP68211	20081222
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2238153	A1	20101013	EP 2008-868214	20081222
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS				
CN 101910193	A	20101208	CN 2008-80124022	20081222
JP 2011509077	T	20110324	JP 2010-540119	20081222
JP 5726532	B2	20150603		
CN 105154498	A	20151216	CN 2015-10459093	20081222
IN 2010DN03991	A	20111111	IN 2010-DN3991	20100604
US 20100317057	A1	20101216	US 2010-810934	20100831
PRIORITY APPLN. INFO.:			EP 2007-124141	A 20071228
			CN 2008-80124022	A3 20081222
			WO 2008-EP68211	W 20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 151:156216; MARPAT 151:156216

AB A semi-recombinant method for the production of GLP-1 analogs and derivs. with non-proteogenic amino acids in the N-terminal part combining the use of recombinant expression techniques and chemical peptide synthesis.

IT 1169630-40-3P 1169630-54-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
 RACT (Reactant or reagent)

(semi-recombinant preparation of GLP-1 analogs)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS
 RECORD (6 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L11 ANSWER 38 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 25 Jul 2008

ACCESSION NUMBER: 2008:889425 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:201250

TITLE: Preparation of peptides as melanocortin receptor
 modulators for use in the treatment of obesity and
 associated disorders

INVENTOR(S): Sensfuss, Ulrich; Conde Frieboes, Kilian Waldemar;
 Spetzler, Jane

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 113pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087186	A2	20080724	WO 2008-EP50512	20080117
WO 2008087186	A3	20081023		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY,
 BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK,
 SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR,
 HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ,
 TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA,
 EP, OA

EP 2106405	A2	20091007	EP 2008-707959	20080117
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R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR,
 HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO,
 SE, SI, SK, TR

JP 2010516652	T	20100520	JP 2009-545927	20080117
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US 20100016237	A1	20100121	US 2009-521906	20090820
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PRIORITY APPLN. INFO.:			DK 2007-76	A	20070118
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			WO 2008-EP50512	W	20080117
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 149:201250; MARPAT 149:201250

AB The invention is related to novel peptide compds. R1-R2-CO-R3-S1-Z1-Z2-Z3-Z4-Z5-Z6-cyclo[X1-X2-X3-Arg-X4-X5]-Z7-R4 [R1 = tetrazol-5-yl, carboxy; R2 = linear, branched and/or cyclic alkyl, alkenyl, alkynyl which may be substituted by halogen, OH or aryl; R3 = absent, NHSO₂(CH₂)₃-CO or a peptide fragment containing 1-2 amino acid residues and at least one carboxy group; S1 = absent, a 4-aminobutyric acid residue, Gly, β -Ala, glycoether-based structure; Z1 = absent or a peptide fragment containing 1-4 amino acid residues; Z2-6, X1-5 = amino acid residues (defined); Z7 = absent, a peptide fragment containing 1-3 amino acid residues; R4 = OR', N(R')₂; each R' = independently H, alkyl, alkenyl or alkynyl which may be substituted with ≥ 1 NH₂ or OH] and their pharmaceutically-acceptable salts, prodrugs and solvates, which are effective in modulating one or more melanocortin receptor types (no data). Ten biol. assays are given. Thus, 2-[2-[2-[[16-(tetrazol-5-yl)hexadecanoyl]amino]ethoxy]ethoxy]acetyl-Gly-Ser-Gln-His-Dap(iPr)-D-Leu-cyclo[Glu-Hyp-D-Phe-Arg-Trp-Lys]-NH₂ was prepared by the solid-phase method except for the last step (reductive N-alkylation with acetone) which was conducted in solution. The compds. of the invention are of particular interest in relation to the treatment of obesity as well as a variety of diseases or conditions associated with obesity.

IT 1041358-80-8P 1041358-83-1P
1041358-86-4P 1041359-46-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of peptides as melanocortin receptor modulators for use in treatment of obesity)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L11 ANSWER 39 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 25 Jul 2008

ACCESSION NUMBER: 2008:889254 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:201249

TITLE: Use of peptides in combination with surgical intervention for the treatment of obesity

INVENTOR(S): Wulff, Birgitte Schjellerup; Raun, Kirsten; Hansen, Birgit Sehested

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 103pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087190	A2	20080724	WO 2008-EP50516	20080117
WO 2008087190	A3	20090115		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR,
 HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ,
 TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA,
 EP, OA

EP 2101822 A2 20090923 EP 2008-707963 20080117

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR,
 HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO,
 SE, SI, SK, TR

US 20100022446 A1 20100128 US 2009-523197 20090903

PRIORITY APPLN. INFO.: DK 2007-81 A 20070118

WO 2008-EP50516 W 20080117

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 149:201249; MARPAT 149:201249

AB The invention relates to novel peptides T-A-L-P [T is tetrazol-5-yl; A is straight-chain, branched and/or cyclic alkyl, alkenyl, or alkynyl which may optionally be substituted by halogen, hydroxy, or aryl; L is a bond or a chemical structure covalently linking A and P; and P is a peptide structure comprising at least six α -amino acid residues] which are effective in modulating one or more melanocortin receptor types and are of particular interest in relation to the treatment of obesity in combination with surgery. An example is 16-(tetrazol-5-yl)hexadecanoyl-Gly-Thr-Gln-His-Ser-Nle-cyclo[Glu-Hyp-D- Phe-Arg-Trp-Lys]NH₂, which was prepared by the solid-phase method. Melanocortin receptor binding assays are described.

IT 921761-50-4P 921761-63-9P 921761-82-2P
 921761-83-3P 921761-84-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(melanocortin receptor-modulating peptides in combination with surgical intervention for treatment of obesity)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L11 ANSWER 40 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 25 Jul 2008

ACCESSION NUMBER: 2008:889164 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:201248

TITLE: Preparation of peptides as melanocortin receptor modulators for use in the treatment of obesity and associated disorders

INVENTOR(S): Sensfuss, Ulrich; Conde Frieboes, Kilian Waldemar

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 72pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087189	A2	20080724	WO 2008-EP50515	20080117
WO 2008087189	A3	20080904		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 2106407 A2 20091007 EP 2008-707962 20080117

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR

JP 2010516654 T 20100520 JP 2009-545930 20080117

PRIORITY APPLN. INFO.: DK 2007-79 A 20070118

WO 2008-EP50515 W 20080117

OTHER SOURCE(S): CASREACT 149:201248; MARPAT 149:201248

AB The invention is related to novel peptide compds. R1-R2-CO-R3-S1-Z1-Z2-Z3-Z4-cyclo[Glu-X-D-Phe-Arg-Trp-Lys]-Z7-R4 [R1 = tetrazol-5-yl, carboxy; R2 = linear, branched and/or cyclic alkyl, alkenyl, alkynyl which may be substituted by halogen, OH or aryl; R3 = absent, NHSO₂(CH₂)₃-5CO or a peptide fragment containing 1-2 amino acid residues and at least one carboxy group; S1 = absent or a glycoether-based structure; Z1 = absent or a peptide fragment consisting of 3 amino acid residues; Z2 = His, D-His; Z3, Z4 = amino acid residues (defined); X = Pro, Hyp, Aze, Pip, Tic; Z7 = absent, a peptide fragment containing 1-3 amino acid residues; R4 = OR', N(R')₂; each R' = independently H, alkyl, alkenyl or alkynyl which may be substituted with ≥1 NH₂ or OH; with the proviso that X is not Hyp if Z7 is absent] and their pharmaceutically-acceptable salts, prodrugs and solvates, which are effective in modulating one or more melanocortin receptor types (no data). Ten biol. assays are given. Thus, 2-[2-[2-[[16-(tetrazol-5-yl)hexadecanoyl]amino]ethoxy]ethoxy]acetyl-Gly-Ser-Gln-D -His-Dap-Nle-cyclo[Glu-Hyp-D-Phe-Arg-Trp-Lys]-NH₂ was prepared as a trifluoroacetate by the solid-phase method. The compds. of the invention are of particular interest in relation to the treatment of obesity as well as a variety of diseases or conditions associated with obesity.

IT 1041085-48-6P 1041085-54-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of peptides as melanocortin receptor modulators for use in treatment of obesity)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L11 ANSWER 41 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 24 Jul 2008

ACCESSION NUMBER: 2008:881419 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:201246

TITLE: Preparation of peptides as melanocortin receptor modulators for use in the treatment of obesity and associated disorders

INVENTOR(S): Sensfuss, Ulrich; Lau, Jesper

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087187	A1	20080724	WO 2008-EP50513	20080117
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2104684	A1	20090930	EP 2008-707960	20080117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR				
JP 2010517943	T	20100527	JP 2009-545928	20080117
US 20100016238	A1	20100121	US 2009-522477	20090820
PRIORITY APPLN. INFO.:			DK 2007-78	A 20070118
			WO 2008-EP50513	W 20080117

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:201246

AB The invention is related to novel peptide compds. R1-R2-CO-R3-S1-Z1-Z2-Z3-Z4-Z5-Z6-cyclo[X1-X2-X3-Arg-X4-X5]-Z7-R4 [R1 = tetrazol-5-yl, carboxy; R2 = linear, branched and/or cyclic alkyl, alkenyl, alkynyl which may be substituted by halogen, OH or aryl; R3 = absent, NHSO2(CH2)3-5CO or a peptide fragment containing 1-2 amino acid residues and at least one carboxy group; S1 = absent, a 4-aminobutyric acid residue, Gly, β -Ala, glycolether-based structure; Z1 = absent or a peptide fragment containing 1-4 amino acid residues; Z2-6, X1-2, X5 = amino acid residues (defined); X3 = D-Phe wherein ≥ 1 H's on the Ph moiety in D-Phe may optionally and independently be substituted by halogen, OH, alkoxy, NO2, Me, CF3 and CN; X4 = Trp, (2-naphthalenyl)alanine, (3-benzo[b]thienyl)alanine or (S)-2,3,4,9-tetrahydro-1H- β -carboline-3-carboxylic acid; Z7 = absent, a peptide fragment containing 1-3 amino acid residues; R4 = OR', N(R')2; each R' = independently H, alkyl, alkenyl or alkynyl which may be substituted with ≥ 1 NH2 or OH] and their pharmaceutically-acceptable salts, prodrugs and solvates, which are effective in modulating one or more melanocortin receptor types (no data). Ten biol.

assays are given. Thus, 2-[2-[2-[[16-(tetrazol-5-yl)hexadecanoyl]amino]ethoxy]ethoxy]acetyl- Gln-Arg-Ser-His-Ser-Nle-cyclo[Glu-Hyp-D-Phe-Arg-Trp-Lys]-NH₂ was prepared by the solid-phase method. The compds. of the invention are of particular interest in relation to the treatment of obesity as well as a variety of diseases or conditions associated with obesity.

IT 1041181-67-2P 1041181-68-3P
1041181-69-4P 1041181-74-1P
1041181-75-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of peptides as melanocortin receptor modulators for use in treatment of obesity)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 42 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 24 Jul 2008

ACCESSION NUMBER: 2008:881367 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:201245

TITLE: Preparation of peptides as melanocortin receptor modulators for use in the treatment of obesity and associated disorders

INVENTOR(S): Sensfuss, Ulrich

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 71pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087188	A2	20080724	WO 2008-EP50514	20080117
WO 2008087188	A3	20080904		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 2106406	A2	20091007	EP 2008-707961	20080117
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO,			

SE, SI, SK, TR
 JP 2010516653 T 20100520 JP 2009-545929 20080117
 US 20100056433 A1 20100304 US 2009-522965 20090820
 PRIORITY APPLN. INFO.: DK 2007-80 A 20070118
 WO 2008-EP50514 W 20080117

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 149:201245; MARPAT 149:201245

AB The invention is related to novel peptide compds. R1-R2-CO-R3-S1-Z1-Z2-Z3-Z4-Z5-Z6-cyclo[X1-X2-X3-Arg-X4-X5]-Z7-R4 [R1 = tetrazol-5-yl, carboxy; R2 = linear, branched and/or cyclic alkyl, alkenyl, alkynyl which may be substituted by halogen, OH or aryl; R3 = absent, NHSO2(CH2)3-5CO or a peptide fragment containing 1-2 amino acid residues and at least one carboxy group; S1 = absent, a 4-aminobutyric acid residue, Gly, β -Ala, glycoether-based structure; Z1 = absent or a peptide fragment containing 1-4 amino acid residues; Z2-6, X1-5 = amino acid residues (defined); Z7 = absent, a peptide fragment containing 1-3 amino acid residues; R4 = OR', N(R')2; each R' = independently H, alkyl, alkenyl or alkynyl which may be substituted with ≥ 1 NH2 or OH] and their pharmaceutically-acceptable salts, prodrugs and solvates, which are effective in modulating one or more melanocortin receptor types (no data). Ten biol. assays are given. Thus, 2-[2-[2-[[16-(tetrazol-5-yl)hexadecanoyl]amino]ethoxy]ethoxy]acetyl-Gly-Ser-Gln-H is-Ser-Lys-cyclo[Glu-Hyp-D-Phe-Arg-Trp-Lys]-NH2 was prepared as a trifluoroacetate by the solid-phase method (no data for the peptide salt). The compds. of the invention are of particular interest in relation to the treatment of obesity as well as a variety of diseases or conditions associated with obesity.

IT 1041007-82-2P 1041007-86-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; novel peptide compds. as melanocortin receptor modulators useful in treatment and prevention of obesity and obesity-associated disorders)

L11 ANSWER 43 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 21 Sep 2007

ACCESSION NUMBER: 2007:1062009 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:386250

TITLE: Preparation of human amylin derivatives and analogs for treating obesity, diabetes and related metabolic disorders

INVENTOR(S): Hansen, Thomas Kruse; Schaeffer, Lauge; Lau, Jesper

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007104789	A2	20070920	WO 2007-EP52456	20070315
WO 2007104789	A3	20080313		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ, DE, DK, DM, 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, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG,
 PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,
 IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG,
 ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 EP 1996617 A2 20081203 EP 2007-726944 20070315
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,
 IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI,
 SK, TR
 JP 2009530250 T 20090827 JP 2008-558824 20070315
 JP 5252435 B2 20130731
 IN 2008DN06697 A 20081024 IN 2008-DN6697 20080801
 CN 101400698 A 20090401 CN 2007-80009130 20080916
 US 20090099085 A1 20090416 US 2008-282042 20081113
 US 8486890 B2 20130716
 JP 2013100315 A 20130523 JP 2013-256 20130104
 PRIORITY APPLN. INFO.: EP 2006-111172 A 20060315
 JP 2008-558824 A3 20070315
 WO 2007-EP52456 W 20070315

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 147:386250

AB The invention is related to novel human amylin H-KCNTATCATQRLANFLVHSSNNFGAILSSSTNVGSNTY-NH₂ with a disulfide bridge between the 2 Cys residues (I) derivs. and analogs having a protracted action profile wherein (a) an amino acid residue in position 2 to 37 has been substituted with a lysine or a cysteine residue linked to an albumin binding residue or a polyethylene glycol (PEG) polymer optionally via a linker, or (b) the lysine residue in position 1 is linked to an albumin binding residue or a PEG polymer optionally via a linker, or (c) a lysine residue linked to an albumin binding residue or a PEG polymer optionally via a linker has been added in position 38; wherein the amino acid numbering conforms with the amino acid numbering in I, and to pharmaceutical compns. comprising these derivs. and to the use of the derivs. for the treatment of diseases related to obesity, diabetes and other metabolic disorders. Thus, H-KCNTATCATQRLANFLVKSSNNLGPVLPPTNVGSNTY-NH₂ with a disulfide between the 2 cysteines (positions 2 and 7), wherein the lysine residue in position 18 is linked to -
 (COCH₂OCH₂CH₂OCH₂CH₂NH)₂COCH₂CH₂CH(CO₂H)NHCO(CH₂)₁₄Me at its N ϵ site, was prepared and showed a potency/affinity comparable to pramlintide in an amylin luciferase assay and in an amylin receptor binding assay.

IT 1240513-13-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of human amylin derivs. and analogs for treating obesity, diabetes and related metabolic disorders)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L11 ANSWER 44 OF 44 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 25 Jan 2007
 ACCESSION NUMBER: 2007:85180 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 146:184740
 TITLE: Preparation of peptides for use in the treatment of obesity
 INVENTOR(S): Sensfuss, Ulrich; Christensen, Leif; Spetzler, Jane; Frieboes, Kilian Waldemar Conde; Thoegersen, Henning
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 114pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007009894	A2	20070125	WO 2006-EP64027	20060707
WO 2007009894	A3	20070913		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006271792	A1	20070125	AU 2006-271792	20060707
CA 2616583	A1	20070125	CA 2006-2616583	20060707
EP 1907010	A2	20080409	EP 2006-777657	20060707
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009501755	T	20090122	JP 2008-521926	20060707
BR 2006013984	A2	20110301	BR 2006-13984	20060707
MX 2007016024	A	20080310	MX 2007-16024	20071214
IN 2007DN09825	A	20080620	IN 2007-DN9825	20071218
ZA 2008000464	A	20081231	ZA 2008-464	20080116
CN 101222942	A	20080716	CN 2006-80026353	20080118
NO 2008000745	A	20080409	NO 2008-745	20080211
KR 2008031414	A	20080408	KR 2008-7003655	20080215
US 20090203581	A1	20090813	US 2008-995351	20080822
PRIORITY APPLN. INFO.:			EP 2005-106554	A 20050718
			US 2005-60707893	P 20050812
			WO 2006-EP64027	W 20060707

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:184740; MARPAT 146:184740

AB The invention relates to novel peptide compds. T-A-L-P [T is tetrazol-5-yl; A is (cyclo)alkyl, (cyclo)alkenyl, or (cyclo)alkynyl, which may be substituted

by halogen, hydroxy, or aryl; L is a bond or linker; P is a peptide structure comprising at least six α -amino acid residues], which are effective in modulating one or more melanocortin receptor types. The compds. of the invention are of particular interest in relation to the treatment of obesity as well as a variety of diseases or conditions associated with obesity. Thus, 16-(tetrazol-5-yl)hexadecanoyl-Gly-Thr-Gln-His-Ser-Nle-cyclo[Glu-Hyp-D- Phe-Arg-Trp-Lys]-NH₂ was prepared by the solid-phase method.

IT 921761-50-4P 921761-63-9P 921761-82-2P
921761-83-3P 921761-84-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides for use in treatment of obesity)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

Fifty (50) random structures displayed.

FILE 'REGISTRY' ENTERED AT 15:24:54 ON 11 JUL 2016

L12 146 SEA SPE=ON ABB=ON PLU=ON (1169630-40-3/BI OR 1188328-38-2/BI OR 1217489-30-9/BI OR 1207716-05-9/BI OR 1448547-34-9/BI OR 1207716-01-5/BI OR 1207716-02-6/BI OR 1207716-06-0/BI OR 1207716-07-1/BI OR 1207716-08-2/BI OR 1237767-92-8/BI OR 1237767-93-9/BI OR 1237767-98-4/BI OR 1265919-89-8/BI OR 1319729-59-3/BI OR 1331887-34-3/BI OR 1335440-44-2/BI OR 1344672-53-2/BI OR 1356497-83-0/BI OR 1448547-35-0/BI OR 1207716-53-7/BI OR 1237767-96-2/BI OR 1319729-60-6/BI OR 1319729-66-2/BI OR 1331887-32-1/BI OR 1331887-33-2/BI OR 1332367-91-5/BI OR 1377616-46-0/BI OR 1395881-03-4/BI OR 1613023-84-9/BI OR 1702357-33-2/BI OR 1702357-37-6/BI OR 921761-50-4/BI OR 921761-63-9/BI OR 921761-82-2/BI OR 921761-83-3/BI OR 921761-84-4/BI OR 1041007-82-2/BI OR 1041007-86-6/BI OR 1041085-48-6/BI OR 1041085-54-4/BI OR 1041181-67-2/BI OR 1041181-68-3/BI OR 1041181-69-4/BI OR 1041181-74-1/BI OR 1041181-75-2/BI OR 1041358-80-8/BI OR 1041358-83-1/BI OR 1041358-86-4/BI OR 1041359-46-9/BI OR 1169630-54-9/BI OR 1195985-21-7/BI OR 1207716-25-3/BI OR 1207716-28-6/BI OR 1207716-29-7/BI OR 1207716-30-0/BI OR 1207716-31-1/BI OR 1207716-47-9/BI OR 1217489-32-1/BI OR 1217489-33-2/BI OR 1228015-19-7/BI OR 1228015-22-2/BI OR 1237767-94-0/BI OR 1240513-13-6/BI OR 1245813-82-4/BI OR 1300662-37-6/BI OR 1300662-38-7/BI OR 1319729-56-0/BI OR 1319729-58-2/BI OR 1319729-65-1/BI OR 1319729-67-3/BI OR 1319729-68-4/BI OR 1319729-73-1/BI OR 1319729-74-2/BI OR 1332367-92-6/BI OR 1344672-21-4/BI OR 1344672-22-5/BI OR 1344672-23-6/BI OR 1344672-24-7/BI OR 1344672-26-9/BI OR 1344672-27-0/BI OR 1344672-28-1/BI OR 1344672-29-2/BI OR 1344672-30-5/BI OR 1344672-31-6/BI OR 1344672-32-7/BI OR 1344672-33-8/BI OR 1344672-34-9/BI OR 1344672-35-0/BI OR 1344672-36-1/BI OR 1344672-37-2/BI OR 1344672-38-3/BI OR 1344672-39-4/BI OR 1344672-40-7/BI OR 1344

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN

IN L-Phenylalaninamide, 5-oxo-L-prolylglycyl-L-prolyl-L-tryptophyl-L-leucyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L-alanyl-L-tyrosylglycyl-L-

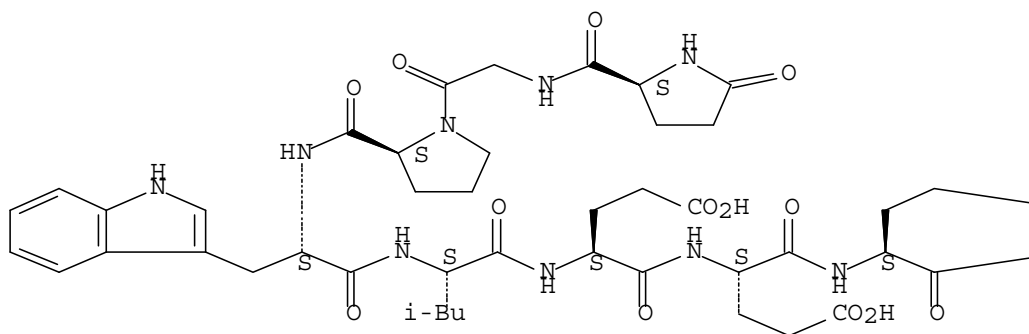
tryptophyl-N6- [N- (19-carboxy-1-oxononadecyl) -L- γ -glutamyl-2- [2-
 (2-aminoethoxy) ethoxy] acetyl-2- [2- (2-aminoethoxy) ethoxy] acetyl] -L-
 lysyl-L- α -aspartyl-

SQL 20,17,3

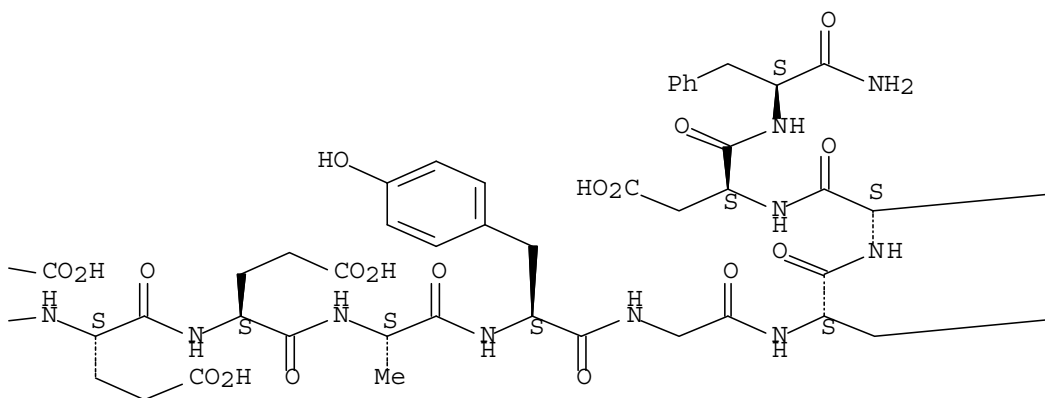
MF C135 H192 N24 O43

Absolute stereochemistry.

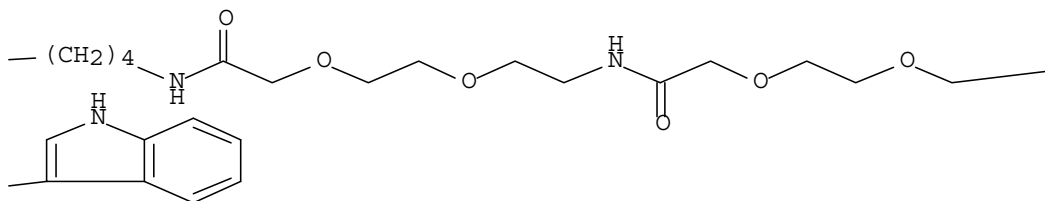
PAGE 1-A



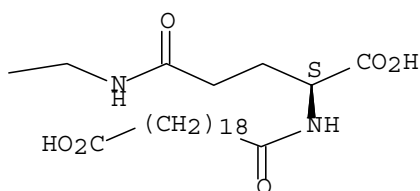
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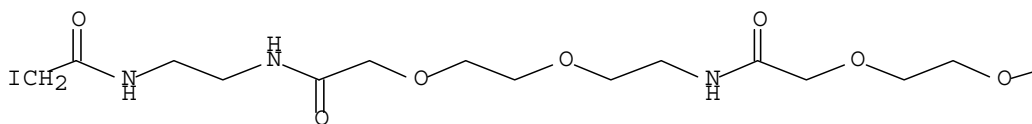
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

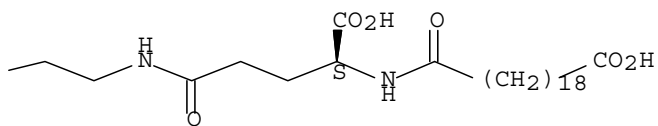
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):49

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 29,32,38,41-Tetraoxa-21,26,35,44,47-pentaazanotetracontanoic acid,
 22-carboxy-49-iodo-20,25,34,43,48-pentaoxo-, (22S)-
 MF C41 H74 I N5 O13

Absolute stereochemistry.

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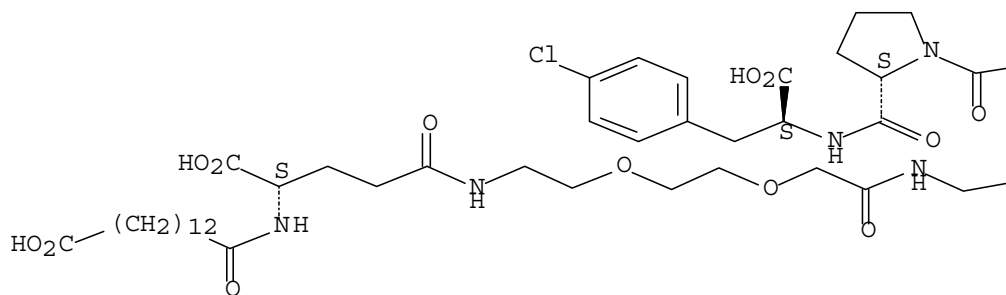




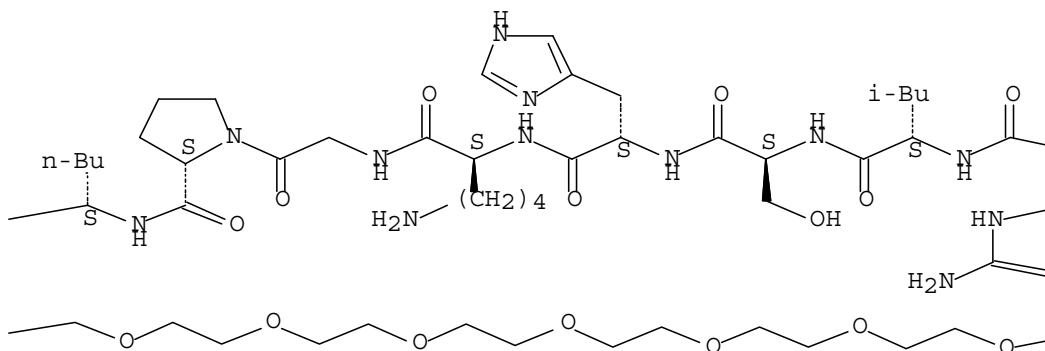
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C114 H191 C1 N26 O34

Absolute stereochemistry.

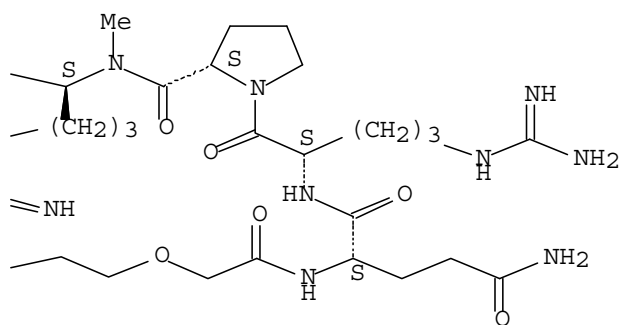
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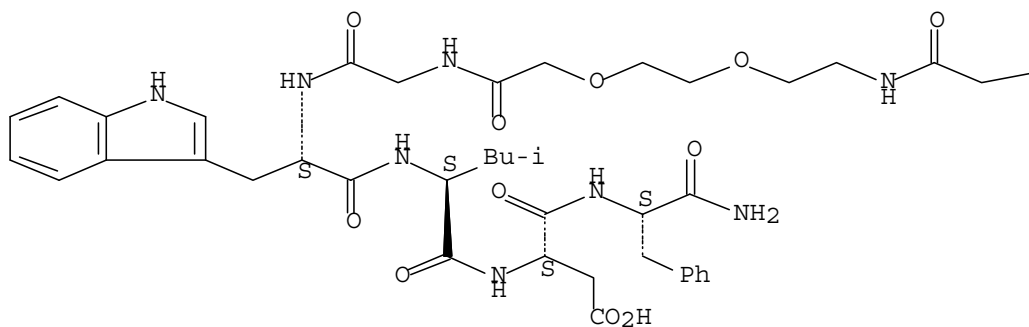


