



(43) International Publication Date
16 August 2012 (16.08.2012)

(10) International Publication Number
WO 2012/108960 A3

(51) International Patent Classification:

A61K 38/08 (2006.01) *A61K 38/38* (2006.01)
A61K 38/10 (2006.01) *A61K 47/48* (2006.01)
A61K 38/16 (2006.01) *A61P 37/00* (2006.01)

(21) International Application Number:

PCT/US2012/000079

(22) International Filing Date:

9 February 2012 (09.02.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/462,912 9 February 2011 (09.02.2011) US

(71) Applicant (*for all designated States except US*): **THE SCRIPPS RESEARCH INSTITUTE** [US/US]; 10550 North Torrey Pines Road, La Jolla, CA 92037 (US).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **JANDA, Kim, D.** [US/US]; 5787 La Jolla Corona Drive, La Jolla, CA 92037 (US). **MAYOROV, Alexander, V.** [RU/US]; 6070 California Circle, Apt. 313, Rockville, MD 20852 (US).

(74) Agents: **FITTING, Thomas** et al.; The Scripps Research Institute, 10550 North Torrey Pines Road, TPC-8, La Jolla, CA 92037 (US).

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM,

AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, 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.

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

Published:

- *with international search report (Art. 21(3))*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

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

22 November 2012

(54) Title: GHRELIN MIMETIC POLYPEPTIDE HAPTEN IMMUNOCONJUGATES HAVING IMPROVED SOLUBILITY AND IMMUNOGENICITY AND METHODS OF USE THEREOF

(57) Abstract: Immunoconjugates for impeding weight gain and treating obesity in a subject are disclosed. The immunoconjugates comprise a ghrelin mimetic polypeptide hapten, a spacer moiety comprising one of more polyethylene glycol (PEG) units, and a protein carrier moiety. Immunoconjugates optionally include a conjugation moiety for conjugating the polypeptide hapten with a linker moiety or the protein carrier moiety and a linker moiety for conjugating the conjugation moiety with the protein carrier moiety.



WO 2012/108960 A3

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2012/000079**A. CLASSIFICATION OF SUBJECT MATTER****A61K 38/08(2006.01)i, A61K 38/10(2006.01)i, A61K 38/16(2006.01)i, A61K 38/38(2006.01)i, A61K 47/48(2006.01)i, A61P 37/00(2006.01)i**

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

A61K 38/08; A61K 39/395; A61K 39/385; A61P 3/00; A61K 38/22

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

Korean utility models and applications for utility models

Japanese utility models and applications for utility models

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

eKOMPASS(KIPO internal), PubMed, Google

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 2010-0021487 A1 (ERIC P. ZORRILLA et al.) 28 January 2010 See abstract; paragraphs [0005], [0024], [0027]; SEQ ID NO: 2; claims.	1-14
A	US 2009-0291093 A1 (SERENGULAM V. GOVINDAN) 26 November 2009 See abstract; claims.	1-14
A	US 2006-0217296 A1 (JOHN-OLOV JANSSON) 28 September 2006 See abstract; claims.	1-14
A	US 2007-0031402 A1 (WEI ZHANG et al.) 08 February 2007 See abstract; claims.	1-14



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

26 SEPTEMBER 2012 (26.09.2012)

Date of mailing of the international search report

27 SEPTEMBER 2012 (27.09.2012)

Name and mailing address of the ISA/KR

Korean Intellectual Property Office
189 Cheongsu-ro, Seo-gu, Daejeon Metropolitan
City, 302-701, Republic of Korea

Facsimile No. 82-42-472-7140

Authorized officer

PARK, JEONG UNG

Telephone No. 82-42-481-8131



INTERNATIONAL SEARCH REPORT

International application No.

