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(54) Title: CHEMOKINE RECEPTOR ANTAGONISTS AND METHODS OF USE THEREFOR

(57) Abstract: Disclosed are novel compounds and a method of treating a disease associated with aberrant leukocyte recruitment and/or activation. The method comprises administering to a subject in need an effective amount of a compound represented by (I) or (II) and physiologically acceptable salts thereof.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 00/20732

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D491/044 A61K31/4353 A61K31/4365 A61K31/55 A61K31/451
A61P29/00 C07D409/06 C07D401/06 C07D211/52 C07D405/06
C07D471/04 C07D519/00 C07D495/04

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)

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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)

WPI Data, EPO-Internal, 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 92 16226 A (SMITHKLINE BEECHAM CORP) 1 October 1992 (1992-10-01) claims 1 and 9 (flupenthixol, clopenthixol), page 1, paragraphs 2 and 3 --- | 1,38 |
| A | WO 97 44329 A (TEIJIN LTD) 27 November 1997 (1997-11-27) claims 1,9 --- | 1,38 |
| P,X | WO 99 37651 A (LEUKOSITE) 29 July 1999 (1999-07-29) whole document ----- | 1,38 |

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

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"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

"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

Date of the actual completion of the international search

15 January 2001

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10. 04. 2001

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ALFARO FAUS I.

INTERNATIONAL SEARCH REPORT

national application No.
PCT/US 00/20732

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 claims 1 to 37 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 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:

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.:

1-22,24-34, 38-59, 61-71(all partially)

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 - 22, 24-34, 38-59, 61-71 (all of them partially)

Compounds of claim 38, where X1 is a bond and their use

2. Claims: 1-22, 24-34, 38-59, 61-71 (all of them partially)

Compounds of claim 38 where X1 is -O- or -S-, and their use

3. Claims: 1-22, 24-34, 38-59, 61-71 (all of them partially)

Compounds of claim 38 where X1 is -CH₂-, -CH₂-CH₂-, -CH=CH-, and their use

4. Claims: 1-75 (claims 1-22, 24-34, 38-59, 61-71, partially)

Compounds of claim 38 where X1 is -CH₂-S-, -S-CH₂-, -O-CH₂-, -CH₂-O-, -SO-CH₂-, -CH₂-SO-, -S(O)₂-CH₂-, -CH₂-S(O)₂-

5. Claims: 1-22, 24-34, 38-59, 61-71 (partially)

Compounds of claim 38 where X1 is -NR_c-CH₂-, -CH₂-NR_c-, -NR_c-CO-, -CO-NR_c-

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/20732

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|--|------------------|-------------------------|------------------|
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| WO 9744329 A | 27-11-1997 | JP 9309877 A | 02-12-1997 |
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| | | EP 1049700 A | 08-11-2000 |
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