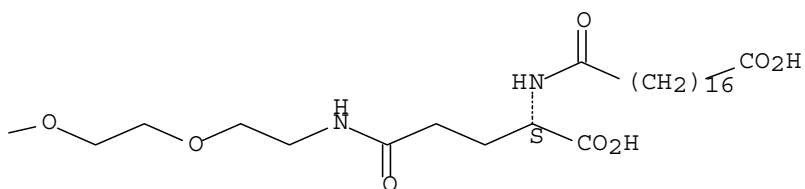
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L12 146 ANSWERS   REGISTRY   COPYRIGHT 2016 ACS on STN
IN  L-Phenylalaninamide, N- (17-carboxy-1-oxoheptadecyl)-L-γ-glutamyl-
    2- [2- (2-aminoethoxy)ethoxy]acetyl-2- [2- (2-
    aminoethoxy)ethoxy]acetylglycyl-L-tryptophyl-L-leucyl-L-α-
    aspartyl-
SQL 8
MF  C67 H102 N10 O19
```

Absolute stereochemistry.

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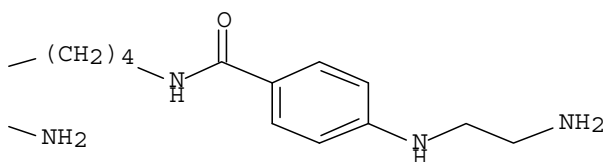
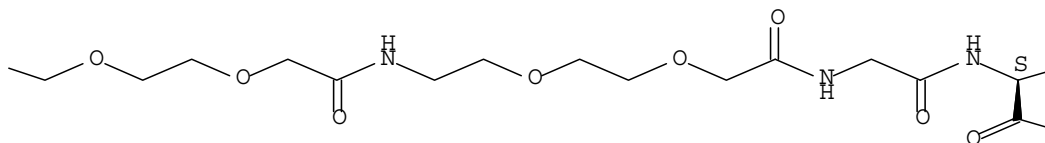
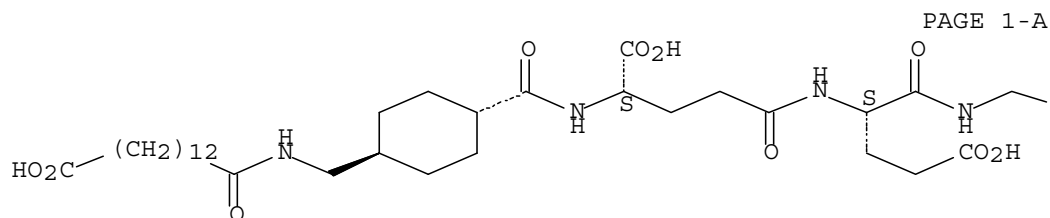




PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysinamide, N-[[trans-4-[[[(13-carboxy-1-oxotridecyl)amino]methyl]cyclohexyl]carbonyl]-L-γ-glutamyl-L-α-glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-2-[2-(2-aminoethoxy)ethoxy]acetyl]glycyl-N6-[4-[(2-aminoethyl)amino]benzoyl]-
 MF C61 H101 N11 O19

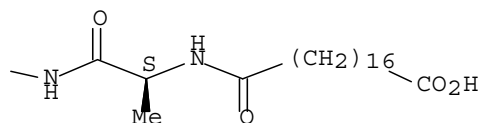
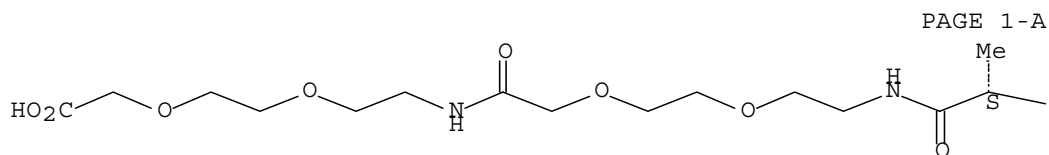
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C36 H66 N4 O12

Absolute stereochemistry.

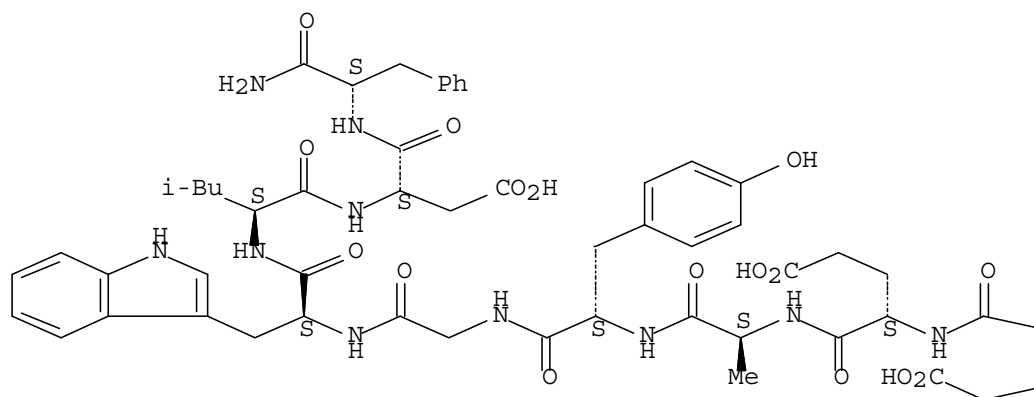


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

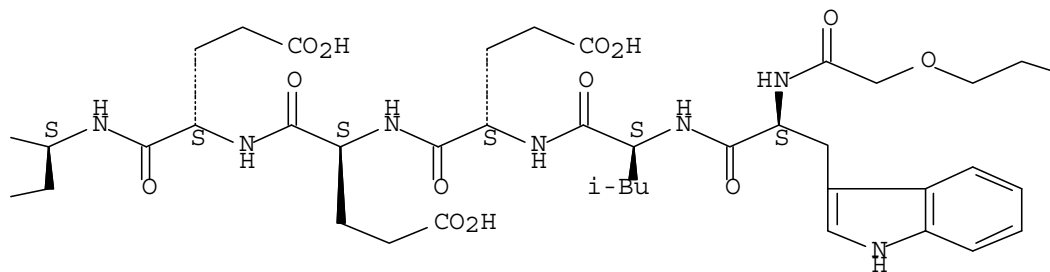
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
IN L-Phenylalaninamide, N-(17-carboxy-1-oxoheptadecyl)-L-γ-glutamyl-
2-[2-(2-aminoethoxy)ethoxy]acetyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-L-
tryptophyl-L-leucyl-L-α-glutamyl-L-α-glutamyl-L-α-
glutamyl-L-α-glutamyl-L-α-glutamyl-L-alanyl-L-
tyrosylglycyl-L-tryptophyl-L-leucyl-L-α-aspartyl-
SQL 17
MF C121 H172 N20 O39

Absolute stereochemistry.

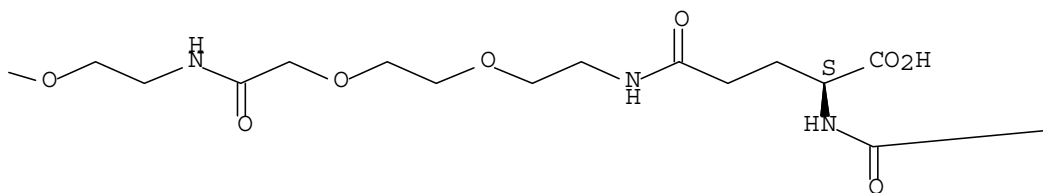
PAGE 1-A



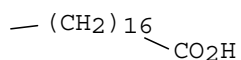
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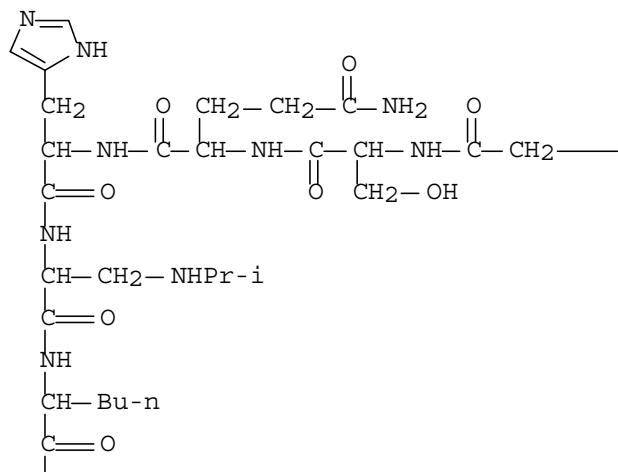


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

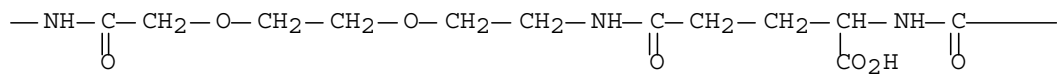
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysinamide, N- [[trans-4- [[(17-carboxy-1-oxoheptadecyl) amino] methyl] cyclohexyl] carbonyl] -L-γ-glutamyl-2-[2- (2-aminoethoxy) ethoxy] acetylglycyl-L-seryl-L-glutaminy-L-histidyl-3- [(1-methylethyl) amino] -L-alanyl-L-norleucyl-L-α-glutamyl- (4R) -4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-, (9→14) -lactam
 SQL 14
 MF C107 H167 N25 O26

RELATED SEQUENCES AVAILABLE WITH SEQLINK

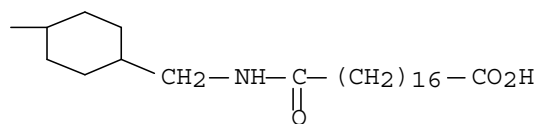
PAGE 1-A



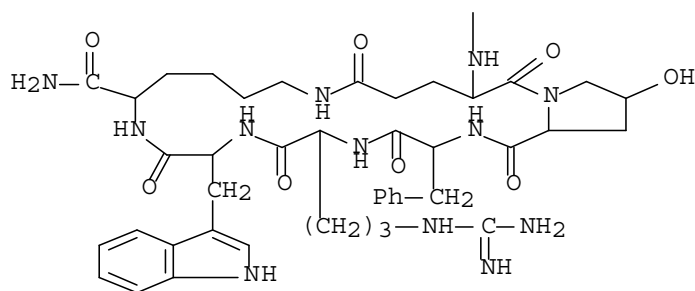
PAGE 1-B



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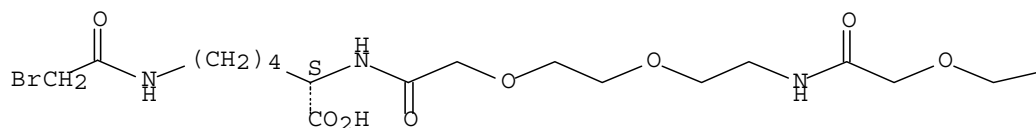


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

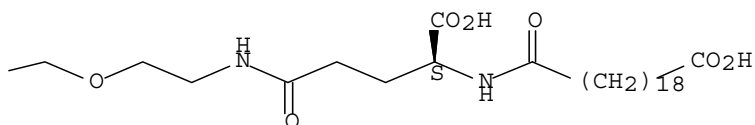
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 28,31,37,40-Tetraoxa-20,25,34,43,49-pentaazapentacontane-1,21,44-
 tricarboxylic acid, 50-bromo-19,24,33,42,49-pentaoxa-, (21S,44S)-
 MF C45 H80 Br N5 O15

Absolute stereochemistry.

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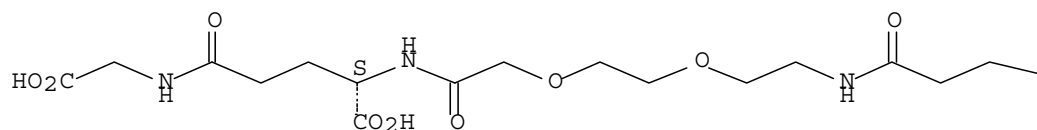


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

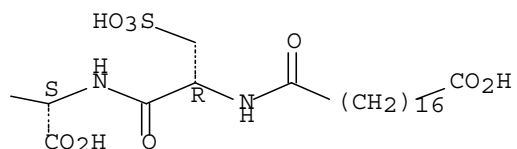
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN Glycine, N-(17-carboxy-1-oxoheptadecyl)-3-sulfo-L-alanyl-L-γ-
 glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-L-γ-glutamyl-
 SQL 5
 MF C39 H67 N5 O18 S

Absolute stereochemistry.

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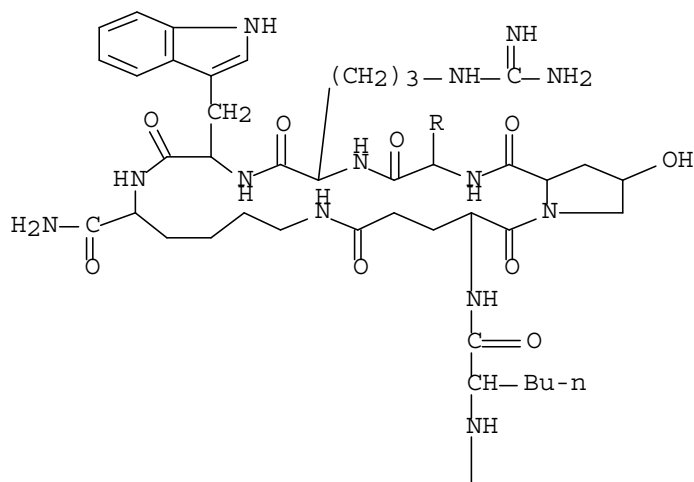
PAGE 1-B



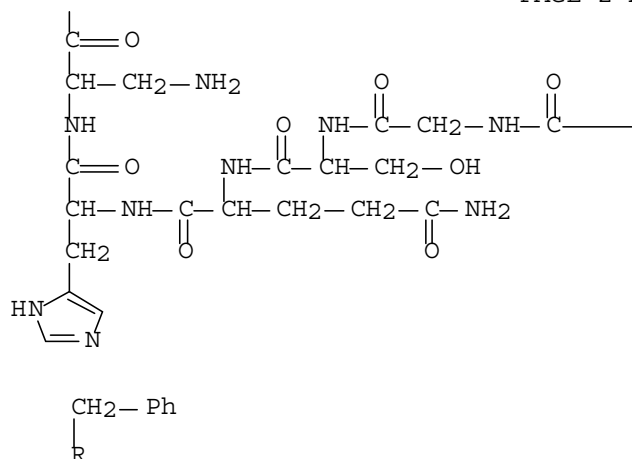
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysinamide, N- (17-carboxy-1-oxoheptadecyl)-L-γ-glutamyl-2- [2-
 (2-aminoethoxy)ethoxy] acetylglucyl-L-seryl-L-glutaminyl-L-histidyl-3-
 amino-L-alanyl-L-norleucyl-L-α-glutamyl- (4R)-4-hydroxy-L-prolyl-
 D-phenylalanyl-L-arginyl-L-tryptophyl-, (9→14)-lactam
 SQL 14
 MF C96 H148 N24 O25

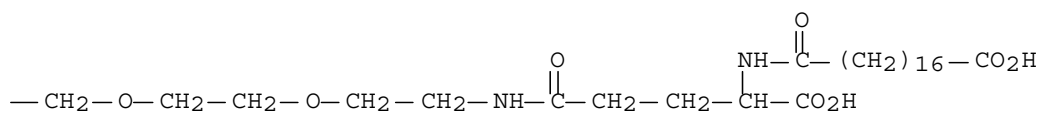
PAGE 1-A



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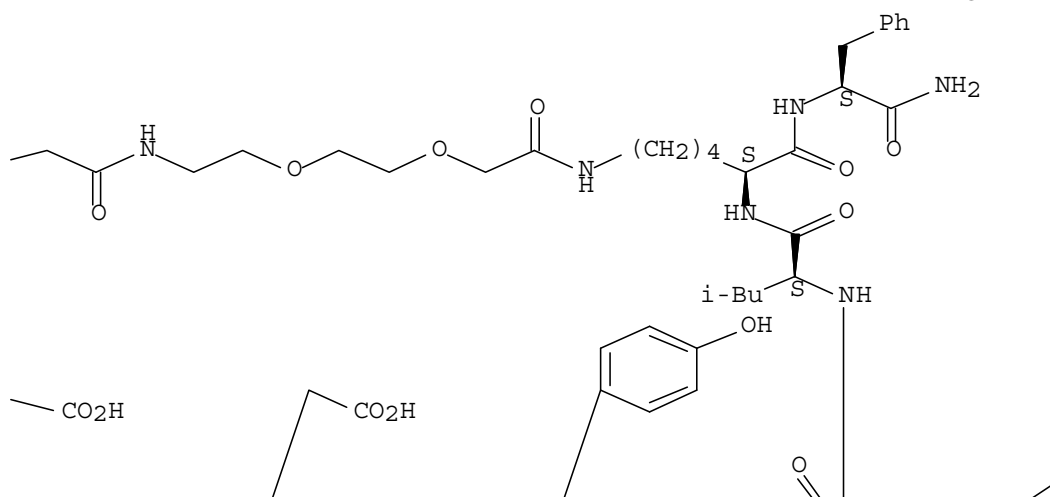
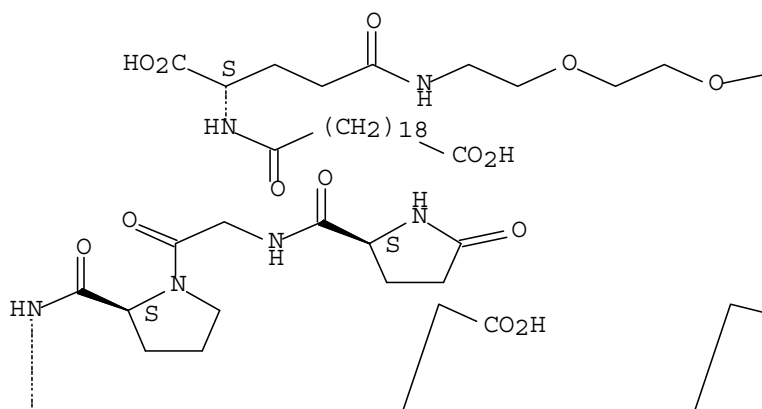
PAGE 2-B

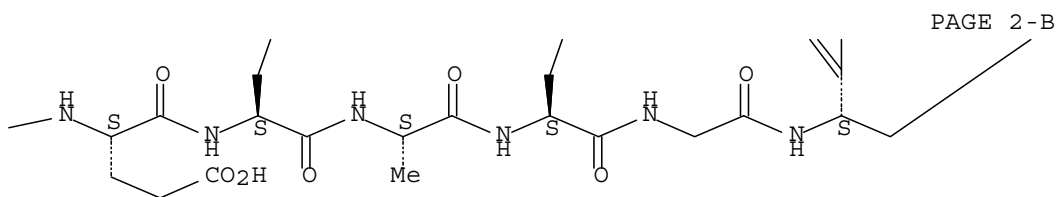
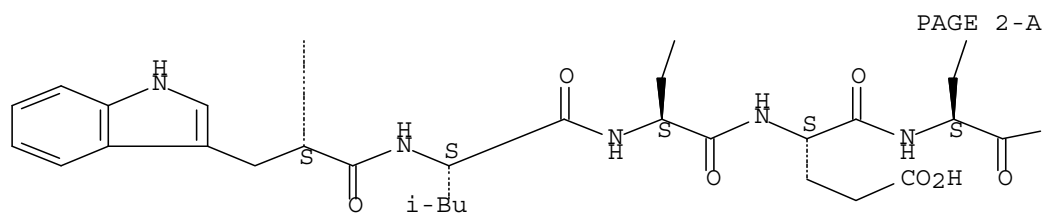
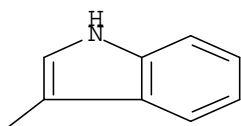


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Phenylalaninamide, 5-oxo-L-prolylglycyl-L-prolyl-L-tryptophyl-L-leucyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L-alanyl-L-tyrosylglycyl-L-tryptophyl-L-leucyl-N6-[N-(19-carboxy-1-oxononadecyl)-L- γ -glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-2-[2-(2-aminoethoxy)ethoxy]acetyl]-L-lysyl-
 SQL 20,17,3
 MF C137 H198 N24 O41

Absolute stereochemistry.



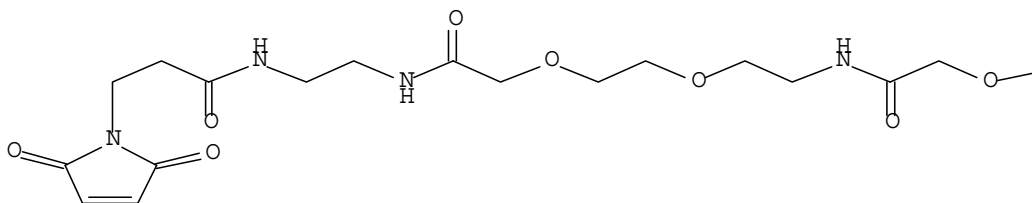


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

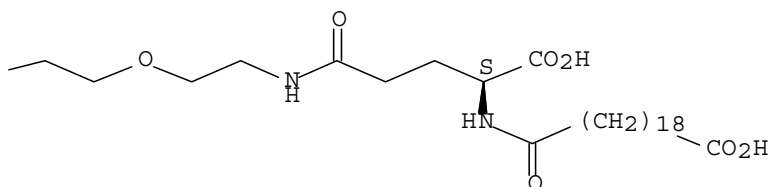
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 29,32,38,41-Tetraoxa-21,26,35,44,47-pentaazapentacontanoic acid,
 22-carboxy-50-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-20,25,34,43,48-
 pentaoxo-, (22S)-
 MF C46 H78 N6 O15

Absolute stereochemistry.

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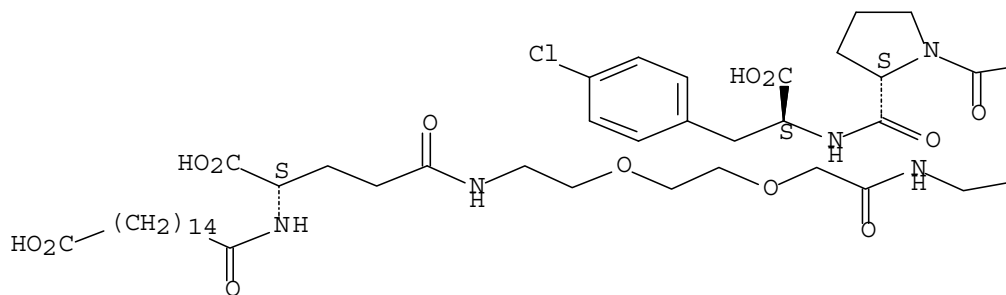


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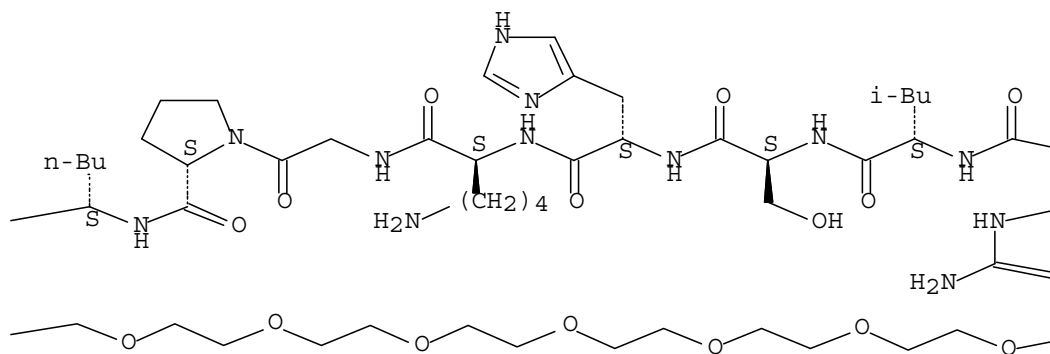
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN INDEX NAME NOT YET ASSIGNED
 MF C116 H195 Cl N26 O34

Absolute stereochemistry.

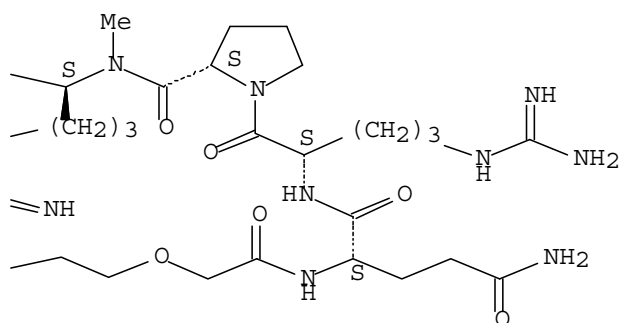
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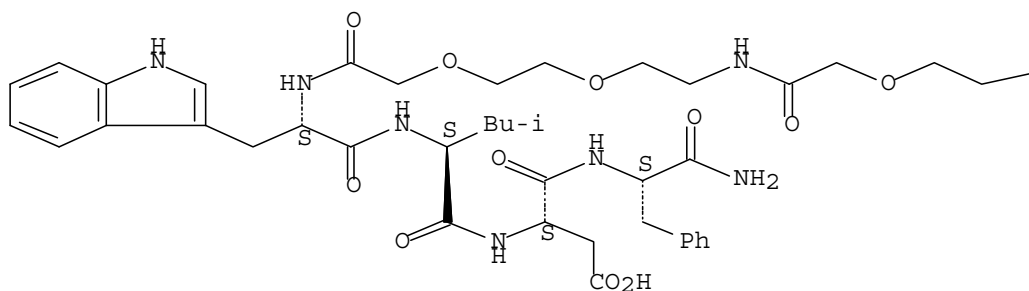


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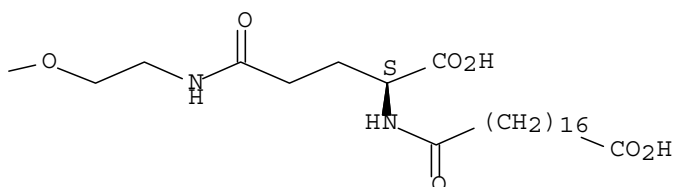
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Phenylalaninamide, N-(17-carboxy-1-oxoheptadecyl)-L-γ-glutamyl-
 2-[2-(2-aminoethoxy)ethoxy]acetyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-L-
 tryptophyl-L-leucyl-L-α-aspartyl-
 SQL 7
 MF C65 H99 N9 O18

Absolute stereochemistry.

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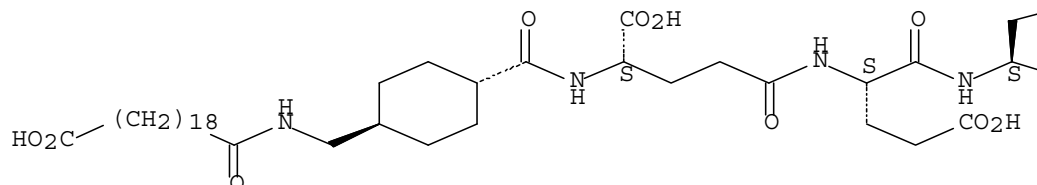


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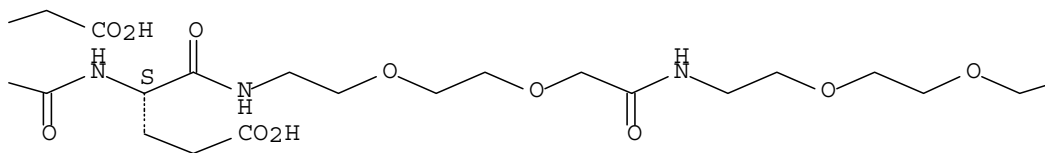
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
IN L-Lysinamide, N- [[trans-4- [[(19-carboxy-1-oxononadecyl) amino] methyl] cyclohexyl] carbonyl] -L-γ-glutamyl-L-α-glutamyl-L-α-glutamyl-L-α-glutamyl-2- [2- (2-aminoethoxy) ethoxy] acetyl-2- [2- (2-aminoethoxy) ethoxy] acetyl-N6- [4- [(2-aminoethyl) amino] benzoyl] -
MF C75 H124 N12 O24

Absolute stereochemistry.

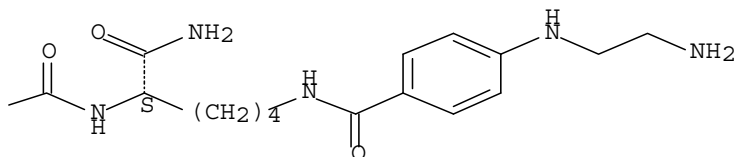
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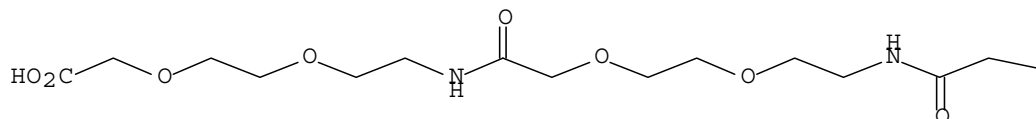


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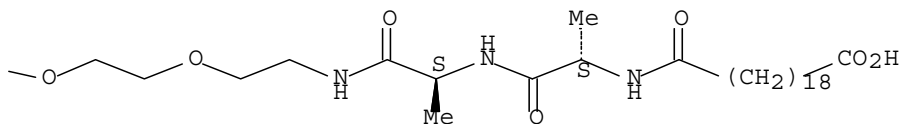
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN INDEX NAME NOT YET ASSIGNED
 MF C44 H81 N5 O15

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

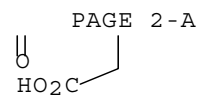
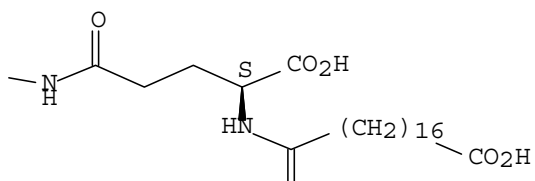
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN

MF C99 H144 N16 O34

Absolute stereochemistry.

CC(C)S[C@H](C(=O)O)C(=O)N[C@@H](CC(=O)O)C(=O)OCCOCCOCC[NH+]C(=O)OCCOCCOCC

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysinamide, N-[[trans-4-[[[19-carboxy-1-oxononadecyl)amino]methyl]cyclohexyl]carbonyl]-L-γ-glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetylglucyl-L-seryl-L-glutamyl-L-histidyl-3-[(aminoiminomethyl)amino]-L-alanyl-D-leucyl-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-, (9→14)-lactam

SQL 14

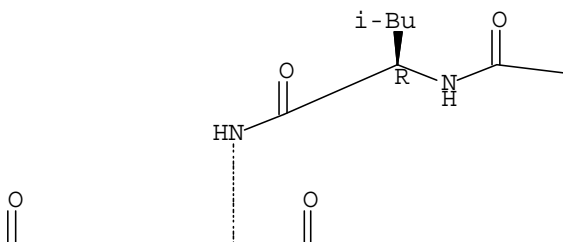
MF C107 H167 N27 O26

Absolute stereochemistry.

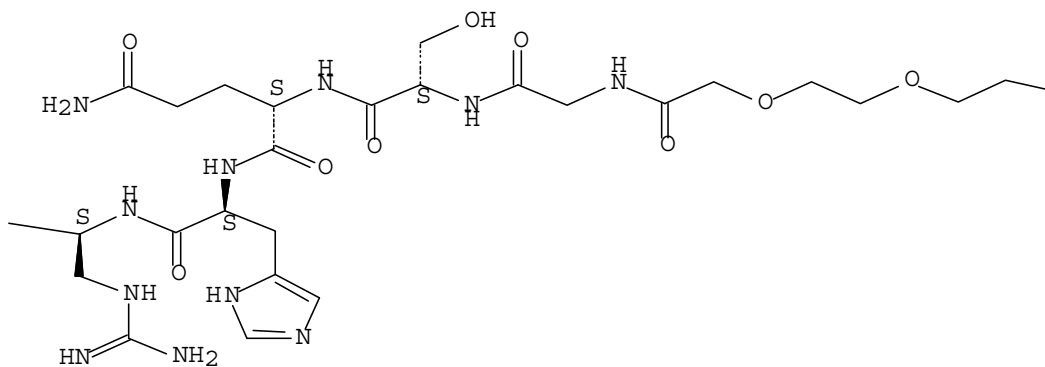
PAGE 1-A



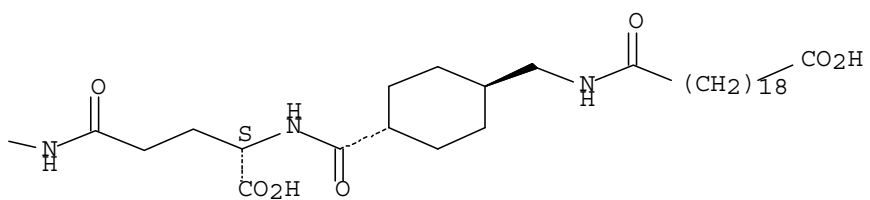
PAGE 1-B



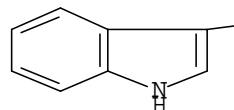
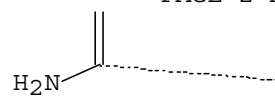
PAGE 1-C



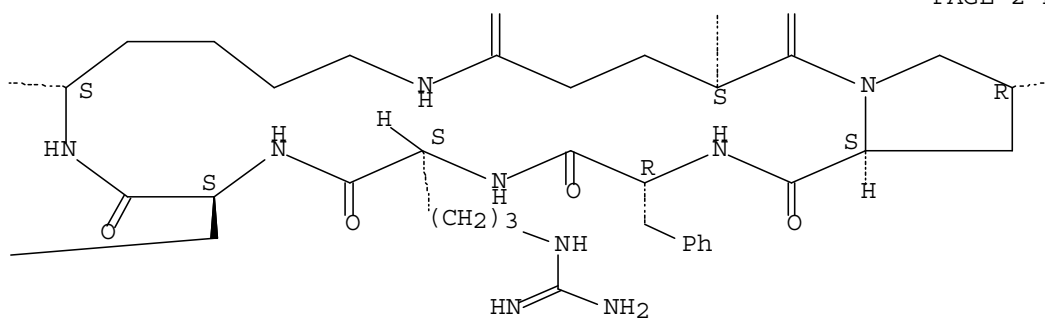
PAGE 1-D



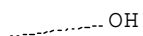
PAGE 2-A



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PAGE 2-C

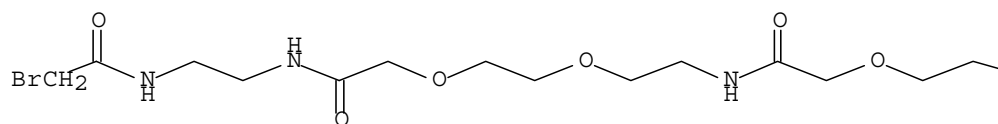


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

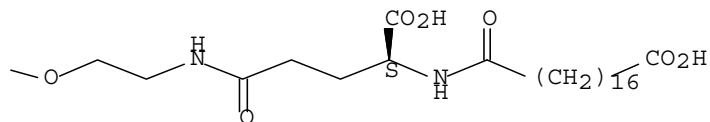
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 27,30,36,39-Tetraoxa-19,24,33-triazahentetracontanoic acid,
 41- [[2- [(2-bromoacetyl) amino] ethyl] amino] -20-carboxy-18,23,32,41-
 tetraoxo-, (20S)-
 MF C39 H70 Br N5 O13

Absolute stereochemistry.

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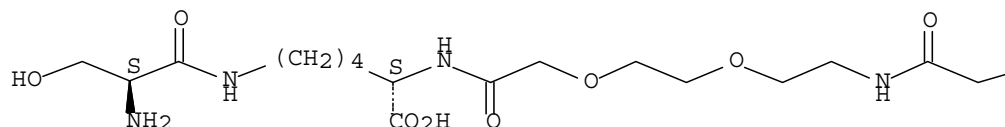


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

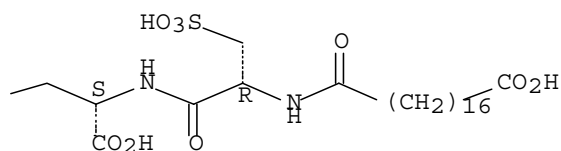
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysine, N-(17-carboxy-1-oxoheptadecyl)-3-sulfo-L-alanyl-L- γ -
 glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-N6-L-seryl-
 SQL 5,4,1
 MF C41 H74 N6 O17 S

Absolute stereochemistry.

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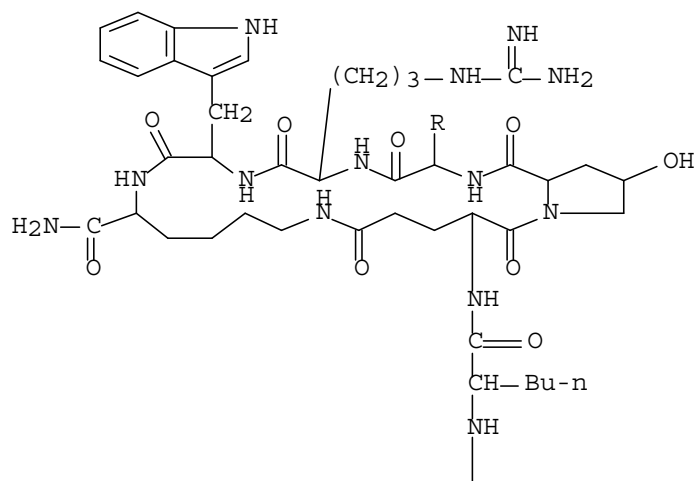
PAGE 1-B



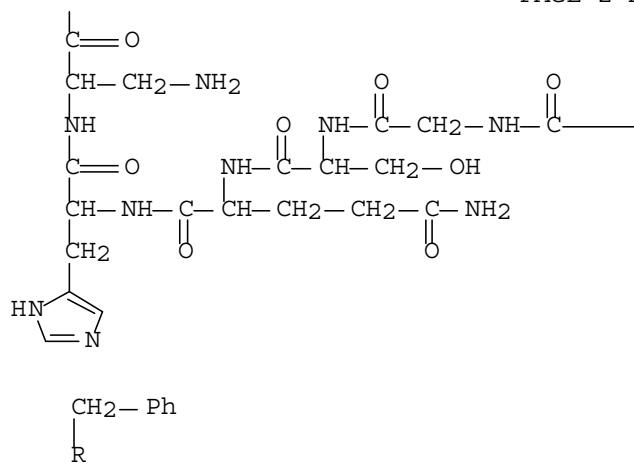
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysinamide, N-(17-carboxy-1-oxoheptadecyl)glycyl-L- γ -glutamyl-
 2-[2-(2-aminoethoxy)ethoxy]acetyl-glycyl-L-seryl-L-glutaminyl-L-
 histidyl-3-amino-L-alanyl-L-norleucyl-L- α -glutamyl-(4R)-4-
 hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-,
 (10 $\rightarrow$ 15)-lactam
 SQL 13
 MF C98 H151 N25 O26

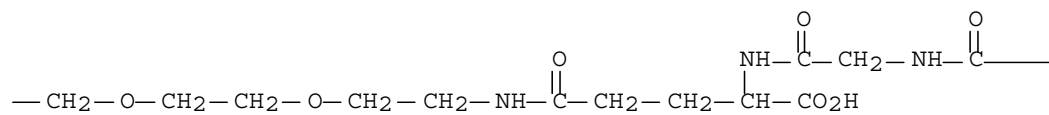
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— (CH₂)₁₆—CO₂H

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

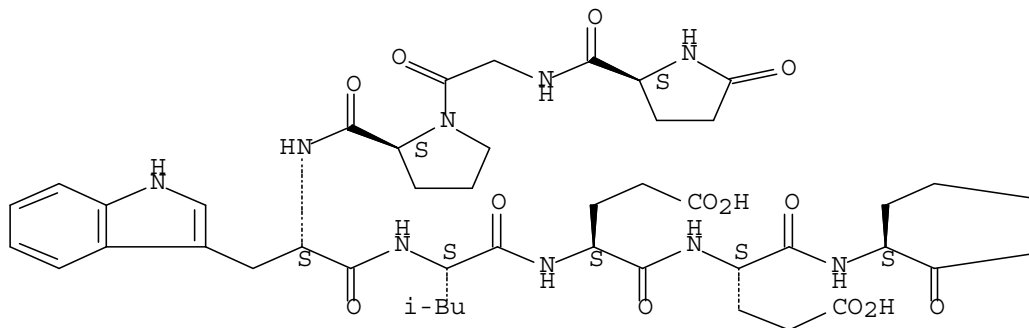
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysinamide, 5-oxo-L-prolylglycyl-L-prolyl-L-tryptophyl-L-leucyl-L-
 α-glutamyl-L-α-glutamyl-L-α-glutamyl-L-α-
 glutamyl-L-α-glutamyl-L-alanyl-L-tyrosylglycyl-L-tryptophyl-L-
 leucyl-L-α-aspartyl-N6- [N- (19-carboxy-1-oxononadecyl) -L-γ-
 glutamyl-2- [2- (2-aminoethoxy) ethoxy] acetyl-2- [2- (2-
 aminoethoxy) ethoxy] acetyl] -

SQL 20,17,3

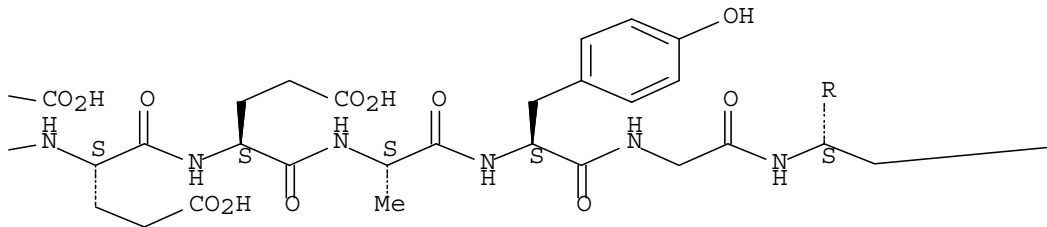
MF C132 H194 N24 O43

Absolute stereochemistry.

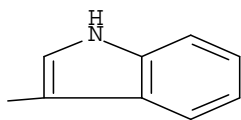
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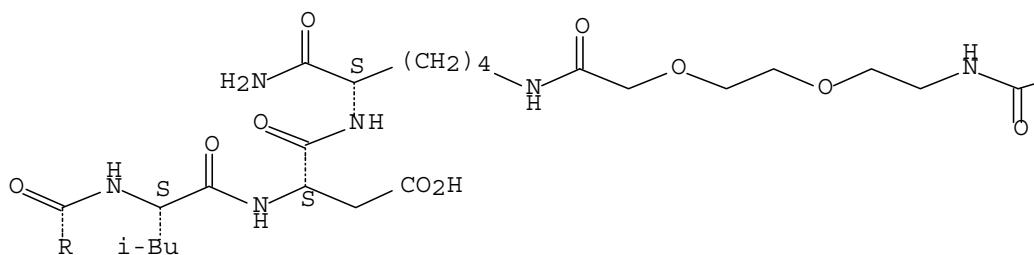
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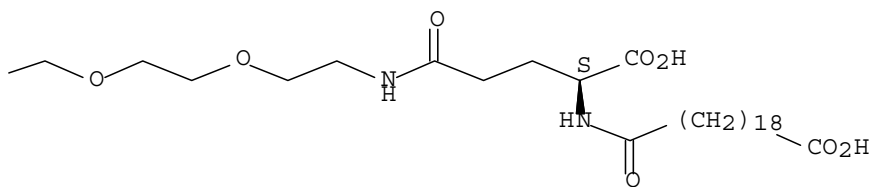
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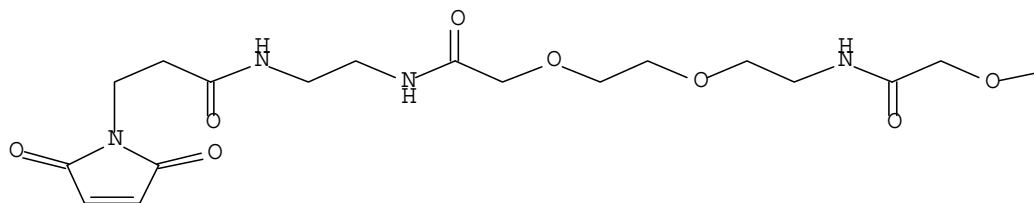


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

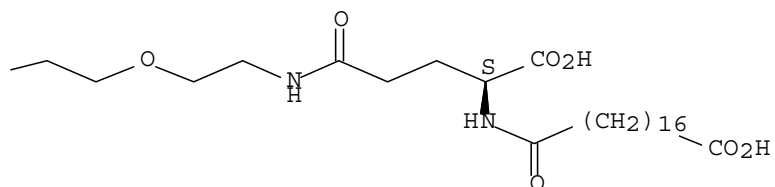
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 27,30,36,39-Tetraoxa-19,24,33,42,45-pentaazaooctatetracontanoic acid,
 20-carboxy-48-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-18,23,32,41,46-
 pentaooxo-, (20S)-
 MF C44 H74 N6 O15

Absolute stereochemistry.

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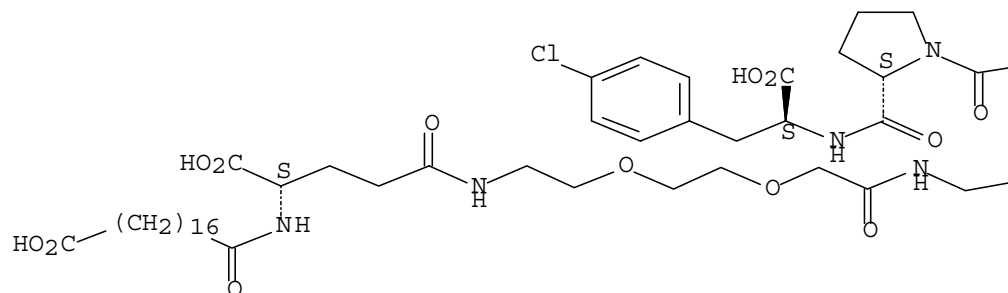


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

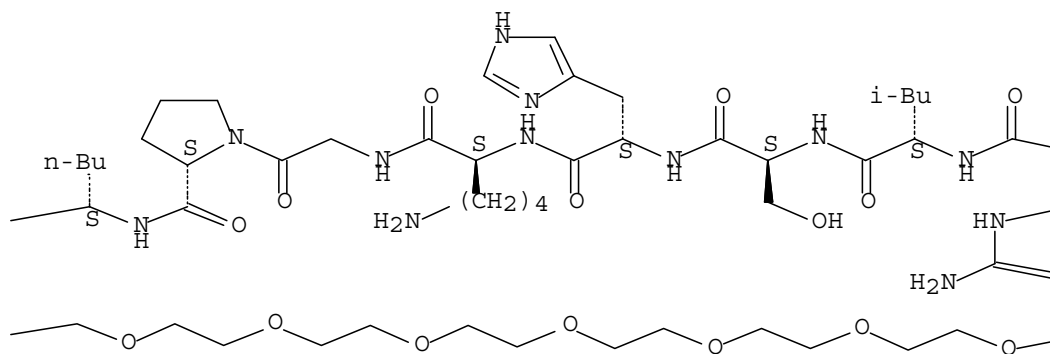
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN INDEX NAME NOT YET ASSIGNED
 MF C118 H199 Cl N26 O34

Absolute stereochemistry.

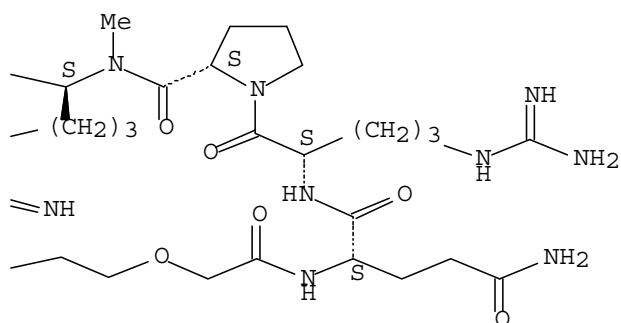
PAGE 1-A



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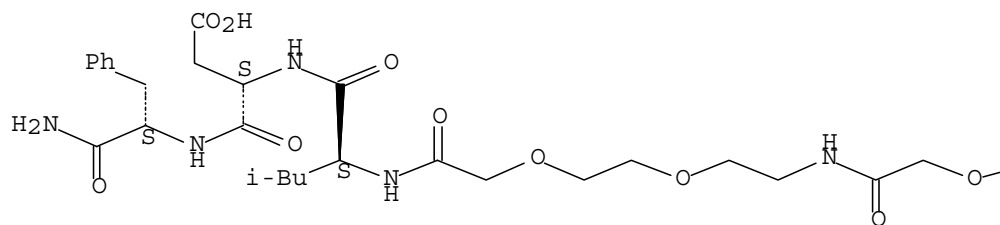


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

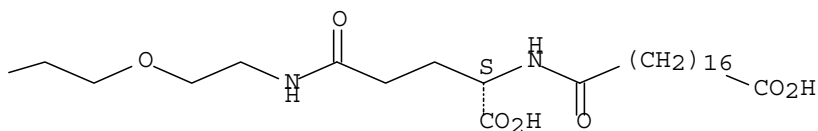
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Phenylalaninamide, N-(17-carboxy-1-oxoheptadecyl)-L-γ-glutamyl-
 2-[2-(2-aminoethoxy)ethoxy]acetyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-L-
 leucyl-L-α-aspartyl-
 MF C54 H89 N7 O17

Absolute stereochemistry.

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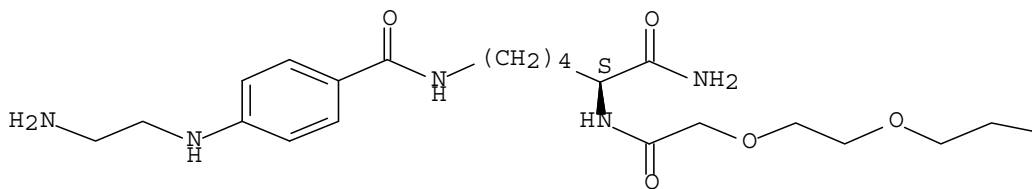


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

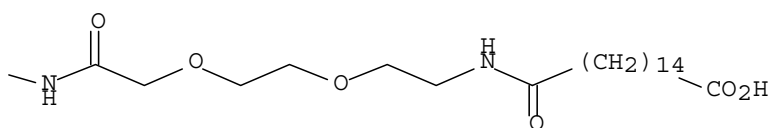
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN Hexadecanoic acid, 16-[[[(19S)-19-(aminocarbonyl)-25-[4-[(2-aminoethyl)amino]phenyl]-8,17,25-trioxo-3,6,12,15-tetraoxa-9,18,24-triazapentacos-1-yl]amino]-16-oxo-
 MF C43 H75 N7 O11

Absolute stereochemistry.

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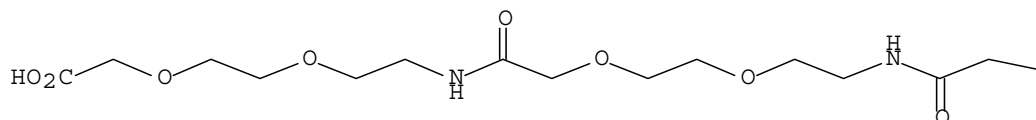


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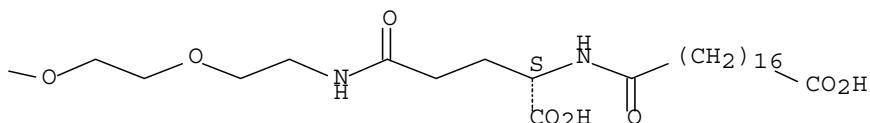
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 3,6,12,15,21,24-Hexaoxa-9,18,27,32-tetraazapentacontanedioic acid,
 31-carboxy-10,19,28,33-tetraoxo-, (31S)-
 MF C41 H74 N4 O16

Absolute stereochemistry.

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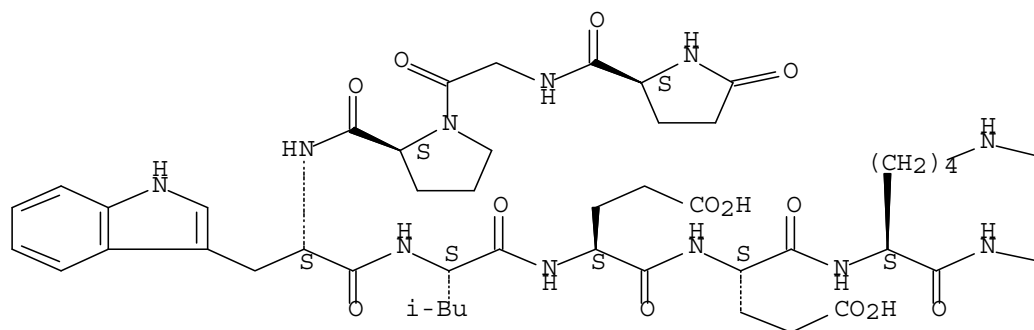


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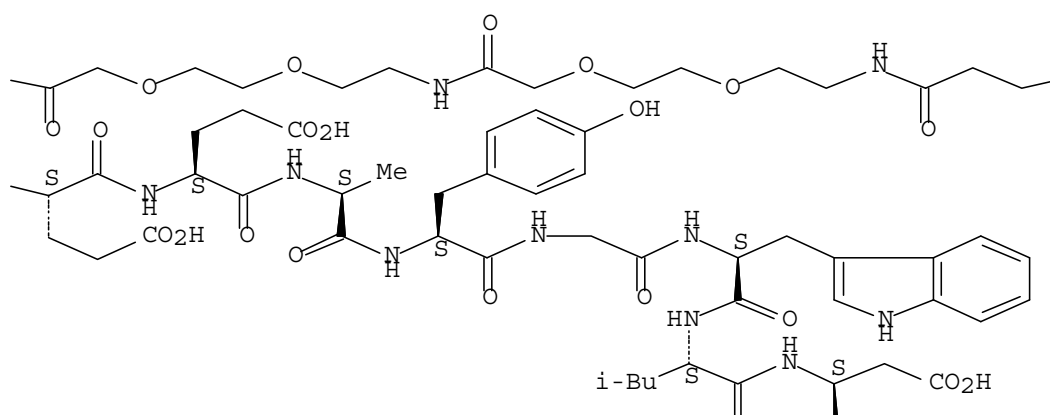
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Phenylalaninamide, 5-oxo-L-prolylglycyl-L-prolyl-L-tryptophyl-L-leucyl-L- α -glutamyl-L- α -glutamyl-N6-[N-(19-carboxy-1-oxononadecyl)-L- γ -glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-2-[2-(2-aminoethoxy)ethoxy]acetyl]-L-lysyl-L- α -glutamyl-L- α -glutamyl-L-alanyl-L-tyrosylglycyl-L-tryptophyl-L-leucyl-L- α -aspartyl-
 SQL 20,17,3
 MF C136 H196 N24 O41

Absolute stereochemistry.

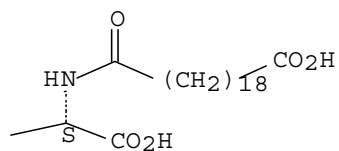
PAGE 1-A

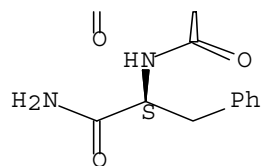


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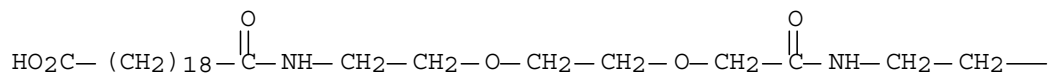




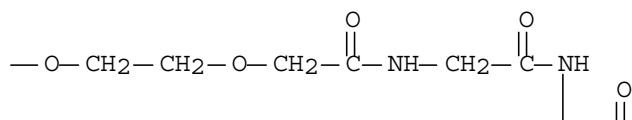
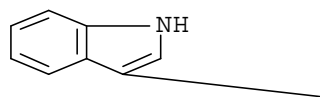
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysinamide, N-(37-carboxy-1,10,19-trioxo-3,6,12,15-tetraoxa-9,18-diazaheptatriacont-1-yl)glycyl-D-seryl-L-glutaminyl-L-seryl-L-seryl-L-glutaminyl-L-histidyl-N-[2-(dimethylamino)ethyl]glycyl-L-norleucyl-L- α -glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-, (10 $\rightarrow$ 15)-lactam
 SQL 15
 MF C113 H180 N28 O31

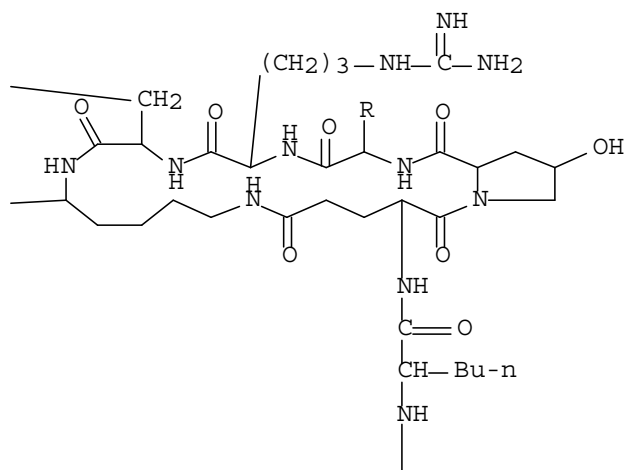
RELATED SEQUENCES AVAILABLE WITH SEQLINK



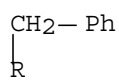
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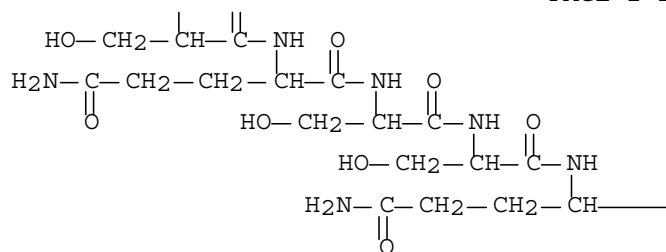
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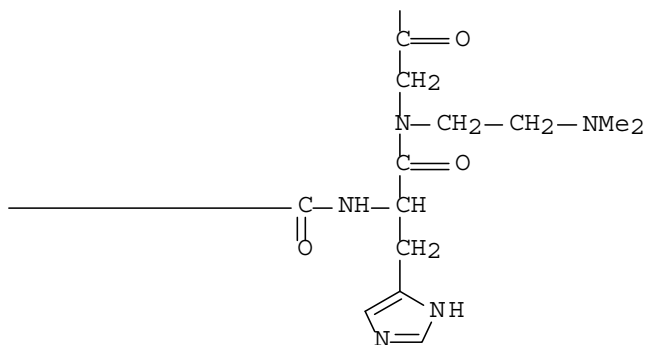
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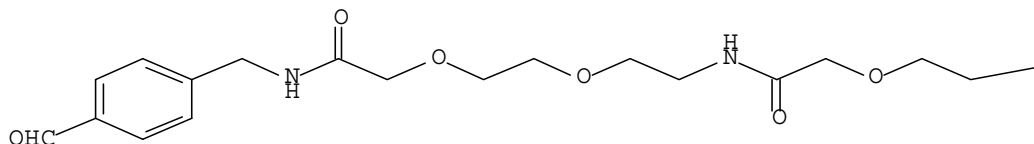


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

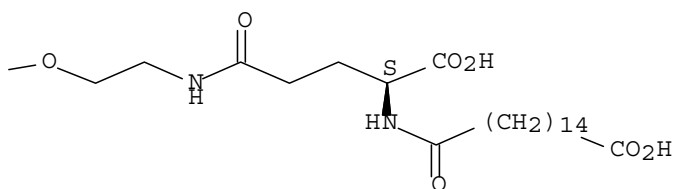
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 25,28,34,37-Tetraoxa-17,22,31,40-tetraazahentetracontanoic acid,
 18-carboxy-41-(4-formylphenyl)-16,21,30,39-tetraoxo-, (18S)-
 MF C41 H66 N4 O13

Absolute stereochemistry.

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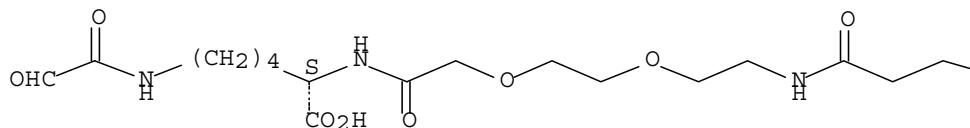


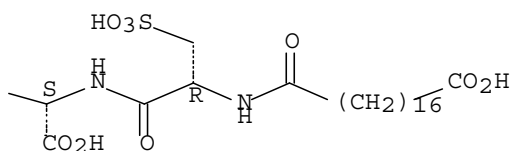
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Lysine, N-(17-carboxy-1-oxoheptadecyl)-3-sulfo-L-alanyl-L-γ-
 glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-N6-(2-oxoacetyl)-
 SQL 4
 MF C40 H69 N5 O17 S

Absolute stereochemistry.

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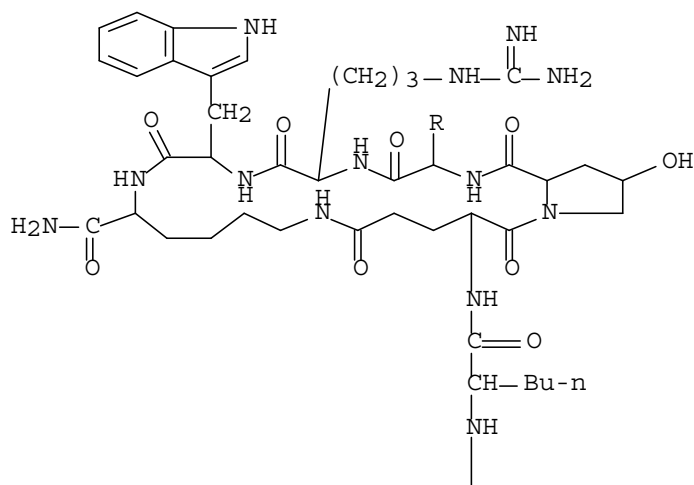
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN

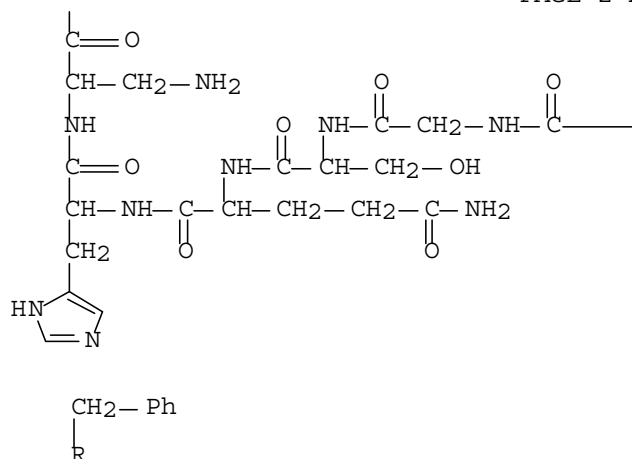
IN L-Lysinamide, N-(17-carboxy-1-oxoheptadecyl)-L-β-aspartyl-2-[2-(2-aminoethoxy)ethoxy]acetylglucyl-L-seryl-L-glutaminyl-L-histidyl-3-amino-L-alanyl-L-norleucyl-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-, (9→14)-lactam

SQL 14

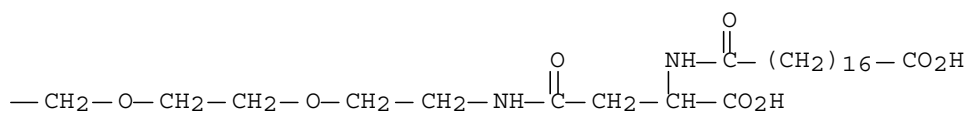
MF C95 H146 N24 O25



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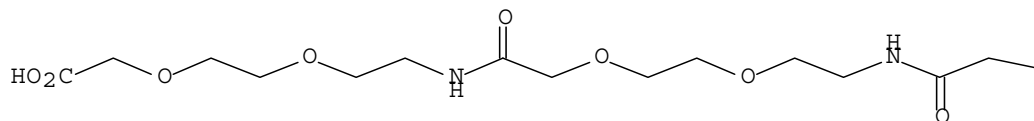


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

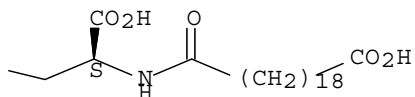
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 3,6,12,15-Tetraoxa-9,18,23-triazatritetracontanedioic acid,
 22-carboxy-10,19,24-trioxo-, (22S)-
 MF C37 H67 N3 O13

Absolute stereochemistry.

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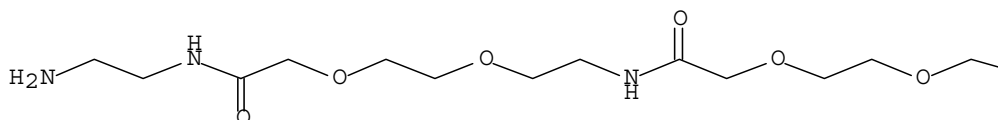


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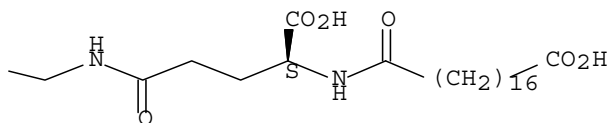
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 27,30,36,39-Tetraoxa-19,24,33-triazahentetracontanoic acid,
 41-[(2-aminoethyl)amino]-20-carboxy-18,23,32,41-tetraoxo-, (20S)-
 MF C37 H69 N5 O12

Absolute stereochemistry.

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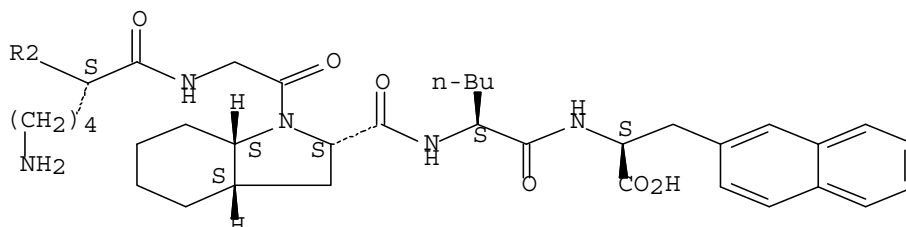


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

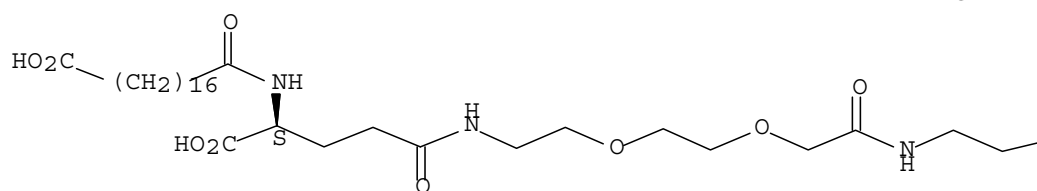
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN INDEX NAME NOT YET ASSIGNED
 MF C138 H226 N36 O31

Absolute stereochemistry.

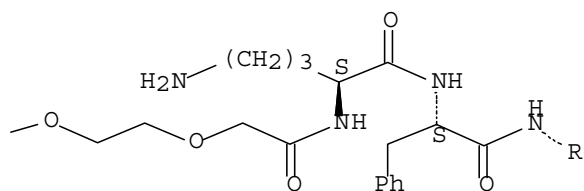
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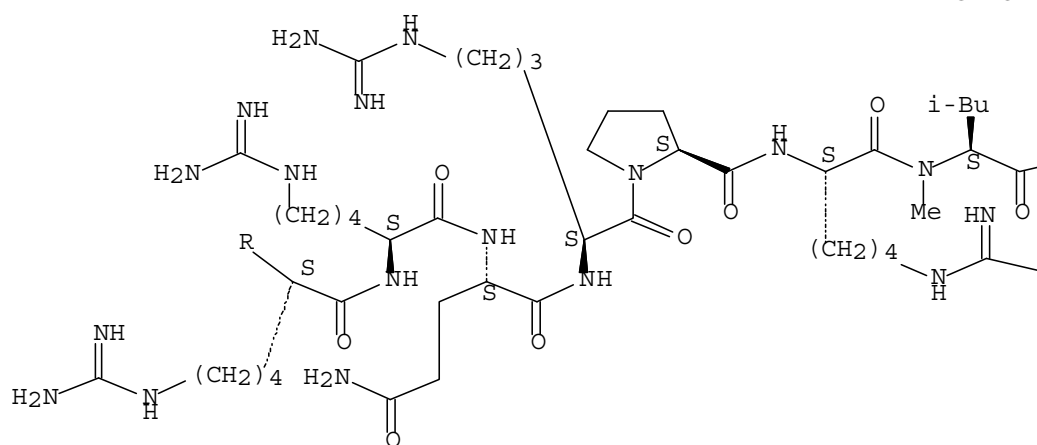
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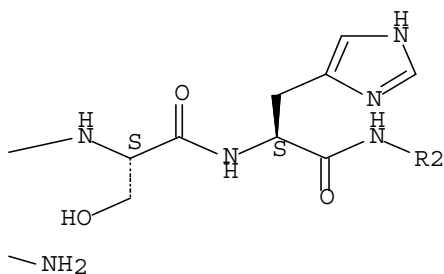


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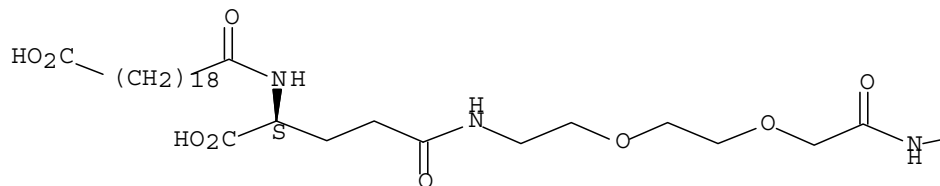




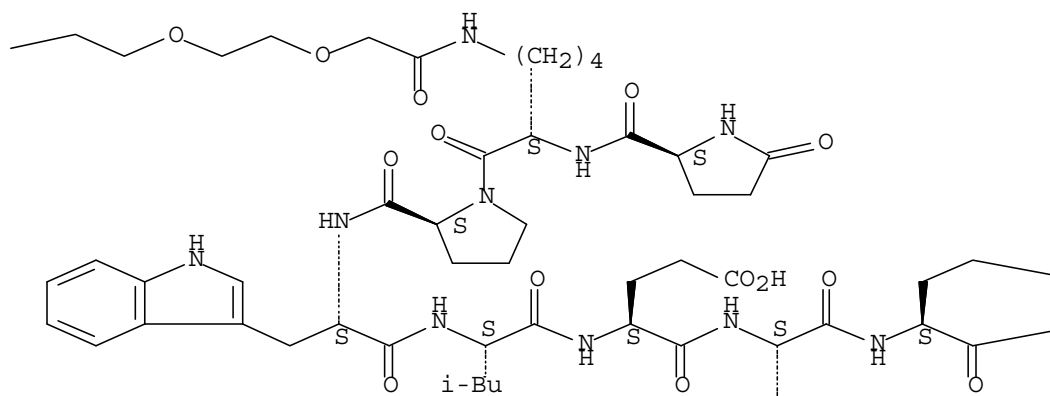
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Phenylalaninamide, 5-oxo-L-prolyl-N6- [N- (19-carboxy-1-oxononadecyl) -
 L-γ-glutamyl-2- [2- (2-aminoethoxy) ethoxy] acetyl-2- [2- (2-
 aminoethoxy) ethoxy] acetyl] -L-lysyl-L-prolyl-L-tryptophyl-L-leucyl-L-
 α-glutamyl-L-α-glutamyl-L-α-glutamyl-L-α-
 glutamyl-L-α-glutamyl-L-alanyl-L-tyrosylglycyl-L-tryptophyl-L-
 leucyl-L-α-aspartyl-
 SQL 20,17,3
 MF C139 H200 N24 O43

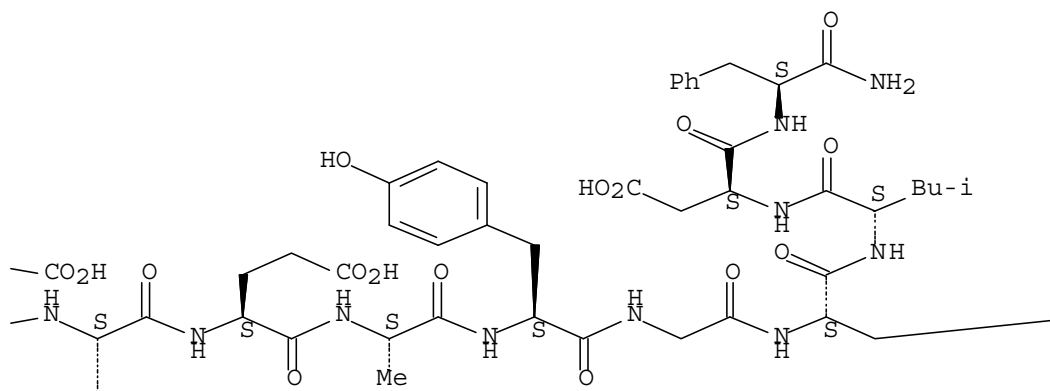
Absolute stereochemistry.



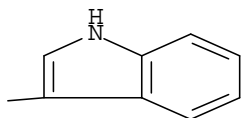
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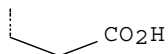
PAGE 1-C



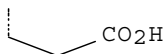
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

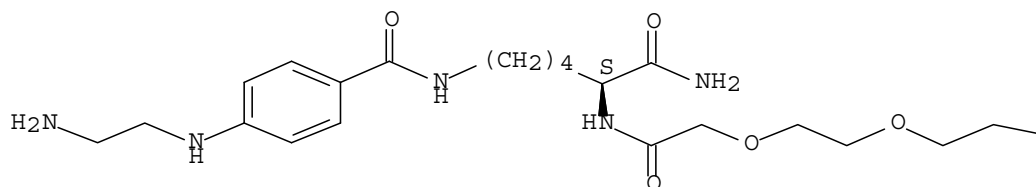
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN

IN L-Lysinamide, N-(17-carboxy-1-oxoheptadecyl)-L-γ-glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-N6-[4-[(2-aminoethyl)amino]benzoyl]-

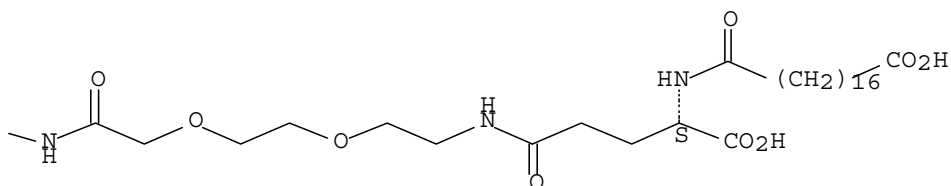
MF C50 H86 N8 O14

Absolute stereochemistry.

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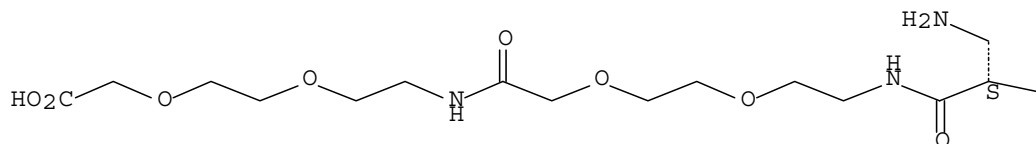


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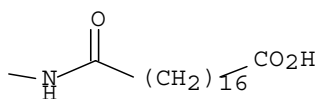
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 3,6,12,15-Tetraoxa-9,18,21-triazanonatriacontanedioic acid,
 20-(aminomethyl)-10,19,22-trioxo-, (20S)-
 MF C33 H62 N4 O11

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

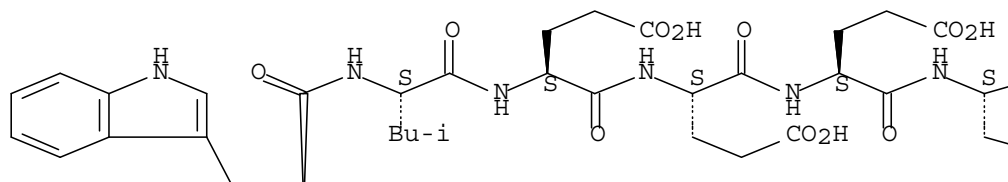


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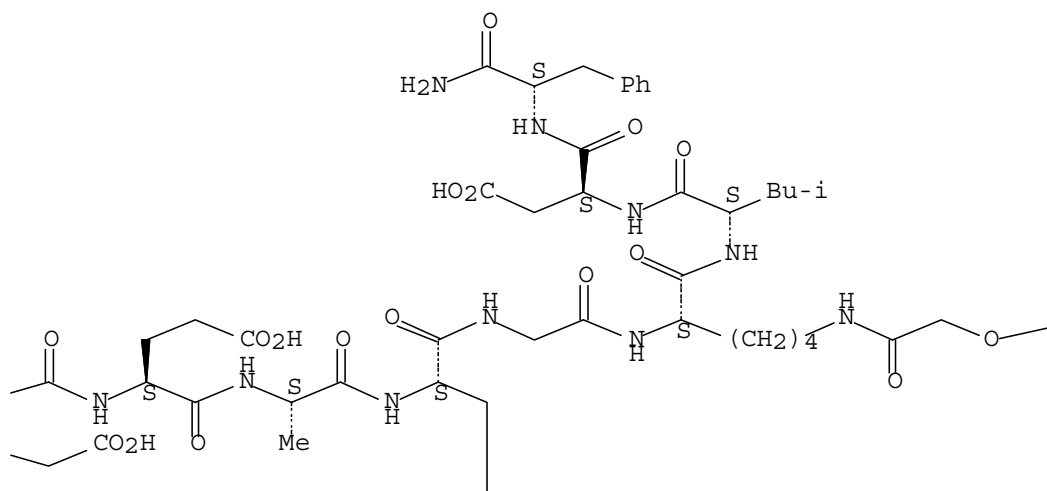
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Phenylalaninamide, 5-oxo-L-prolylglycyl-L-prolyl-L-tryptophyl-L-leucyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L-alanyl-L-tyrosylglycyl-N6-[N-(15-carboxy-1-oxopentadecyl)-L- γ -glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl]-L-lysyl-L-leucyl-L- α -aspartyl-
 SQL 19,17,2
 MF C120 H174 N22 O40

Absolute stereochemistry.

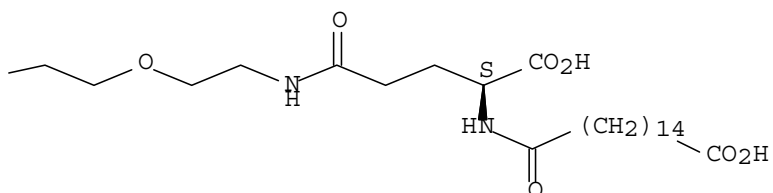
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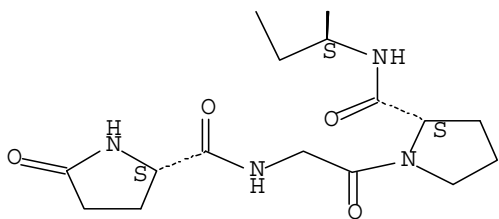
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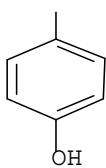
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PAGE 2-A



PAGE 2-B

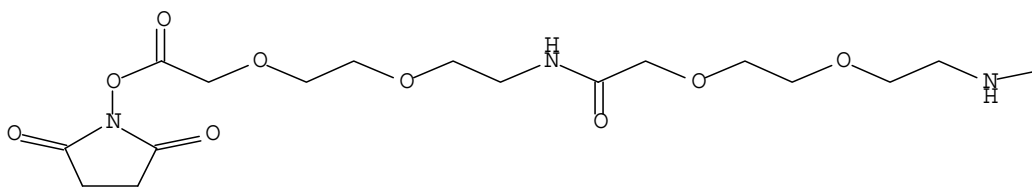


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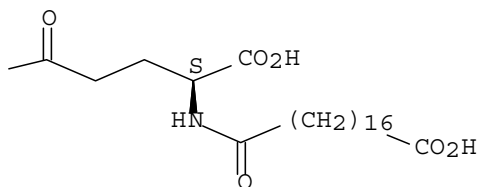
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
IN 26,29,35,38-Tetraoxa-18,23,32-triazanonacontane-1,19,39-
tricarboxylic acid, 17,22,31-trioxo-, 39-(2,5-dioxo-1-pyrrolidinyl)
ester, (19S)-
MF C39 H66 N4 O15

Absolute stereochemistry.

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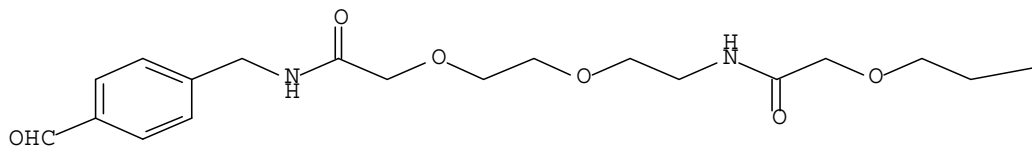


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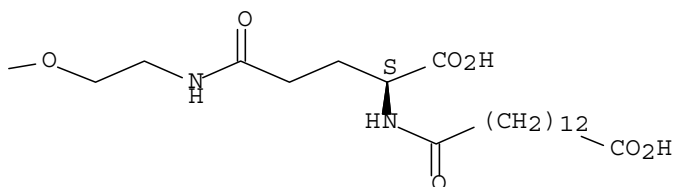
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 23,26,32,35-Tetraoxa-15,20,29,38-tetraazanonatriacontanoic acid,
 16-carboxy-39-(4-formylphenyl)-14,19,28,37-tetraoxo-, (16S)-
 MF C39 H62 N4 O13

Absolute stereochemistry.

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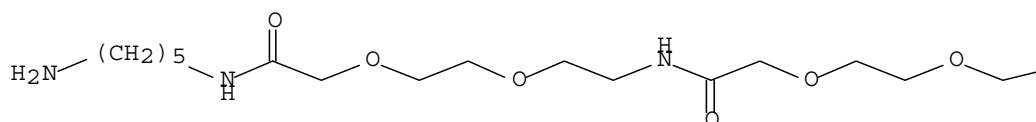
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 27,30,36,39-Tetraoxa-19,24,33-triazahentetracontanoic acid,
 41-[(5-aminopentyl)amino]-20-carboxy-18,23,32,41-tetraoxo-, (20S)-,
 2,2,2-trifluoroacetate (1:1)
 MF C40 H75 N5 O12 . C2 H F3 O2

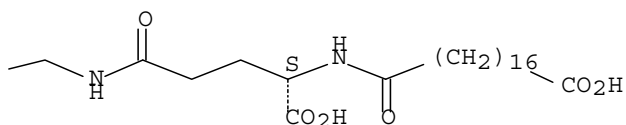
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Absolute stereochemistry.

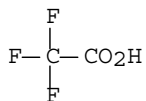
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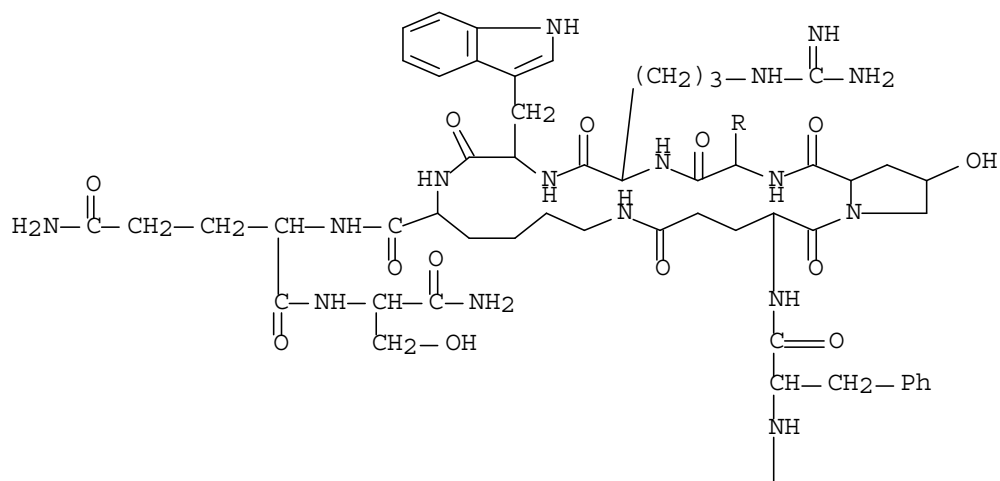


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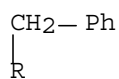
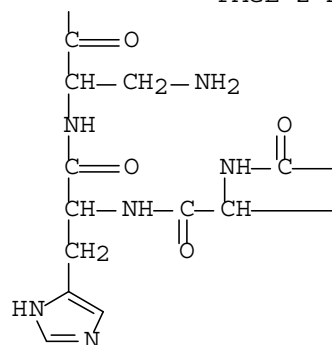


L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN D-Serinamide, N-(35-carboxy-1,10,19-trioxo-3,6,12,15-tetraoxa-9,18-diazapentatriacont-1-yl)glycyl-L-seryl-L-glutaminyl-L-histidyl-3-amino-L-alanyl-L-phenylalanyl-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-L-lysyl-L-glutaminyl-, (7→12)-lactam
 SQL 14
 MF C108 H163 N27 O29

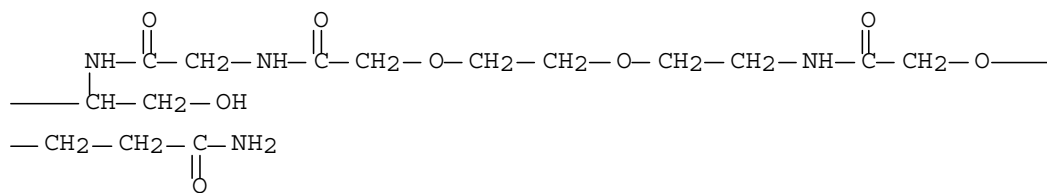
PAGE 1-A



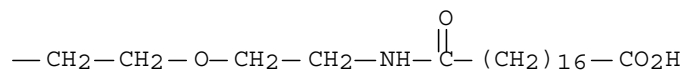
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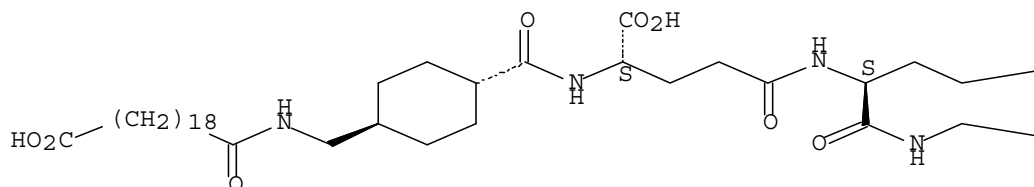
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN Glycine, N-[[trans-4-[[[(19-carboxy-1-oxononadecyl)amino]methyl]cyclohexyl]carbonyl]-L-γ-glutamyl-L-α-glutamyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-2-[2-(2-aminoethoxy)ethoxy]acetyl-
 SQL 5
 MF C52 H90 N6 O18

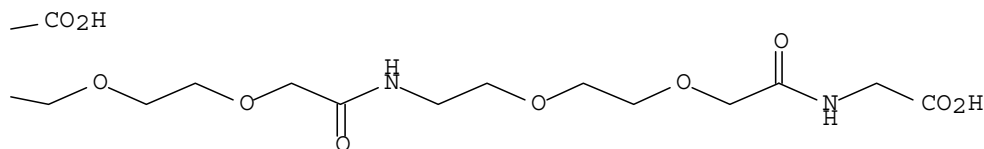
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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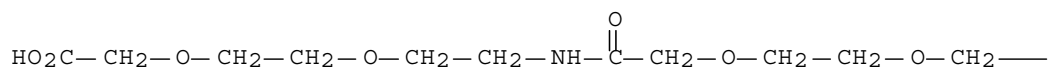


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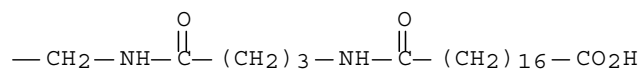
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN

IN 3,6,12,15-Tetraoxa-9,18,23-triazahentetracontanedioic acid,
 10,19,24-trioxo-
 MF C34 H63 N3 O11

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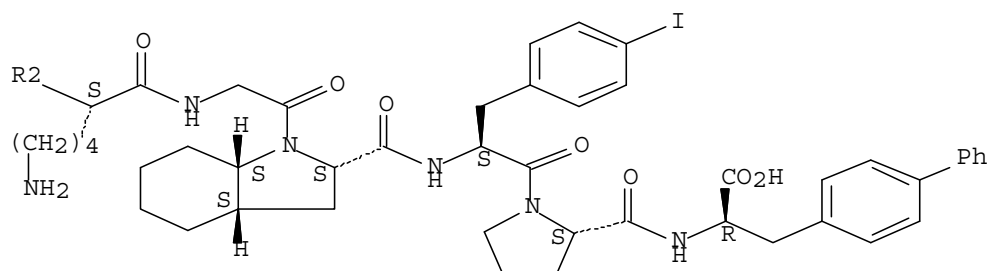


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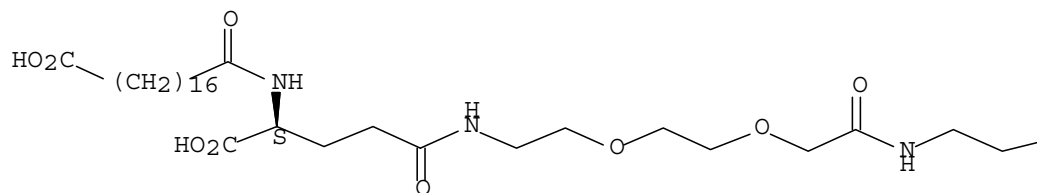
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN INDEX NAME NOT YET ASSIGNED
 MF C133 H211 I N34 O30

Absolute stereochemistry.

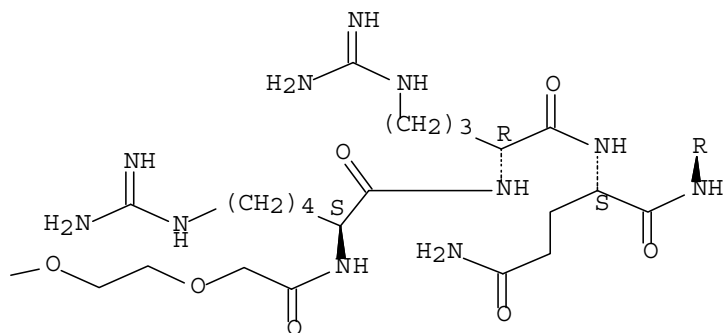
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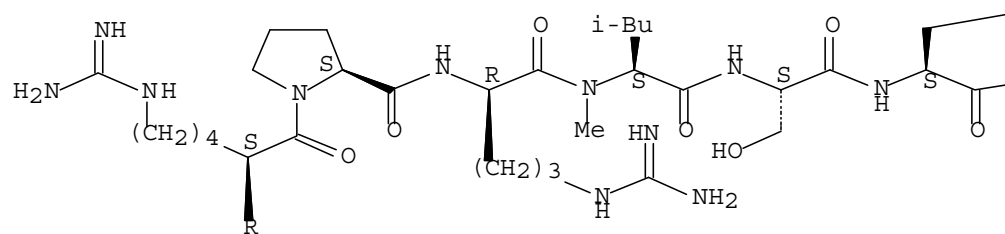
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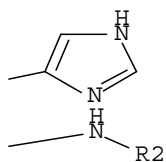


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PAGE 3-A

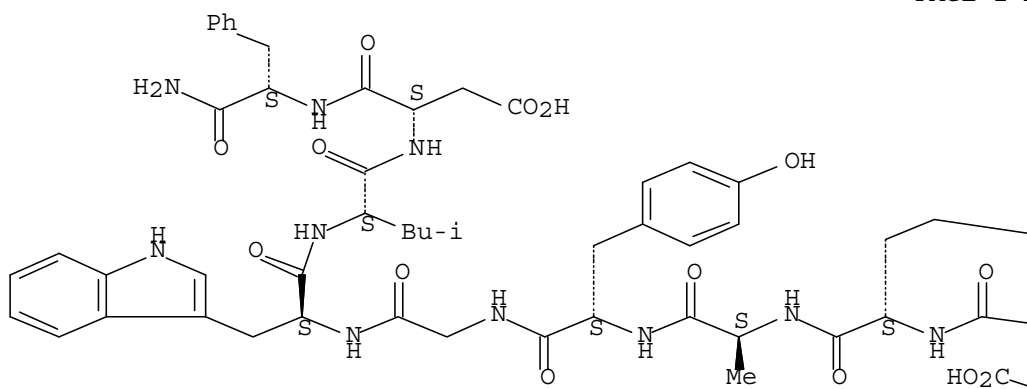




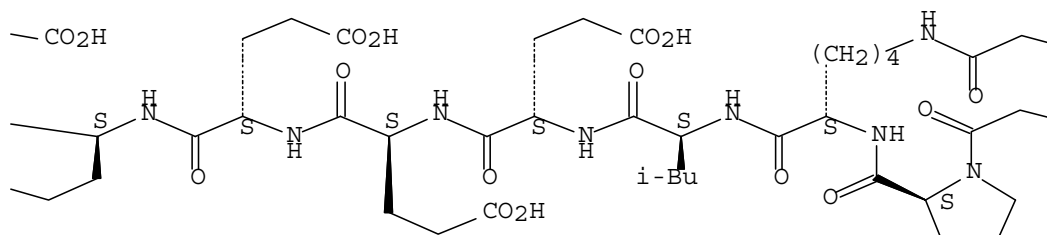
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN L-Phenylalaninamide, 5-oxo-L-prolylglycyl-L-prolyl-N6- [N- (19-carboxy-1-oxononadecyl) -L- γ -glutamyl-2- [2- (2-aminoethoxy) ethoxy] acetyl-2- [2- (2-aminoethoxy) ethoxy] acetyl] -L-lysyl-L-leucyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L- α -glutamyl-L-alanyl-L-tyrosylglycyl-L-tryptophyl-L-leucyl-L- α -aspartyl-
 SQL 20,17,3
 MF C130 H193 N23 O43

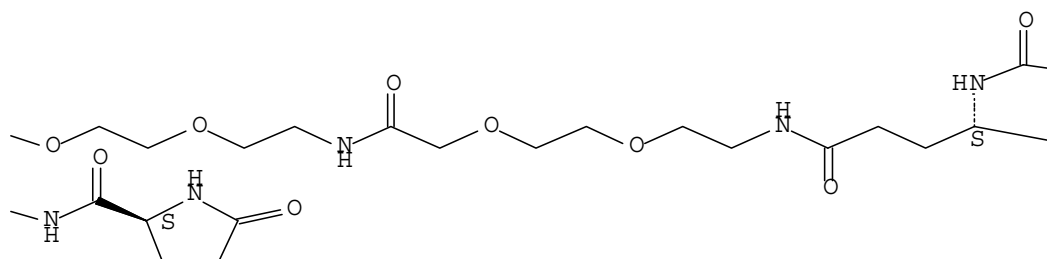
Absolute stereochemistry.



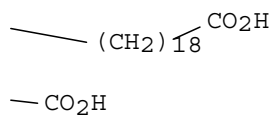
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PAGE 1-D

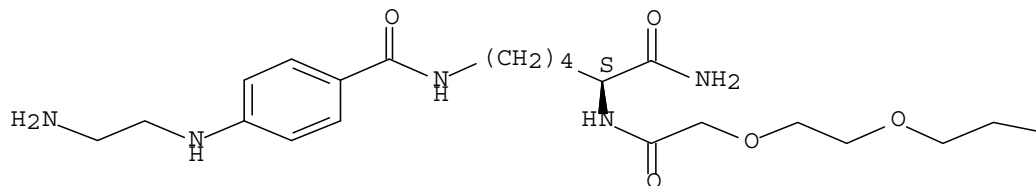


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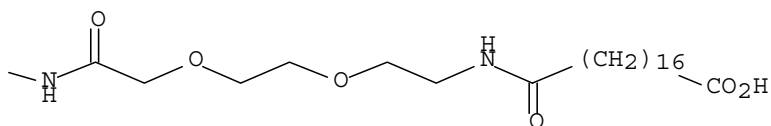
L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN Octadecanoic acid, 18-[[[(19S)-19-(aminocarbonyl)-25-[4-[(2-aminoethyl)amino]phenyl]-8,17,25-trioxo-3,6,12,15-tetraoxa-9,18,24-triazapentacos-1-yl]amino]-18-oxo-
 MF C45 H79 N7 O11

Absolute stereochemistry.

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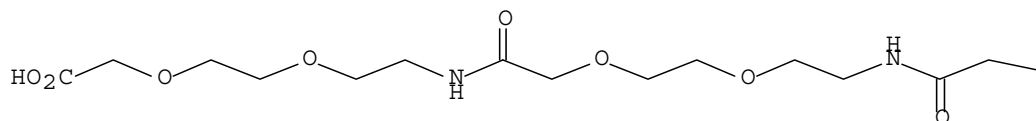


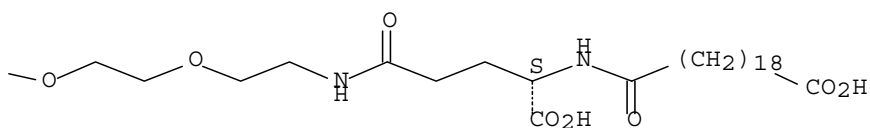
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L12 146 ANSWERS REGISTRY COPYRIGHT 2016 ACS on STN
 IN 3,6,12,15,21,24-Hexaoxa-9,18,27,32-tetraazadopentacontanedioic acid,
