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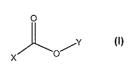
1 February 2005 (01.02.2005) US

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[Continued on next page]

(54) Title: THERAPEUTIC ESTERS



(57) Abstract: A compound comprising Formula (I) or a pharmaceutically acceptable salt or a prodrug thereof; wherein X and Y are described herein.



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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

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A. CLASSIF	FICATION OF SUBJECT MATTER C07D409/12 A61K31/381 A61P27/06	5	
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According to	International Patent Classification (IPC) or to both national classification	on and IPC	
B. FIELDS	SEARCHED		
Minimum do CO7D	cumentation searched (classification system followed by classification	n symbols)	
Documentat	ion searched other than minimum documentation to the extent that su	ch documents are included in the fields se	arched
Electronic d	ata base consulted during the international search (name of data base	e and, where practical, search terms used)	
EPO-In	ternal, CHEM ABS Data, PAJ, WPI Data		
C. DOCUM	ENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the rele	vant passages	Relevant to claim No.
X	A. ZAMYATINA ET AL.: "Synthesis purity assessment of tetra- and partial and pa		1-3, 9-18,20, 21,36
		V a	
	ther documents are listed in the continuation of Box C.	X See patent family annex.	
"A" docum consi "E" earlier filling "L" docum which citatic "O" docum other "P" docum later	nent defining the general state of the art which is not dered to be of particular relevance document but published on or after the international date ent which may throw doubts on priority claim(s) or a is cited to establish the publication date of another on or other special reason (as specified) nent referring to an oral disclosure, use, exhibition or means ent published prior to the international filing date but than the priority date claimed	 "T" later document published after the inte or priority date and not in conflict with cited to understand the principle or the invention "X" document of particular relevance; the cannot be considered novel or cannot involve an inventive step when the de "Y" document of particular relevance; the cannot be considered to involve an indocument is combined with one or ments, such combination being obvious in the art. "&" document member of the same patent 	the application but every underlying the slaimed invention to considered to curnent is taken alone slaimed invention ventive step when the one other such docuus to a person skilled family
Date of the	e actual completion of the international search	Date of mailing of the international sea	arch report
	11 July 2006	03/08/2006	
Name and	mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,	Authorized officer Hoepfner, W	

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		PCT/US2006/003363
C(Continua	ition). DOCUMENTS CONSIDERED TO BE RELEVANT	
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	C. BOGA ET AL.: "Fluorescein conjugates of 9- and 10-hydroxystearic acids: synthetic strategies, photophysical characterization, and confocal microscopy applications" ANALYTICAL BIOCHEMISTRY., vol. 335, 2004, pages 196-209, XP002389439 US; ACADEMIC PRESS INC. NEW YORK. abstract page 202, compds. no. 7, 8	1-3, 9-18,20, 21,36
X	DE 11 36 326 B (LABORATORIUM ROTENBURG) 13 September 1962 (1962-09-13) column 1, lines 5,6 column 7, example 2, paragraph "Procainsalz"	1-3, 9-18,20, 21,36
Ρ,Χ	DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 2005, XP002389445 retrieved from STN Database accession no. 2005:1073960 abstract & JP 2005 272413 A (ICHIMARU PHARCOS CO LTD) 6 October 2005 (2005-10-06)	1-3, 9-18,20, 21,36
X	DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 2004, XP002389446 retrieved from STN Database accession no. 2005:306624 abstract & L. LIU ET AL.: "Primary studies on synthesis of Uperzine A linoleic acid ester and its characteristics" ZHONGCAOYAO - CHINESE TRADITIONAL AND HERBAL DRUGS, vol. 35, no. 3, 2004, pages 253-255, CN; ZHONGEAOYA ZAZHI BIANJIBU	1-3, 9-18,20, 21,36
X	DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1966, XP002389447 retrieved from STN Database accession no. 1966:35271 abstract -/	1-3, 9-18,20, 21,36

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		PCT/US2006/003363
C(Continual	ion). DOCUMENTS CONSIDERED TO BE RELEVANT	
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	& D. CHAPMAN ET AL.: "The physical properties of phospholipids. I. SOlid state and mesomorphic properties of some 2,3-diacyl-DL-phosphatidylethanolamines" PROCEEDINGS OF THE ROYAL SOCIETY OF LONDON. SERIES A, MATHEMATICAL AND PHYSICAL SCIENCES., vol. 290, no. 1420, 1966, pages 115-142, GB; ROYAL SOCIETY OF LONDON, LONDON.	
	DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1955, XP002389448 retrieved from STN Database accession no. 1955:84618 abstract & H. MASAMUNE ET AL.: "Synthesis of artificial group A and B substances" TOHOKU JOURNAL OF EXPERIMENTAL MEDICINE., no. 61, 1955, pages 283-301, JP; TOHOKU UNIVERSITY MEDICAL PRESS.	1-3, 9-18,20, 21,36
(DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1946, XP002389449 retrieved from STN Database accession no. 1947:11301 abstract & E GONICK ET AL.: "Association in solutions of colloidal electrolytes; hexanolamine elaidate and	1-3, 9-18,20, 21,36
,	trihydroxystearate" RECUEIL DES TRAVAUX CHIMIQUES DE PAYS-BAS ET DE LA BELGIQUE., no. 65, 1946, pages 601-605, NL US 2002/198249 A1 (BURK ROBERT M) 26 December 2002 (2002-12-26) examples page 1, paragraph 3	1-33, 35-37
,	US 6 051 576 A (ASHTON ET AL) 18 April 2000 (2000-04-18) cited in the application column 6, line 32 examples 14,24	1-33, 35-37

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INTERNATIONAL SEARCH REPORT

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)
This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. χ Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
Although claim 25 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
This International Searching Authority found multiple inventions in this international application, as follows:
1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest The additional search fees were accompanied by the applicant's protest.
No protest accompanied the payment of additional search fees.

Information on patent family members

International application No PCT/US2006/003363

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
DE 1136326	В	13-09-1962	NONE		
JP 2005272413	A	06-10-2005	NONE		
US 2002198249	A1	26-12-2002	NONE		
US 6051576	Α	18-04-2000	NONE		