



(43) International Publication Date
4 April 2013 (04.04.2013)

(10) International Publication Number
WO 2013/046233 A3

(51) International Patent Classification:

C07K 14/655 (2006.01)

(21) International Application Number:

PCT/IN20 12/000652

(22) International Filing Date:

28 September 2012 (28.09.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

3397/CHE/201 1 30 September 2011 (30.09.2011) IN

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

AO, AT, AU, AZ, BA, BB, BG, BH, 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.

(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, 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).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(H))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(in))
- of inventorship (Rule 4.17(iv))

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:

13 June 2013

(54) Title: PROCESS FOR THE PREPARATION OF OCTREOTIDE ACETATE

(57) Abstract: The present invention relates to an improved process for large scale production of Octreotide acetate. The invention also relates to pharmaceutical composition of octreotide acetate.



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INTERNATIONAL SEARCH REPORT

International application No

PCT/IN2012/000652

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07K14/655

ADD.

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07K

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

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

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

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	ARANO Y ET AL: "Conventional and high yield syntheses of DTPA-conjugated peptides: Application of a monoreactive DTPA to DTPA-D-Phe-octreotide syntheses", BIOCONJUGATE CHEMISTRY, ACS, WASHINGTON, DC, US, vol . 8, 1 January 1997 (1997-01-01) , pages 442-446, XP002149489 , ISSN: 1043-1802 , DOI : 10. 1021/BC970023B pages 443-445 ----- -/- .	1-9



Further documents are listed in the continuation of Box C.



See patent family annex.

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"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

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Date of the actual completion of the international search

26 March 2013

Date of mailing of the international search report

17/04/2013

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INTERNATIONAL SEARCH REPORT

International application No
PCT/IN2012/000652

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	YIM CHENG-BIN ET AL: "Versatile conjugation of octreotide to dendrimers by cycloaddition (click) chemistry to yield high-affinity multivalent cyclic Peptide dendrimers" , BIOCONJUGATE CHEMISTRY, ACS, WASHINGTON, DC, US, vol . 20, no. 7, 1 July 2009 (2009-07-01) , pages 1323-1331 , XP009134474, ISSN: 1043-1802 , DOI: 10. 1021/BC900052N page 1326 -----	1-9
X	wo 2010/089757 A2 (USV LTD [IN] ; SAKSENA DIVYA LAL [IN] ; PAWAR DIGAMBER SHRI PATI [IN] ; MO) 12 August 2010 (2010-08-12) cited in the application pages 6,7 ; examples 1-8 -----	1-9
X	wo 2005/087794 A1 (DALTON CHEMICAL LAB INC [CA] ; IAROV ALEXEI [CA] ; AVRUTOV ILYA [CA] ; LA) 22 September 2005 (2005-09-22) cited in the application examples 1-7 -----	1-9

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/IN2012/000652

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2010089757 A2	12-08-2010	US 2012035117 A1 WO 2010089757 A2	09-02-2012 12-08-2010

WO 2005087794 A1	22-09-2005	CA 2458084 A1 WO 2005087794 A1	12-09-2005 22-09-2005