 31-carboxy-10,19,28,33-tetraoxo-, (31S)-
 MF C43 H78 N4 O16

Absolute stereochemistry.

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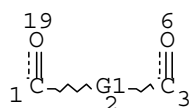
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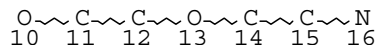
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L13

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Query 2 - structure



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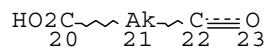
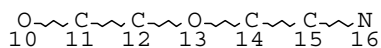
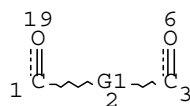
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L15 STR



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RING(S) ARE ISOLATED OR EMBEDDED

128

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

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 L18 0 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L17 AND SR/FA
 NOT CA/SR

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L20 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2015:2096591 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 164:162861

TITLE: Preparation of novel fatty acids and their use in conjugation to biomolecules

INVENTOR(S): Barnes, David Weninger; Yamada, Ken; Ibebunjo, Chikwendu; Duttaroy, Alokesh; Kirman, Louise Clare; Bruce, Alexandra Marshall; Usera, Aimee Richardson; Zecri, Frederic; Yuan, Jun; Lou, Changgang; Kanter, Aaron; Bose, Avirup

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: PCT Int. Appl., 293pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

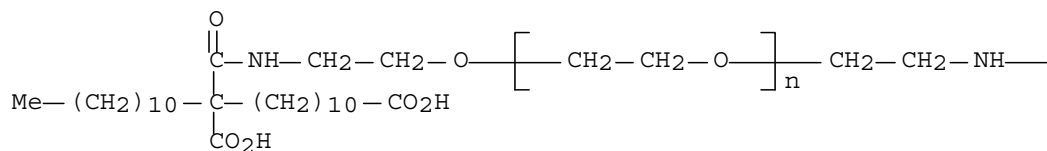
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015200078	A1	20151230	WO 2015-US36328	20150618
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RW:	AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			
US 20160030585	A1	20160204	US 2015-14738272	20150612
PRIORITY APPLN. INFO.:			US 2014-62015862	P 20140623
			US 2014-62082327	P 20141120
			US 2015-62107016	P 20150123

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

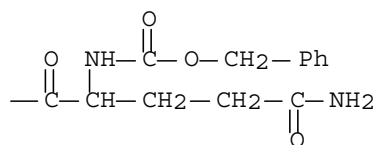
OTHER SOURCE(S): CASREACT 164:162861; MARPAT 164:162861

- AB The invention provides a conjugate comprising a biomol. selected from (1) human growth differentiation factor 15 (GDF15), homologs, variants, mutants, fragments and other modified forms thereof or a dimer thereof; (2) an apelin agonist peptide, (3) oxytocin receptor agonist peptide, (4) serelaxin, (5) Neuropeptide FF, (6) a prolactin-inducible peptide, (7) an fibroblast growth factor 23 peptide (8) Agouti-related protein and (9) a siRNA; or an amide, an ester or a pharmaceutically acceptable salt thereof. linked to a fatty acid via a linker wherein the fatty acid has the following formulas:
 $\text{HOOC}[(\text{CH}_2)_n\text{R}_2][(\text{CH}_2)_m\text{R}_3]\text{R}_1$, $\text{HOOCCH}[(\text{CH}_2)_p\text{R}_4]\text{COOH}$ or $\text{HOOC}(\text{Ak})\text{COOH}$ [$\text{R}_1 = \text{COOH}$, H ; $\text{R}_2\text{-4} =$ independently H , OH , COOH , $\text{CH}:\text{CH}_2$, $\text{C}\equiv\text{CH}$; $\text{Ak} =$ a branched $\text{C}_6\text{-30}$ alkylene; $n, m, p =$ independently of each other an integer between 6 and 30,] e.g.,
 $\text{N}_3(\text{CH}_2\text{CH}_2\text{O})_{23}\text{CH}_2\text{CH}_2\text{NHCOC}[(\text{CH}_2)_{10}\text{COOH}]_2\text{COOH}$ (I), or an amide, ester or pharmaceutically acceptable salt thereof. The invention also relates to a method for manufacturing the conjugates of the invention and to their therapeutic uses such as treatment or prevention of metabolic disorders or diseases, type 2 diabetes mellitus, obesity, pancreatitis, dyslipidemia, alc. and nonalcoholic fatty liver disease/steatohepatitis and other progressive liver diseases, insulin resistance, hyperinsulinemia, glucose intolerance, hyperglycemia, metabolic syndrome, hypertension, cardiovascular disease, atherosclerosis, peripheral arterial disease, stroke, heart failure, coronary heart disease, diabetic complications (including but not limited to chronic kidney disease), neuropathy, gastroparesis and other metabolic disorders. The invention further provides a combination of pharmacol. active agents and a pharmaceutical composition. Thus, esterification of 11-bromoundecanoic acid with benzyl alc., alkylation of dibenzyl malonate with benzyl 11-bromoundecanoate (II), alkylation of tribenzyl undecane-1,11,11-tricarboxylate with II, debenzylation of tetrabenzyl henicosane-1,11,11,21-tetracarboxylate, treatment of henicosane-1,11,11,21-tetracarboxylic acid with NHS and reaction of 11-[[2,5-Dioxopyrrolidin-1-yl]oxy]carbonyl]henicosane-1,11,21-tricarboxylic acid with $\text{N}_3(\text{CH}_2\text{CH}_2\text{O})_{23}\text{CH}_2\text{CH}_2\text{NH}_2$ gave I and $\text{N}_3(\text{CH}_2\text{CH}_2\text{O})_{23}\text{CH}_2\text{CH}_2\text{NHCOC}[(\text{CH}_2)_{10}\text{COOH}]\text{COOH}$. A bicyclononyl (BCN) His-labeled hGDF15 (preparation given) was conjugated with I in the presence of NaOAc at $\text{pH} = 4.5$. The resulting conjugate possessed a significant longer duration of action as compared to non-conjugated hGDF15 and as compared to pegylated hGDF15.
- IT **1644558-33-7P** **1852513-36-0P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
 RACT (Reactant or reagent)
 (intermediate; preparation of fatty acids and their use in conjugation to biomols.)
- RN 1644558-33-7 HCAPLUS
- CN Poly(oxy-1,2-ethanediyl), α -[2-[[2S]-5-amino-1,5-dioxo-2-[[phenylmethoxy]carbonyl]amino]pentyl]amino]ethyl]- ω -[2-[[2-carboxy-2-(10-carboxydecyl)-1-oxotridecyl]amino]ethoxy]- (CA INDEX NAME)

PAGE 1-A



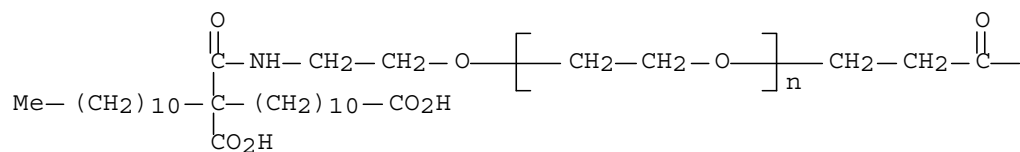
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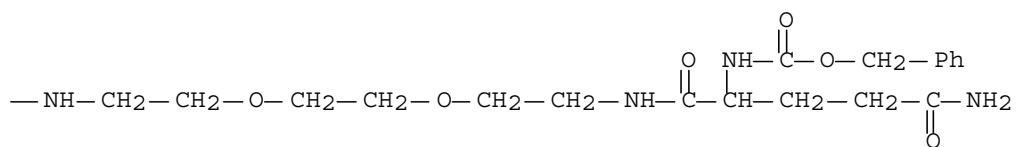
RN 1852513-36-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[(15S)-15-(3-amino-3-oxopropyl)-3,14,17-trioxo-19-phenyl-7,10,18-trioxa-4,13,16-triazanonadec-1-yl]- ω -[2-[[2-carboxy-2-(10-carboxydecyl)-1-oxotridecyl]amino]ethoxy]-(CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 1644558-33-7DP, CRM 197 conjugates 1852513-36-0DP
, hFGF23 variant conjugates

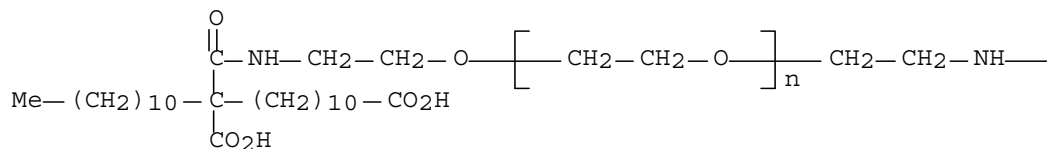
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)

(preparation of fatty acids and their use in conjugation to biomols.)

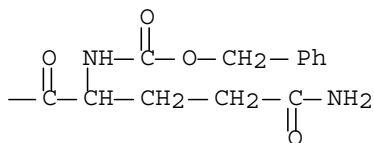
RN 1644558-33-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[[(2S)-5-amino-1,5-dioxo-2-
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carboxy-2-(10-carboxydecyl)-1-oxotridecyl] amino] ethoxy] - (CA INDEX
NAME)

PAGE 1-A



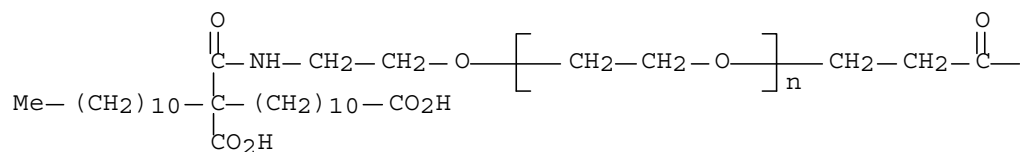
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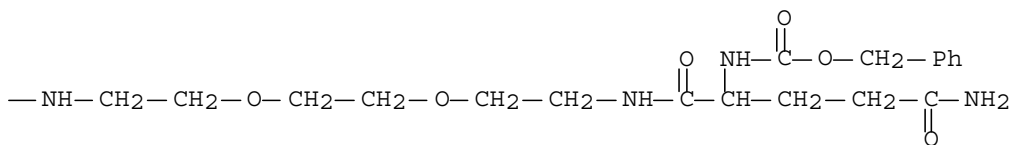
RN 1852513-36-0 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[(15S)-15-(3-amino-3-oxopropyl)-
3,14,17-trioxo-19-phenyl-7,10,18-trioxa-4,13,16-triazanonadec-1-yl] -
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(CA INDEX NAME)

PAGE 1-A



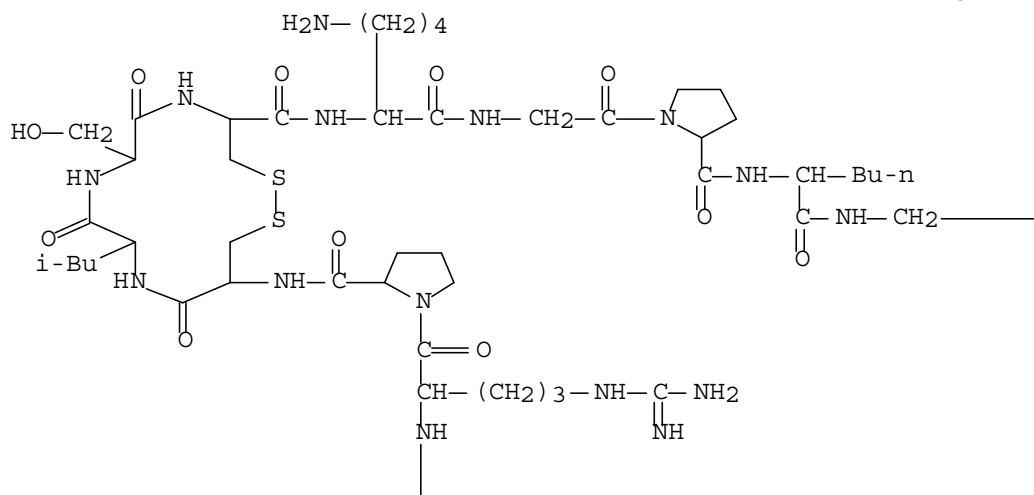
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IT 1648713-55-6P 1852513-48-4P

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CN INDEX NAME NOT YET ASSIGNED

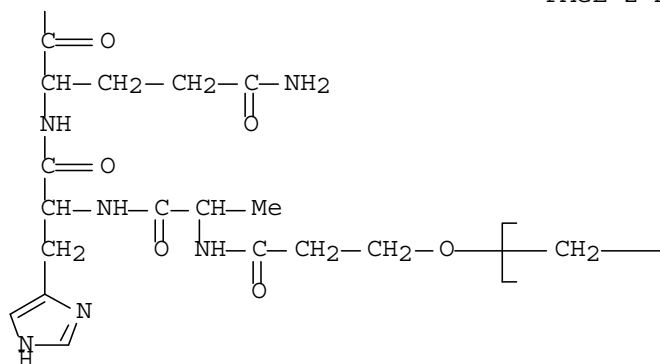
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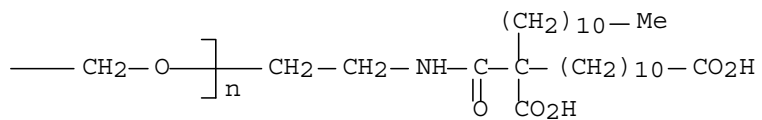
PAGE 1-B

$$-\text{CH}_2-\text{Ph}$$

PAGE 2-A



PAGE 2-B

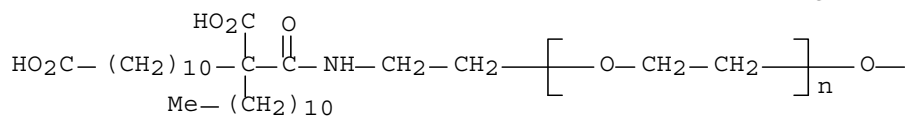


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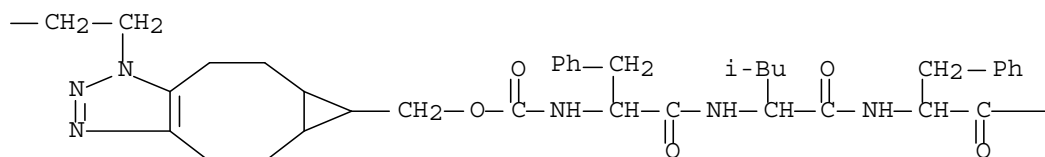
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CRN 1852513-47-3
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 CCI PMS

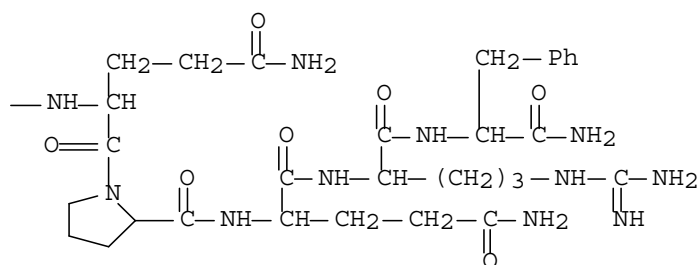
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PAGE 1-B



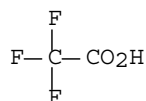
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2015:168863 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 162:259202

TITLE: Preparation of cyclic polypeptides for the treatment of heart failure

INVENTOR(S): Bruce, Alexandra Marshall; Grosche, Philipp; Guimaraes, Carla; Kanter, Aaron; Lou, Changgang; Usera, Aimee Richardson; Yasoshima, Kayo; Yuan, Jun; Zecri, Frederic; Zhao, Hongjuan

PATENT ASSIGNEE(S): Novartis AG, Switz.
 SOURCE: PCT Int. Appl., 156pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015013168	A1	20150129	WO 2014-US47377	20140721
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US 20150031604	A1	20150129	US 2014-14336262	20140721
US 9266925	B2	20160223		
AR 96980	A1	20160210	AR 2014-102680	20140721
AU 2014293386	A1	20160211	AU 2014-293386	20140721
KR 2016031551	A	20160322	KR 2016-7004512	20140721
IL 243682	A	20160331	IL 2014-243682	20140721
CN 105612172	A	20160525	CN 2014-80052591	20140721
EP 3024845	A1	20160601	EP 2014-747284	20140721
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US 20160108091	A1	20160421	US 2015-14963391	20151209
PRIORITY APPLN. INFO.:			US 2013-61858263	P 20130725
			US 2014-62015854	P 20140623
			US 2014-14336262	A3 20140721
			WO 2014-US47377	W 20140721

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 162:259202; MARPAT 162:259202

AB The invention provides a synthetic polypeptide X1RPRX5X6X7KX9PX11X12X13 [I; X1 is the N-terminus of the polypeptide and is absent or is selected from Q, A and pE; or X1 is selected from C, c, hC and D-hC; wherein the side chain of C, c, hC or D-hC forms a disulfide bond with the side chain of X7; X3 is P or X3 is selected from C, c, hC and D-hC; wherein the side chain of C, c, hC or D-hC forms a disulfide bond with the side chain of X7; X4 is R or X4 is selected from C, c, hC and D-hC; wherein the side chain of C, c, hC or D-hC forms a disulfide bond with the side chain of X7; wherein only one of X1, X3 or X4 is a S-containing amino acid selected from C, c, hC or D-hC; X7 is selected from

C, c, hC and D-hC; wherein the side chain of X7 forms a disulfide bond with the side chain of C, c, hC or D-hC of either X1, X3 or X4; X8 is K, F; X9 is G, A, a or absent; X10 is P or absent; X11 is D-Nle, Nle, M or f; and X12 is absent or P, f, a, D-Nva or D-Abu; X13 is the C-terminus and is absent or is selected from (N-Me)F, F, f, a, y and Nal; Nle = L-norleucine; D-Nle = D-norleucine; D-hC is D-homocysteine; hC is L-homocysteine; Nal is 2-naphthylalanine; D-Nva is D-norvaline; D-Abu is D-2-aminobutyric acid; pE is L-pyroglutamic acid; or an amide, an ester or a salt of the polypeptide; or a polypeptide substantially equivalent thereto]. The polypeptides and bioconjugates are agonists of the APJ receptor. The invention also relates to a method for manufacturing the polypeptides and bioconjugates of the invention, and its therapeutic uses such as treatment or prevention of acute decompensated heart failure (ADHF), chronic heart failure, pulmonary hypertension, atrial fibrillation, Brugada syndrome, ventricular tachycardia, atherosclerosis, hypertension, restenosis, ischemic cardiovascular diseases, cardiomyopathy, cardiac fibrosis, arrhythmia, water retention, diabetes (including gestational diabetes), obesity, peripheral arterial disease, cerebrovascular accidents, transient ischemic attacks, traumatic brain injuries, amyotrophic lateral sclerosis, burn injuries (including sunburn) and preeclampsia. The invention further provides a combination of pharmacol. active agents and a pharmaceutical composition. Thus, peptide pE-R-P-C*-L-S-C*-K-G-P-(D-Nle)-NH(Phenethyl) (disulfide C4-C7) acetate was synthesized via assembling the linear peptide pE-R(Pbf)-P-C(Trt)-L-S(tBu)-C(Trt)-K(Boc)-G-P-(D-Nle)-OH using solid phase peptide synthesis. I had EC50 values in the range of about 0.01 nM to about 1100 nM for APJ receptor potency. Selected I had a plasma stability higher than 60 min.

IT 1648713-55-6P

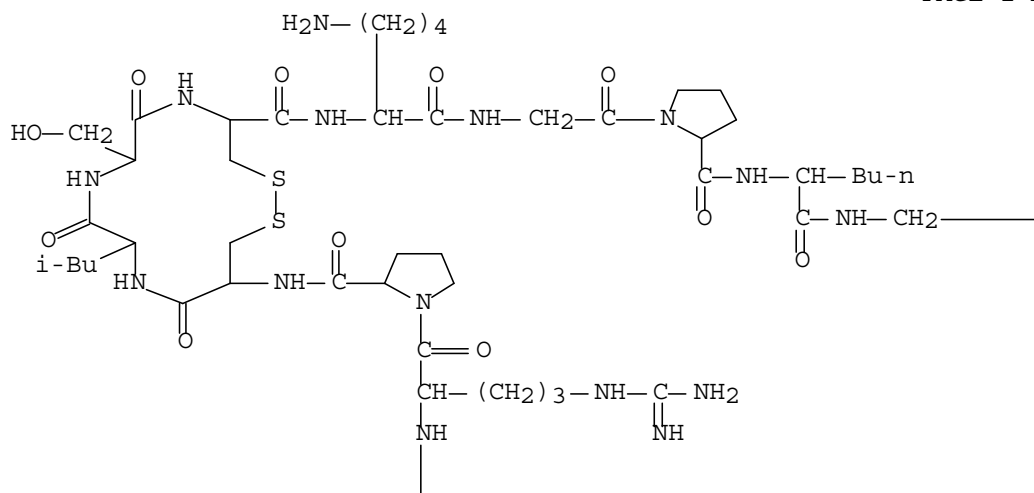
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic polypeptides for the treatment of cardiovascular diseases)

RN 1648713-55-6 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

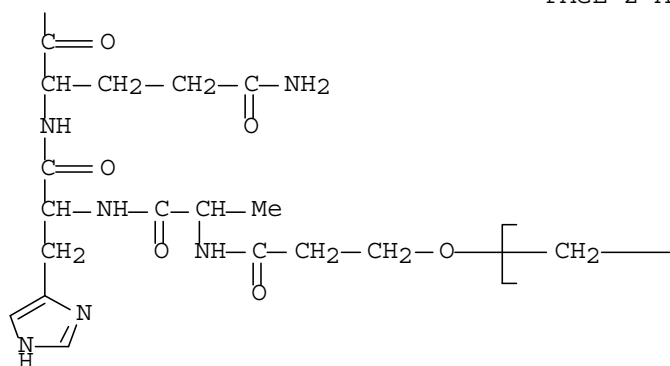
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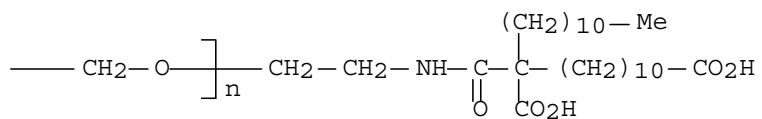
PAGE 1-B

$$-\text{CH}_2-\text{Ph}$$

PAGE 2-A



PAGE 2-B



OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
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L20 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2015:86437 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 162:213279

TITLE: Site-specific modification of proteins using enzyme-mediated conjugation of modifying groups

INVENTOR(S): Usera, Aimee; Robinson, Zachary; Cobb, Jennifer

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 136pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015006728	A2	20150115	WO 2014-US46409	20140711
WO 2015006728	A3	20150312		
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RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			
CA 2918076	A1	20150115	CA 2014-2918076	20140711
US 20150017192	A1	20150115	US 2014-14329758	20140711
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AU 2014286990	A1	20160211	AU 2014-286990	20140711
KR 2016040556	A	20160414	KR 2016-7003053	20140711
CN 105593238	A	20160518	CN 2014-80046805	20140711
EP 3019515	A2	20160518	EP 2014-748350	20140711
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IN 201647004172	A	20160701	IN 2016-47004172	20160205
PRIORITY APPLN. INFO.:			US 2013-61845273	P 20130711
			US 2014-62016044	P 20140623
			WO 2014-US46409	W 20140711

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 162:213279; MARPAT 162:213279

AB Methods for site-selective modification of proteins having accessible lysine residues by conjugation with functionalized peptides using a microbial transglutaminase-mediated reaction are described. This can be used to introduce functional groups, e.g. for the introduction of targeting or stabilizing moieties or drugs. The synthesis of a number of compds. carrying

functional groups is described. Transglutaminase-mediated conjugation of these compds. to the diphtheria toxin derivative CRM 197 is demonstrated.

IT 1644558-33-7P

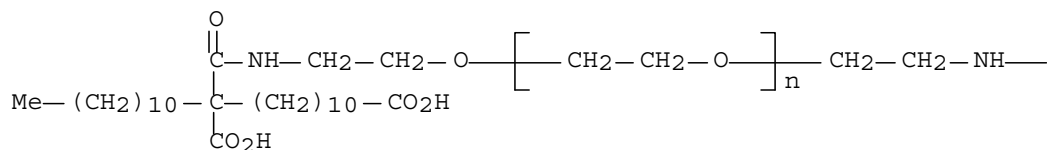
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
 RACT (Reactant or reagent)

(preparation and reactions of; site-specific modification of proteins
 using enzyme-mediated conjugation of modifying groups)

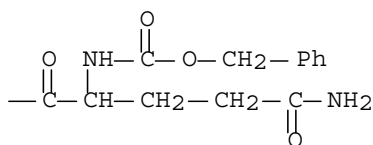
RN 1644558-33-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(2S)-5-amino-1,5-dioxo-2-
 [[(phenylmethoxy) carbonyl] amino] pentyl] amino] ethyl] - ω -[2-[[2-
 carboxy-2-(10-carboxydecyl)-1-oxotridecyl] amino] ethoxy] - (CA INDEX
 NAME)

PAGE 1-A



PAGE 1-B



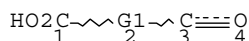
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L21

STR

Query 4 - structure



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NODE ATTRIBUTES:

CONNECT IS M3 RC AT 3

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

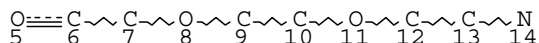
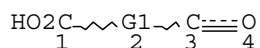
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RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

L22 (461)SEA FILE=REGISTRY SSS FUL L21
L23 STR



REP G1=(18-18) CH2

NODE ATTRIBUTES:

CONNECT IS M3 RC AT 3

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L24 50 SEA FILE=REGISTRY SUB=L22 SSS FUL L23

L25 0 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L24 NOT CAPLUS/LC

FILE 'HCAPLUS' ENTERED AT 15:28:03 ON 11 JUL 2016

L26 25 SEA SPE=ON ABB=ON PLU=ON L24

L27 0 SEA SPE=ON ABB=ON PLU=ON L26 NOT (L4 OR L11 OR

L20)

(FILE 'REGISTRY' ENTERED AT 15:29:09 ON 11 JUL 2016)

E "GLUCAGON-LIKE PEPTIDE"/CN

L28 589 SEA SPE=ON ABB=ON PLU=ON "GLUCAGON-LIKE PEPTIDE"?/CN

FILE 'HCAPLUS' ENTERED AT 15:29:40 ON 11 JUL 2016

L29 17546 SEA SPE=ON ABB=ON PLU=ON L28 OR GLUCAGON LIKE PEPTIDE
OR GLP##

FILE 'REGISTRY' ENTERED AT 15:30:04 ON 11 JUL 2016

L35 2995 SEA SPE=ON ABB=ON PLU=ON ?"AMINOETHOXY)-ETHOXY-ACETYL"?/CNS

L36 1075 SEA SPE=ON ABB=ON PLU=ON L35 (S) ?CARBOXY?/CNS

L37 165 SEA SPE=ON ABB=ON PLU=ON L36 (S) ?GLUTAMIC?/CNS

FILE 'HCAPLUS' ENTERED AT 15:34:51 ON 11 JUL 2016

L38 9 SEA SPE=ON ABB=ON PLU=ON L29 AND (L37 OR (?AMINOETHOXY?
OR ?AMINO ETHOXY?) (S) (GLU OR GLUTAMIC))

L28 589 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON "GLUCAGON-LIKE
PEPTIDE"?/CN

L29 17546 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L28 OR GLUCAGON
LIKE PEPTIDE OR GLP##

L35 2995 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON ?"AMINOETHOXY)-ET
HOXY-ACETYL"?/CNS

L36 1075 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L35 (S) ?CARBOXY?/CNS

L37 165 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L36 (S) ?GLUTAMIC?/CNS

L38 9 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L29 AND (L37 OR

141

Query 5 – key terms

(?AMINOETHOXY? OR ?AMINO ETHOXY?) (S) (GLU OR GLUTAMIC))

L35 2995 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON ?"AMINOETHOXY) -ET
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PEPTIDE"+PFT,NT/CT
L41 4 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L40 AND (L37 OR
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L42 7 SEA SPE=ON ABB=ON PLU=ON (L38 OR L41) NOT (L4 OR L11 OR L20)

L42 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 21 Mar 2013

ACCESSION NUMBER: 2013:423986 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 158:465686

TITLE: **Gl**p-1 derivatives and their therapeutic use

INVENTOR(S): Lau, Jesper; Bloch, Paw; Kofoed, Jacob; Garibay, Patrick

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 117pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2013037690	A1	20130321	WO 2012-EP67364	20120906
W:	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, 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, QA, RO, RS, RU, RW, 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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EP 2753642	A1	20140716	EP 2012-805429	20120906
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CN 104039822	A	20140910	CN 2012-80054416	20120906
JP 2014529629	T	20141113	JP 2014-528963	20120906
US 20140303083	A1	20141009	US 2014-14343152	20140430

PRIORITY APPLN. INFO.:	EP 2011-180265	A 20110906
	US 2011-61532323	P 20110908
	WO 2012-EP67364	W 20120906

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 158:465686; MARPAT 158:465686

AB The invention relates to a derivative of a **GLP-1** peptide, which peptide has two Lys residues, namely a first and a second Lys residue, and a maximum of eight amino acid changes as compared to **GLP-1(7-37)**, which derivative comprises two protracting moieties attached to the epsilon amino group of said first and second Lys residue, resp., via a linker, wherein the protracting moiety is selected from Chemical 15: $\text{HOOC}-(\text{CH}_2)_x-\text{CO}-*$, and Chemical 16: $\text{HOOC}-\text{C}_6\text{H}_4-\text{O}-(\text{CH}_2)_y-\text{CO}-*$, in which x is an integer in the range of 10-16, and y is an integer in the range of 8-12; and the linker comprises a first linker element $-\text{NH}-\text{CH}(\text{CH}_2\text{OH})-\text{CO}-*$. A preferred linker is g Glu-Ser-Ser-Gly. The derivative of the invention has a very good potency, and a very good binding to the **GLP-1** receptor. The invention also relates to the pharmaceutical use of the derivative, for example in the treatment and/or prevention of all forms of diabetes and related diseases.

IT **106612-94-6DP**, 7-37-**Glucagon-like peptide I** (human), derivs.

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino acid sequence; prepared **glucagon-like peptide-1** derivs. and their therapeutic use)

IT **1376763-06-2** **1376763-68-6**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(comparison with; prepared **glucagon-like peptide-1** derivs. and their therapeutic use)

IT **89750-14-1DP**, **Glucagon-like peptide I**, derivs.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepared **glucagon-like peptide-1** derivs. and their therapeutic use)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 18 May 2012

ACCESSION NUMBER: 2012:705916 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 156:657035

TITLE: Double-acylated **glp-1** derivatives with a linker and their therapeutic use

INVENTOR(S): Madsen, Alice Ravn; Wieczorek, Birgit; Kofoed, Jacob; Lau, Jesper; Spetzler, Jane; Kodra, Janos Tibor; Linderroth, Lars; Garibay, Patrick William; Sauerberg, Per; Kruse, Thomas

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 264pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2012062803	A1	20120518	WO 2011-EP69738	20111109
W: 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, QA, RO, RS, RU, RW, 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 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CN 103209711	A	20130717	CN 2011-80054077	20111109
CN 103209711	B	20160413		
EP 2637698	A1	20130918	EP 2011-779456	20111109
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
JP 2013543853	T	20131209	JP 2013-538179	20111109
CN 105601729	A	20160525	CN 2016-10182509	20111109
US 20130288960	A1	20131031	US 2013-13882947	20130716
PRIORITY APPLN. INFO.:			EP 2010-190515	A 20101109
			US 2010-61414221	P 20101116
			EP 2011-169276	A 20110609
			US 2011-61497123	P 20110615
			CN 2011-80054077	A3 20111109
			WO 2011-EP69738	W 20111109

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 156:657035; MARPAT 156:657035

AB The invention relates to a derivative of a GLP-1 analog, which analog comprises a first K residue at a position corresponding to position 18 of GLP-1 (7-37) (SEQ ID NO: 1), a second K residue at another position, and a maximum of twelve amino acid changes as compared to GLP-1 (7-37); which derivative comprises two protracting moieties attached to said first and second K residue, resp., via a linker, wherein the protracting moiety is selected from Chemical 1, Chemical 2, and Chemical 3: Chemical 1: HOOC-(CH₂)_x-CO-* Chemical 2: HOOC-C₆H₄-O-(CH₂)_y-CO-* Chemical 3: R₂-C₆H₄-(CH₂)_z-CO-*, in which x is an integer in the range of 6-18, y is an integer in the range of 3-17, z is an

integer in the range of 1 -5, and R2 is a group having a molar mass not higher than 150-Da; and the linker comprises Chemical 4: *-NH-(CH₂)₂-(O-(CH₂)₂)_k-O-(CH₂)_n-CO-*. wherein k is an integer in the range of 1 -5, and n is an integer in the range of 1 -5; or a pharmaceutically acceptable salt, amide, or ester thereof. The invention also relates to the pharmaceutical use thereof, for example in the treatment and/or prevention of all forms of diabetes and related diseases, as well as to corresponding novel peptides and side chain intermediates. The derivs. are suitable for oral administration.

IT 1376763-06-2P 1376763-68-6P
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (amino acid sequence; prepared double-acylated glp-1 derivs. with linker and their therapeutic use)

IT 106612-94-6D, 7-37-Glucagon-like peptide I (human), analogs
 RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
 (amino acid sequence; prepared double-acylated glp-1 derivs. with linker and their therapeutic use)

IT 89750-14-1DP, Glucagon-like peptide I, derivs.
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepared double-acylated glp-1 derivs. with linker and their therapeutic use)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 30 Sep 2011

ACCESSION NUMBER: 2011:1236978 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:510454

TITLE: Preparation of protracted glucagon analogs for treating hyperglycemia, diabetes and obesity and related diseases

INVENTOR(S): Lau, Jesper F.; Kruse, Thomas; Linderroth, Lars; Thoegersen, Henning

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 218pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011117416	A1	20110929	WO 2011-EP54714	20110328
W:	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
