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(54) Title: COMPOSITIONS COMPRISING BETULONIC ACID

(57) Abstract: The invention relates to compositions of cosmetic and pharmaceutical industries comprising betulonic acid for humans and animals, and further, to the use of betulonic acid in compositions of cosmetic and pharmaceutical industries. The invention is also directed to compositions containing, besides betulonic acid, optionally other compounds derived from betulin.



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INTERNATIONAL SEARCH REPORT

International application No.

PCT/FI2007/050333

A. CLASSIFICATION OF SUBJECT MATTER

See extra sheet

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)

IPC8: A61K, C07J

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
FI, SE, DK, NO

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

EPO-INTERNAL, CAPLUS, REGISTRY

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X Y	WO 0003748 A2 (PROCTER & GAMBLE) 27 January 2000 (27.01.2000) claim 20; example 2; page 30, line 30-page 31, line 3; page 31, lines 29-31; page 32	1, 2, 28, 55, 56, 59, 60, 62 3-5, 14, 15, 17, 23-27
X Y	US 2004057908 A1 (BOWEN WILLIAM H et al.) 25 March 2004 (25.03.2004) claims 3, 5, 10	1, 2, 28, 55, 56, 57 3-5, 14, 15, 17, 23-27



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 application or patent 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

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/FI2007/050333

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X Y	Sorokina et al., Betulonic Acid and Derivatives, a New Group of Agents Reducing Side Effects of Cytostatics, Doklady Biological Sciences Vol. 399, 2004 pages 434-437 page 434	55, 56 3-5, 14, 15, 17, 23-27
X Y	US 2006019934 A1 (SAXENA BRIJ B et al.) 26 January 2006 (26.01.2006) example 1; claims 1 and 8	55, 56 3-5, 14, 15, 17, 23-27
X Y	WO 0226762 A1 (UNIV MINNESOTA et al.) 04 April 2002 (04.04.2002) page 21, lines 5-30; examples	29-31, 36, 49-51 3-5, 10, 23-25
X Y	WO 03062260 A1 (UNIV MINNESOTA et al.) 31 July 2003 (31.07.2003) page 20, lines 1-8	29, 30, 36 3, 4, 10
X Y	US 2006003948 A1 (KRASUTSKY PAVEL A et al.) 05 January 2006 (05.01.2006) paragraphs [0012], [0013] and [0297]	29, 54 3
X Y	WO 2006050158 A2 (GLINSKI JAN) 11 May 2006 (11.05.2006) examples 1-3	29 3
X Y	LUDWICZAK, R. S. et al. Stickstoffhaltige Triterpenderivate. I Lupanderivate. 1970, Herba Hungarica, vol. 9, pages 93-98 pages 95 and 96	29, 54 3
X Y	JEONG, H-Y. et al. Preparation of Amino Acid Conjugates of Betulinic Acid with Activity Against Human Melanoma. Bioorganic & Medicinal Chemistry Letters, 1999, vol. 9, pages 1201-1204 page 1202, Scheme 1, compounds 6, 8, 10, 18	29, 41, 43 3, 15, 17
X Y	FLEKHTER, O. B. et al. The Synthesis and Hepatoprotective Activity of Esters of Lupane Group Triterpenoids. Russian Journal of Bioorganic Chemistry, 2000, vol. 26, pages 192-200 page 193	29-31, 36, 40, 49-51 3-5, 10, 14, 23-25

INTERNATIONAL SEARCH REPORT

International application No.

PCT/FI2007/050333

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X Y	SUOKAS, E. et al. Triterpenes. BF ₃ Catalyzed Rearrangement of 3 β , 28-diacetoxy-18(α or β), 19(α or β)-epoxylupane. A Novel Route to Baccharane Derivatives. Acta Chemica Scandinavica B, 1977, vol. 31, pages 231-234 pages 232 and 233	29, 52, 53 3, 26, 27
X Y	FLEKHTER, O. B. et al. Synthesis and Antiinflammatory Activity of New Acylated Betulin Derivatives. 2002, Pharmaceutical Chemistry Journal, vol. 36, pages 488-491 pages 488-489	29-31, 36 3-5, 10

CLASSIFICATION OF SUBJECT MATTER

Int.Cl.

A61K 8/63 (2006.01)**A61Q 5/00** (2006.01)**A61Q 17/04** (2006.01)**A61Q 19/00** (2006.01)**A61Q 99/00** (2006.01)**C07J 63/00** (2006.01)**C07J 71/00** (2006.01)**A61K 31/56** (2006.01)**A61P 31/04** (2006.01)**C07J 53/00** (2006.01)

Continuation of Box II.2

The presented claims relate to an extremely large number of possible compounds broadly differing in their chemical structure. However, only a very small portion of the claimed compounds can be found to have support within the meaning of PCT Article 6 and/or disclosure within the meaning of PCT Article 5. In the present case, the claims lack support, and the application lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out on those parts of the claims which appear to be supported for and disclosed of, namely on those compounds prepared in the examples, and, an appropriate generalisation of their structural formulae served as a base for the search. As a result of the limitation of the search, claims 8, 13, 34 and 39 have not been part of the search.

INTERNATIONAL SEARCH REPORT

International application No.

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Box No. 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:

2. ☒ Claims Nos.: 3-7, 9-12, 14-33, 35-38, 40-54, all in part, 8, 13, 34, 39
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 extra sheet

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 No. 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:

The first group of inventions relates to cosmetic or pharmaceutical compositions containing betulonic acid, a use of betulonic acid in cosmetic and pharmaceutical compositions, and a method for formulating such a composition, not involving a simultaneous use of a betulin derivative of the general formula I or a pharmaceutically acceptable salt thereof (claims 1, 2, 55, and, in part, claims 56-62). The technical feature common to these inventions is betulonic acid as an active agent.

The second group of inventions relates to a betulin derivative of the general formula I or a pharmaceutically acceptable salt thereof, and compositions containing them (claims 3-54 and, in part, claims 56-62).

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 additional fees, this Authority did not invite payment of additional fees.
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 and, where applicable, the payment of a protest fee.
- ☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- ☐ No protest accompanied the payment of additional search fees.