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*For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.*

(54) Title: SUBSTITUTED HYDROXYETHYLAMINE ASPARTYL PROTEASE INHIBITORS

(57) Abstract: The invention relates to novel compounds and also to methods of treating at least one disease, disorder, or condition associated with amyloidosis using such compounds. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A-beta protein.



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# INTERNATIONAL SEARCH REPORT

International Application No

PCT/US2005/007771

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D257/04 C07D409/12 C07D405/12 C07D403/12 C07D207/32  
C07D233/54 C07D233/61 C07D335/06 C07D419/12 C07D413/12

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

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, CHEM ABS Data, BEILSTEIN Data, PAJ, WPI 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 03/040096 A (ELAN PHARMACEUTICALS, INC;<br>PHARMACIA & UPJOHN COMPANY; JOHN,<br>VARGHESE;) 15 May 2003 (2003-05-15)<br>the whole document     | 1-61                  |
| X          | WO 02/02512 A (ELAN PHARMACEUTICALS, INC;<br>PHARMACIA & UPJOHN COMPANY)<br>10 January 2002 (2002-01-10)<br>the whole document                   | 1-61                  |
| X          | WO 02/098849 A (ELAN PHARMACEUTICALS, INC;<br>PHARMACIA & UPJOHN COMPANY; FRESKOS,<br>JOHN;) 12 December 2002 (2002-12-12)<br>the whole document | 1-61                  |
| X          | WO 03/006423 A (ELAN PHARMACEUTICALS, INC;<br>PHARMACIA & UPJOHN COMPANY; GAILUNAS,<br>ANDRE) 23 January 2003 (2003-01-23)<br>the whole document | 1-61                  |



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

"E" earlier document but published on or after the international filing date

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"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

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"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

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## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US2005/007771

### 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. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claims 10-47 are 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.
2. ☐ 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:

see additional sheet

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.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-61 (part)

Compounds of Formula (I), wherein R2 is hydrogen.  
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2. claims: 1-61 (part)

Compounds of Formula (I), wherein R2 is OH or substituted oxygen.  
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3. claims: 1-61 (part)

Compounds of Formula (I) , wherein R2 is an optionally substituted hydrocarbon residue  
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4. claims: 1-61 (part)

Compounds of Formula (I), wherein R2 is an optionally substituted heterocyclic residue.  
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5. claims: 1-61 (part)

Compounds of Formula (I), wherein R2 is an amide group.  
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# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US2005/007771

| Patent document<br>cited in search report |   | Publication<br>date | Patent family<br>member(s)                                                                                                                                                                                                                  | Publication<br>date                                                                                                                                                                              |
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