 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW,
 MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM
 CA 2792663 A1 20110929 CA 2011-2792663 20110328
 AU 2011231503 A1 20120927 AU 2011-231503 20110328
 AU 2011231503 B2 20141106
 IL 221696 A 20121031 IL 2011-221696 20110328
 CN 102918055 A 20130206 CN 2011-80025875 20110328
 EP 2552951 A1 20130206 EP 2011-710504 20110328
 R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,
 PT, RO, RS, SE, SI, SK, SM, TR
 KR 2013018410 A 20130221 KR 2012-7027952 20110328
 JP 2013523619 T 20130617 JP 2013-500535 20110328
 RU 2559320 C2 20150810 RU 2012-144289 20110328
 ZA 2012006838 A 20130529 ZA 2012-6838 20120912
 MX 2012010881 A 20121106 MX 2012-10881 20120920
 IN 2012CN08483 A 20140214 IN 2012-CN8483 20121004
 US 20130143798 A1 20130606 US 2012-13637522 20121016
 AU 2015200594 A1 20150226 AU 2015-200594 20150206
 US 20150274801 A1 20151001 US 2015-14739614 20150615
 PRIORITY APPLN. INFO.: EP 2010-157901 A 20100326
 US 2010-61319994 P 20100401
 AU 2011-231503 A3 20110328
 WO 2011-EP54714 W 20110328
 US 2012-13637522 B1 20121016

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:510454; MARPAT 155:510454

AB The invention is related to the preparation of novel glucagon peptide analogs, e.g., N ϵ 24-[2-[2-[2-[2-[2-[2-[[[4S]-5-hydroxy-4-[(18- tert-butoxy-18-oxooctadecanoyl)amino]-5-oxopentanoyl]amino]ethoxy]ethoxy]acetyl]amino]ethoxy]ethoxy]acetyl] [Lys17,Lys18,Glu21,Lys24,Leu27]Glucagon [I; Glucagon = H-HSQGTFTSDYSKYLDSSRAQDFVQWLMNT-OH] with improved phys. stability in solution and solubility at neutral pH, and with a protracted profile of action and to their use in the treatment and prevention of hyperglycemia, diabetes, obesity, and related diseases and conditions. Thus, I, prepared by solid phase peptide synthesis using 2-[2-[2-[2-[2-[2-[[[4S]-5-tert-butoxy-4-[(18-tert-butoxy-18-oxooctadecanoyl)amino]-5-oxopentanoyl]amino]ethoxy]ethoxy]acetyl]amino]ethoxy]ethoxy]acetic acid (preparation given) as the albumin binding moiety, displayed glucagon activity (EC50 = 0.019 nM) and higher phys. stability (the lag time before amyloid fibril formation = 27 h compared to 1.5 h for Glucagon in a thioflavin T assay). I incubated with DPP-IV at 37°C in a HEPES buffer provided a half-life

of 260 min compared to 11 min for GLP-1 and to 32 min for Glucagon as a result of inhibition of degradation by DPP-IV.

IT 89750-14-1D, GLP-1, compds.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrugs; glucagon derivs. useful in treatment and prevention of hyperglycemia, diabetes, obesity and related diseases)

IT 1337959-88-2P 1337959-91-7P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protracted glucagon analogs for treating hyperglycemia, diabetes and obesity and related diseases)

IT 1336885-19-8P 1336885-20-1P
1336885-23-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protracted glucagon analogs for treating hyperglycemia, diabetes and obesity and related diseases)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 30 Sep 2011

ACCESSION NUMBER: 2011:1236977 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:484486

TITLE: Preparation of protracted glucagon analogs for treating hyperglycemia, diabetes and obesity and related diseases

INVENTOR(S): Lau, Jesper F.; Kruse, Thomas; Linderorth, Lars; Thoegersen, Henning; Kofoed, Jacob; Dahl, Kirsten

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 150pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011117415	A1	20110929	WO 2011-EP54712	20110328
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN,			

GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW,
 MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM

CN 102918056	A	20130206	CN 2011-80025883	20110328
EP 2552950	A1	20130206	EP 2011-710218	20110328
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
JP 2013523618	T	20130617	JP 2013-500534	20110328
ZA 2012006838	A	20130529	ZA 2012-6838	20120912
US 20130035285	A1	20130207	US 2012-13637454	20121016
AU 2015200594	A1	20150226	AU 2015-200594	20150206
US 20160002311	A1	20160107	US 2015-14827539	20150817
PRIORITY APPLN. INFO.:			EP 2010-157901	A 20100326
			US 2010-61319994	P 20100401
			AU 2011-231503	A3 20110328
			WO 2011-EP54712	W 20110328
			US 2012-13637454	A1 20121016

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:484486; MARPAT 155:484486

AB The invention is related to the preparation of novel glucagon peptide analogs, e.g., Nε24-[2-[2-[2-[[2-[2-[2-[[(4S)-5-hydroxy-4-[(18- tert-butoxy-18-oxooctadecanoyl)amino]-5-oxopentanoyl]amino]ethoxy]ethoxy]acetyl]amino]ethoxy]ethoxy]acetyl][Lys17,Lys18,Glu21,Lys24,Leu27]Glucagon [I; Glucagon = H-HSQGTFTSDYSKYLDSSRAQDFVQWLMNT-OH] with improved phys. stability and solubility, and with a protracted profile of action and to their use in the treatment and prevention of hyperglycemia, diabetes, obesity, eating disorders and related diseases and conditions. Thus, I, prepared by solid phase peptide synthesis using 2-[2-[2-[2-[2-[2-[[(4S)-5-tert-butoxy-4-[(18-tert-butoxy-18-oxooctadecanoyl)amino]-5-oxopentanoyl]amino]ethoxy]ethoxy]acetyl]amino]ethoxy]ethoxy]acetic acid (preparation given) as the albumin binding moiety, displayed glucagon activity (EC50 = 0.019 nM) and higher phys. stability (the lag time before amyloid fibril formation = 27 h compared to 1.5 h for Glucagon in a thioflavin T assay). I incubated with DPP-IV at 37° in a HEPES buffer provided a half-life of 260 min compared to 11 min for GLP-1 and to 32 min for Glucagon as a result of inhibition of degradation by DPP-IV.

IT 1336885-19-8P 1336885-20-1P
 1336885-23-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protracted glucagon analogs for treating hyperglycemia, diabetes and obesity and related diseases)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 08 Jul 2011

ACCESSION NUMBER: 2011:850627 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:173961

TITLE: Double-acylated **glp**-1 derivatives and their therapeutic use

INVENTOR(S): Garibay, Patrick William; Spetzler, Jane; Kodra, Janos Tibor; Linderoth, Lars; Lau, Jesper; Sauerberg, Per

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 177pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011080103	A1	20110707	WO 2010-EP69932	20101216
W: 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 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2784757	A1	20110707	CA 2010-2784757	20101216
US 20110166321	A1	20110707	US 2010-970196	20101216
US 8648041	B2	20140211		
AU 2010338387	A1	20120531	AU 2010-338387	20101216
AU 2010338387	B2	20141023		
CN 102686607	A	20120919	CN 2010-80057056	20101216
CN 102686607	B	20141029		
KR 2012103650	A	20120919	KR 2012-7015873	20101216
EP 2513140	A1	20121024	EP 2010-788095	20101216
EP 2513140	B1	20151104		
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
IL 219945	A	20130228	IL 2010-219945	20101216
JP 2013514324	T	20130425	JP 2012-543759	20101216
JP 5411366	B2	20140212		
CN 104311657	A	20150128	CN 2014-10482902	20101216
CN 104327182	A	20150204	CN 2014-10482563	20101216
TW I484974	B	20150521	TW 2010-144174	20101216
RU 2559540	C2	20150810	RU 2012-128547	20101216
ES 2561658	T3	20160229	ES 2010-788095	20101216
PT 2513140	E	20160311	PT 2010-788095	20101216
EP 3000482	A1	20160330	EP 2015-188731	20101216

R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,
 PT, RO, RS, SE, SI, SK, SM, TR

MX 2012006634	A	20120621	MX 2012-6634	20120608
IN 2012CN05197	A	20131025	IN 2012-CN5197	20120614
ZA 2012004436	A	20130828	ZA 2012-4436	20120615
JP 2013144684	A	20130725	JP 2013-30695	20130220
US 20140179899	A1	20140626	US 2013-14101618	20131210
JP 2016094473	A	20160526	JP 2016-21671	20160208
PRIORITY APPLN. INFO.:			EP 2009-179390	A 20091216
			US 2009-61288601	P 20091221
			CN 2010-80057056	A3 20101216
			EP 2010-788095	A3 20101216
			JP 2012-543759	A3 20101216
			US 2010-970196	A1 20101216
			WO 2010-EP69932	W 20101216
			JP 2013-30695	A3 20130220

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:173961

AB The invention relates to a derivative of a **GLP-1** analog, which analog comprises a first K residue at a position corresponding to position 37 of human **GLP-1** (7-37), a second K residue at a position corresponding to position 26 of human **GLP-1** (7-37), and a maximum of ten amino acid modifications as compared to human **GLP-1** (7-37), wherein the first K residue is designated K37, and the second K residue is designated K26, which derivative comprises two albumin binding moieties attached to K26 and K37, resp., wherein the albumin binding moiety comprises a protracting moiety; or a pharmaceutically acceptable salt, amide, or ester thereof. The invention also relates to the pharmaceutical use thereof, for example in the treatment and/or prevention of all forms of diabetes and related diseases, as well as to corresponding novel peptides and side chain intermediates. The derivs. are suitable for oral administration. The derivs. of the invention are biol. active. Also, or alternatively, they have a protracted pharmacokinetic profile, are stable against degradation by gastrointestinal enzymes and have a high oral bioavailability.

IT **106612-94-6DP**, 7-37-**Glucagon-like peptide-1** (human), analogs and derivs. **1313868-46-0P**
1313868-70-0P **1313868-80-2P**

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (amino acid sequence; double-acylated **glp-1** derivs. and their therapeutic use)

IT **106612-94-6**, 7-37-**Glucagon-like peptide I** (human)
 RL: PRP (Properties)
 (unclaimed protein sequence; double-acylated **glp-1** derivs. and their therapeutic use)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS
RECORD (6 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L42 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 08 Jul 2011

ACCESSION NUMBER: 2011:850616 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:173960

TITLE: **Gl**p-1 analogues and derivatives and their
therapeutic use

INVENTOR(S): Kalthoff, Christoph; Lau, Jesper; Spetzler, Jane;
Garibay, Patrick William; Kofoed, Jacob;
Linderoth, Lars

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 119pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011080102	A2	20110707	WO 2010-EP69931	20101216
WO 2011080102	A3	20110909		
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20110166321	A1	20110707	US 2010-970196	20101216
US 8648041	B2	20140211		
EP 2513141	A2	20121024	EP 2010-790788	20101216
R:	AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR			
CN 102791731	A	20121121	CN 2010-80057110	20101216
CN 102791731	B	20160420		
JP 2013514323	T	20130425	JP 2012-543758	20101216
CN 104311657	A	20150128	CN 2014-10482902	20101216
CN 104327182	A	20150204	CN 2014-10482563	20101216
TW I484974	B	20150521	TW 2010-144174	20101216
PT 2513140	E	20160311	PT 2010-788095	20101216
EP 3000482	A1	20160330	EP 2015-188731	20101216
R:	AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL,			

PT, RO, RS, SE, SI, SK, SM, TR						
ZA	2012004436	A	20130828	ZA	2012-4436	20120615
US	20130053311	A1	20130228	US	2012-13516312	20121116
US	8815802	B2	20140826			
JP	2013144684	A	20130725	JP	2013-30695	20130220
US	20140179899	A1	20140626	US	2013-14101618	20131210
JP	2016094473	A	20160526	JP	2016-21671	20160208
PRIORITY APPLN. INFO.:				EP	2009-179390	A 20091216
				US	2009-61288601	P 20091221
				CN	2010-80057056	A3 20101216
				EP	2010-788095	A3 20101216
				JP	2012-543759	A3 20101216
				US	2010-970196	A1 20101216
				WO	2010-EP69931	W 20101216
				JP	2013-30695	A3 20130220

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:173960

AB The invention relates to a **GLP-1** analog which comprises a histidine (H) residue at a position corresponding to position 31 of human **GLP-1**(7-37), a glutamine (Q) residue at a position corresponding to position 34 of human **GLP-1** (7-37), and a maximum of ten amino acid modifications as compared to human **GLP-1** (7-37); 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 derivs. thereof, as well as the pharmaceutical use of these analogs and derivs., 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 derivs. are suitable for oral administration. The invention furthermore relates to intermediate products in the form of side chains, which are relevant for the preparation of certain **GLP-1** derivs. of the invention. The peptides and derivs. of the invention are biol. active. Also, or alternatively, they have a protracted pharmacokinetic profile.

IT **106612-94-6DP**, 7-37-**Glucagon-like peptide-1** (human), analogs and derivs. **1313861-06-1P**
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino acid sequence; effect of **GLP-1** analogs and derivs. on blood glucose, body weight, glucose mediated insulin secretion, feed intake and albumin binding affinity)

IT **106612-94-6**, 7-37-**Glucagon-like peptide I** (human)
 RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent) (unclaimed protein sequence; effect of **GLP-1** analogs and derivs. on blood glucose, body weight, glucose mediated insulin secretion, feed intake and albumin binding affinity)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L42 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2016 ACS on STN

ED Entered STN: 24 Jun 2011

ACCESSION NUMBER: 2011:789671 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:84452

TITLE: **Glp**-1 receptor agonist compounds with a modified N-terminus and their therapeutic use

INVENTOR(S): Kodra, Janos Tibor; Madsen, Johnny

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 96pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

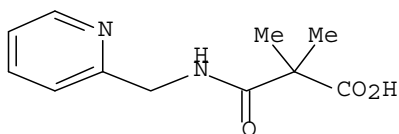
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011073328	A1	20110623	WO 2010-EP69929	20101216
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, 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 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20110166321	A1	20110707	US 2010-970196	20101216
US 8648041	B2	20140211		
CN 102655883	A	20120905	CN 2010-80057082	20101216
EP 2512518	A1	20121024	EP 2010-793244	20101216
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
JP 2013514322	T	20130425	JP 2012-543757	20101216
CN 104311657	A	20150128	CN 2014-10482902	20101216
CN 104327182	A	20150204	CN 2014-10482563	20101216
TW I484974	B	20150521	TW 2010-144174	20101216
PT 2513140	E	20160311	PT 2010-788095	20101216
EP 3000482	A1	20160330	EP 2015-188731	20101216
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR				
ZA 2012004436	A	20130828	ZA 2012-4436	20120615
US 20120329711	A1	20121227	US 2012-13516311	20120906
JP 2013144684	A	20130725	JP 2013-30695	20130220
US 20140179899	A1	20140626	US 2013-14101618	20131210
JP 2016094473	A	20160526	JP 2016-21671	20160208
PRIORITY APPLN. INFO.:			EP 2009-179390	A 20091216
			US 2009-61288601	P 20091221

CN 2010-80057056	A3 20101216
EP 2010-788095	A3 20101216
JP 2012-543759	A3 20101216
US 2010-970196	A1 20101216
WO 2010-EP69929	W 20101216
JP 2013-30695	A3 20130220

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S) : CASREACT 155:84452; MARPAT 155:84452

GI



AB The invention relates to **GLP-1** receptor agonist compds. with a modified N-terminus. The compds. are of the formula Chemical 1: Y-Z-P, wherein P represents a fragment of a **GLP-1** receptor agonist peptide lacking the two N-terminal amino acid residues; and Y-Z represents novel His-Ala mimetics. Examples of **GLP-1** receptor agonist compds. are derived from human **GLP-1** (7-37), exendin-4(1-39), or **GLP-1** A (1-37). The invention also relates to derivs. of these compds., in particular compds. with one or more albumin binding side chains capable of protracting the duration of action in vivo of these compds. The peptides and derivs. of the invention have a good potency, a protracted pharmacokinetic profile, are stable against degradation by gastro intestinal enzymes, and/or have a high oral bioavailability. These properties are of importance in the development of **GLP-1** receptor agonist compds. for s.c., i.v., and/or in particular oral administration. The invention also relates to intermediate products for use in the preparation of the **GLP-1** receptor agonist compds. of the invention.

IT **1311404-81-5P**

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(**glp-1** receptor agonist compds. with modified N-terminus and their therapeutic use)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L43 63329 SEA SPE=ON ABB=ON PLU=ON L29
L44 11 SEA SPE=ON ABB=ON PLU=ON L43 AND (L37 OR (?AMINOETHOXY?
OR ?AMINO ETHOXY?)(S) (GLU OR GLUTAMIC))
L45 11 DUP REM L44 (0 DUPLICATES REMOVED)

L45 ANSWER 1 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
ACCESSION NUMBER: 2016-194489 [201628] WPIX [Full-text](#)
TITLE: Preparing a **Glucagon-Like-Peptide-1** (GLP-1)
peptide comprises a final coupling step in which
fragments are coupled at a terminal Gly residue and
one of the fragments is prepared by coupling the
sub-fragments
DERWENT CLASS: A96; B04; D16
INVENTOR: BARLOS K; NAVEH S; PENIAS NAVON S; VASILEIOU Z
PATENT ASSIGNEE: (NOVE-N) NOVETIDE LTD
COUNTRY COUNT: 130

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2016046753	A1	20160331	(201628)*	EN	208	[5]

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2016046753	A1	WO 2015-IB57307	20150922

PRIORITY APPLN. INFO: GR 2014-100479 20140923

AN 2016-194489 [201628] WPIX [Full-text](#)

AB WO 2016046753 A1 UPAB: 20160428

NOVELTY - Preparing a **Glucagon-Like-Peptide-1 (GLP-1)** peptide comprising liquid or solid phase peptide synthesis or their combination, where the process comprises a final coupling step in which greater than or equal to 2 fragments are coupled at a terminal Gly residue, and where one of the fragments is prepared by coupling of greater than or equal to 2 sub-fragments.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for: (1) a fragmental peptide of Liraglutide, selected from, but not limited to, (i) His(Trt)-Ala-Glu(OtBu)-Gly-OSu- (SEQ ID NO. 119), (ii) His(Trt)-Ala-Glu(OtBu)-Gly-OBt- (SEQ ID NO. 120), (iii) His(Trt)-Ala-Glu(OtBu)-Gly-OPfp (SEQ ID NO. 121), (iv) Lys(Mtt)-Glu(OtBu)-Phe-Ile-Ala-Trp-Leu-Val-Arg(Pbf)-Gly-Arg(Pbf)-Gly-O- Wang resin- (SEQ ID NO. 123), (v) Lys(Mmt)-Glu(OtBu)-Phe-Ile-Ala-Trp-Leu-Val-Arg(Pbf)-Gly-Arg(Pbf)-Gly-O- Wang resin- (SEQ ID NO. 124), (vi) Lys-Glu(OtBu)-Phe-Ile-Ala-Trp-Leu-Val-Arg(Pbf)-Gly-Arg(Pbf)-Gly-O-Wang resin- (SEQ ID NO. 125), (vii) Lys(Glu-OtBu)-Glu(OtBu)-Phe-Ile-Ala-Trp-Leu-Val-Arg(Pbf)-Gly-Arg(Pbf)- Gly-O-Wang resin (SEQ ID NO. 126 or SEQ ID NO. 167), (viii) Lys(Pal-Glu-OtBu)-Glu(OtBu)-Phe-Ile-Ala-Trp-Leu-Val-Arg(Pbf)-Gly- Arg(Pbf)-Gly-O-Wang resin- (SEQ ID NO. 127), (ix) Gln(Trt)-Ala-Ala-Lys(Pal-Glu-OtBu)-Glu(OtBu)-Phe-ne-Ala-Trp-Leu-Val- Arg(Pbf)-Gly-Arg(Pbf)-Gly-O-Wang resin- (SEQ ID NO. 128 or SEQ ID NO. 55), (x) Ala-Lys(Mtt)-Glu(OtBu)-Phe-Ile-Ala-Trp-Leu-Val-Arg(Pbf)-Gly- Arg(Pbf)-Gly-O-Wang resin (SEQ ID NO. 129); (2) a fragmental peptide of Semaglutide, selected from, but not limited to, Boc-His(Trt)-Aib-Glu(OtBu)-Gly-OH-, Fmoc-His(Trt)-Aib-Glu(OtBu)-Gly-OH-, Cbz-His(Trt)-Aib-Glu(OtBu)-Gly-OH-, Boc-His(Trt)-Aib-Glu(OtBu)-Gly-OSu-, Fmoc-His(Trt)-Aib-Glu(OtBu)-Gly-OSu-, Cbz-His(Trt)-Aib-Glu(OtBu)-Gly-OSu-, Boc-His(Trt)-Aib-Glu(OtBu)-Gly-OBt-, Fmoc-His(Trt)-Aib-Glu(OtBu)-Gly-OBt-, Cbz-His(Trt)-Aib-Glu(OtBu)-Gly-OBt-, Boc-His(Trt)-Aib-Glu(OtBu)-Gly-OPfp-, Fmoc-His(Trt)-Aib-Glu(OtBu)-Gly-OPfp-, and Cbz-His(Trt)-Aib-Glu(OtBu)-Gly-OPfp- (SEQ ID NO. 178-189); and (3) Liraglutide or Semaglutide containing (i) less than 0.1-5 weight% of the D-His isomer of liraglutide or semaglutide, and/or (ii) less than 0.1-5 weight% of the (+Gly16) derivative of liraglutide or semaglutide, and/or (iii) less than 0.1-5 weight% of the (+Gly31) derivative of liraglutide or semaglutide, and/or (iv) less than 0.1-5 weight% of the (+Gly4) derivative of liraglutide or semaglutide.

USE - The method is used for preparing a **GLP-1** peptide. The peptide is used as an intermediate in a synthesis of liraglutide or semaglutide (all claimed).

ADVANTAGE - Provided are methods for preparing **GLP-1** proteins such as Liraglutide or Semaglutide, especially on an industrial scale, which do not require the use of toxic or otherwise undesirable reagents. The methods are capable of preparing **GLP-1** proteins such as Liraglutide or Semaglutide in good yields and which can be readily purified to obtain a product having high purity. Liraglutide or Semaglutide provides better and more efficient processes, and provides a product which can be more readily purified in order to achieve a product with improved yield and purity.

L45 ANSWER 2 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN

ACCESSION NUMBER: 2013-N08006 [201364] WPIX [Full-text](#)

TITLE: New **glucagon-like peptide 1** prodrug useful for treating and preventing all forms of diabetes and related diseases e.g. eating disorder, cardiovascular disease, gastrointestinal disease, diabetic

complication, and polycystic ovary syndrome
 DERWENT CLASS: B04; D16
 INVENTOR: NORRILD J C
 PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS
 COUNTRY COUNT: 129

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2013127779	A1	20130906	(201364)*	EN	115	[3]
EP 2820038	A1	20150107	(201503)	EN		
CN 104185639	A	20141203	(201507)	ZH		
US 20150045281	A1	20150212	(201514)	EN		
JP 2015513544	T	20150514	(201532)	JA	94	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2013127779	A1	WO 2013-EP53796	20130226
CN 104185639	A	CN 2013-80011989	20130226
EP 2820038	A1	EP 2013-705822	20130226
EP 2820038	A1 PCT Application	WO 2013-EP53796	20130226
CN 104185639	A PCT Application	WO 2013-EP53796	20130226
US 20150045281	A1 PCT Application	WO 2013-EP53796	20130226
US 20150045281	A1	US 2014-14379445	20140818
JP 2015513544	T PCT Application	WO 2013-EP53796	20130226
JP 2015513544	T	JP 2014-559183	20130226

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 2820038	A1	WO 2013127779 A
CN 104185639	A	WO 2013127779 A
JP 2015513544	T	WO 2013127779 A

PRIORITY APPLN. INFO: EP 2012-157638 20120301

AN 2013-N08006 [201364] WPIX [Full-text](#)

AB WO 2013127779 A1 UPAB: 20131007

NOVELTY - A **glucagon-like peptide 1 (GLP-1)** prodrug or its salt, amide, or its ester, is new.

DETAILED DESCRIPTION - A **glucagon-like peptide 1 (GLP-1)** prodrug of formula R1-(NHX1)-X2-(OHis)-(GLP-1 peptide) (I) or its salt, amide, or its ester, is new. **GLP-1 peptide=GLP-1 (8-37)** having amino acid sequence containing 30 amino acids (SEQ ID NO: 1), as given in the specification or its analogue having a maximum of nine amino acid changes as compared to **GLP-1 (8-37)** (SEQ ID NO: 1); R1=lower alkyl; NHX1=amino acid; X2=amino acid; OHis=radical of imidazole-lactic acid of formula (i). The bond between X2 and OHis is an ester bond. The bonds between NHX1 and X2, and between OHis and **GLP-1 peptide** are amide bonds, and where R1 is attached to the alpha-amino group of NHX1. An INDEPENDENT CLAIM is included for achieving release in vivo of an active and stabilized parent drug **GLP-1** compound of formula II (HOHis)-

(GLP-1 peptide), or its salt, amide, or its ester by administering the prodrug (I).

ACTIVITY - Antidiabetic; Eating-Disorders-Gen.; Cardiovascular-Gen.; Gastrointestinal-Gen.; Antiinfertility; Gynecological; Nootropic; Anorectic; Neuroprotective; Nephrotropic; Antilipemic; Metabolic; Antiarteriosclerotic; Cardiant; Cerebroprotective; Vasotropic; Hypotensive; Antiarrhythmic; Antianginal; Antiinflammatory; Antiulcer; Antibacterial; Immunosuppressive. Test details described, but no results given.

MECHANISM OF ACTION - None given.

