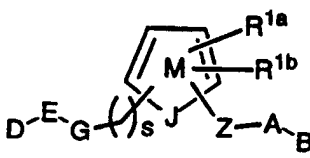




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification⁶ : C07D 261/18, A61K 31/42, C07D 275/02, A61K 31/425, C07D 417/12, A61K 31/41, C07D 413/12, A61K 31/44, C07D 417/04</p>	A3	<p>(11) International Publication Number: WO 98/28282</p> <p>(43) International Publication Date: 2 July 1998 (02.07.98)</p>
<p>(21) International Application Number: PCT/US97/23470</p> <p>(22) International Filing Date: 18 December 1997 (18.12.97)</p> <p>(30) Priority Data: 08/771,814 23 December 1996 (23.12.96) US 08/879,763 20 June 1997 (20.06.97) US</p> <p>(71) Applicant: THE DU PONT MERCK PHARMACEUTICAL COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).</p> <p>(72) Inventors: PRUITT, James, Russell; 237 Skycrest Drive, Landenberg, PA 19350 (US). FEVIG, John, Matthew; 987 Church Road, Lincoln University, PA 19352 (US). QUAN, Mimi, Lifan; 113 Venus Drive, Newark, DE 19711 (US). PINTO, Donald, Joseph, Phillip; 39 Whitson Road, Newark, DE 19702 (US).</p> <p>(74) Agent: VANCE, David, H.; The Du Pont Merck Pharmaceutical Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).</p>	<p>(81) Designated States: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).</p> <p>Published <i>With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i></p> <p>(88) Date of publication of the international search report: 17 September 1998 (17.09.98)</p>	
<p>(54) Title: OXYGEN OR SULFUR CONTAINING 5-MEMBERED HETEROAROMATICS AS FACTOR Xa INHIBITORS</p>		
<p>(57) Abstract</p>		
<p>The present application describes oxygen and sulfur containing heteroaromatics and derivatives thereof of formula (I), or pharmaceutically acceptable salt or prodrug forms thereof, wherein J is O or S and D may be C(=NH)NH₂, which are useful as inhibitors of factor Xa.</p>	<div style="text-align: center;">  <p style="text-align: right;">(I)</p> </div>	

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 97/23470

A. CLASSIFICATION OF SUBJECT MATTER
 IPC 6 C07D261/18 A61K31/42 C07D275/02 A61K31/425 C07D417/12
 A61K31/41 C07D413/12 A61K31/44 C07D417/04

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)
 IPC 6 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 practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, A	US 5 668 159 A (CONFALONE PASQUALE NICHOLAS ET AL) 16 September 1997 see claim 1 ---	1
A	WO 96 38426 A (DU PONT MERCK PHARMA) 5 December 1996 cited in the application see claim 1 ---	1
A	WO 95 18111 A (DU PONT MERCK PHARMA) 6 July 1995 cited in the application see claim 1 ---	1
A	US 5 317 103 A (BAKER RAYMOND ET AL) 31 May 1994 cited in the application see claim 1 ---	1
	-/--	

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

° Special categories of cited documents :

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- "O" document referring to an oral disclosure, use, exhibition or other means
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- "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 28 May 1998	Date of mailing of the international search report 20.07.98
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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, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Gettins, M
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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 97/23470

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 513 387 A (OTSUKA PHARMA CO LTD) 19 November 1992 see claim 1 -----	1

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 97/23470

Box I Observations where certain claims were found unsearchable (Continuation of item 1 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. Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claim 21 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.
2. Claims Nos.: 1-18,20,21 (all partially)
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:

see FURTHER INFORMATION sheet PCT/ISA/210
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 II Observations where unity of invention is lacking (Continuation of item 2 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.

INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 97/23470

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Claims Nos.: 1-18,20,21 (all partially)

The scope of the claims and the thousands of examples are such that it is impossible to carry out a complete search on structural and economic grounds. It is noted that in all of the examples there appears to be a common structural element which appears to represent an essential technical (structural feature) It appears that G is always a bond, S is always zero, Z is always -C(O)-NH- , R1b is always hydrogen and the -E-D and -Z-A-B are attached to adjacent carbon atoms of the M ring. The search has been listed to these particular embodiments.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No PCT/US 97/23470
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