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(54) Title: ANTI-HIV TABLET FORMULATIONS COMPRISING DARUNAVIR

(57) Abstract: An anti-HIV tablet formulation comprising a core containing 0.1 to 1.5% by weight (w/w) of colloidal silicon dioxide and 0.4 to 0.9% by weight (w/w) of a lubricant, the balance of the core comprising darunavir, a disintegrant and a filler comprising a spray-dried mixture of microcrystalline cellulose and colloidal silicon dioxide, the core being optionally coated with a film coating.

INTERNATIONAL SEARCH REPORT

International application No
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A. CLASSIFICATION OF SUBJECT MATTER
 INV. A61K9/20 A61K9/36 A61K31/34 A61P31/18

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, EMBASE, BIOSIS, 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.
X	TIBOTEC: "PREZISTA APPROVAL DARUNAVIR TABLETS" 1 June 2006 (2006-06-01), TIBOTEC , XP002458799 Retrieved from the Internet: URL: http://www.aidsinfo.nih.gov/ContentFiles/FDA-6_26_06.pdf page 2	1-28
X	EMEA: "Scientific Discussion PREZISTA"[Online] 2006, pages 1/56-56/56, XP002511893 Retrieved from the Internet: URL: http://www.emea.europa.eu/humandocs/PDFs/EPAR/prezista/0770707en6.pdf [retrieved on 2009-01-26] page 2, paragraph 1 page 3, paragraph 3 - page 4, paragraph 4 ----- -/--	1-28

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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 S. von Eggelkraut-G.

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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.H. LIST, L. HÖRHAMMER: "Hagers Handbuch der Pharmazeutischen Praxis" 1977, SPRINGER, BERLIN HEIDELBERG NEW YORK, XP002511901 Siebenter Band, Teil B: Hilfsstoffe page 259 - page 260 page 268	1-28
A	----- WO 2007/054085 A (LJUBICIC MIJO [DE]; IVKOVIC SLAVKO [HR]; LELAS TIHOMIR [HR]) 18 May 2007 (2007-05-18) claims 1,5,6	1-28
A	----- WO 2006/108879 A (TIBOTEC PHARM LTD [IE]; VAN T KLOOSTER GERBEN ALBERT E [NL]; WIGERINCK) 19 October 2006 (2006-10-19) paragraph [0079] -----	1-28

INTERNATIONAL SEARCH REPORT

Information on patent family members

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 2007054085	A	18-05-2007	NONE	
WO 2006108879	A	19-10-2006	AU 2006234335 A1	19-10-2006
			CA 2604799 A1	19-10-2006
			JP 2008535896 T	04-09-2008
			US 2008287488 A1	20-11-2008