USE - As a medicament for treating and preventing all forms of diabetes and related diseases, such as eating disorders, cardiovascular diseases, gastrointestinal diseases, diabetic complications, critical illness, and/or polycystic ovary syndrome, and/or for improving lipid parameters, for improving beta -cell function, and/or for delaying or preventing diabetic disease progression (claimed). Also for preventing and/or treating cognitive disorders, eating disorders (including obesity), diabetic complications (including peripheral neuropathy, nephropathy, or retinopathy), dyslipidemia, cardiovascular diseases (including syndrome X, Atherosclerosis, myocardial infarction, stroke, essential hypertension, arrhythmia, or angina pectoris), gastrointestinal diseases (including inflammatory bowel syndrome, Crohn's disease, or gastric ulcers), and a patient suffering from bacteremia, septicemia, and/or septic shock during hospitalization.

ADVANTAGE - The glucagon-like peptide 1 prodrug improves the biological half-life of the drug; is improved as compared to prodrugs without N-alkylation of the N-terminal amino acid of the dipeptide extension; has a good biological activity; has an extended half-life; and has an improved stability against degradation by Dipeptidyl peptidase-IV (DPP-IV).

L45 ANSWER 3 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2013-E27167 [201326] WPIX [Full-text](#)
 CROSS REFERENCE: 2013-T28990; 2015-38017G
 TITLE: New glucagon peptide having specified amino acid sequence, is glucagon-like peptide-1 receptor agonist, useful for treating diabetes, impaired glucose tolerance, type 1 diabetes, obesity or overweight and hyperglycemia
 DERWENT CLASS: B03; B04; D16
 INVENTOR: KRUSE T; LAU J; LAU J F; NIELSEN P; NIELSEN P K; SENSFUSS U; THOEGERSEN H; THOGERSEN H; LAU Y F
 PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS
 COUNTRY COUNT: 130

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 20130079278	A1	20130328	(201326)*	EN	178	[0]
WO 2013041678	A1	20130328	(201326)	EN		
US 8541368	B2	20130924	(201362)	EN		
TW 2013029102	A	20130716	(201366)	ZH		
AU 2012311484	A1	20140306	(201418)	EN		
CA 2849673	A1	20130328	(201433)	EN		
KR 2014070612	A	20140610	(201440)	KO		
EP 2758426	A1	20140730	(201450)	EN		
MX 2014003312	A1	20140430	(201451)	ES		

JP 2014527975	T	20141023 (201469)	JA 145
CN 104093735	A	20141008 (201503)	ZH
ZA 2014001603	A	20150225 (201524)	EN
IN 2014CN02448	A	20150619 (201543)	EN
RU 2014114434	A	20151027 (201603)	RU
IL 231199	A1	20140430 (201620)	EN

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 20130079278	A1	US 2012-13624387	20120921
US 20130079278	A1 Provisional	US 2011-539148P	20110926
US 8541368	B2 Provisional	US 2011-539148P	20110926
AU 2012311484	A1	AU 2012-311484	20120921
CA 2849673	A1	CA 2012-2849673	20120921
CN 104093735	A	CN 2012-80057736	20120921
EP 2758426	A1	EP 2012-759773	20120921
TW 2013029102	A	TW 2012-134663	20120921
US 8541368	B2	US 2012-13624387	20120921
WO 2013041678	A1	WO 2012-EP68649	20120921
AU 2012311484	A1 PCT Application	WO 2012-EP68649	20120921
CA 2849673	A1 PCT Application	WO 2012-EP68649	20120921
KR 2014070612	A PCT Application	WO 2012-EP68649	20120921
EP 2758426	A1 PCT Application	WO 2012-EP68649	20120921
MX 2014003312	A1 PCT Application	WO 2012-EP68649	20120921
JP 2014527975	T PCT Application	WO 2012-EP68649	20120921
CN 104093735	A PCT Application	WO 2012-EP68649	20120921
IN 2014CN02448	A PCT Application	WO 2012-EP68649	20120921
RU 2014114434	A PCT Application	WO 2012-EP68649	20120921
CA 2849673	A1 PCT Nat. Entry	CA 2012-2849673	20140321
JP 2014527975	T	JP 2014-531245	20120921
KR 2014070612	A	KR 2014-710449	20120921
RU 2014114434	A	RU 2014-114434	20120921
ZA 2014001603	A	ZA 2014-1603	20140303
MX 2014003312	A1	MX 2014-3312	20140319
IN 2014CN02448	A	IN 2014-CN2448	20140327
KR 2014070612	A PCT Nat. Entry	KR 2014-710449	20140418
IL 231199	A1	IL 2012-231199	20120921

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2012311484	A1 Based on	WO 2013041678 A
CA 2849673	A1 Based on	WO 2013041678 A
KR 2014070612	A Based on	WO 2013041678 A
EP 2758426	A1 Based on	WO 2013041678 A
MX 2014003312	A1 Based on	WO 2013041678 A
JP 2014527975	T Based on	WO 2013041678 A
CN 104093735	A Based on	WO 2013041678 A
IN 2014CN02448	A Based on	WO 2013041678 A
RU 2014114434	A Based on	WO 2013041678 A
IL 231199	A1 Based on	WO 2013041678 A

PRIORITY APPLN. INFO: EP 2011-182476	20110923
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US 2011-539148P 20110926

AN 2013-E27167 [201326] WPIX [Full-text](#)

CR 2013-T28990; 2015-38017G

AB US 20130079278 A1 UPAB: 20130422

NOVELTY - Glucagon peptide (I) having a sequence of SEQ ID Number 1 is new.

DETAILED DESCRIPTION - Glucagon peptide (I) having a sequence of SEQ ID Number 1 (N.B. where the structure of (I) is not defined), or its salt, ester, amide, acid or prodrug, is new. X24 = Lys;

X3 = His;

X15 = Glu; and

X16 = Ala, Ile, Phe, Arg, Thr, Val, Leu, Glu, Trp, Tyr, or up to 6 additional amino acid substitutions in the glucagon peptide, where the substituent comprises three or more negatively charged moieties, the negatively charged moiety is distal of a lipophilic moiety, and the substituent is attached at the side chain nitrogen of Lys in position 24.

An INDEPENDENT CLAIM is included for a composition comprising the glucagon peptide.

ACTIVITY - Antidiabetic; Anorectic.

MECHANISM OF ACTION - **Glucagon-like peptide-1 (GLP-1)** receptor agonist. The **GLP-1** agonistic activity of (I) was tested in human embryonic kidney 293 cell. The result showed that N- e-24-((4S)-4-carboxy-4-((4S)-4-carboxy-4-((2-(2-((4S)-4-carboxy-4-(17-carboxyheptadecanoylamino)butanoyl)amino)ethoxy)ethoxy)acetyl)amino)butanoyl)amino)butanoyl)-(Glu15,Lys24,Leu27,Ser28)-glucagon exhibited an EC50 value of 0.28 nM.

USE - (I) is useful for treating or preventing type 2 diabetes, impaired glucose tolerance, type 1 diabetes, obesity or overweight (all claimed) and hyperglycemia.

ADVANTAGE - (I) has improved pharmacokinetic properties, improved solubility, physical and chemical stability at a neutral pH, and improved half life.

L45 ANSWER 4 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN

ACCESSION NUMBER: 2012-N29028 [201272] WPIX [Full-text](#)

TITLE: New derivative of **glucagon-like peptide-1** analogues are **glucagon-like peptide-1** receptor binder, useful for e.g. treating eating disorders, cardiovascular diseases, gastrointestinal diseases, nephropathy and retinopathy

DERWENT CLASS: B04; D13; D16

INVENTOR: KOFOED J; KRUSE T; LINDEROTH L; SPETZLER J; WIECZOREK B; COFYOD YA; SPETSLESLER YA

PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS

COUNTRY COUNT: 127

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2012140117	A1	20121018	(201272)*	EN	142	[0]
AU 2012241894	A1	20131003	(201366)	EN		
CA 2832811	A1	20121018	(201378)	EN		
MX 2013011674	A1	20131130	(201408)	ES		
EP 2696687	A1	20140219	(201414)	EN		
KR 2014020309	A	20140218	(201416)	KO		
US 20140088005	A1	20140327	(201424)	EN		

CN 103619175	A	20140305	(201429)	ZH	
JP 2014511870	T	20140519	(201433)	JA	112
IL 228386	A	20131031	(201523)	EN	
RU 2013148921	A	20150520	(201558)	RU	
AU 2012241894	B2	20151203	(201603)	EN	
US 9266940	B2	20160223	(201615)	EN	
US 20160083447	A1	20160324	(201622)	EN	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2012140117	A1	WO 2012-EP56642	20120412
US 20140088005	A1 Provisional	US 2011-474913P	20110413
US 9266940	B2 Provisional	US 2011-474913P	20110413
AU 2012241894	A1	AU 2012-241894	20120412
AU 2012241894	B2	AU 2012-241894	20120412
CA 2832811	A1	CA 2012-2832811	20120412
CN 103619175	A	CN 2012-80028724	20120412
EP 2696687	A1	EP 2012-713164	20120412
IL 228386	A	IL 2012-228386	20120412
AU 2012241894	A1 PCT Application	WO 2012-EP56642	20120412
CA 2832811	A1 PCT Application	WO 2012-EP56642	20120412
MX 2013011674	A1 PCT Application	WO 2012-EP56642	20120412
EP 2696687	A1 PCT Application	WO 2012-EP56642	20120412
KR 2014020309	A PCT Application	WO 2012-EP56642	20120412
US 20140088005	A1 PCT Application	WO 2012-EP56642	20120412
CN 103619175	A PCT Application	WO 2012-EP56642	20120412
JP 2014511870	T PCT Application	WO 2012-EP56642	20120412
RU 2013148921	A PCT Application	WO 2012-EP56642	20120412
AU 2012241894	B2 PCT Application	WO 2012-EP56642	20120412
US 9266940	B2 PCT Application	WO 2012-EP56642	20120412
CA 2832811	A1 PCT Nat. Entry	CA 2012-2832811	20131009
KR 2014020309	A	KR 2013-728675	20120412
RU 2013148921	A	RU 2013-148921	20120412
MX 2013011674	A1	MX 2013-11674	20131007
KR 2014020309	A PCT Nat. Entry	KR 2013-728675	20131030
US 20140088005	A1	US 2013-14009902	20131204
US 9266940	B2	US 2013-14009902	20131204
JP 2014511870	T	JP 2014-504313	20120412
US 20160083447	A1 Provisional	US 2011-474913P	20110413
US 20160083447	A1 Cont of	WO 2012-EP56642	20120412
US 20160083447	A1 Cont of	US 2013-9902	20131204
US 20160083447	A1	US 2015-961064	20151207

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2012241894	A1	WO 2012140117 A
CA 2832811	A1	WO 2012140117 A
MX 2013011674	A1	WO 2012140117 A
EP 2696687	A1	WO 2012140117 A
KR 2014020309	A	WO 2012140117 A
CN 103619175	A	WO 2012140117 A
JP 2014511870	T	WO 2012140117 A

IL 228386 A	Based on	WO 2012140117 A
RU 2013148921 A	Based on	WO 2012140117 A
AU 2012241894 B2	Based on	WO 2012140117 A
US 9266940 B2	Based on	WO 2012140117 A

PRIORITY APPLN. INFO: US 2011-474913P 20110413
 EP 2011-162087 20110412

AN 2012-N29028 [201272] WPIX [Full-text](#)

AB WO 2012140117 A1 UPAB: 20121112

NOVELTY - Derivative of **glucagon-like peptide-1 (GLP-1)** analogues (I) are new.
 DETAILED DESCRIPTION - Derivative of **glucagon-like peptide-1 (GLP-1)** analogue (I) and their salt, amide and esters are new, where the analogue comprises a first K residue at a position corresponding to position 27 of **GLP-1 (7-37)**

(SEQ ID NO: 1 (comprising a 31 base pair sequence fully defined in the specification)), a second K residue at a position corresponding to position T of **GLP-1 (7-37)**, and a maximum of ten amino acid changes as compared to **GLP-1 (7-37)**, the T is an integer of 7-37 except 18 and 27, the first K residue is designated K27, the second K residue is designated KT, the derivative comprises two protracting moieties attached to K27 and KT, respectively, via a linker, the protracting moiety is a carboxylic group of formula (HOOC-C₆H₄-O-(CH₂)_y-CO-) or (HOOC-(CH₂)_x-CO-), and the linker having a structure of formula (-NH-CH₂-CH₂-(O-CH₂-CH₂)_k-O-(CH₂)_n-C(=O)-) (A). k = 1-5 (preferably 1);

n = 1-5 (preferably 1);

x = 6-16 (preferably 12); and y = 3-17 (preferably 9 or 11). ACTIVITY -

Antidiabetic; Eating-Disorders-Gen.; Cardiovascular-Gen.; Gastrointestinal-Gen.; Neuroprotective; Antiinfertility; Gynecological; Nephrotropic; Ophthalmological; Antilipemic; Anorectic; Antiartherosclerotic; Cardiant; Vasotropic; Cerebroprotective; Hypotensive; Antiarrhythmic; Hypertensive; Antianginal; Antiinflammatory; Antiulcer; Antibacterial; Immunosuppressive.

MECHANISM OF ACTION - **Glucagon-like peptide-1** receptor binder. The **glucagon-like peptide-1** receptor binder activity of N(epsilon 27)-(2-(2-(2-((2-(2-(2-((4S)-4-carboxy-4-

(13carboxytridecanoylamino)butanoyl)amino)ethoxy)ethoxy)acetyl)amino)ethoxy)ethoxy)acetyl), N(epsilon

27)-(2-(2-(2-((2-(2-(2-((4S)-4-carboxy-4-(13carboxytridecanoylamino)-butanoyl)amino)ethoxy)ethoxy)acetyl)amino)ethoxy)ethoxy)acetyl)(Aib8,Lys22,Val25,Arg26,Lys27,His31,Arg34)-**GLP-1 (7-37)**-peptide (M1) with low albumin was tested. The result showed that (M1) exhibited the EC50 value of 0.12 nM.

USE - (I) are useful for: treating and/or preventing diabetes and related diseases, such as eating disorders, cardiovascular diseases, gastrointestinal diseases, diabetic complications, critical illness, and/or polycystic ovary syndrome, improving lipid parameters, improving beta -cell function, delaying or preventing diabetic disease progression (claimed), decreasing food intake, reducing body weight, suppressing appetite, inducing satiety, bulimia nervosa, reduction of gastric motility, and/or delaying gastric emptying, neuropathy, including peripheral neuropathy, nephropathy, retinopathy, dyslipidemia, lowering high-density lipoprotein, lowering triglycerides, lowering cholesterol, lowering plasma levels of lipoprotein a in a human, inhibiting generation of apolipoprotein a in vitro and/or in vivo, atherosclerosis, myocardial infarction, coronary heart disease, stroke, cerebral ischemia, early cardiac or early cardiovascular disease, such as left ventricular hypertrophy, essential hypertension, acute hypertensive emergency, cardiomyopathy, heart insufficiency, exercise tolerance, chronic heart failure, arrhythmia, cardiac dysrhythmia, syncope, atherosclerosis, angina pectoris, cardiac bypass reocclusion, intermittent claudication (atherosclerosis obliterans), diastolic dysfunction, and/or systolic

dysfunction, gastrointestinal diseases, such as inflammatory bowel syndrome, small bowel syndrome, or Crohn's disease, dyspepsia, and/or gastric ulcers, treatment of a critically ill patient, a critical illness poly-nephropathy, systemic inflammatory response syndrome in a patient, bacteraemia, septicaemia, and/or septic shock during hospitalisation.

ADVANTAGE - (I) has a good potency, pharmacokinetic profile, high oral bioavailability, and improved biophysical properties.

L45 ANSWER 5 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2012-F80110 [201240] WPIX [Full-text](#)
 CROSS REFERENCE: 2012-F80112
 TITLE: New **glucagon-like peptide-1** analogue derivative
 is a **glucagon-like peptide-1** receptor binder,
 useful to treat e.g. diabetes, eating disorders,
 cardiovascular diseases, gastrointestinal diseases,
 hypertension, stroke and arrhythmia
 DERWENT CLASS: B04; D16
 INVENTOR: GARIBAY P W; KOFOED J; KRUSE T; LAU J; LINDEROTH L
 PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS
 COUNTRY COUNT: 127

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2012062804	A1	20120518	(201240)*	EN	137	[0]
EP 2637699	A1	20130918	(201362)	EN		
CN 103200966	A	20130710	(201371)	ZH		
US 20130338068	A1	20131219	(201401)	EN		
JP 2014501712	T	20140123	(201407)	JA	112	
US 9006178	B2	20150414	(201526)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2012062804	A1	WO 2011-EP69743	20111109
US 20130338068	A1 Provisional	US 2010-414221P	20101116
US 20130338068	A1 Provisional	US 2011-497123P	20110615
CN 103200966	A	CN 2011-80054105	20111109
EP 2637699	A1	EP 2011-779674	20111109
EP 2637699	A1 PCT Application	WO 2011-EP69743	20111109
CN 103200966	A PCT Application	WO 2011-EP69743	20111109
US 20130338068	A1 PCT Application	WO 2011-EP69743	20111109
JP 2014501712	T PCT Application	WO 2011-EP69743	20111109
JP 2014501712	T	JP 2013-538180	20111109
US 20130338068	A1	US 2013-13883946	20130717
US 9006178	B2 Provisional	US 2010-414221P	20101116
US 9006178	B2 Provisional	US 2011-497123P	20110615
US 9006178	B2 PCT Application	WO 2011-EP69743	20111109
US 9006178	B2	US 2013-13883946	20130717

FILING DETAILS:

PATENT NO	KIND	PATENT NO
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EP 2637699 A1          Based on          WO 2012062804 A
CN 103200966 A          Based on          WO 2012062804 A
JP 2014501712 T          Based on          WO 2012062804 A
US 9006178 B2           Based on          WO 2012062804 A

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PRIORITY APPLN. INFO: US 2011-497123P      20110615
                        EP 2011-169276      20110609
                        US 2010-414221P      20101116
                        EP 2010-190515      20101109

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AN 2012-F80110 [201240] WPIX [Full-text](#)

CR 2012-F80112

AB WO 2012062804 A1 UPAB: 20120625

NOVELTY - **Glucagon-like peptide-1 (GLP-1)** analogue derivative (I) comprising: a first lysine residue at a position corresponding to position 18 of **GLP-1** (7-37) (SEQ ID NO: 1) (comprising a fully defined sequence of 31 amino acids as given in the specification); a second lysine residue at another position; a maximum of 12 amino acid changes as compared to **GLP-1** (7-37); and two protracting moieties including substituted benzoic acid protracting moiety (II) or substituted carboxylic acid protracting moiety (III), attached to the first and second lysine residues, respectively, via a linker (IV), is new.

DETAILED DESCRIPTION - **Glucagon-like peptide-1 (GLP-1)** analogue derivative (I) comprising: a first lysine residue at a position corresponding to position 18 of **GLP-1** (7-37) (SEQ ID NO: 1) (comprising a fully defined sequence of 31 amino acids as given in the specification); a second lysine residue at another position; a maximum of 12 amino acid changes as compared to **GLP-1** (7-37); and two protracting moieties including substituted benzoic acid protracting moiety of formula (HOOC-C₆H₄-O-(CH₂)_y-CO-) (II) or substituted carboxylic acid protracting moiety of formula (HOOC-(CH₂)_x-CO-) (III), attached to the first and second lysine residues, respectively, via a linker of formula (-NH-(CH₂)_q-CH((CH₂)_w-NH₂)-CO-) (IV), or its salt, amide or ester, is new.

x = 6-18, preferably 10, 12, 14, 16 or 18; y = 3-17, preferably 7, 8, 9 or 11; and q, w = 0-5.

ACTIVITY - Antiulcer; Antiinflammatory; Antiarrhythmic; Cardiovascular-Gen; Hypotensive; Cerebroprotective; Vasotropic; Antiarteriosclerotic; Cardiant; Anorectic; Antiinfertility; Gynecological; Gastrointestinal-Gen; Eating-Disorders-Gen; Antidiabetic.

MECHANISM OF ACTION - **Glucagon-like peptide-1** receptor binder. The ability of (I) to bind **glucagon-like peptide-1** receptor was tested in baby hamster kidney-tk-tsl3 cell line using scintillation proximity binding assay. The results showed that (I) exhibited an IC₅₀ value of below 0.5 nM.

USE - (I) is useful: in the treatment and/or prevention of all forms of diabetes and related diseases e.g. eating disorders, cardiovascular diseases, gastrointestinal diseases, diabetic complications, critical illness and polycystic ovary syndrome; for improving lipid parameters, improving beta cell function; for delaying or preventing diabetic disease progression (claimed); and for treating e.g. obesity, atherosclerosis, myocardial infarction, coronary heart disease, stroke, cerebral ischemia, coronary artery disease, hypertension, acute hypertensive emergency, cardiomyopathy, chronic heart failure, arrhythmia, cardiac dysrhythmia, inflammatory bowel syndrome, small bowel syndrome, Crohn's disease, dyspepsia and gastric ulcers.

ADVANTAGE - (I): has prolonged pharmacokinetic profile and high oral bioavailability; and has good potency and biophysical properties, while having extended half-life.

L45 ANSWER 6 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2011-M30266 [201167] WPIX [Full-text](#)
 CROSS REFERENCE: 2011-M30267; 2011-M60379
 TITLE: New modified glucagon peptides useful for treating or
 preventing e.g. bulimia, atherosclerosis,
 hypertension, type 2 diabetes, impaired glucose
 tolerance, dyslipidemia, coronary heart disease,
 hepatic steatosis
 DERWENT CLASS: B04
 INVENTOR: KRUSE T; LAU J; LAU J F; LINDEROTH L; THOEGERSEN H;
 THOGERSEN H; LAU Y F
 PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS; (KRUS-I) KRUSE T; (LAUJ-I)
 LAU J F; (LIND-I) LINDEROTH L; (THOE-I) THOEGERSEN H
 COUNTRY COUNT: 125

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2011117416	A1	20110929	(201167) *	EN	218 [19]	
AU 2011231503	A1	20120927	(201271)	EN		
CA 2792663	A1	20110929	(201277)	EN		
MX 2012010881	A1	20121130	(201310)	ES		
EP 2552951	A1	20130206	(201311)	EN		
KR 2013018410	A	20130221	(201316)	KO		
CN 102918055	A	20130206	(201336)	ZH		
US 20130143798	A1	20130606	(201337)	EN		
JP 2013523619	T	20130617	(201339)	JA	210	
IN 2012CN08483	A	20140214	(201419)	EN		
RU 2012144289	A	20140510	(201440)	RU		
AU 2011231503	B2	20141106	(201479)	EN		
IL 221696	A	20121031	(201519)	EN		
RU 2559320	C2	20150810	(201554)	RU		
US 20150274801	A1	20151001	(201565)	EN		
AU 2011231503	C1	20160303	(201629)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2011117416	A1	WO 2011-EP54714	20110328
US 20130143798	A1 Provisional	US 2010-319994P	20100401
US 20150274801	A1 Provisional	US 2010-319994P	20100401
AU 2011231503	A1	AU 2011-231503	20110328
AU 2011231503	B2	AU 2011-231503	20110328
CA 2792663	A1	CA 2011-2792663	20110328
CN 102918055	A	CN 2011-80025875	20110328
EP 2552951	A1	EP 2011-710504	20110328
IL 221696	A	IL 2011-221696	20110328
AU 2011231503	A1 PCT Application	WO 2011-EP54714	20110328
CA 2792663	A1 PCT Application	WO 2011-EP54714	20110328
MX 2012010881	A1 PCT Application	WO 2011-EP54714	20110328
EP 2552951	A1 PCT Application	WO 2011-EP54714	20110328
KR 2013018410	A PCT Application	WO 2011-EP54714	20110328
CN 102918055	A PCT Application	WO 2011-EP54714	20110328
US 20130143798	A1 PCT Application	WO 2011-EP54714	20110328

JP 2013523619 T PCT Application	WO 2011-EP54714 20110328
IN 2012CN08483 A PCT Application	WO 2011-EP54714 20110328
RU 2012144289 A PCT Application	WO 2011-EP54714 20110328
AU 2011231503 B2 PCT Application	WO 2011-EP54714 20110328
RU 2559320 C2 PCT Application	WO 2011-EP54714 20110328
US 20150274801 A1 Cont of	WO 2011-EP54714 20110328
KR 2013018410 A	KR 2012-727952 20110328
RU 2012144289 A	RU 2012-144289 20110328
RU 2559320 C2	RU 2012-144289 20110328
MX 2012010881 A1	MX 2012-10881 20120920
IN 2012CN08483 A	IN 2012-CN8483 20121004
US 20130143798 A1	US 2012-13637522 20121016
US 20150274801 A1 Cont of	US 2012-637522 20121016
KR 2013018410 A PCT Nat. Entry	KR 2012-727952 20121025
JP 2013523619 T	JP 2013-500535 20110328
US 20150274801 A1	US 2015-739614 20150615
AU 2011231503 C1	AU 2011-231503 20110328
AU 2011231503 C1 PCT Application	WO 2011-EP54714 20110328

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2011231503 A1	Based on	WO 2011117416 A
CA 2792663 A1	Based on	WO 2011117416 A
MX 2012010881 A1	Based on	WO 2011117416 A
EP 2552951 A1	Based on	WO 2011117416 A
KR 2013018410 A	Based on	WO 2011117416 A
CN 102918055 A	Based on	WO 2011117416 A
JP 2013523619 T	Based on	WO 2011117416 A
IN 2012CN08483 A	Based on	WO 2011117416 A
RU 2012144289 A	Based on	WO 2011117416 A
AU 2011231503 B2	Based on	WO 2011117416 A
IL 221696 A	Based on	WO 2011117416 A
RU 2559320 C2	Based on	WO 2011117416 A
AU 2011231503 C1	Based on	WO 2011117416 A

PRIORITY APPLN. INFO: US 2010-319994P 20100401
 EP 2010-157901 20100326
 US 2010-319944P 20100401

AN 2011-M30266 [201167] WPIX [Full-text](#)

CR 2011-M30267; 2011-M60379

AB WO 2011117416 A1 UPAB: 20111024

NOVELTY - A modified glucagon peptide (D1) is new.

DETAILED DESCRIPTION - A modified glucagon peptide (D1) (1-29) (I) corresponding to (SEQ ID NO. 1) or its salt, amide, acid or prodrug, is new. (I) comprises 1) up to seven amino acid substitutions in the glucagon peptide; and 2) at least three negatively charged moieties, where one of the negatively charged moiety is distal of a lipophilic moiety, and where the moiety is attached at the epsilon position of Lys, at the delta position of Orn or at the sulfur of Cys, in at least one of the 10, 12, 16, 18, 20, 21, 24, 25, 27, 28, 29 and 30 amino acid positions. An INDEPENDENT CLAIM is included for a pharmaceutical composition (C1) comprising the glucagon peptide (D1). ACTIVITY - Antidiabetic; Anorectic; Eating-Disorders-Gen.; Antiarteriosclerotic; Hypotensive; Antilipemic; Cardiant; Hepatotropic; Gastrointestinal-Gen.; Cytostatic; Anorectic.

MECHANISM OF ACTION - Glucagon receptor agonist. The efficacy of N(epsilon) 24-(((4S)-5-hydroxy-4-(((4S)-5-hydroxy-4-((2-(2-(2-((2-(2-(2-(((4S)-5-hydroxy-4-((18-hydroxy-18-oxooctadecanoyl)amino)-5-oxopentanoyl)amino)ethoxy)ethoxy)acetyl)amino)ethoxy)ethoxy)acetyl)amino)-5-oxopentanoyl)amino)-5-oxopentanoyl)) (Lys24,Leu27) Glucagon (Ia) was evaluated for glucagon receptor agonistic activity on Human embryonic kidney 293 (HEK-293) cells using glucagon assay, and EC50 value was measured. The compound (Ia) showed EC50 value of 0.051 nM.

