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- (71) **Applicant:** GRANULES INDIA LIMITED [IN/IN]; 2nd Floor, 3rd Block, My Home Hub, Madhapur, Telangana, Hyderabad 500081 (IN).
- (72) **Inventors; and**
(71) **Applicants (for US only):** VETUKURI VNKV, Prasada Raju [IN/IN]; 2nd Floor, 3rd Block, My Home Hub, Madhapur, Telangana., Hyderabad 500081 (IN). GILLA, Goverdhan [IN/IN]; 2nd Floor, 3rd Block, My Home Hub, Madhapur, Telangana., Hyderabad 500081 (IN). BOINI, Ambaiah [IN/IN]; 2nd Floor, 3rd Block, My Home Hub, Madhapur, Telangana., Hyderabad 500081 (IN). CHIG-URUPATI, Krishna Prasad [IN/IN]; 2nd Floor, 3rd Block, My Home Hub, Madhapur, Telangana., Hyderabad 500081 (IN).
- (74) **Agent:** SURAPUREDDY, Padmaja; iProPAT Intellectual Property Solutions, 2nd Floor, Above Apollo Clinic,
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(54) **Title:** AN IMPROVED PROCESS FOR THE PREPARATION OF RIFAMYCIN DERIVATIVES

(57) **Abstract:** The present invention relates to an improved and industrially advantageous process for the preparation of Rifaximin with high purity and yield. Particularly, the present invention relates to improved processes for the preparation of Rifaximin from Rifamycin O and S. More particularly the present invention relates to a process for the preparation of Rifaximin through 3-halorifamycin S. The present invention further relates to a novel polymorph of Rifaximin and process for its preparation.

INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER
C07D498/12, A61K31/395 Version=2015.01

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

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)

IPO INTERNAL

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO2011156897 A2 [Apotex Pharmachem Inc.] 22 DECEMBER 2011 [22-12-2011] Description [Page 3 line 25-31 ,Page 6 line 25-31,Page 7 line 1-8],Claims [1-9,11-16,18-26] Figure [1-4].	1-26
Y	US8158781 B2 [Alfa Wassermann, S.P.A.] 17 APRIL 2012 [17-04-2012] Abstract Claims [1-8] Examples [1-3].	15-26
Y	US8193196 B2 [Alfa Wassermann, S.P.A.] 5 JUNE 2012 [05-06-2012] Abstract Claims [17-23]Examples [1-3].	15-26
Y	US7045620 B2 [Alfa Wassermann, S.P.A.] 16 MAY 2006 [16-05-2006] Abstract Description Claims [1-5,6-20] Examples [1-10].	1-26

Further documents are listed in the continuation of Box C. See patent family annex.

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"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	

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Information on patent family members

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Citation	Pub.Date	Family	Pub.Date
WO 2011156897 A2	29-11-2012	CA 2802874 A1	22-12-2011
		EP 2582707 A2	24-04-2013
		US 20130090348 A1	11-04-2013
		US 8883795 B2	11-11-2014
		WO 2011156897 A2	22-12-2011
		US 8158781 B2	17-04-2012
US 20090234114 A1	17-09-2009		
US 20110160448 A1	30-06-2011		
US 20120203000 A1	09-08-2012		
US 20130281697 A1	24-10-2013		
US 7612199 B2	03-11-2009		
US 8193196 B2	05-06-2012	US 7902206 B2	08-03-2011
		CA 2594789 A1	14-09-2006
		CA 2594789 C	14-09-2010
		CN 900077 A	24-01-2007
		EP 1698630 A1	06-09-2006
		US 8518949 B2	27-08-2013
US 7045620 B2	16-05-2006	CA 2460384 A1	07-05-2007
		WO 2005044823 A2	19-05-2005
		US 20050101598 A1	12-05-2005
		EP 1676847 A1	05-07-2006
		EP 1682556 A2	26-07-2006
		US 20080132530 A1	05-06-2008