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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 June 2009 (18.06.2009)

PCT

(10) International Publication Number
WO 2009/074286 A3

(51) International Patent Classification:
A61K 9/20 (2006.01) *A61K 31/397* (2006.01)

(21) International Application Number:
PCT/EP2008/010431

(22) International Filing Date:
9 December 2008 (09.12.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2579/DEL/2007 10 December 2007 (10.12.2007) IN

(71) Applicant *(for all designated States except US)*: **RATIOPHARM GMBH** [DE/DE]; Graf-Arco-Strasse 3, 89079 Ulm (DE).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **GAT, Ganesh** [IN/IN]; 61/5 United Western CHS, Godavari Bungalow, Karvenagar, Pune 411 052 (IN). **GIRIDHARA RAO, Pasupuleti** [IN/IN]; S/o P. Somasekhara rao, 27/1/233, Balaji nagar, Nellore 524 002 (IN). **HUSSAIN, Javed** [IN/IN]; Hussain Villa, Plot No. 8, N-8, Sector C-3, CIDCO, Azad Chowk, Aurangabad 431 003, Maharashtra (IN).

(74) Agent: **KALHAMMER, Georg**; Lederer & Keller, Prinzregentenstrasse 16, 80538 Munich (DE).

(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, 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.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (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), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

(88) Date of publication of the international search report:
30 July 2009

WO 2009/074286 A3

(54) Title: PHARMACEUTICAL FORMULATION COMPRISING EZETIMIBE

(57) Abstract: The present invention relates to novel formulations comprising ezetimibe as active ingredient. In particular the invention relates to a pharmaceutical composition comprising 5 to 20 wt-% ezetimibe, 50 to 85 wt-% diluent, 3 to 25 wt-% disintegrant, 1 to 10 wt-% binder, and 0.5 to 1 wt-% lubricant, characterized in that the ezetimibe has a particle size distribution of d(0.9) of 5 μm to 35 μm and d(0.5) of 3 μm to 20 μm, as well as methods for preparing said formulations.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2008/010431

A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K9/20 A61K31/397

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

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

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, CHEM ABS Data, EMBASE, FSTA, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,Y	US 2007/275052 A1 (MAHAJAN ABHAY [IN] ET AL) 29 November 2007 (2007-11-29) page 2, paragraphs 18,22,23 claims 1-20 examples 1,2	1-30
X	WO 2007/103453 A (TEVA PHARMA [IL]; TEVA PHARMA [US]; ILAN ZALIT [IL]; BOAZ PAL [IL]) 13 September 2007 (2007-09-13) Examples claims 1-52	21, 23-25,29
Y	WO 95/08532 A (SCHERING CORP [US]; ROSENBLUM STUART B [US]; DUGAR SUNDEEP [US]; BURNE) 30 March 1995 (1995-03-30) cited in the application examples A,B page 32; example 6	1-30

-/--

[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

* Special categories of cited documents :

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

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

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

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

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

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

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

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

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
2 June 2009	10/06/2009

Name and mailing address of the ISA/	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Schüle, Stefanie

Form PCT/ISA/210 (second sheet) (April 2005)

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	"ZETIA (EZETIMIBE) TABLETS" INTERNET CITATION, [Online] XP002392710 Retrieved from the Internet: URL:http://www.fda.gov/cder/foi/label/2002/21445lbl.pdf> [retrieved on 2006-07-28] the whole document	1-30
A	CHAUMEIL J C: "MICRONIZATION: A METHOD OF IMPROVING THE BIOAVAILABILITY OF POORLY SOLUBLE DRUGS" METHODS AND FINDINGS IN EXPERIMENTAL AND CLINICAL PHARMACOLOGY, PROUS, BARCELONA, ES, vol. 20, no. 3, 1 April 1998 (1998-04-01), pages 211-215, XP009048140 ISSN: 0379-0355 the whole document	1-30

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2008/010431

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2007275052 A1	29-11-2007	NONE	
WO 2007103453 A	13-09-2007	CA 2644905 A1	13-09-2007
		CN 101394837 A	25-03-2009
		EP 1849459 A1	31-10-2007
		KR 20080096851 A	03-11-2008
		US 2007275075 A1	29-11-2007
WO 9508532 A	30-03-1995	AT 180249 T	15-06-1999
		AU 681445 B2	28-08-1997
		AU 7795294 A	10-04-1995
		CA 2172149 C	28-11-2000
		CN 1131416 A	18-09-1996
		CZ 9600839 A3	14-08-1996
		DE 10399001 I1	12-06-2003
		DE 69418613 D1	24-06-1999
		DE 69418613 T2	30-09-1999
		DE 122004000026 I1	18-11-2004
		DK 720599 T3	08-11-1999
		EP 0720599 A1	10-07-1996
		ES 2132432 T3	16-08-1999
		FI 961300 A	21-03-1996
		GR 3030312 T3	30-09-1999
		HU 73852 A2	30-09-1996
		IL 110956 A	11-01-2001
		JP 2803908 B2	24-09-1998
		JP 8509989 T	22-10-1996
		KR 186853 B1	01-05-1999
		LU 91050 A9	12-10-2004
		LU 91160 A9	25-11-2005
		MA 23332 A1	01-04-1995
		NL 300132 I1	01-10-2003
		NL 300172 I1	01-04-2005
		NO 961133 A	20-03-1996
		NO 2005003 I1	28-02-2005
		NZ 274041 A	19-12-1997
		PL 313589 A1	08-07-1996
		RU 2138480 C1	27-09-1999
		SG 46208 A1	20-02-1998
		SK 35596 A3	05-02-1997
		TW 427974 B	01-04-2001
		US 5767115 A	16-06-1998