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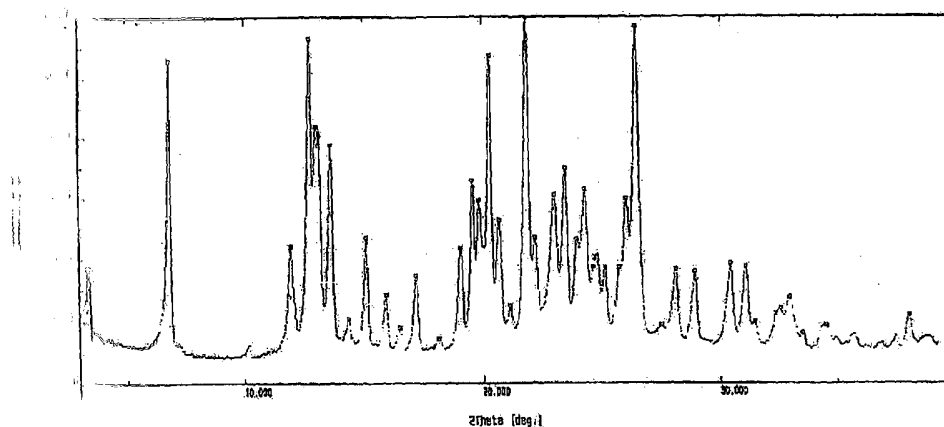
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[Continued on next page]

(54) Title: POLYMORPHIC FORMS OF EFAVIRENZ AND PROCESSES FOR THEIR PREPARATION



(57) Abstract: The present invention relates to novel polymorphic forms of efavirenz. The novel polymorphic forms are designated as Forms α , β , γ , γ_1 , γ_2 , ω , δ , N, O and P of efavirenz. The present invention further relates to amorphous form of efavirenz. The invention also relates to the processes for their preparation and use in pharmaceutical compositions useful in the treatment of HIV-1 infection.



Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

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INTERNATIONAL SEARCH REPORT

International application No

PCT/IB2005/003013

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D265/18 A61K31/535 A61P31/18

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)

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, PAJ, 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	US 6 673 372 B1 (RADESCA LILIAN A ET AL) 6 January 2004 (2004-01-06) cited in the application column 3, lines 35-42; column 5, lines 26-34; column 6, lines 32-40; column 7, lines 32-41; column 8, lines 44-67claims 1-45; figures 1-8	1,2, 22-25
X	US 5 965 729 A (CLARKE ET AL) 12 October 1999 (1999-10-12) cited in the application column 5, table;claims 1-25; figures 3-7	1,2, 22-25

☐ Further documents are listed in the continuation of Box C.

☒ See patent family 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

27 March 2006

Date of mailing of the international search report

19. 05. 2006

Name and mailing address of the ISA/

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Schmid, A

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB2005/003013

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 24 and 25 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.:

1, 2 and 22-25 (partly)

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: 1,2 and 22-25 (partly)

polymorph form "alpha" of efavirenz, pharmaceutical compositions comprising it and method for treatment therewith

2. claims: 3,4 and 22-25 (partly)

polymorph form "beta" of efavirenz, pharmaceutical compositions comprising it and method for treatment therewith

3. claims: 5,6 and 22-25(partly)

polymorph form "gamma" of efavirenz, pharmaceutical compositions comprising it and method for treatment therewith

4. claims: 7,8 and 22-25(partly)

polymorph form "gamma-1" of efavirenz, pharmaceutical compositions comprising it and method for treatment therewith

5. claims: 9,10 and 22-25(partly)

polymorph form "gamma-2" of efavirenz, pharmaceutical compositions comprising it and method for treatment therewith

6. claims: 11,12 and 22-25(partly)

polymorph form "omega" of efavirenz, pharmaceutical compositions comprising it and method for treatment therewith

7. claims: 13,14 and 22-25(partly)

polymorph form "delta" of efavirenz, pharmaceutical compositions comprising it and method for treatment therewith

8. claims: 15 and 22-25(partly)

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

amorphous form of efavirenz, pharmaceutical compositions
comprising it and method for treatment therewith

9. claims: 16,17 and 22-25(partly)

polymorph form "O" of efavirenz, pharmaceutical compositions
comprising it and method for treatment therewith

10. claims: 18,19 and 22-25(partly)

polymorph form "N" of efavirenz, pharmaceutical compositions
comprising it and method for treatment therewith

11. claims: 20,21 and 22-25(partly)

polymorph form "P" of efavirenz, pharmaceutical compositions
comprising it and method for treatment therewith

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/IB2005/003013

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 6673372	B1	06-01-2004	NONE
US 5965729	A	12-10-1999	US 2001016653 A1
			23-08-2001