USE - In therapy; for delaying or preventing disease progression in type 2 diabetes, treating obesity or preventing overweight, for decreasing food intake, increase energy expenditure, reducing body weight, delaying the progression from impaired glucose tolerance (IGT) to type 2 diabetes; delaying the progression from type 2 diabetes to insulin-requiring diabetes; regulating appetite; inducing satiety; preventing weight regain after successful weight loss; treating a disease or state related to overweight or obesity; treating bulimia, binge-eating, atherosclerosis, hypertension, type 2 diabetes, IGT, dyslipidemia, coronary heart disease, hepatic steatosis, beta-blocker poisoning, for inhibition of the motility of the gastrointestinal tract, useful in connection with investigations of the gastrointestinal tract using techniques such as x-ray, CT- and NMR-scanning; and for the treatment or prevention of hyperglycemia, type 1 diabetes, insulin induced hypoglycemia, reactive hypoglycemia, diabetic hypoglycemia, non-diabetic hypoglycemia, fasting hypoglycemia, drug-induced hypoglycemia, gastric by-pass induced hypoglycemia, hypoglycemia in pregnancy, alcohol induced hypoglycemia, insulinoma and Von Girkes disease (all claimed).

ADVANTAGE - The glucagon peptide has a protracted profile of action; provides improved physical solubility and stability, and increased bioavailability; shows improved pharmacokinetic properties; is soluble at neutral pH; allows co-formulation with insulin and allows for more stable blood glucose levels and a reduced number of hypoglycemic episodes; has higher glucagon receptor selectivity in relation to previously disclosed peptides; has prolonged in-vivo half-life.

L45 ANSWER 7 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2011-M30267 [201167] WPIX [Full-text](#)
 CROSS REFERENCE: 2011-M30266
 TITLE: New modified glucagon peptides useful for treating or preventing e.g. bulimia, atherosclerosis, hypertension, type 2 diabetes, impaired glucose tolerance, dyslipidemia, coronary heart disease, hepatic steatosis
 DERWENT CLASS: B04
 INVENTOR: DAHL K; KOFOED J; KRUSE T; LAU J F; LINDEROTH L; THOGERSEN H; THOEGERSEN H
 PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS; (DAHL-I) DAHL K; (KOFO-I) KOFOED J; (KRUS-I) KRUSE T; (LAUJ-I) LAU J F; (LIND-I) LINDEROTH L; (THOE-I) THOEGERSEN H
 COUNTRY COUNT: 125

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2011117415	A1	20110929	(201167)*	EN	150	[15]
EP 2552950	A1	20130206	(201311)	EN		

US 20130035285	A1	20130207	(201311)	EN	
CN 102918056	A	20130206	(201334)	ZH	
JP 2013523618	T	20130617	(201339)	JA	141
ZA 2012006838	A	20130529	(201340)	EN	
AU 2015200594	A1	20150226	(201536)	# EN	
ID 201400046	A	20140109	(201572)	ID	
US 20160002311	A1	20160107	(201604)	EN	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2011117415	A1	WO 2011-EP54712	20110328
US 20130035285	A1 Provisional	US 2010-319994P	20100401
AU 2015200594	A1 Div Ex	AU 2011-231503	20110328
CN 102918056	A	CN 2011-80025883	20110328
EP 2552950	A1	EP 2011-710218	20110328
EP 2552950	A1 PCT Application	WO 2011-EP54712	20110328
US 20130035285	A1 PCT Application	WO 2011-EP54712	20110328
CN 102918056	A PCT Application	WO 2011-EP54712	20110328
JP 2013523618	T PCT Application	WO 2011-EP54712	20110328
ZA 2012006838	A	ZA 2012-6838	20120912
US 20130035285	A1	US 2012-13637454	20121016
ID 201400046	A	ID 2012-W4320	20121024
JP 2013523618	T	JP 2013-500534	20110328
AU 2015200594	A1	AU 2015-200594	20150206
US 20160002311	A1 Provisional	US 2010-319994P	20100401
US 20160002311	A1 Cont of	WO 2011-EP54712	20110328
US 20160002311	A1 Cont of	US 2012-637454	20121016
US 20160002311	A1	US 2015-827539	20150817

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 2552950	A1	Based on WO 2011117415 A
CN 102918056	A	Based on WO 2011117415 A
JP 2013523618	T	Based on WO 2011117415 A

PRIORITY APPLN. INFO: US 2010-319994P 20100401
 EP 2010-157901 20100326
 AU 2015-200594 20150206

AN 2011-M30267 [201167] WPIX [Full-text](#)

CR 2011-M30266

AB WO 2011117415 A1 UPAB: 20111024

NOVELTY - A modified glucagon peptide (D1) is new.

DETAILED DESCRIPTION - A modified glucagon peptide (D1) (1-29) (I)

corresponding to (SEQ ID NO. 1) or its salt, amide, acid or prodrug, is new.

(I) comprises 1) Lys substitution at 17 and 18 position and Glu substitution at 21 position; 2) upto 5 amino acid substitutions at 2, 10, 12, 16, 20, 24, 25, 27, 28, 29 positions and further includes an amino acid at 30 position; and 3) at least two negatively charged moieties, where one of the negatively charged moiety is distal of a lipophilic moiety and where the moiety is attached at the epsilon position of Lys, at the delta position of an Orn, or at the sulfur of Cys, in at least one of the 10, 12, 20, 24, 28, 29 and 30

amino acid positions. An INDEPENDENT CLAIM is included for a pharmaceutical composition (C1) comprising the glucagon peptide (D1).

ACTIVITY - Antidiabetic; Anorectic; Eating-Disorders-Gen.; Antiarteriosclerotic; Hypotensive; Antilipemic; Cardiant; Hepatotropic; Gastrointestinal-Gen.; Cytostatic; Anorectic.

MECHANISM OF ACTION - Glucagon receptor agonist. The efficacy of N(epsilon) 24-((2-(2-(2-(((4S)-5-hydroxy-4-((18-hydroxy-18-oxooctadecanoyl)amino)5-oxopentanoyl)amino)ethoxy)ethoxy)acetyl)amino)ethoxy)ethoxy)acetyl))) (Lys17, Lys18, Glu21, Lys2, Leu27)Glucagon (Ia) was evaluated for glucagon receptor agonistic activity on Human embryonic kidney 293 (KEK-293) cells using glucagon assay, and EC50 value was measured. The compound (Ia) showed EC50 value of 0.019 nM.

USE - In therapy; for delaying or preventing disease progression in type 2 diabetes, treating obesity or preventing overweight, for decreasing food intake, increase energy expenditure, reducing body weight, delaying the progression from impaired glucose tolerance (IGT) to type 2 diabetes; delaying the progression from type 2 diabetes to insulin-requiring diabetes; regulating appetite; inducing satiety; preventing weight regain after successful weight loss; treating a disease or state related to overweight or obesity; treating bulimia, binge-eating, atherosclerosis, hypertension, type 2 diabetes, IGT, dyslipidemia, coronary heart disease, hepatic steatosis, beta-blocker poisoning, use for inhibition of the motility of the gastrointestinal tract, useful in connection with investigations of the gastrointestinal tract using techniques such as x-ray, CT- and NMR-scanning; and for the treatment or prevention of hyperglycemia, type 1 diabetes, insulin induced hypoglycemia, reactive hypoglycemia, diabetic hypoglycemia, non-diabetic hypoglycemia, fasting hypoglycemia, drug-induced hypoglycemia, gastric by-pass induced hypoglycemia, hypoglycemia in pregnancy, alcohol induced hypoglycemia, insulinoma and Von Girkes disease (all claimed).

ADVANTAGE - The glucagon peptide has a protracted profile of action; provides improved physical solubility and stability, and increased bioavailability; shows improved pharmacokinetic properties; is soluble at neutral pH; allows co-formulation with insulin and allows for more stable blood glucose levels and a reduced number of hypoglycemic episodes; has higher glucagon receptor selectivity in relation to previously disclosed peptides; has prolonged in-vivo half-life.

L45 ANSWER 8 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2011-H70429 [201149] WPIX [Full-text](#)
 CROSS REFERENCE: 2011-H13063; 2011-H70428
 TITLE: New **glucagon-like peptide**-1 analog used for preparing medicine for preventing and/or treating diabetes and related diseases e.g. eating disorders, comprises histidine and glutamine residue
 DERWENT CLASS: B04; D16
 INVENTOR: GARIBAY P; GARIBAY P W; KALTHOFF C; KOFOED J; LAU J; LINDEROTH L; SPETZLER J; LIU J
 PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS
 COUNTRY COUNT: 125

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2011080102	A2	20110707	(201149)*	EN	119	[0]

WO 2011080102	A3	20110909	(201161)	EN	
EP 2513141	A2	20121024	(201270)	EN	
CN 102791731	A	20121121	(201316)	ZH	
US 20130053311	A1	20130228	(201316)	EN	
JP 2013514323	T	20130425	(201328)	JA	112
US 8815802	B2	20140826	(201456)	EN	
CN 102791731	B	20160420	(201631)	EN	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2011080102	A2	WO 2010-EP69931	20101216
US 20130053311	A1 Provisional	US 2009-288601P	20091221
US 8815802	B2 Provisional	US 2009-288601P	20091221
CN 102791731	A	CN 2010-80057110	20101216
EP 2513141	A2	EP 2010-790788	20101216
WO 2011080102	A3	WO 2010-EP69931	20101216
EP 2513141	A2 PCT Application	WO 2010-EP69931	20101216
CN 102791731	A PCT Application	WO 2010-EP69931	20101216
US 20130053311	A1 PCT Application	WO 2010-EP69931	20101216
JP 2013514323	T PCT Application	WO 2010-EP69931	20101216
US 8815802	B2 PCT Application	WO 2010-EP69931	20101216
JP 2013514323	T	JP 2012-543758	20101216
US 20130053311	A1	US 2012-13516312	20121116
US 8815802	B2	US 2012-13516312	20121116
CN 102791731	B	CN 2010-80057110	20101216
CN 102791731	B PCT Application	WO 2010-EP69931	20101216
CN 102791731	A PCT Nat. Entry	CN 2010-80057110	20120615
CN 102791731	B PCT Nat. Entry	CN 2010-80057110	20120615

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 2513141	A2	Based on WO 2011080102 A
CN 102791731	A	Based on WO 2011080102 A
JP 2013514323	T	Based on WO 2011080102 A
US 8815802	B2	Based on WO 2011080102 A
CN 102791731	B	Based on WO 2011080102 A

PRIORITY APPLN. INFO: US 2009-288601P 20091221
 EP 2009-179390 20091216

AN 2011-H70429 [201149] WPIX [Full-text](#)

CR 2011-H13063; 2011-H70428

AB WO 2011080102 A2 UPAB: 20110802

NOVELTY - A **glucagon-like peptide-1 (GLP-1)** analog or salt or amide or its ester comprising histidine residue (H31) at position 31 and glutamine residue (Q34) at position 34 of **GLP-1** containing amino acid sequence from position 7-37 of SEQ ID NO: 1, is new. The **GLP-1** analog comprises maximum of ten amino acid modifications as compared to **GLP-1** containing amino acid sequence from position 7-37 of SEQ ID NO: 1.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for intermediate product, which is chosen from compound of formula: A-N-CH(-C(=O)-PG)-CH₂-N-A (I) and (II). A=O-C(=O)-(CH₂)₁₁-C(=O)-N-CH₂-CH₂-O-CH₂-CH₂-O-C(=O)-N-CH₂-CH₂-O-CH₂-CH₂-O-CH₂-C(=O)-; and

PG=protection group.

The distal asterisk-COOH groups of the protracting moieties are optionally protected.

ACTIVITY - Antidiabetic; Anabolic; Cardiovascular-Gen.; Gastrointestinal-Gen.; Gynecological; Antilipemic. Test details are described but no results given.

MECHANISM OF ACTION - None given.

USE - The **GLP**-1 analog or its derivative is useful for preparing medicinal composition for preventing and/or treating diabetes and related diseases such as eating disorders, cardiovascular diseases, gastrointestinal diseases, diabetic complications, critical illness and/or polycystic ovary syndrome, and/or for improving lipid parameters, improving beta -cell function and/or delaying or preventing diabetic disease progression (all claimed).

L45 ANSWER 9 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2010-Q33715 [201102] WPIX [Full-text](#)
 CROSS REFERENCE: 2011-Q55899
 TITLE: Use of fibroblast growth factor 21 compound and **glucagon-like peptide** 1 compound in combination for the treatment of type 2 diabetes, for improving the viability of beta cells, reducing apoptosis of beta cells, and lowering blood glucose
 DERWENT CLASS: B04; B05; D16
 INVENTOR: ANDERSEN B; BAK-JENSEN K S; GARIBAY P W; HANSEN A M K; NIELSEN P K; ROLIN B C; TAGMOSE T M; THOEGERSEN H; WANG J; WOELDIKE H; ZHANG X; ANDERSON B
 PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS
 COUNTRY COUNT: 126

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2010142665	A1	20101216	(201102)*	EN	43 [0]	
EP 2440235	A1	20120418	(201227)	EN		
US 20120172298	A1	20120705	(201244)	EN		
JP 2012529463	T	20121122	(201277)	JA	43	
TW 2012015403	A	20120416	(201307)	ZH		
CN 102802657	A	20121128	(201317)	ZH		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2010142665	A1	WO 2010-EP57986	20100608
US 20120172298	A1 Provisional	US 2009-186471P	20090612
EP 2440235	A1	EP 2010-724816	20100608
EP 2440235	A1 PCT Application	WO 2010-EP57986	20100608
US 20120172298	A1 PCT Application	WO 2010-EP57986	20100608
JP 2012529463	T PCT Application	WO 2010-EP57986	20100608
TW 2012015403	A	TW 2011-119778	20110607
JP 2012529463	T	JP 2012-514442	20100608
US 20120172298	A1	US 2012-13375360	20120320
CN 102802657	A	CN 2010-80026383	20100608
CN 102802657	A PCT Application	WO 2010-EP57986	20100608

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 2440235 A1	Based on	WO 2010142665 A
JP 2012529463 T	Based on	WO 2010142665 A
CN 102802657 A	Based on	WO 2010142665 A

PRIORITY APPLN. INFO: US 2009-186471P 20090612
 EP 2009-162521 20090611
 WO 2010-EP57986 20100608
 US 2010-373290P 20100813

AN 2010-Q33715 [201102] WPIX [Full-text](#)

CR 2011-Q55899

AB WO 2010142665 A1 UPAB: 20141028

NOVELTY - Use of a fibroblast growth factor 21 (FGF21) compound (I) and a **glucagon-like peptide 1 (GLP-1)** compound (II) in combination for the treatment of type 2 diabetes, is claimed. ACTIVITY - Antidiabetic; Antiapoptotic.

MECHANISM OF ACTION - None given.

USE - (I) and (II) are useful for the treatment of type 2 diabetes (claimed).

(I) and (II) are useful for improving the viability of beta cells, reducing apoptosis of beta cells, and lowering blood glucose. The ability of a combination comprising (I) and (II) to lower blood glucose was tested in diabetes (db/db) mice. The result showed that the blood glucose level was 5.7 plus minus 0.4 on day 21 and 21.1 plus minus 1.6 (on day 0).

ADVANTAGE - The combination of (I) and (II) exhibit synergistic effect to treat type 2 diabetes.

L45 ANSWER 10 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN

ACCESSION NUMBER: 2009-L23768 [200948] WPIX [Full-text](#)

TITLE: Making **glucagon-like peptide-1** analog for treating e.g. diabetes involves culturing host cell having nucleotide sequence encoding precursor peptide and coupling N-terminal amino acid extension with non-proteogenic amino acids with precursor

DERWENT CLASS: A25; A96; B04; D16

INVENTOR: ANDERSEN A S; ANDERSON A S; BLOCH P; CHRISTENSEN C; GARIBAY P W; JESSEN C U; KRUSE T; LAU J; LAU J F; LIU J; NOERBY I S N; NORBY I S N; NORRILD J C; JASON C U; KRISTENSEN C; NOBBY I S N

PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS

COUNTRY COUNT: 123

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2009083549	A1	20090709	(200948)*	EN	130 [4]	
EP 2238153	A1	20101013	(201067)	EN		
US 20100317057	A1	20101216	(201101)	EN		
CN 101910193	A	20101208	(201104)	ZH		
JP 2011509077	T	20110324	(201122)	JA	78	
IN 2010DN03991	A	20111111	(201175)	EN		
JP 5726532	B2	20150603	(201536)	JA	74	
CN 105154498	A	20151216	(201603)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2009083549 A1		WO 2008-EP68211	20081222
CN 101910193 A		CN 2008-80124022	20081222
EP 2238153 A1		EP 2008-868214	20081222
EP 2238153 A1 PCT Application		WO 2008-EP68211	20081222
US 20100317057 A1 PCT Application		WO 2008-EP68211	20081222
CN 101910193 A PCT Application		WO 2008-EP68211	20081222
JP 2011509077 T PCT Application		WO 2008-EP68211	20081222
IN 2010DN03991 A PCT Application		WO 2008-EP68211	20081222
JP 5726532 B2 PCT Application		WO 2008-EP68211	20081222
JP 2011509077 T		JP 2010-540119	20081222
JP 5726532 B2		JP 2010-540119	20081222
IN 2010DN03991 A		IN 2010-DN3991	20100604
US 20100317057 A1		US 2010-810934	20100831
CN 105154498 A		CN 2015-10459093	20081222

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 2238153 A1	Based on	WO 2009083549 A
CN 101910193 A	Based on	WO 2009083549 A
JP 2011509077 T	Based on	WO 2009083549 A
JP 5726532 B2	Previous Publ	JP 2011509077 T
JP 5726532 B2	Based on	WO 2009083549 A

PRIORITY APPLN. INFO: EP 2007-124141 20071228

AN 2009-L23768 [200948] WPIX [Full-text](#)

AB WO 2009083549 A1 UPAB: 20090728

NOVELTY - Method for making **glucagon-like peptide-1 (GLP-1)** analog or derivative comprising non-proteogenic amino acid(s) in N-terminal part, involves:

(A) culturing a host cell comprising a nucleotide sequence encoding a precursor molecule of the **GLP-1** analog under conditions for expression of precursor molecule; (B) separating the expressed precursor molecule from culture broth;

(C) coupling an N-terminal amino acid extension comprising non-proteogenic amino acid(s) to the expressed precursor molecule; and (D) isolating the resulting **GLP-1** analog or derivative. ACTIVITY - Antidiabetic. Test details are described, but no results given.

MECHANISM OF ACTION - **Glucagon-like peptide-1 (GLP-1)** receptor agonist; Insulin secretion stimulator.

USE - For making **glucagon-like peptide-1 (GLP-1)** analogs and derivatives comprising non-proteogenic amino acid(s) in N-terminal part (claimed), useful for stimulation of insulin biosynthesis, promoting beta cell rescue and treating diabetes, and decreasing glucagon secretion, gastric emptying and food intake.

ADVANTAGE - The method provides an efficient and economic method semi-recombinant method for the preparation of **glucagon-like peptide-1 (GLP-1)** analogs and derivatives which are dipeptidyl peptidase IV (DPP-IV) protected by inclusion of at least one non-proteogenic amino acid in the N-terminal part (preferably at positions 7, 8, 9 and/or 10). The method combines the advantage

of cost-efficient production of truncated GLP-1 precursor molecules, using recombinant techniques together with chemical synthesis of N-terminal extensions comprising at least one non-proteogenic amino acids. Thus, a significant reduction in the cost of producing GLP-1 analogs or derivatives is achieved. Less expensive GLP-1 analogs and derivatives that can be obtained by the method are highly desirable for maximizing the number of patients for whom treatment is available, as well as for exploiting advantages of alternative delivery routes which have lower bioavailability than subcutaneous injection e.g. transdermal and pulmonal delivery. It is found that recombinantly produced GLP-1 precursor molecules which contain only proteogenic amino acids can be efficiently extended in the N-terminus using a non-proteogenic amino acid or a peptide containing at least one non-proteogenic amino acids by reacting the precursor of the GLP-1 analog with a carboxylic acid derivative of the extension fragment, which is optionally activated. Also the derivatization of the epsilon-N of a lysine residue in the GLP-1 analog precursor molecule via acylation, selectively protects the epsilon-amino group of at least one lysine residue with the amine protecting agent. Thus, the method combines the cost efficient production of GLP-1 analogs and derivatives using recombinant techniques with the flexibility of chemical synthesis.

L45 ANSWER 11 OF 11 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2006-164324 [200617] WPIX [Full-text](#)
 DOC. NO. CPI: C2006-054828 [200617]
 TITLE: Use of molecule covalently linked to a heterocyclic acid bioisostere for increasing the plasma half-life of the molecule and for the treatment of e.g. hyperglycemia, type 2 diabetes, obesity, hypertension and dyslipidemia
 DERWENT CLASS: B03; B04
 INVENTOR: DOERWALD F Z; DORWALD F Z; HANSEN T K; MADSEN K; SCHIODT C B; KRUSE T; SCHODT C B
 PATENT ASSIGNEE: (NOVO-C) NOVO NORDISK AS
 COUNTRY COUNT: 110

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2006005667	A2	20060119	(200617) *	EN	146 [0]	
EP 1765408	A2	20070328	(200725)	EN		
AU 2005261740	A1	20060119	(200731)	EN		
KR 2007029247	A	20070313	(200755)	KO		
IN 2006DN07689	A	20070817	(200780)	EN		
CN 101005857	A	20070725	(200804)	ZH		
JP 2008507477	T	20080313	(200820)	JA	134	
BR 2005012988	A	20080422	(200830)	PT		
US 20090111730	A1	20090430	(200930)	EN		
EP 2289560	A2	20110302	(201116)	EN		
EP 2292272	A2	20110309	(201118)	EN		
EP 2289560	A3	20111019	(201168)	EN		
EP 2292272	A3	20111026	(201170)	EN		
WO 2006005667	A3	20061012	(201222)	EN		
US 20120088716	A1	20120412	(201225)	EN		
EP 2289560	B1	20150422	(201530)	EN		
US 9061067	B2	20150623	(201542)	EN		

ES 2542228	T3 20150803 (201558)	ES
EP 1765408	B1 20151209 (201581)	EN
ES 2564167	T3 20160318 (201631)	ES

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2006005667	A2	WO 2005-EP52874	20050621
US 20120088716	A1 Provisional	US 2004-587075P	20040712
US 9061067	B2 Provisional	US 2004-587075P	20040712
US 20120088716	A1 Provisional	US 2005-664551P	20050323
US 9061067	B2 Provisional	US 2005-664551P	20050323
AU 2005261740	A1	AU 2005-261740	20050621
BR 2005012988	A	BR 2005-12988	20050621
CN 101005857	A	CN 2005-80027468	20050621
EP 1765408	A2	EP 2005-754105	20050621
EP 2289560	A2 Div Ex	EP 2005-754105	20050621
EP 2292272	A2 Div Ex	EP 2005-754105	20050621
EP 2289560	A3 Div Ex	EP 2005-754105	20050621
EP 2292272	A3 Div Ex	EP 2005-754105	20050621
EP 2289560	B1 Div Ex	EP 2005-754105	20050621
EP 1765408	B1	EP 2005-754105	20050621
EP 1765408	A2	WO 2005-EP52874	20050621
KR 2007029247	A	WO 2005-EP52874	20050621
IN 2006DN07689	A	WO 2005-EP52874	20050621
CN 101005857	A	WO 2005-EP52874	20050621
JP 2008507477	T	WO 2005-EP52874	20050621
BR 2005012988	A	WO 2005-EP52874	20050621
US 20090111730	A1 PCT Application	WO 2005-EP52874	20050621
WO 2006005667	A3	WO 2005-EP52874	20050621
US 20120088716	A1 Cont of	WO 2005-EP52874	20050621
US 9061067	B2 Cont of	WO 2005-EP52874	20050621
EP 1765408	B1 PCT Application	WO 2005-EP52874	20050621
IN 2006DN07689	A	IN 2006-DN7689	20061218
JP 2008507477	T	JP 2007-519766	20050621
KR 2007029247	A	KR 2007-700466	20070108
US 20090111730	A1	US 2008-631889	20081031
US 20120088716	A1 Cont of	US 2008-631889	20081031
US 9061067	B2 Cont of	US 2008-631889	20081031
EP 2289560	A2	EP 2010-176012	20050621
EP 2289560	A3	EP 2010-176012	20050621
EP 2289560	B1	EP 2010-176012	20050621
ES 2542228	T3	EP 2010-176012	20050621
EP 2292272	A2	EP 2010-179209	20050621
EP 2292272	A3	EP 2010-179209	20050621
EP 1765408	B1 Related to	EP 2010-176012	20100909
EP 1765408	B1 Related to	EP 2010-179209	20100924
US 20120088716	A1	US 2011-13327378	20111215
US 9061067	B2	US 2011-13327378	20111215
ES 2564167	T3	EP 2005-754105	20050621

FILING DETAILS:

PATENT NO	KIND	PATENT NO

EP 2289560 A2	Div Ex	EP 1765408 A
EP 2292272 A2	Div Ex	EP 1765408 A
EP 2289560 A3	Div Ex	EP 1765408 A
EP 2292272 A3	Div Ex	EP 1765408 A
EP 2289560 B1	Div Ex	EP 1765408 A
ES 2542228 T3	Based on	EP 2289560 A
EP 1765408 B1	Related to	EP 2289560 A
EP 1765408 B1	Related to	EP 2292272 A
EP 1765408 A2	Based on	WO 2006005667 A
AU 2005261740 A1	Based on	WO 2006005667 A
KR 2007029247 A	Based on	WO 2006005667 A
CN 101005857 A	Based on	WO 2006005667 A
JP 2008507477 T	Based on	WO 2006005667 A
BR 2005012988 A	Based on	WO 2006005667 A
EP 1765408 B1	Based on	WO 2006005667 A
ES 2564167 T3	Based on	EP 1765408 A

PRIORITY APPLN. INFO: EP 2005-102167 20050318
 DK 2004-1083 20040708

AN 2006-164324 [200617] WPIX [Full-text](#)

AB WO 2006005667 A2 UPAB: 20090514

NOVELTY - Increasing the plasma half-life of a molecule comprises covalently linking the molecule to a heterocyclic acid bioisostere (I).

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(1) a method for increasing the plasma half-life of a molecule comprising converting the molecule into tetrazole compounds (A) of formula ((1H-tetrazol-5-yl-G-X-Y-Z-A-Q)t-R-molecule); (2) tetrazole compounds (II) of formula ((1H-tetrazol-5-yl-G'-X-Y-Z-A-Q)t-R-molecule); and (3) tetrazole compounds (III) of formula ((1H-tetrazol-5-yl-G-X-Y-Z-A-Q)t-R-Lg). G, X, Y = S, O, NH, -(CH₂)₁₋₁₅, -C(O)NH; arylene or heteroarylene (both optionally substituted with alkyl, amino, cycloalkyl, (hetero)aryl, nitro, lower alkoxy, OH, MeCONH-, alkanoyl or CN) or bond;

Z = bond, -(CH₂)_n-, -O-(CH₂)_n-, -S-(CH₂)_n-, -(OCH₂CH₂)_n-, -(CF₂)_n-, -O-CH₂-(CF₂)_n- or -S-CH₂-(CF₂)_n-; A = -C(=O)-, -O-C(=O)-, -NH-C(-O)-, -C(C(=O)NH-S(=O)₂)-, -S(=O)₂NH-C(=O)-, -(C H₂)₁₋₅-, -O-(CH₂)₁₋₅-, or -O-(CH₂)₁₋₅-C(=O)-; Q = bond, -(NH-(CH₂CH₂O)m-(CH₂)p-E-C(=O))q, -O-(CH₂CH₂O)m-(CH₂)p-E-C(=O)- or -S-(CH₂CH₂O)m-(CH₂)p-E-C(=O)-; E = bond, O, S or NH;

