



(51) International Patent Classification:

C07D 489/04 (2006.01) A61K 31/485 (2006.01)  
C07D 491/22 (2006.01) A61K 31/55 (2006.01)  
C07D 295/32 (2006.01) A61P 23/00 (2006.01)  
A61K 31/4745 (2006.01)

(21) International Application Number:

PCT/IN2012/000590

(22) International Filing Date:

6 September 2012 (06.09.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

2647/MUM/2011 19 September 2011 (19.09.2011) IN

(71) Applicant (for all designated States except US): SUN PHARMA ADVANCED RESEARCH COMPANY LTD. [IN/IN]; 17/b, Mahal Industrial Estate, Mahakali Caves Road, Andheri (e), Mumbai 400093 (IN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): PATEL, Jiten Ranchhodbhai [IN/IN]; Sun Pharma Advanced Research Company Ltd, Nima Compound, Near Pratham Enclave, Tandalja Road, Baroda 390020 (IN). PATEL, Gopalkumar Chimanlal [IN/IN]; Sun Pharma Advanced Research Company Ltd, Nima Compound, Near Pratham Enclave, Tandalja Road, Baroda 390020 (IN). SHETH, Gaurav Sanjivkumar [IN/IN]; Sun Pharma Advanced Research Company Ltd, Nima Compound, Near Pratham Enclave, Tandalja Road, Baroda 390020 (IN). MANDHANE, Sanjay Nandlalji [IN/IN]; Sun Pharma Advanced Research Company Ltd, Nima Compound, Near Pratham Enclave, Tandalja Road, Baroda 390020 (IN). CHITTURI,

Trinadha Rao [IN/IN]; Sun Pharma Advanced Research Company Ltd, Nima Compound, Near Pratham Enclave, Tandalja Road, Baroda 390020 (IN). THENNATI, Rajamannar [IN/IN]; Sun Pharma Advanced Research Company Ltd, Nima Compound, Near Pratham Enclave, Tandalja Road, Baroda 390020 (IN).

(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, 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.

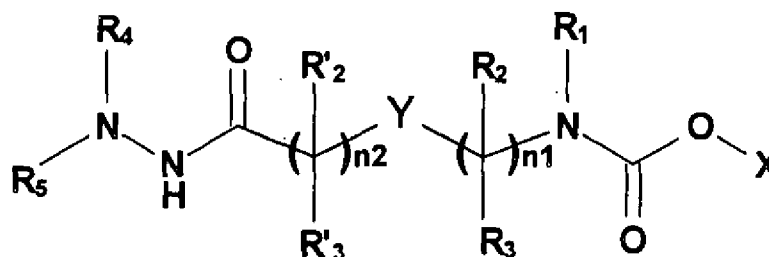
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, 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(ii))
- of inventorship (Rule 4.17(iv))

[Continued on next page]

(54) Title: NOVEL PRODRUGS OF PHENOLIC DRUGS



formula (I)

(57) Abstract: The present invention relates to novel hydrazide group containing carbamate prodrugs of phenolic drugs of formula (I) or pharmaceutically acceptable salt thereof, and process for the preparation thereof.



**Published:**

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

8 August 2013

- *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))*

# INTERNATIONAL SEARCH REPORT

International application No PCT/IN2012/000590
---

**A. CLASSIFICATION OF SUBJECT MATTER**  
 INV. C07D489/04 C07D491/22 C07D295/32 A61K31/4745 A61K31/485  
 A61K31/55 A61P23/00

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)  
 C07D A61K A61P

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, WPI Data, CHEM ABS Data

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2010/112942 A1 (SHIRE) 7 October 2010 (2010-10-07) cited in the application pages 57-64; claim 1; examples 6,14,15 -----	1-16,35
A	US 4 604 463 A (T. MIYASAKA, ET AL.) 5 August 1986 (1986-08-05) cited in the application claim 1; examples -----	1-10, 17-22,35
A	US 2009/005352 A1 (F. XU, ET AL.) 1 January 2009 (2009-01-01) paragraphs [0011] - [0020]; examples 24-26 ----- -/--	1-10, 23-28,35



Further documents are listed in the continuation of Box C.



See patent family annex.

\* Special categories of cited documents :

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

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

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

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

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

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

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

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

"&" document member of the same patent family

Date of the actual completion of the international search

21 June 2013

Date of mailing of the international search report

27/06/2013

Name and mailing address of the ISA/

European Patent Office, P.B. 5818 Patentlaan 2  
 NL - 2280 HV Rijswijk  
 Tel. (+31-70) 340-2040,  
 Fax: (+31-70) 340-3016

Authorized officer

English, Russell

## INTERNATIONAL SEARCH REPORT

International application No  
PCT/IN2012/000590

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>W. ELGER, ET AL.: "Sulfamates of various estrogens are prodrugs with increased systemic and reduced hepatic estrogenicity at oral application", JOURNAL OF STEROID BIOCHEMISTRY AND MOLECULAR BIOLOGY., vol. 55, no. 3-4, December 1995 (1995-12), pages 395-403, XP005348960, Elsevier Science, Oxford, GB ISSN: 0960-0760, DOI: 10.1016/0960-0760(95)00214-6 cited in the application table 1</p>	1-10, 29-35
X	<p>----- M. SABURI, ET AL.: "Stereochemical studies of metal chelates. VII. The preparation, stereochemistry and circular dichroism of dinitrocobalt(III) complexes with (3S, 8S)-dimethyltriethylenetetramine and related tetramines", BULLETIN OF THE CHEMICAL SOCIETY OF JAPAN, vol. 45, no. 4, 1972, pages 1086-1093, XP055067195, ISSN: 0009-2673, DOI: 10.1246/bcsj.45.1086 page 1088, left-hand column, lines 23-24</p>	1,2,5
X	<p>----- J. YOON, ET AL.: "Solution and soluble polymer synthesis of 3-aminoimidazoline-2,4-diones", CHEMICAL COMMUNICATIONS, no. 24, December 1998 (1998-12), pages 2703-2704, XP002162268, Royal Society of Chemistry, Cambridge, GB ISSN: 0022-4936, DOI: 10.1039/a807067i intermediates of step iv in the preparation of compounds 9 from cpds 8; table 1</p> <p>-----</p>	1,2,5

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No PCT/IN2012/000590
---

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2010112942 A1	07-10-2010	AU 2010231126 A1	13-10-2011
		CA 2756397 A1	07-10-2010
		CN 102573845 A	11-07-2012
		EP 2413937 A1	08-02-2012
		KR 20110134510 A	14-12-2011
		US 2010286186 A1	11-11-2010
		WO 2010112942 A1	07-10-2010
-----			
US 4604463 A	05-08-1986	CA 1235415 A1	19-04-1988
		DE 137145 T1	21-11-1985
		DE 3470744 D1	01-06-1988
		EP 0137145 A1	17-04-1985
		JP H034077 B2	22-01-1991
		JP S6019790 A	31-01-1985
		LU 90074 I2	21-08-1997
		NL 980026 I1	02-11-1998
		US 4604463 A	05-08-1986
-----			
US 2009005352 A1	01-01-2009	US 2006041011 A1	23-02-2006
		US 2009005352 A1	01-01-2009
		WO 2006017351 A1	16-02-2006
-----			