PCT/US2012/000079**Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)**

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 17-22
because they relate to subject matter not required to be searched by this Authority, namely:
Claims 17-22 pertain to methods for treatment of the human by therapy and thus relate to a subject matter which this International Searching Authority is not required, under Article 17(2)(a)(i) of the PCT and Rule 39.1(iv) of the Regulations under the PCT, to search.
2. ☒ Claims Nos.: 16
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
Claim 16 is unclear, since they refer to claims which are not searchable due to not being drafted in accordance with the third sentences of PCT Rule 6.4(a).
3. ☒ Claims Nos.: 15,17-22
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- ☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2012/000079

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2010-0021487 A1	28.01.2010	AU 2007-281131 A1	07.02.2008
		CA 2659264 A1	07.02.2008
		CN 101500602 A	05.08.2009
		CR 10644 A	16.04.2009
		EA 200970166 A1	30.06.2009
		EP 2046376 A2	15.04.2009
		EP 2046376 A4	27.01.2010
		IL 196720 D0	01.08.2011
		JP 2009-545618 A	24.12.2009
		JP 2009-545618 T	24.12.2009
		KR 10-2009-0041416 A	28.04.2009
		MA 30637 B1	03.08.2009
		MX 2009001133 A	11.02.2009
		NO 20090439 A	19.03.2009
		WO 2008-016976 A2	07.02.2008
		WO 2008-016976 A3	25.09.2008
		WO 2008-016976 A3	07.02.2008
		WO 2008-016976 A8	07.02.2008
		WO 2008-016976 A8	06.08.2009
		WO 2008-016976 B1	06.11.2008
US 2009-0291093 A1	26.11.2009	AU 1998-67610 B2	04.01.2001
		AU 2000-48296 A1	21.11.2000
		AU 2000-48296 B2	17.06.2004
		AU 2000-56005 A1	28.12.2000
		AU 2000-56005 B2	07.07.2005
		AU 2003-209447 A1	16.09.2003
		AU 2003-209447 B2	18.09.2008
		AU 2003-209447 B8	23.10.2008
		AU 2003-209447 B8	18.09.2008
		AU 2003-215732 A1	16.09.2003
		AU 2003-215732 B2	17.12.2009
		AU 2003-277087 A1	31.12.2003
		AU 2003-277087 B2	31.07.2008
		AU 2003-288467 A1	09.07.2004
		AU 2004-207494 A1	12.08.2004
		AU 2004-207494 B2	28.05.2009
		AU 2004-207494 C1	05.11.2009
		AU 2004-247270 A1	23.12.2004
		AU 2004-247270 B2	02.12.2010
		AU 2005-214361 A1	01.09.2005
		AU 2005-214361 B2	24.03.2011
		AU 2006-218454 A1	08.09.2006
		AU 2006-218454 B2	17.11.2011
		AU 2006-232310 A1	12.10.2006
		AU 2006-232310 B2	16.06.2011
		AU 2006-232310 B9	16.06.2011
		AU 2006-232920 A1	12.10.2006
		AU 2006-232920 B2	29.09.2011

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2012/000079

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		AU 2006-302848 A1	26.04.2007
		AU 2006-304418 A1	26.04.2007
		AU 2006-330051 A1	05.07.2007
		AU 2006-330051 B2	18.08.2011
		AU 2007-230822 A1	04.10.2007
		AU 2007-230822 A8	02.10.2008
		AU 2007-230822 A8	04.10.2007
		AU 2007-343600 A1	24.07.2008
		AU 2007-343610 A1	24.07.2008
		AU 2008-316741 A1	30.04.2009
		AU 2008-338917 A1	25.06.2009
		AU 2009-212436 A1	13.08.2009
		AU 2009-233925 A1	15.10.2009
		AU 2009-242641 A1	05.11.2009
		AU 2009-279503 A1	11.02.2010
		AU 2009-282830 A1	25.02.2010
		CA 2284829 A1	01.10.1998
		CA 2373618 A1	16.11.2000
		CA 2375912 A1	14.12.2000
		CA 2478012 A1	12.09.2003
		CA 2478012 C	19.06.2012
		CA 2478047 A1	12.09.2003
		CA 2489467 A1	24.12.2003
		CA 2508831 A1	01.07.2004
		CA 2510664 A1	12.08.2004
		CA 2510664 C	17.04.2012
		CA 2529496 A1	23.12.2004
		CA 2555661 A1	01.09.2005
		CA 2599734 A1	08.09.2006
		CA 2604032 A1	12.10.2006
		CA 2604034 A1	12.10.2006
		CA 2607056 A1	26.04.2007
		CA 2625992 A1	26.04.2007
		CA 2647130 A1	04.10.2007
		CA 2675014 A1	24.07.2008
		CA 2675202 A1	24.07.2008
		CA 2696160 A1	30.04.2009
		CA 2696260 A1	25.06.2009
		CA 2713933 A1	13.08.2009
		CA 2720748 A1	15.10.2009
		CA 2720935 A1	05.11.2009
		CA 2731438 A1	11.02.2010
		CA 2734265 A1	25.02.2010
		CA 2749501 A1	19.08.2010
		CA 2770351 A1	03.03.2011
		CA 2772572 A1	03.03.2011
		CA 2776563 A1	12.05.2011
		CN 100360567 C0	09.01.2008
		CN 100580856 C	13.01.2010
		CN 101171034 A0	30.04.2008