m, p, q, n, t = 1-40;

R = bond or polyradical (e.g. (-NH(CH₂)₄CH(NH-)-C(=O)-)₁₋₅); Lg = leaving group e.g. Cl, Br, I, OH, -OSO₂Me, -OSO₂CF₃, -OTs, -SMe₂⁺, -OSu, -OBt, -OAt, -OPh, or -O(4-NO₂)Ph; molecule=compound comprising an amino or mercapto group to which the group A or Q may covalently link; and G'=S, O, NH, -(CH₂)₁₋₁₀; arylene or heteroarylene (both optionally substituted with alkyl, amino, cycloalkyl, (hetero)aryl, nitro, lower alkoxy, OH, MeCONH-, alkanoyl or CN) or bond
 ACTIVITY - Antidiabetic; Anorectic; Hypotensive; Analgesic; Antianginal; Antilipemic; Nootropic; Antiarteriosclerotic; Cardiant; Vasotropic; Cerebroprotective; Cardiovascular-Gen.; Antiinflammatory; Gastrointestinal-Gen.; Antiulcer; Cytostatic.

MECHANISM OF ACTION - None given.

USE - (I) is useful for the treatment or prevention of hyperglycemia, type 2 diabetes, impaired glucose tolerance, type 1 diabetes, obesity, hypertension, syndrome X, dyslipidemia, disorders associated with toxic hypervolemia, cognitive disorders, atherosclerosis, myocardial infarction, coronary heart disease, stroke and other cardiovascular disorders, inflammatory bowel syndrome, dyspepsia or gastric ulcers. (III) is useful for the synthesis of (II). (I) is useful for decreasing food intake, decreasing beta-cell

apoptosis, increasing beta-cell function and beta-cell mass, stimulating beta-cell regeneration and/or for restoring glucose sensitivity to beta-cells (all claimed).

(FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, WPIX, JAPIO, PASCAL, DISSABS, PQSCITECH' ENTERED AT 15:42:42 ON 11 JUL 2016)

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L46      36 SEA SPE=ON  ABB=ON  PLU=ON  ("BOKVIST B"? OR "BENGT  B"?)/AU
L47      1534 SEA SPE=ON  ABB=ON  PLU=ON  "COSKUN T"?/AU
L48      1530 SEA SPE=ON  ABB=ON  PLU=ON  "CUMMINS R"?/AU
L49      32798 SEA SPE=ON  ABB=ON  PLU=ON  ("ALSINA-FERNANDEZ J"? OR
      "FERNANDEZ-ALSINA J"? OR "ALSINA J"? OR "FERNANDEZ J"?)/AU
L50      0 SEA SPE=ON  ABB=ON  PLU=ON  L46 AND L47 AND L48 AND L49
L51      20 SEA SPE=ON  ABB=ON  PLU=ON  L46 AND ((L47 OR L48 OR L49))
L52      21 SEA SPE=ON  ABB=ON  PLU=ON  L47 AND (L48 OR L49)
L53      12 SEA SPE=ON  ABB=ON  PLU=ON  L48 AND L49
L54      74 SEA SPE=ON  ABB=ON  PLU=ON  ((L46 OR L47 OR L48 OR L49) OR
      (L51 OR L52 OR L53)) AND L29
L55      6 SEA SPE=ON  ABB=ON  PLU=ON  L54 AND (?GLUTAMIC? OR GLU)
L56      0 SEA SPE=ON  ABB=ON  PLU=ON  L54 AND (L37 OR 2(W) 2(W) (AMINO
      ETHOXY? OR AMINO ETHOXY?))
L57      10 SEA SPE=ON  ABB=ON  PLU=ON  ((L46 OR L47 OR L48 OR L49) OR
      (L51 OR L52 OR L53)) AND L40
L58      16 SEA SPE=ON  ABB=ON  PLU=ON  L55 OR L57
L59      15 DUP REM L58 (1 DUPLICATE REMOVED)

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L59 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2015:1044858 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 163:129091

TITLE: Novel glucagon analog compound for treatment of severe hypoglycemia

INVENTOR(S): [Alsina-Fernandez, Jorge](#); [Cummins, Robert Chadwick](#); Guo, Lili

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 21pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015094875	A1	20150625	WO 2014-US69643	20141211
W: 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 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM				

CA 2928985	A1	20150625	CA 2014-2928985	20141211
AU 2014366424	A1	20160519	AU 2014-366424	20141211
KR 2016075825	A	20160629	KR 2016-7015879	20141211
IL 245400	A	20160630	IL 2014-245400	20141211
PRIORITY APPLN. INFO.:			US 2013-61917597	P 20131218
			WO 2014-US69643	W 20141211

AB The present invention provides a novel compound useful in the treatment of hypoglycemia. The compound comprises the amino acid sequence of His-Ala-Gln-Gly-Thr-Phe-Leu-Ser-Asp-Tyr-Ser-Lys-Tyr-Leu-Asp- (Aib)-Lys- Lys-Ala-Gln-Glu-Phe-Val-Glu-Trp-Leu-Leu-Lys-Thr-Gly-Pro-Ser-Ser- Gly-Ala-Pro-Pro-Lys-Ser-Lys-NH2 (SEQ ID NO: 2).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2015:1044236 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 163:129090

TITLE: Novel glucagon analog compound for treatment of severe hypoglycemia

INVENTOR(S): Alsina-Fernandez, Jorge; Cummins, Robert Chadwick

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 21pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015094878	A1	20150625	WO 2014-US69646	20141211
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			

CA 2928987	A1	20150625	CA 2014-2928987	20141211
AU 2014366427	A1	20160519	AU 2014-366427	20141211
IL 245399	A	20160630	IL 2014-245399	20141211
KR 2016077215	A	20160701	KR 2016-7015881	20141211
PRIORITY APPLN. INFO.:			US 2013-61917658	P 20131218
			WO 2014-US69646	W 20141211

AB The present invention provides a novel compound useful in the treatment of hypoglycemia. The compound comprises the amino acid sequence of Tyr-Ser-His-Gly-Thr-Phe-Thr-Ser-Asp-Val-Ser-Lys-Tyr-Leu-Asp- (Aib)-Lys- Lys-Ala-Ala-Glu-Phe-Val-Ala-Trp-Leu-Leu-Glu-Glu (SEQ ID NO: 2).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2015:1044189 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 163:129089

TITLE: Novel glucagon analog compound for treatment of severe hypoglycemia

INVENTOR(S) : Alsina-Fernandez, Jorge; Cummins, Robert Chadwick; Guo, Lili

PATENT ASSIGNEE(S) : Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 20pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2015094876	A1	20150625	WO 2014-US69644	20141211
W:	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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			
CA 2930596	A1	20150625	CA 2014-2930596	20141211
AU 2014366425	A1	20160526	AU 2014-366425	20141211
KR 2016075826	A	20160629	KR 2016-7015880	20141211
IL 245491	A	20160630	IL 2014-245491	20141211
PRIORITY APPLN. INFO.:			US 2013-61917716	P 20131218

WO 2014-US69644 W 20141211

AB The present invention provides a novel compound useful in the treatment of hypoglycemia. The compound comprises the amino acid sequence of Tyr-Ser-Gln-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Lys-Tyr-Leu-Asp- (Aib)-Lys- Lys-Ala-Gln-Glu-Phe-Val-Glu-Trp-Leu-Leu-Lys-Thr-Gly-Pro-Ser-Ser- Gly-Ala-Pro-Pro-Lys-Ser-Lys-NH2 (SEQ ID NO: 2).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2016:55385 HCAPLUS [Full-text](#)

TITLE: Novel small molecule agonist of TGR5 possesses anti-diabetic effects but causes gallbladder filling in mice

AUTHOR(S): Briere, Daniel A.; Ruan, Xiaoping; Cheng, Christine C.; Siesky, Angela M.; Fitch, Thomas E.; Dominguez, Carmen; Sanfeliciano, Sonia Gutierrez; Montero, Carlos; Suen, Chen S.; Xu, Yanping; **Coskun, Tamer**; Michael, M. Dodson

CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, IN, USA

SOURCE: PLoS One (2015), 10(8), e0136873/1-e0136873/17
CODEN: POLNCL; ISSN: 1932-6203

DIGITAL OBJECT ID: 10.1371/journal.pone.0136873

PUBLISHER: Public Library of Science

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

AB Activation of TGR5 via bile acids or bile acid analogs leads to the release of glucagon-like peptide-1 (GLP-1) from intestine, increases energy expenditure in brown adipose tissue, and increases gallbladder filling with bile. Here, we present compound 18, a non-bile acid agonist of TGR5 that demonstrates robust GLP-1 secretion in a mouse enteroendocrine cell line yet weak GLP-1 secretion in a human enteroendocrine cell line. Acute administration of compound 18 to mice increased GLP-1 and peptide YY (PYY) secretion, leading to a lowering of the glucose excursion in an oral glucose tolerance test (OGTT), while chronic administration led to weight loss. In addition, compound 18 showed a dose-dependent increase in gallbladder filling. Lastly, compound 18 failed to show similar pharmacol. effects on GLP-1, PYY, and gallbladder filling in Tgr5 knockout mice. Together, these results demonstrate that compound 18 is a mouse-selective TGR5 agonist that induces GLP-1 and PYY secretion, and lowers the glucose excursion in an OGTT, but only at doses that simultaneously induce gallbladder filling. Overall, these data highlight the benefits and potential risks of using TGR5 agonists to treat diabetes and metabolic diseases.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2015:1377075 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 163:663374

TITLE: A novel humanized GLP-1 receptor model enables both affinity purification and Cre-LoxP deletion of the receptor [Erratum to document cited in CA162:157736]

AUTHOR(S): Jun, Lucy S.; Showalter, Aaron D.; Ali, Noshier; Dai, Feihan; Ma, Wenzhen; **Coskun, Tamer**; Ficorilli, James V.; Wheeler, Michael B.; Michael, M. Dodson; Sloop, Kyle W.

CORPORATE SOURCE: Endocrine Discovery, Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, IN, USA

SOURCE: PLoS One (2015), 10(7), e0132875/1-e0132875/3
CODEN: POLNCL; ISSN: 1932-6203

DIGITAL OBJECT ID: 10.1371/journal.pone.0132875

PUBLISHER: Public Library of Science

DOCUMENT TYPE: Journal; (online computer file)
 LANGUAGE: English
 AB On page 5, Figures 2D and 2F were published incorrectly; the correct Figures are given.
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2014:327316 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 160:352157

TITLE: Homodimeric glucagon-like peptide 1-fibroblast growth factor 21 fusion proteins reduce glucose uptake, body weight, fat mass, and triglyceride levels in obese mouse models

INVENTOR(S): **Alsina-Fernandez, Jorge; Coskun, Tamer;**
 Darling, Ryan James; Gonciarz, Malgorzata Donata

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 26pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2014031420	A1	20140227	WO 2013-US55041	20130815
W:	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, IS, JP, KE, KG, 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, 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			
RW:	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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM			
AR 92076	A1	20150318	AR 2013-102820	20130808
US 20140056893	A1	20140227	US 2013-13967396	20130815
PRIORITY APPLN. INFO.:			US 2012-61691905	P 20120822
			US 2013-61777149	P 20130312

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB This present invention relates to a homodimeric protein comprising fibroblast growth factor 21 (FGF21) and glucagon-like peptide (GLP-1), pharmaceutical compns. comprising the homodimeric protein, and methods for treating type 2 diabetes, obesity, dyslipidemia, and/or metabolic syndrome using such homodimeric protein. The gene encoding the homodimeric protein was cloned downstream of the CMV promoter by ligating DNA encoding a GLP-1 compound in-frame to DNA encoding the IgG4 Fc-FGF21 protein, as well as by ligating the coding sequence of a signal peptide to enhance secretion of the desired

product in the tissue culture medium. The CHOK1SV cell line was stably transfected with the construct, and homodimeric proteins secreted into the media were purified by chromatog. This purified homodimeric protein was then evaluated for in vitro potency (EC50) in the 3T3-L1- β Klotho fibroblast glucose uptake assay, and in the human 293 cell β Klotho-SRE luc FGF21 activity assay. Anal. of the homodimeric protein activity in the in vitro GLP-1 receptor (hGLP-1R)-stimulated cAMP functional assay was also presented. Phys. stability of the homodimeric protein was assessed following incubation at 4 °C, 25 °C, and 40 °C to assess longer-term stability under stress conditions. Self-association of the homodimeric protein at high concns. was also evaluated, demonstrating only 1.2-1.9 % HMW (high mol. weight, indicator of protein aggregation and self-association) at the high concentration of 75 mg/mL. The ability of the homodimeric protein to lower glucose levels in the Ob/ob mouse model, or to lower body weight, fat mass, and plasma and liver triglycerides in the diet-induced obesity mouse model was also presented.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2014:1624193 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 162:157736

TITLE: A novel humanized GLP-1 receptor model enables both affinity purification and Cre-LoxP deletion of the receptor

AUTHOR(S): Jun, Lucy S.; Showalter, Aaron D.; Ali, Nosher; Dai, Feihan; Ma, Wenzhen; **Coskun, Tamer**; Ficorilli, James V.; Wheeler, Michael B.; Michael, M. Dodson; Sloop, Kyle W.

CORPORATE SOURCE: Endocrine Discovery, Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, IN, USA

SOURCE: PLoS One (2014), 9(4), e93746/1-e93746/12, 12 pp. CODEN: POLNCL; ISSN: 1932-6203

DIGITAL OBJECT ID: 10.1371/journal.pone.0093746

PUBLISHER: Public Library of Science

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

AB Class B G protein-coupled receptors (GPCRs) are important regulators of endocrine physiol., and peptide-based therapeutics targeting some of these receptors have proven effective at treating disorders such as hypercalcemia, osteoporosis, and type 2 diabetes mellitus (T2DM). As next generation efforts attempt to develop novel non-peptide, orally available mols. for these GPCRs, new animal models expressing human receptor orthologs may be required because small mol. ligands make fewer receptor contacts, and thus, the impact of amino acid differences across species may be substantially greater. The objective of this report was to generate and characterize a new mouse model of the human glucagon-like peptide-1 receptor (hGLP-1R), a class B GPCR for which established peptide therapeutics exist for the treatment of T2DM. hGLP-1R knock-in mice express the receptor from the murine Glp-1r locus. Glucose tolerance tests and gastric emptying studies show hGLP-1R mice and their wild-type littermates display similar physiol. responses for glucose metabolism, insulin secretion, and gastric transit, and treatment with the GLP-1R agonist, exendin-4, elicits similar responses in both groups. Further, ex vivo assays show insulin secretion from humanized islets is glucose-dependent and enhanced by GLP-1R agonists. To enable addnl. utility, the targeting construct of the knock-in line was engineered to contain both flanking LoxP sites and a C-

terminal FLAG epitope. Anti-FLAG affinity purification shows strong expression of hGLP-1R in islets, lung, and stomach. We crossed the hGLP-1R line with Rosa26Cre mice and generated global Glp-1r^{-/-} animals. Immunohistochem. of pancreas from humanized and knock-out mice identified a human GLP-1R-specific antibody that detects the GLP-1R in human pancreas as well as in the pancreas of hGLP-1r knock-in mice. This new hGLP-1R model will allow tissue-specific deletion of the GLP-1R, purification of potential GLP-1R partner proteins, and testing of novel therapeutic agents targeting the hGLP-1R.

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
 REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2013:52369 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 158:350606

TITLE: Activation of prostaglandin E receptor 4 triggers secretion of gut hormone peptides GLP-1, GLP-2, and PYY

AUTHOR(S): Coskun, Tamer; O'Farrell, Libbey S.; Syed, Samreen K.; Briere, Daniel A.; Beavers, Lisa S.; DuBois, Susan L.; Michael, Mervyn D.; Franciskovich, Jeffery B.; Barrett, David G.; Efanov, Alexander M.

CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, Indianapolis, IN, 46285, USA

SOURCE: Endocrinology (2013), 154(1), 45-53
 CODEN: ENDOAO; ISSN: 0013-7227

DIGITAL OBJECT ID: 10.1210/en.2012-1446

PUBLISHER: Endocrine Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Prostaglandins E1 and E2 are synthesized in the intestine and mediate a range of gastrointestinal functions via activation of the prostanoid E type (EP) family of receptors. We examined the potential role of EP receptors in the regulation of gut hormone secretion from L cells. Anal. of mRNA expression in mouse enteroendocrine GLUTag cells demonstrated the abundant expression of EP4 receptor, whereas expression of other EP receptors was much lower. Prostaglandin E1 and E2, nonselective agonists for all EP receptor subtypes, triggered glucagon like peptide 1 (GLP-1) secretion from GLUTag cells, as did the EP4-selective agonists CAY10580 and TCS2510. The effect of EP4 agonists on GLP-1 secretion was blocked by incubation of cells with the EP4-selective antagonist L 161,982 or by down-regulating EP4 expression with specific small interfering RNA. Regulation of gut hormone secretion with EP4 agonists was further studied in mice. Administration of EP4 agonists to mice produced a significant elevation of plasma levels of GLP-1, glucagon like peptide 2 (GLP-2) and peptide YY (PYY), whereas gastric inhibitory peptide (GIP) levels were not increased. Thus, our data demonstrate that activation of the EP4 receptor in enteroendocrine L cells triggers secretion of gut hormones.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
 REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2012:1746721 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 158:2262

TITLE: Small molecule allosteric modulation of the glucagon-like peptide-1 receptor enhances the insulinotropic effect of oxyntomodulin

AUTHOR(S): Willard, Francis S.; Wootten, Denise; Showalter, Aaron D.; Savage, Emilia E.; Ficorilli, James; Farb, Thomas B.; Bokvist, Krister; **Alsina-Fernandez, Jorge**; Furness, Sebastian G. B.; Christopoulos, Arthur; Sexton, Patrick M.; Sloop, Kyle W.

CORPORATE SOURCE: Translational Science and Technologies, Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, USA

SOURCE: Molecular Pharmacology (2012), 82(6), 1066-1073
CODEN: MOPMA3; ISSN: 1521-0111

DIGITAL OBJECT ID: 10.1124/mol.112.080432

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

AB Identifying novel mechanisms to enhance glucagon-like peptide-1 (GLP-1) receptor signaling may enable nascent medicinal chemical strategies with the aim of developing new orally available therapeutic agents for the treatment of type 2 diabetes mellitus. Therefore, we tested the hypothesis that selectively modulating the low-affinity GLP-1 receptor agonist, oxyntomodulin, would improve the insulin secretory properties of this naturally occurring hormone to provide a rationale for pursuing an unexplored therapeutic approach. Signal transduction and competition binding studies were used to investigate oxyntomodulin activity on the GLP-1 receptor in the presence of the small mol. GLP-1 receptor modulator, 4-(3-benzyloxyphenyl)-2-ethylsulfinyl-6-(trifluoromethyl)pyrimidine (BETP). In vivo, the i.v. glucose tolerance test characterized oxyntomodulin-induced insulin secretion in animals administered the small mol. BETP increased oxyntomodulin binding affinity for the GLP-1 receptor and enhanced oxyntomodulin-mediated GLP-1 receptor signaling as measured by activation of the α subunit of heterotrimeric G protein and cAMP accumulation. In addition, oxyntomodulin-induced insulin secretion was enhanced in the presence of the compound BETP was pharmacol. characterized to induce biased signaling by oxyntomodulin. These studies demonstrate that small mols. targeting the GLP-1 receptor can increase binding and receptor activation of the endogenous peptide oxyntomodulin. The biased signaling engendered by BETP suggests that GLP-1 receptor mobilization of cAMP is the critical insulinotropic signaling event. Because of the unique metabolic properties of oxyntomodulin, identifying mols. that enhance its activity should be pursued to assess the efficacy and safety of this novel mechanism.

OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2011:1237438 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 155:502417
 TITLE: Novel glucose-dependent insulinotropic peptide analogs and methods for preparation of pegylated derivatives and therapeutic use
 INVENTOR(S): **Alsina-Fernandez, Jorge**; Bokvist, Krister Bengt; Guo, Lili; Mayer, John Philip
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 32pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2011119657	A1	20110929	WO 2011-US29501	20110323
W: 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 RW: 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, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AR 80592	A1	20120418	AR 2011-100835	20110316
CA 2794664	A1	20110929	CA 2011-2794664	20110323
US 20110237503	A1	20110929	US 2011-13069425	20110323
US 8815811	B2	20140826		
AU 2011232597	A1	20120830	AU 2011-232597	20110323
AU 2011232597	B2	20150129		
IL 222119	A	20121129	IL 2011-222119	20110323
KR 2012133402	A	20121210	KR 2012-7025038	20110323
KR 1407775	B1	20140617		
CN 102821779	A	20121212	CN 2011-80016089	20110323
CN 102821779	B	20150311		
EP 2552471	A1	20130206	EP 2011-711424	20110323
EP 2552471	B1	20160316		
R: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR, BA, ME				
JP 2013523647	T	20130617	JP 2013-501419	20110323
JP 5887335	B2	20160316		
EA 22489	B1	20160129	EA 2012-70723	20110323
ES 2568796	T3	20160504	ES 2011-711424	20110323
IN 2012CN06957	A	20151211	IN 2012-CN6957	20120808
CR 20120457	A	20121016	CR 2012-457	20120907
ZA 2012006832	A	20140326	ZA 2012-6832	20120912
MX 2012011127	A	20121015	MX 2012-11127	20120926
PRIORITY APPLN. INFO.:			US 2010-61317850	P 20100326

WO 2011-US29501

W 20110323

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 155:502417

AB The present invention is in the field of treatment of diabetes and relates to peptides that exhibit activity for both glucose-dependent insulintropic peptide receptor (GIP-R) and glucagon-like peptide- 1 receptor (GLP-1-R) and are selective over glucagon receptor (Gluc-R). Specifically provided are GIP analogs with amino acid substitutions introduced to modulate activity for both GIP-R and GLP-1-R and maintain selectivity over Gluc-R.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 11 OF 15 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
 ACCESSION NUMBER: 2011-H19269 [201142] WPIX [Full-text](#)
 TITLE: New oxyntomodulin peptide analog comprising specific amino acid, useful for treating e.g. non-insulin-dependent diabetes, obesity, metabolic syndrome X, dyslipidemia, hyperglycemia, hyperinsulinemia and hypertriglyceridemia
 DERWENT CLASS: A96; B04; D16
 INVENTOR: ALSINA F J; **ALSINA-FERNANDEZ J**; KOHN W D; KOHN W
 PATENT ASSIGNEE: (ELIL-C) LILLY&CO ELI; (ELIL-C) LILLY & CO ELI
 COUNTRY COUNT: 127

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 20110152182	A1	20110623	(201142)*	EN	15 [0]	
WO 2011087672	A1	20110721	(201148)	EN		
AU 2010341651	A1	20120607	(201257)	EN		
KR 2012096022	A	20120829	(201259)	KO		
MX 2012007438	A1	20120731	(201259)	ES		
CA 2784671	A1	20110721	(201260)	EN		
TW 2011043793	A	20111216	(201270)	ZH		
EP 2515928	A1	20121031	(201273)	EN		
CN 102740873	A	20121017	(201302)	ZH		
US 8367607	B2	20130205	(201310)	EN		
VN 32194	A	20130125	(201328)	VI		
HK 1170941	A0	20130315	(201330)	EN		
JP 2013515057	T	20130502	(201330)	JA	30	
IN 2012MN01268	A	20131011	(201371)	EN		
ZA 2012004495	A	20131127	(201403)	EN		
SG 181430	A1	20120730	(201406)	EN		
AU 2010341651	B2	20140116	(201409)	EN		
TW I423812	B	20140121	(201426)	ZH		
KR 1399671	B1	20140527	(201438)	KO		
EP 2515928	B1	20140618	(201440)	EN		
NZ 600731	A	20140627	(201447)	EN		
ES 2486675	T3	20140819	(201460)	ES		
HK 1170941	A1	20141010	(201502)	EN		
CN 102740873	B	20141105	(201504)	ZH		

MX 325406	B	20141114	(201521)	ES	
IL 220161	A	20130228	(201523)	EN	
JP 5717759	B2	20150513	(201532)	JA	25
CA 2784671	C	20150616	(201546)	EN	
SG 181430	B	20150915	(201613)	EN	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 20110152182 A1		US 2010-968382	20101215
US 20110152182 A1 Provisional		US 2010-352576P	20100608
US 20110152182 A1 Provisional		US 2009-288888P	20091222
US 8367607 B2 Provisional		US 2009-288888P	20091222
US 8367607 B2 Provisional		US 2010-352576P	20100608
TW 2011043793 A		TW 2010-143356	20101210
