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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.

(54) Title: POLYCYCLIC ARYL AND HETEROARYL SUBSTITUTED 4-PYRIDONES USEFUL FOR SELECTIVE INHIBITION OF THE COAGULATION CASCADE

(57) Abstract: The invention relates to polycyclic aryl and heteroaryl substituted 4-pyridone compounds useful as inhibitors of serine proteases of the coagulation cascade and compounds, compositions and methods for anticoagulant therapy for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases.



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**INTERNATIONAL SEARCH REPORT**

International Application No  
PCT/US 01/11014

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 C07D213/74 A61K31/4412 A61P7/00 A61P9/00

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 7 C07D A61K A61P

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

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 43660 A (DESIMONE ROBERT W ;MANLY CHARLES (US); NEUROGEN CORP (US)) 2 September 1999 (1999-09-02) examples 2,7 formulae VI and VIII table 1	1-3,9,58
X	WO 99 48892 A (BLACKABY WESLEY PETER ;MERCK SHARP & DOHME (GB); CASTRO PINEIRO JO) 30 September 1999 (1999-09-30) examples 5A,9A,14A,16A page 28, line 2	1-3

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

° Special categories of cited documents :

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

- \*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
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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.
- \*Z\* document member of the same patent family

Date of the actual completion of the international search

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21/11/2001

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## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 01/11014

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CA 'Online!            CHEMICAL ABSTRACTS SERVICE, COLUMBUS,            OHIO, US;            DARVAS, F. ET AL: "Investigation of the            common mechanism of action of            antibacterial compounds            containing gamma.-pyridone-.beta.-carboxyl            ic acid structure by principal component"            retrieved from STN            Database accession no. 93:1350            XP002182132            CAS RN: 72676-87-0; 72676-88-1            abstract            &amp; ARZNEIM.-FORSCH. (1979), 29(9), 1334-9 ,</p>	1-3,9,58
X	<p>-----            PATEL M K ET AL: "Directed            Aminomethylation of            3-Hydroxy-2(1H)-pyridinones and            3-Hydroxy-4(1H)-pyridinones: Synthesis of            iso-Deferiprone"            TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS,            AMSTERDAM, NL,            vol. 52, no. 5,            29 January 1996 (1996-01-29), pages            1835-1840, XP004104485            ISSN: 0040-4020            scheme 5: compound 9</p>	1-3
X	<p>-----            DATABASE CA 'Online!            CHEMICAL ABSTRACTS SERVICE, COLUMBUS,            OHIO, US;            TRE COURT, FRANCOIS ET AL: "First synthesis            of (.+-.)-harzianopyridone by metalation            of polysubstituted O-pyridylcarbamates"            retrieved from STN            Database accession no. 123:256397            XP002182410            CAS RN: 169132-38-1            abstract            &amp; J. HETEROCYCL. CHEM. (1995), 32(4),            1117-24 ,</p>	1-3
A	<p>-----            EP 0 354 495 A (GOEDECKE AG)            14 February 1990 (1990-02-14)            example 1            claims 1,5-7</p>	1,9,58
A	<p>-----            WO 99 11267 A (DORSEY BRUCE D ;LYLE TERRY            A (US); MERCK &amp; CO INC (US); SANDERSON)            11 March 1999 (1999-03-11)            claims 7-20</p>	1,9,58
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INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US 01/11014

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 98 16547 A (COR THERAPEUTICS INC) 23 April 1998 (1998-04-23) cited in the application page 24 -page 27 claims 44-47 ---	1,9,58
A	WO 97 01338 A (NAYLOR OLSEN ADEL M ;DYER DONA L (US); FRALEY MARK E (US); DORSEY) 16 January 1997 (1997-01-16) cited in the application claims 12-19 -----	1,9,58

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-3,9-27,29-35,37-43,45-51,53-56,58-69 (all partially)

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT).

For these reasons, it appears impossible to execute a meaningful search and/or to issue a complete search report over the whole breadth of the the above mentioned claims.

The search and the report for those claims can only be considered complete for compounds according to general formula (I) of claim 1 for which  $\text{psi}=\text{NH}$ ,  $\text{X}^\circ=\text{H}$ ,  $\text{R2}=\text{Q.H.alkyl,alkenyl,halogene}$  as well as their pharmaceutical use and composition according to claims 9-20 and 58-69.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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