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2012/000079

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		CN 101264325 A	17.09.2008
		CN 101264325 C0	17.09.2008
		CN 101374546 A	25.02.2009
		CN 101484182 A	15.07.2009
		CN 101534849 A	16.09.2009
		CN 101534865 A	16.09.2009
		CN 101568352 A	28.10.2009
		CN 101583376 A	18.11.2009
		CN 101824090 A	08.09.2010
		CN 101951939 A	19.01.2011
		CN 102066974 A	18.05.2011
		CN 102119175 A	06.07.2011
		CN 102123739 A	13.07.2011
		CN 102137681 A	27.07.2011
		CN 102448494 A	09.05.2012
		CN 102481348 A	30.05.2012
		CN 1649902 C0	03.08.2005
		CN 1649903 A	03.08.2005
		CN 1649903 C0	09.01.2008
		CN 1675245 C0	28.09.2005
		CN 1764478 A	26.04.2006
		CN 1764478 C0	26.04.2006
		CN 1770373 A	10.05.2006
		CN 1770373 C0	10.05.2006
		EP 0864481 A2	16.09.1998
		EP 0864481 A3	23.08.2000
		EP 0864481 B1	29.10.2003
		EP 0969866 A1	12.01.2000
		EP 0969866 B1	15.06.2005
		EP 0969866 B2	18.02.2009
		EP 1178826 A1	13.02.2002
		EP 1194167 A1	10.04.2002
		EP 1194167 B1	19.08.2009
		EP 1354782 A2	22.10.2003
		EP 1354782 A3	28.06.2006
		EP 1354782 B1	26.09.2007
		EP 1459768 A2	22.09.2004
		EP 1459768 A3	15.10.2008
		EP 1483294 A2	08.12.2004
		EP 1483294 B1	11.08.2010
		EP 1483295 A2	08.12.2004
		EP 1483295 B1	10.12.2008
		EP 1543839 A1	22.06.2005
		EP 1572242 A1	14.09.2005
		EP 1594548 A1	16.11.2005
		EP 1594548 B1	09.03.2011
		EP 1644729 A2	12.04.2006
		EP 1720996 A1	15.11.2006
		EP 1720996 A4	21.03.2007
		EP 1853313 A2	14.11.2007

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2012/000079

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		EP 1874358 A2	09.01.2008
		EP 1874824 A2	09.01.2008
		EP 1937724 A2	02.07.2008
		EP 1937851 A2	02.07.2008
		EP 1959993 A2	27.08.2008
		EP 1994057 A2	26.11.2008
		EP 2117604 A2	18.11.2009
		EP 2121030 A2	25.11.2009
		EP 2197468 A1	23.06.2010
		EP 2200657 A1	30.06.2010
		EP 2271359 A2	12.01.2011
		EP 2271364 A1	12.01.2011
		EP 2287201 A2	23.02.2011
		EP 2287201 A3	04.04.2012
		EP 2289551 A1	02.03.2011
		EP 2291678 A2	09.03.2011
		EP 2301968 A2	30.03.2011
		EP 2301968 A3	29.06.2011
		EP 2308898 A1	13.04.2011
		EP 2326346 A1	01.06.2011
		EP 2331134 A2	15.06.2011
		EP 2332576 A1	15.06.2011
		EP 2416807 A1	15.02.2012
		EP 2473186 A1	11.07.2012
		EP 2473187 A1	11.07.2012
		JP 03-988200 B2	10.10.2007
		JP 04-286483 B2	03.04.2009
		JP 04-354280 B2	07.08.2009
		JP 04-373387 B2	11.09.2009
		JP 04-472351 B2	12.03.2010
		JP 04-584363 B2	10.09.2010
		JP 04-805137 B2	19.08.2011
		JP 04-955652 B2	23.03.2012
		JP 04-959136 B2	30.03.2012
		JP 05-011277 B2	08.06.2012
		JP 10-250601 A	22.09.1998
		JP 2001-518930 A	16.10.2001
		JP 2002-544173 A	24.12.2002
		JP 2003-501401 A	14.01.2003
		JP 2006-134874 A	25.05.2006
		JP 2006-502698 A	26.01.2006
		JP 2006-502699 A	26.01.2006
		JP 2006-511526 A	06.04.2006
		JP 2006-513695 A	27.04.2006
		JP 2006-515892 A	08.06.2006
		JP 2007-523857 A	23.08.2007
		JP 2007-524686 A	30.08.2007
		JP 2008-532949 A	21.08.2008
		JP 2008-538747 A	06.11.2008
		JP 2008-542194 A	27.11.2008