TW I423812 B		TW 2010-143356	20101210
AU 2010341651 A1		AU 2010-341651	20101215
AU 2010341651 B2		AU 2010-341651	20101215
CA 2784671 A1		CA 2010-2784671	20101215
CA 2784671 C		CA 2010-2784671	20101215
CN 102740873 A		CN 2010-80058417	20101215
CN 102740873 B		CN 2010-80058417	20101215
EP 2515928 A1		EP 2010-801033	20101215
EP 2515928 B1		EP 2010-801033	20101215
ES 2486675 T3		EP 2010-801033	20101215
IL 220161 A		IL 2010-220161	20101215
NZ 600731 A		NZ 2010-600731	20101215
US 8367607 B2		US 2010-968382	20101215
WO 2011087672 A1		WO 2010-US60390	20101215
AU 2010341651 A1 PCT Application		WO 2010-US60390	20101215
KR 2012096022 A PCT Application		WO 2010-US60390	20101215
MX 2012007438 A1 PCT Application		WO 2010-US60390	20101215
CA 2784671 A1 PCT Application		WO 2010-US60390	20101215
EP 2515928 A1 PCT Application		WO 2010-US60390	20101215
CN 102740873 A PCT Application		WO 2010-US60390	20101215
VN 32194 A PCT Application		WO 2010-US60390	20101215
HK 1170941 A0 PCT Application		WO 2010-US60390	20101215
JP 2013515057 T PCT Application		WO 2010-US60390	20101215
IN 2012MN01268 A PCT Application		WO 2010-US60390	20101215
SG 181430 A1 PCT Application		WO 2010-US60390	20101215
AU 2010341651 B2 PCT Application		WO 2010-US60390	20101215
KR 1399671 B1 PCT Application		WO 2010-US60390	20101215
EP 2515928 B1 PCT Application		WO 2010-US60390	20101215
NZ 600731 A PCT Application		WO 2010-US60390	20101215
HK 1170941 A1 PCT Application		WO 2010-US60390	20101215
CN 102740873 B PCT Application		WO 2010-US60390	20101215
MX 325406 B PCT Application		WO 2010-US60390	20101215
JP 5717759 B2 PCT Application		WO 2010-US60390	20101215
CA 2784671 C PCT Application		WO 2010-US60390	20101215
CA 2784671 A1 PCT Nat. Entry		CA 2010-2784671	20120615
CA 2784671 C PCT Nat. Entry		CA 2010-2784671	20120615
JP 2013515057 T		JP 2012-546035	20101215
JP 5717759 B2		JP 2012-546035	20101215
KR 2012096022 A		KR 2012-716089	20101215
KR 1399671 B1		KR 2012-716089	20101215

SG 181430 A1	SG 2012-3684 20101215
VN 32194 A	VN 2012-2109 20101215
IN 2012MN01268 A	IN 2012-MN1268 20120522
ZA 2012004495 A	ZA 2012-4495 20120618
KR 2012096022 A PCT Nat. Entry	KR 2012-716089 20120621
KR 1399671 B1 PCT Nat. Entry	KR 2012-716089 20120621
MX 2012007438 A1	MX 2012-7438 20120622
MX 325406 B	MX 2012-7438 20120622
HK 1170941 A0	HK 2012-111772 20121119
HK 1170941 A1	HK 2012-111772 20121119
SG 181430 B PCT Application	WO 2010-US60390 20101215
SG 181430 B	SG 2012-3684 20101215

FILING DETAILS:

PATENT NO	KIND	PATENT NO
HK 1170941 A0	Related to	EP 2515928 A
ES 2486675 T3	Based on	EP 2515928 A
HK 1170941 A1	Related to	EP 2515928 B
JP 5717759 B2	Previous Publ	JP 2013515057 T
KR 1399671 B1	Previous Publ	KR 2012096022 A
AU 2010341651 A1	Based on	WO 2011087672 A
KR 2012096022 A	Based on	WO 2011087672 A
MX 2012007438 A1	Based on	WO 2011087672 A
CA 2784671 A1	Based on	WO 2011087672 A
EP 2515928 A1	Based on	WO 2011087672 A
CN 102740873 A	Based on	WO 2011087672 A
VN 32194 A	Based on	WO 2011087672 A
HK 1170941 A0	Based on	WO 2011087672 A
JP 2013515057 T	Based on	WO 2011087672 A
IN 2012MN01268 A	Based on	WO 2011087672 A
SG 181430 A1	Based on	WO 2011087672 A
AU 2010341651 B2	Based on	WO 2011087672 A
KR 1399671 B1	Based on	WO 2011087672 A
EP 2515928 B1	Based on	WO 2011087672 A
NZ 600731 A	Based on	WO 2011087672 A
HK 1170941 A1	Based on	WO 2011087672 A
CN 102740873 B	Based on	WO 2011087672 A
MX 325406 B	Based on	WO 2011087672 A
IL 220161 A	Based on	WO 2011087672 A
JP 5717759 B2	Based on	WO 2011087672 A
CA 2784671 C	Based on	WO 2011087672 A
SG 181430 B	Based on	WO 2011087672 A

PRIORITY APPLN. INFO: US 2010-968382 20101215
 US 2010-352576P 20100608
 US 2009-288888P 20091222

AN 2011-H19269 [201142] WPIX [Full-text](#)

AB US 20110152182 A1 UPAB: 20110705

NOVELTY - Oxyntomodulin peptide analog comprising the amino acid sequence of SEQ ID NO: 5, where C-terminal amino acid is optionally amidated, is new.
 DETAILED DESCRIPTION - Oxyntomodulin peptide analog comprising the amino acid sequence comprising His-(alpha -amino isobutyric acid (Aib))-Gln-Gly-Thr-Phe-Thr-Ser-Asp-Tyr-Ser-Lys-Tyr-Leu-Asp-Ser-Lys-Lys- Ala-Gln-Glu-Phe-Val-Gln-Trp-

Leu-Leu-Asn- (Aib)-Gly-Arg-Asn-Arg-Asn- Asn-Ile-Ala-Xaa-Xbb (SEQ ID NO: 5), where C-terminal amino acid is optionally amidated, is new.
Xaa, Xbb = Cys, Cys-polyethylene glycol or absent. ACTIVITY - Antidiabetic; Anorectic; Immunosuppressive; Metabolic; Analgesic; Antianginal; Antilipemic; Hemostatic; Cytostatic.

MECHANISM OF ACTION - **Glucagon-like peptide-1 (GLP-1)** receptor activator; Glucagon receptor activator. The ability of oxyntomodulin peptide analog to activate human **GLP-1** receptor was tested in HEK-293 cells using **GLP-1** stimulated cyclic adenosine monophosphate functional assay. The result showed that the oxyntomodulin peptide analog exhibited an EC50 value of 2.75 plus minus 0.55 nM, compared to EC50 value of 6.7 plus minus 2.7 nM exhibited by oxyntomodulin.

USE - The oxyntomodulin peptide analog is useful for: treating non-insulin-dependent diabetes and/or obesity (all claimed); and treating insulin-dependent diabetes, maturity-onset diabetes of the young, latent autoimmune diabetes adult, impaired glucose tolerance, impaired fasting glucose, gestational diabetes, metabolic syndrome X, dyslipidemia, hyperglycemia, hyperinsulinemia, hypertriglyceridemia, insulin resistance, and secondary causes of diabetes including excess glucocorticoid, excess growth hormone, pheochromocytoma and drug (e.g. nicotinic acid)-induced diabetes.

ADVANTAGE - The oxyntomodulin peptide analog: is polyethylene glycosylated at selected positions for enhancement of time action, thus allowing for less frequent dosing; is more resistant to degradation by peptidases (preferably dipeptidyl peptidase IV) than native human oxyntomodulin, thus the analog possess improved in vivo stability versus native human oxyntomodulin; has reduced side-effects (including nausea) compared to **glucagon-like peptide-1** based therapy; have superior weight loss effect versus wild type human oxyntomodulin; and possess improved glucose tolerance and lipid profile compared to wild type human oxyntomodulin.

L59 ANSWER 12 OF 15 WPIX COPYRIGHT 2016 THOMSON REUTERS on STN
ACCESSION NUMBER: 2009-E77053 [200918] WPIX [Full-text](#)
TITLE: Use of fibroblast growth factor 21 (FGF-21) and **glucagon-like peptide-1 (GLP-1)** compounds for manufacturing medicaments for lowering body weight and for treating obesity
DERWENT CLASS: A96; B04; D16
INVENTOR: **COSKUN T**; GLAESNER W
PATENT ASSIGNEE: (ELIL-C) LILLY&CO ELI; (ELIL-C) LILLY & CO ELI
COUNTRY COUNT: 123

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2009020802	A2	20090212	(200918)*	EN	43	[0]
WO 2009020802	A3	20091029	(200971)	EN		
CA 2693504	A1	20090212	(201028)	EN		
EP 2185178	A2	20100519	(201033)	EN		
JP 2010535781	T	20101125	(201077)	JA	37	
US 20110034373	A1	20110210	(201112)	EN		
US 20120264683	A1	20121018	(201270)	EN		
US 8557769	B2	20131015	(201373)	EN		
US 20140024586	A1	20140123	(201407)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2009020802	A2	WO 2008-US71508	20080730
US 20110034373	A1 Provisional	US 2007-953785P	20070803
US 20120264683	A1 Provisional	US 2007-953785P	20070803
US 8557769	B2 Provisional	US 2007-953785P	20070803
CA 2693504	A1	CA 2008-2693504	20080730
EP 2185178	A2	EP 2008-796800	20080730
WO 2009020802	A3	WO 2008-US71508	20080730
CA 2693504	A1 PCT Application	WO 2008-US71508	20080730
EP 2185178	A2 PCT Application	WO 2008-US71508	20080730
JP 2010535781	T PCT Application	WO 2008-US71508	20080730
US 20110034373	A1 PCT Application	WO 2008-US71508	20080730
US 20120264683	A1 Cont of	WO 2008-US71508	20080730
US 8557769	B2 Cont of	WO 2008-US71508	20080730
CA 2693504	A1 PCT Nat. Entry	CA 2008-2693504	20100115
JP 2010535781	T	JP 2010-520138	20080730
US 20110034373	A1	US 2010-668475	20100111
US 20120264683	A1 Cont of	US 2010-668475	20100111
US 8557769	B2 Cont of	US 2010-668475	20100111
US 20120264683	A1	US 2012-13534322	20120627
US 8557769	B2	US 2012-13534322	20120627
US 20140024586	A1 Provisional	US 2007-953785P	20070803
US 20140024586	A1 Cont of	WO 2008-US71508	20080730
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US 20140024586	A1 Cont of	US 2012-534322	20120627
US 20140024586	A1	US 2013-45174	20131003

FILING DETAILS:

PATENT NO	KIND	PATENT NO
CA 2693504	A1	Based on
EP 2185178	A2	Based on
JP 2010535781	T	Based on
US 20140024586	A1	Cont of

PRIORITY APPLN. INFO: US 2007-953785P 20070803
 WO 2008-US71508 20080730
 US 2010-668475 20100111
 US 2012-13534322 20120627
 US 2013-45174 20131003

AN 2009-E77053 [200918] WPIX [Full-text](#)

AB WO 2009020802 A2 UPAB: 20141031

NOVELTY - Use of a fibroblast growth factor 21 (FGF-21) compound and a **glucagon-like peptide-1 (GLP-1)** compound for manufacturing a medicament, which is used for lowering body weight and for treating obesity.

ACTIVITY - Anorectic. Test details are described but no results given.

MECHANISM OF ACTION - None given.

USE - FGF-21 analogs, **GLP-1** analogs, **GLP-1** derivatives, and **GLP-1** fusion proteins are used for manufacturing medicaments for lowering body weight and treating obesity (all claimed).

L59 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2008:1379935 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:506350

TITLE: Entero-insular axis and postprandial insulin differences in African American and European American children

AUTHOR(S): Higgins, Paul B.; **Fernandez, Jose R.**; Garvey, W. Timothy; Granger, Wesley M.; Gower, Barbara A.

CORPORATE SOURCE: Department of Nutrition Sciences, University of Alabama at Birmingham, Birmingham, AL, USA

SOURCE: American Journal of Clinical Nutrition (2008), 88(5), 1277-1283

CODEN: AJCNAC; ISSN: 0002-9165

PUBLISHER: American Society for Nutrition

DOCUMENT TYPE: Journal

LANGUAGE: English

AB African-Americans have a greater insulin response after glucose challenge than do European Americans. Factors underlying this response are unknown. We determined the insulin, C-peptide, and incretin responses to a mixed macronutrient meal in African-American and European-American children. We hypothesized that (1) African-Americans would have greater postprandial insulin and C-peptide responses, (2) African-Americans would have higher incretin responses, and (3) the greater β cell response among African Americans would be explained by greater incretin responses. Subjects were 34 African-American and 18 European-American children. Glucose, insulin, C-peptide, glucagon-like peptide-1 (GLP-1), and glucose-dependent insulintropic polypeptide (GIP) were measured after the subjects consumed a liquid mixed meal. Insulin, C-peptide, and incretin responses were derived from the area under the curve (AUC) for minutes 0-30 (early response) and minutes 30-180 (late response) after meal ingestion. The early insulin response was higher in African-American ($14\ 565 \pm 6840$ pmol/L $\times$ 30 min) than in European-American (7450 ± 4077 pmol/L $\times$ 30 min; $P < 0.01$) children. Early C-peptide AUC did not differ by ethnicity (African-Americans: 34.8 ± 12.5 ; European-Americans: 28.6 ± 12.5 nmol/L $\times$ 30 min; $P = 0.10$). Early and late GLP-1 responses were lower in African-Americans than in European-Americans: 108.1 ± 56.4 compared with 160.5 ± 90.8 pmol/L $\times$ 30 min and 509.4 ± 286.9 compared with 781.9 ± 483.4 pmol/L $\times$ 150 min, resp. ($P < 0.05$ for both). The GIP response did not differ between groups. The greater early insulin response in African-Americans than in European-Americans is not due to differences in circulating GLP-1 or GIP and may be due to lesser insulin clearance. Further research is needed to determine the physiologic implications of lower GLP-1 among African-Americans.

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 2000:789105 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 134:81112

TITLE: Central effect of glucagon-like peptide-1 on gastric acid secretion: Role of corticotropin releasing factor (CRF) and calcitonin gene related peptide

AUTHOR(S): Ulusoy, N. B.; Bozkurt, A.; Yegen, B. C.; **Coskun,**

T.
 CORPORATE SOURCE: School of Medicine, Marmara University, Istanbul, 81326, Turk.
 SOURCE: Falk Symposium (2000), 112 (Neurogastroenterology), 753-757
 CODEN: FASYDI; ISSN: 0161-5580
 PUBLISHER: Kluwer Academic Publishers
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The present study was designed to investigate the role of central and peripheral mechanisms in GLP-1-induced inhibition of gastric acid secretion in conscious rats. The results demonstrate that central GLP-1 inhibits basal gastric acid secretion in conscious rats via a vagal pathway. The observed effects of GLP-1 are compatible with the notion that this peptide acts within the brain and also peripherally to initiate and coordinate gastric secretory responses. The reversal of this inhibition by both the CRF and CGRP receptor antagonists suggests that the inhibition of gastric acid secretion by central GLP-1 involves the interaction of central CRF and CGRP receptors.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2016 ACS on STN

ACCESSION NUMBER: 1997:720814 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 128:10499

ORIGINAL REFERENCE NO.: 128:1985a,1988a

TITLE: Glucagon-like peptide-1 inhibits gastric emptying via vagal afferent-mediated central mechanisms

AUTHOR(S): Imeryuz, Nese; Yegen, Berrak C.; Bozkurt, Ayhan; **Coskun, Tamer**; Villanueva-Penacarrillo, Maria L.; Ulusoy, Nefise B.

CORPORATE SOURCE: Dep. Gastroenterology, Sch. Med., Marmara Univ., Istanbul, 81326, Turk.

SOURCE: American Journal of Physiology (1997), 273(4, Pt. 1), G920-G927

CODEN: AJPHAP; ISSN: 0002-9513

PUBLISHER: American Physiological Society

DOCUMENT TYPE: Journal

LANGUAGE: English

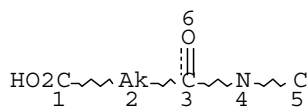
AB Exogenous administration of glucagon-like peptide-1-(7-36) amide (GLP-1), an insulinotropic hormone, inhibits gastric emptying and acid secretion in humans. The role of GLP-1 as a regulator of gastric function is elusive. In gastric fistula rats, vagal afferent denervation and peripheral administration of the GLP-1 receptor antagonist exendin-(9-39)amide enhanced emptying of a glucose meal, whereas intracerebroventricular exendin was ineffective. The rate of saline emptying was attenuated by peripheral as well as by central administration of GLP-1, and pretreatment with exendin by the resp. routes reversed the inhibition by GLP-1. Vagal afferent denervation abolished the central and peripheral action of GLP-1 on gastric emptying. Neither peripheral cholinergic nor adrenergic blockade altered the delay of Me cellulose meal emptying by intracisternal GLP-1 injection. Acid secretion in conscious pylorus-ligated rats was inhibited by intracisternal GLP-1 administration, whereas systemic GLP-1 was ineffective. These results support the notion that GLP-1 receptors participate in the central and peripheral regulation of gastric function. Furthermore, vagal afferent nerves mediate the inhibitory action of GLP-1 on gastric motor function GLP-1 may be a

candidate brain-gut peptide that acts as a physiol. modulator of gastric function.

OS.CITING REF COUNT:	185	THERE ARE 185 CAPLUS RECORDS THAT CITE THIS RECORD (185 CITINGS)
REFERENCE COUNT:	36	THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 STR



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 GGCAT IS HIC AT 2
 DEFAULT ECLEVEL IS LIMITED

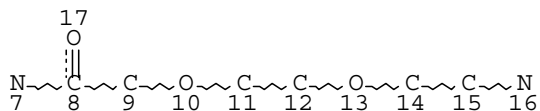
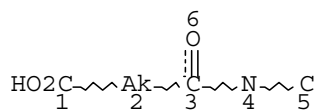
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 NUMBER OF NODES IS 6

STEREO ATTRIBUTES: NONE

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L7 STR



NODE ATTRIBUTES:

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 CONNECT IS X2 RC AT 16
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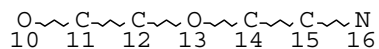
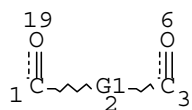
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L8 146 SEA FILE=REGISTRY SUB=L6 SSS FUL L7

L13 STR



REP G1=(3-3) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

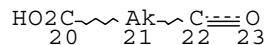
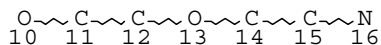
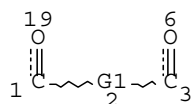
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L14 (11946)SEA FILE=REGISTRY SSS FUL L13

L15 STR



REP G1=(3-3) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS HIC AT 21

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M18 C AT 21

GRAPH ATTRIBUTES:

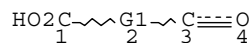
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L16 54 SEA FILE=REGISTRY SUB=L14 SSS FUL L15

L21 STR



REP G1=(18-18) CH2

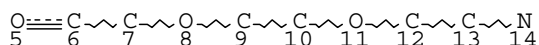
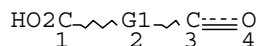
NODE ATTRIBUTES:

CONNECT IS M3 RC AT 3

DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE
 L22 (461)SEA FILE=REGISTRY SSS FUL L21
 L23 STR



REP G1=(18-18) CH2
 NODE ATTRIBUTES:
 CONNECT IS M3 RC AT 3
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
 L24 50 SEA FILE=REGISTRY SUB=L22 SSS FUL L23

FILE 'REGISTRY' ENTERED AT 15:22:01 ON 11 JUL 2016
 ACT R987SEQ/A

 L1 (244)SEA SPE=ON ABB=ON PLU=ON LDKIAQ/SQSP
 L2 (10909)SEA SPE=ON ABB=ON PLU=ON GTFTSDYS/SQSP
 L3 7 SEA SPE=ON ABB=ON PLU=ON L1 (L) L2

 D QUE STAT
 D L3 1-7

FILE 'HCAPLUS' ENTERED AT 15:22:38 ON 11 JUL 2016
 L4 2 SEA SPE=ON ABB=ON PLU=ON L3
 D 1-2

FILE 'REGISTRY' ENTERED AT 15:23:06 ON 11 JUL 2016
 ACT R987B/A

 L5 STR
 L6 (3011)SEA SSS FUL L5
 L7 STR
 L8 146 SEA SUB=L6 SSS FUL L7

 L9 0 SEA SPE=ON ABB=ON PLU=ON L8 NOT CAPLUS/LC
 D QUE STAT

FILE 'HCAPLUS' ENTERED AT 15:23:40 ON 11 JUL 2016

L10 44 SEA SPE=ON ABB=ON PLU=ON L8
 L11 44 SEA SPE=ON ABB=ON PLU=ON L10 NOT L4
 SEL HIT L11 1-44 RN
 D L11 1-44

FILE 'REGISTRY' ENTERED AT 15:24:54 ON 11 JUL 2016

L12 146 SEA SPE=ON ABB=ON PLU=ON (1169630-40-3/BI OR 1188328-38-2/BI OR 1217489-30-9/BI OR 1207716-05-9/BI OR 1448547-34-9/BI OR 1207716-01-5/BI OR 1207716-02-6/BI OR 1207716-06-0/BI OR 1207716-07-1/BI OR 1207716-08-2/BI OR 1237767-92-8/BI OR 1237767-93-9/BI OR 1237767-98-4/BI OR 1265919-89-8/BI OR 1319729-59-3/BI OR 1331887-34-3/BI OR 1335440-44-2/BI OR 1344672-53-2/BI OR 1356497-83-0/BI OR 1448547-35-0/BI OR 1207716-53-7/BI OR 1237767-96-2/BI OR 1319729-60-6/BI OR 1319729-66-2/BI OR 1331887-32-1/BI OR 1331887-33-2/BI OR 1332367-91-5/BI OR 1377616-46-0/BI OR 1395881-03-4/BI OR 1613023-84-9/BI OR 1702357-33-2/BI OR 1702357-37-6/BI OR 921761-50-4/BI OR 921761-63-9/BI OR 921761-82-2/BI OR 921761-83-3/BI OR 921761-84-4/BI OR 1041007-82-2/BI OR 1041007-86-6/BI OR 1041085-48-6/BI OR 1041085-54-4/BI OR 1041181-67-2/BI OR 1041181-68-3/BI OR 1041181-69-4/BI OR 1041181-74-1/BI OR 1041181-75-2/BI OR 1041358-80-8/BI OR 1041358-83-1/BI OR 1041358-86-4/BI OR 1041359-46-9/BI OR 1169630-54-9/BI OR 1195985-21-7/BI OR 1207716-25-3/BI OR 1207716-28-6/BI OR 1207716-29-7/BI OR 1207716-30-0/BI OR 1207716-31-1/BI OR 1207716-47-9/BI OR 1217489-32-1/BI OR 1217489-33-2/BI OR 1228015-19-7/BI OR 1228015-22-2/BI OR 1237767-94-0/BI OR 1240513-13-6/BI OR 1245813-82-4/BI OR 1300662-37-6/BI OR 1300662-38-7/BI OR 1319729-56-0/BI OR 1319729-58-2/BI OR 1319729-65-1/BI OR 1319729-67-3/BI OR 1319729-68-4/BI OR 1319729-73-1/BI OR 1319729-74-2/BI OR 1332367-92-6/BI OR 1344672-21-4/BI OR 1344672-22-5/BI OR 1344672-23-6/BI OR 1344672-24-7/BI OR 1344672-26-9/BI OR 1344672-27-0/BI OR 1344672-28-1/BI OR 1344672-29-2/BI OR 1344672-30-5/BI OR 1344672-31-6/BI OR 1344672-32-7/BI OR 1344672-33-8/BI OR 1344672-34-9/BI OR 1344672-35-0/BI OR 1344672-36-1/BI OR 1344672-37-2/BI OR 1344672-38-3/BI OR 1344672-39-4/BI OR 1344672-40-7/BI OR 1344
 ACT R987G/A

L13 STR
 L14 (11946)SEA SSS FUL L13
 L15 STR
 L16 54 SEA SUB=L14 SSS FUL L15

L17 1 SEA SPE=ON ABB=ON PLU=ON L16 NOT CAPLUS/LC
 L18 0 SEA SPE=ON ABB=ON PLU=ON L17 AND SR/FA NOT CA/SR
 D QUE STAT

FILE 'HCAPLUS' ENTERED AT 15:26:50 ON 11 JUL 2016

L19 28 SEA SPE=ON ABB=ON PLU=ON L16
 L20 3 SEA SPE=ON ABB=ON PLU=ON L19 NOT (L4 OR L11)
 D L20 1-3 IBIB ABS HITSTR

FILE 'REGISTRY' ENTERED AT 15:27:36 ON 11 JUL 2016

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      ACT R987F1/A
      -----
L21      STR
L22 (    461)SEA SSS FUL L21
L23      STR
L24      50 SEA SUB=L22 SSS FUL L23
      -----
L25      0 SEA SPE=ON  ABB=ON  PLU=ON  L24 NOT CAPLUS/LC
          D QUE STAT

      FILE 'HCAPLUS' ENTERED AT 15:28:03 ON 11 JUL 2016
L26      25 SEA SPE=ON  ABB=ON  PLU=ON  L24
L27      0 SEA SPE=ON  ABB=ON  PLU=ON  L26 NOT (L4 OR L11 OR L20)

      FILE 'REGISTRY' ENTERED AT 15:29:09 ON 11 JUL 2016
          E "GLUCAGON-LIKE PEPTIDE"/CN
L28      589 SEA SPE=ON  ABB=ON  PLU=ON  "GLUCAGON-LIKE PEPTIDE"?/CN

      FILE 'HCAPLUS' ENTERED AT 15:29:40 ON 11 JUL 2016
L29      17546 SEA SPE=ON  ABB=ON  PLU=ON  L28 OR GLUCAGON LIKE PEPTIDE
          OR GLP##

      FILE 'REGISTRY' ENTERED AT 15:30:04 ON 11 JUL 2016
L35      2995 SEA SPE=ON  ABB=ON  PLU=ON  ?"AMINOETHOXY)-ETHOXY-ACETYL"?/
          CNS
L36      1075 SEA SPE=ON  ABB=ON  PLU=ON  L35(S)?CARBOXY?/CNS
L37      165 SEA SPE=ON  ABB=ON  PLU=ON  L36(S)?GLUTAMIC?/CNS

      FILE 'HCAPLUS' ENTERED AT 15:34:51 ON 11 JUL 2016
L38      9 SEA SPE=ON  ABB=ON  PLU=ON  L29 AND (L37 OR (?AMINOETHOXY?
          OR ?AMINO ETHOXY?)(S)(GLU OR GLUTAMIC))
L40      9585 SEA SPE=ON  ABB=ON  PLU=ON  "GLUCAGON-LIKE PEPTIDE"+PFT,NT/
          CT
L41      4 SEA SPE=ON  ABB=ON  PLU=ON  L40 AND (L37 OR (?AMINOETHOXY?
          OR ?AMINO ETHOXY?)(S)(GLU OR GLUTAMIC))
L42      7 SEA SPE=ON  ABB=ON  PLU=ON  (L38 OR L41) NOT (L4 OR L11 OR
          L20)
          D QUE L38
          D QUE L41
          D L42 1-7

      FILE 'MEDLINE, BIOSIS, EMBASE, WPIX, JAPIO, PASCAL, DISSABS,
      PQSCITECH' ENTERED AT 15:39:05 ON 11 JUL 2016
L43      63329 SEA SPE=ON  ABB=ON  PLU=ON  L29
L44      11 SEA SPE=ON  ABB=ON  PLU=ON  L43 AND (L37 OR (?AMINOETHOXY?
          OR ?AMINO ETHOXY?)(S)(GLU OR GLUTAMIC))
L45      11 DUP REM L44 (0 DUPLICATES REMOVED)
          D L45 1-11 IBIB ABS

      FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, WPIX, JAPIO, PASCAL, DISSABS,
      PQSCITECH' ENTERED AT 15:42:42 ON 11 JUL 2016
L46      36 SEA SPE=ON  ABB=ON  PLU=ON  ("BOKVIST B"? OR "BENG
          B"?)/AU
L47      1534 SEA SPE=ON  ABB=ON  PLU=ON  "COSKUN T"?/AU
L48      1530 SEA SPE=ON  ABB=ON  PLU=ON  "CUMMINS R"?/AU
L49      32798 SEA SPE=ON  ABB=ON  PLU=ON  ("ALSINA-FERNANDEZ J"? OR

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                "FERNANDEZ-ALSINA J"? OR "ALSINA J"? OR "FERNANDEZ J"?)/AU
L50          0 SEA SPE=ON  ABB=ON  PLU=ON  L46 AND L47 AND L48 AND L49
L51          20 SEA SPE=ON  ABB=ON  PLU=ON  L46 AND ((L47 OR L48 OR L49))
L52          21 SEA SPE=ON  ABB=ON  PLU=ON  L47 AND (L48 OR L49)
L53          12 SEA SPE=ON  ABB=ON  PLU=ON  L48 AND L49
L54          74 SEA SPE=ON  ABB=ON  PLU=ON  ((L46 OR L47 OR L48 OR L49) OR
                (L51 OR L52 OR L53)) AND L29
L55          6 SEA SPE=ON  ABB=ON  PLU=ON  L54 AND (?GLUTAMIC? OR GLU)
L56          0 SEA SPE=ON  ABB=ON  PLU=ON  L54 AND (L37 OR 2(W) 2(W) (AMINO
                ETHOXY? OR AMINO ETHOXY?))
L57          10 SEA SPE=ON  ABB=ON  PLU=ON  ((L46 OR L47 OR L48 OR L49) OR
                (L51 OR L52 OR L53)) AND L40
L58          16 SEA SPE=ON  ABB=ON  PLU=ON  L55 OR L57
L59          15 DUP REM L58 (1 DUPLICATE REMOVED)
                D L59 1-15 IBIB ABS

```

FILE 'HOME' ENTERED AT 15:47:25 ON 11 JUL 2016

D QUE L8
D QUE L16
D QUE L24

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2015

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FILE LAST UPDATED: 7 JUL 2016 <20160707/UP>
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MOST RECENT PUBLICATION DATE: 25 OCT 2012 <20121025/PD>
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FILE LAST UPDATED: 22 DEC 2014 <20141222/UP>
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