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60/300,028 21 June 2001 (21.06.2001) US
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27 May 2004
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(54) Title: SELF-EMULSIFYING FORMULATIONS OF CHOLESTERYL ESTER TRANSFER PROTEIN INHIBITORS

(57) Abstract: CETP Inhibitors have improved solubility and bioavailability in a lipophilic vehicle comprising a digestible oil, a lipophilic solvent, or a surfactant. Preferred such compositions are self-emulsifying or self-microemulsifying, and comprise 1. a CETP inhibitor; 2. a cosolvent; 3. a surfactant having an HLB of 1 to 8; 4. a surfactant having an HLB of over 8 to 20; and 5. optionally, a digestible oil.

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/IB 02/01571

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K47/44 A61K9/10 A61K9/107 A61K31/4706 A61K31/44
A61K31/4196 A61K31/47 A61K31/015 A61K31/41 A61P3/06

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 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, PAJ, CHEM ABS Data, BIOSIS, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 00 18723 A (MISCHKE DEBORAH A ;MONSANTO CO (US); PARNAS BARRY L (US); DURLEY R) 6 April 2000 (2000-04-06) cited in the application the whole document page 65, line 11 - line 34 ---	8-10
X	WO 99 14204 A (SEARLE & CO ;SIKORSKI JAMES A (US)) 25 March 1999 (1999-03-25) cited in the application the whole document page 83, line 9 -page 84, line 7 --- -/--	8-10

☒ 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

3 February 2003

Date of mailing of the international search report

20/02/2003

Name and mailing address of the ISA

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Economou, D

INTERNATIONAL SEARCH REPORT

International Application No

PCT/IB 02/01571

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 41237 A (CONNOLLY DANIEL T ;SCHILLING ROGER J (US); GLENN KEVIN C (US); LEE) 19 August 1999 (1999-08-19) cited in the application the whole document page 166, line 23 -page 167, line 20 ---	8-10
Y	DE 197 41 399 A (BAYER AG) 25 March 1999 (1999-03-25) cited in the application the whole document ---	8-10
Y	EP 1 020 439 A (JAPAN TOBACCO INC) 19 July 2000 (2000-07-19) cited in the application the whole document ---	8-10
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Y	EP 0 992 496 A (PFIZER PROD INC) 12 April 2000 (2000-04-12) cited in the application the whole document page 37; examples 7,8 ---	8-10
Y	WO 00 17165 A (WESTER RONALD THURE ;PFIZER PROD INC (US); DENINNO MICHAEL PAUL (U) 30 March 2000 (2000-03-30) cited in the application the whole document page 79; examples 7,8 ---	8-10
Y	US 5 993 858 A (CRISON JOHN R ET AL) 30 November 1999 (1999-11-30) cited in the application column 1, line 10 -column 4, line 55 column 5, line 1 - line 45 example 1 column 7, line 64 -column 8, line 4 claims 1-12 -----	8-10

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-7; 8-15 (partially)

Present claims 1-7 relate to an extremely large number of possible compounds and products. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds/products claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compositions comprising the compounds defined in claims 8-10 in combination with the surfactants mentioned in claims 5-6, since their definition by "high HLB" or "low HLB" is unclear.

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

International application No.
PCT/IB 02/01571

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:
2. ☒ Claims Nos.: 1-7; 8-15 (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
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