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2012/000079

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		JP 2009-514813 A	09.04.2009
		JP 2009-517337 A	30.04.2009
		JP 2009-519931 A	21.05.2009
		JP 2009-531325 A	03.09.2009
		JP 2010-031032 A	12.02.2010
		JP 2010-088439 A	22.04.2010
		JP 2010-227099 A	14.10.2010
		JP 2010-515732 A	13.05.2010
		JP 2010-516675 A	20.05.2010
		JP 2011-012083 A	20.01.2011
		JP 2011-500842 A	06.01.2011
		JP 2011-507863 A	10.03.2011
		JP 2011-517684 A	16.06.2011
		JP 2011-523697 A	18.08.2011
		JP 2011-530536 A	22.12.2011
		JP 2012-500286 A	05.01.2012
		JP 4373387 B2	25.11.2009
		JP 4805137 B2	02.11.2011
		KR 10-0647630 B1	23.11.2006
		KR 10-1002443 B1	17.12.2010
		KR 10-1017732 B1	28.02.2011
		KR 10-1053739 B1	02.08.2011
		KR 10-1143035 B1	08.05.2012
		KR 10-2002-0020730 A	15.03.2002
		KR 10-2008-0055932 A	19.06.2008
		KR 10-2008-0097995 A	06.11.2008
		KR 10-2010-0085932 A	29.07.2010
		KR 10-2010-0132484 A	17.12.2010
		US 06134982A A	24.10.2000
		US 6183744 B1	06.02.2001
		US 6306393 B1	23.10.2001
		US 7074403 B1	11.07.2006
		US 7238785 B2	03.07.2007
		US 7282567 B2	16.10.2007
		US 7312318 B2	25.12.2007
		US 7332863 B2	19.02.2008
		US 7517964 B2	14.04.2009
		US 7521056 B2	21.04.2009
		US 7527787 B2	05.05.2009
		US 7534866 B2	19.05.2009
		US 7544487 B2	09.06.2009
		US 7550143 B2	23.06.2009
		US 7563433 B2	21.07.2009
		US 7591994 B2	22.09.2009
		US 7597876 B2	06.10.2009
		US 7612180 B2	03.11.2009
		US 7641901 B2	05.01.2010
		US 7666400 B2	23.02.2010
US 2006-0217296 A1	28.09.2006	AT 324904 T	15.06.2006

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2012/000079

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		AU 2003-299710 A1	04.05.2004
		CN 1723035 A	18.01.2006
		DE 60305055 D1	08.06.2006
		DE 60305055 T2	07.12.2006
		EP 1407779 A1	14.04.2004
		EP 1553969 A1	20.07.2005
		EP 1553969 B1	03.05.2006
		JP 04-177224 B2	05.11.2008
		JP 2004-277402 A	07.10.2004
		KR 10-2005-0057650 A	16.06.2005
		US 7592305 B2	22.09.2009
		WO 2004-032952 A1	22.04.2004
US 2007-0031402 A1	08.02.2007	AU 2006-278573 A1	15.02.2007
		BR P10614100 A2	09.03.2011
		CA 2615122 A1	15.02.2007
		EA 014513 B1	30.12.2010
		EA 200800233 A1	29.08.2008
		EP 1917030 A2	07.05.2008
		EP 1917030 A4	09.03.2011
		IL 188733 D0	07.08.2008
		JP 2009-503105 A	29.01.2009
		JP 2009-503105 T	29.01.2009
		KR 20080033324 A	16.04.2008
		MX 2008001492 A	04.04.2008
		NO 20080612 A	30.04.2008
		WO 2007-019232 A2	15.02.2007
		WO 2007-019232 A3	28.06.2007