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(54) **Title:** PHARMACEUTICAL TOPICAL COMPOSITIONS

(57) **Abstract:** The invention provides pharmaceutical stable semisolid topical compositions comprising between 0.2 to 5% of a des-fluoroquinolone compound, and a suitable carrier to manufacture an ointment or a cream.



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INTERNATIONAL SEARCH REPORT

International application No
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A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K9/06 A61K31/4709
ADD.

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)

EPO-Internal, WPI Data, 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	<p>DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 2002, KAKUNO, MASANORI ET AL: "Skin compositions containing pyridonecarboxylate derivatives" XP002513715 retrieved from STN Database accession no. 2002:944683 cited in the application abstract - & JP 2002 356426 A (TOYAMA CHEMICAL CO., LTD., JAPAN) 13 December 2002 (2002-12-13) the whole document paragraph [0019] examples 1-5</p> <p style="text-align: center;">----- -/--</p>	1-25

☒ 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
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- *O* document referring to an oral disclosure, use, exhibition or other means
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- *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
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INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2009/063625

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>SANTOS B ET AL: "Preclinical In Vitro and In Vivo Absorption Evaluation Of Ozenoxacin (GF-001001-00): A Novel Topical Non-Fluorinated Quinolone"</p> <p>PROGRAM AND ABSTRACTS OF THE INTERSCIENCE CONFERENCE ON ANTIMICROBIAL AGENTS AND CHEMOTHERAPY, PY, WASHINGTON, DC, vol. 47, 20 September 2007 (2007-09-20), page 26, XP008101587</p> <p>ISSN: 0733-6373</p> <p>[retrieved on 2007-09-20]</p> <p>* abstract A-810 *</p>	1-25
X	<p>YAMAKAWA TETSUMI ET AL: "In vitro and in vivo antibacterial activity of T-3912, a novel non-fluorinated topical quinolone"</p> <p>JOURNAL OF ANTIMICROBIAL CHEMOTHERAPY, vol. 49, no. 3, March 2002 (2002-03), pages 455-465, XP002513714</p> <p>ISSN: 0305-7453</p> <p>the whole document</p> <p>abstract</p> <p>page 456, left-hand column, line 1 - right-hand column, line 14</p> <p>page 457, left-hand column, last paragraph - right-hand column, paragraph 1</p> <p>tables 1-3</p> <p>page 461, left-hand column, line 8 - page 462, left-hand column, line 7</p> <p>figure 3</p>	1-25
X	<p>EP 1 698 336 A (FERRER INT [ES])</p> <p>6 September 2006 (2006-09-06)</p> <p>paragraph [0001]</p> <p>paragraph [0003]</p> <p>paragraph [0010]</p> <p>paragraphs [0022] - [0030]</p> <p>paragraphs [0035] - [0037]</p> <p>examples 4,5,8,9</p> <p>claims 1,11-18</p>	1-25
X	<p>US 6 335 447 B1 (HAYASHI KAZUYA [JP] ET AL) 1 January 2002 (2002-01-01)</p> <p>cited in the application</p> <p>column 1, line 50 - column 2, line 24</p> <p>* compound 20 in column 5 *</p> <p>column 22, line 18 - line 40</p> <p>* test compound d in column 22 *</p> <p>column 22, line 41 - column 23, line 58</p> <p>tables 1,2</p> <p>examples 4,15,19</p> <p>claim 6</p>	1-25

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INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2009/063625

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>TARRAGO C ET AL: "Ozenoxacin (gf-001001-00): In Vitro Antibacterial Activity Compared with Other Antibacterial Agents against Clinical Isolates from Wound Infections and Selection of Resistant Mutants"</p> <p>PROGRAM AND ABSTRACTS OF THE INTERSCIENCE CONFERENCE ON ANTIMICROBIAL AGENTS AND CHEMOTHERAPY, PY, WASHINGTON, DC, vol. 47, 20 September 2007 (2007-09-20), pages 259-260, XP008101589</p> <p>ISSN: 0733-6373</p> <p>[retrieved on 2007-09-20]</p> <p>* abstract F1-2123 *</p> <p>-----</p>	1-25
A	<p>EP 1 941 880 A (MARUHO KK [JP])</p> <p>9 July 2008 (2008-07-09)</p> <p>cited in the application</p> <p>paragraph [0010] - paragraph [0012]</p> <p>paragraph [0018]</p> <p>tables 1,5</p> <p>examples 1-5</p> <p>claim 1</p> <p>-----</p>	1-25

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2009/063625

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.:
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 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:

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 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.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

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

1. claims: 1-15

A stable topical cream composition comprising: a) 0.2-5% of ozenoxacin, and b) a suitable carrier comprising: b.1) 15-25% of one or more emulsifiers; b.2) 10-20% of one or more surfactants; b.3) 5-15% of an oil component; b.4) 1-10% of one or more low melting point waxes selected from fatty acids having 8 to 30 carbon atoms, fatty alcohols having 8-30 carbon atoms, fatty acid esters having 8-30 carbon atoms, fatty acid amides having 8 to 30 carbon atoms, silicone waxes, and mixtures thereof; b.5) water; b.6) 10-20% of one or more water dispersible components selected from polyethylene glycol 400, hexylene glycol, propylene glycol, polypropylene glycol-10 methylglucose ether, ethoxydiglycol, polyethylene glycol-6 caprylic/capric glyceride, ethylene glycol monobutyl ether, polyethylene glycol-8 caprylic/capric glycerides, 3-methoxy-3-methyl-1-butanol, dimethyl isosorbide, and mixtures thereof; and b.7) 0.01-1% of one or more non-formaldehyde-donating preservatives; wherein the amount of component b.5 is an amount to complete 100 percent by weight and all percentages being weight percent and based on the total weight of the composition; medical uses of said composition

2. claims: 16-25

A stable topical ointment composition consisting of: a) 0.2-5% by weight (based on the total weight of the composition) of ozenoxacin and b) a suitable carrier selected from white wax, white soft paraffin, and mixtures thereof; medical uses of said composition

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
JP 2002356426	A	13-12-2002	JP 4293755 B2	08-07-2009
EP 1698336	A	06-09-2006	AR 053688 A1	16-05-2007
			AU 2006219903 A1	08-09-2006
			BR PI0607561 A2	15-09-2009
			CA 2598598 A1	08-09-2006
			CN 101137362 A	05-03-2008
			WO 2006092374 A1	08-09-2006
			JP 2008531640 T	14-08-2008
			KR 20070107806 A	07-11-2007
			US 2008166398 A1	10-07-2008
			UY 29402 A1	30-06-2006
US 6335447	B1	01-01-2002	AT 342897 T	15-11-2006
			BR 9909456 A	02-10-2001
			CA 2327328 A1	14-10-1999
			CN 1299356 A	13-06-2001
			DE 69933660 T2	23-08-2007
			DK 1070713 T3	27-12-2006
			EP 1070713 A1	24-01-2001
			ES 2273479 T3	01-05-2007
			HK 1039484 A1	30-09-2004
			WO 9951588 A1	14-10-1999
			JP 3346766 B2	18-11-2002
			PT 1070713 E	29-12-2006
EP 1941880	A	09-07-2008	CA 2615912 A1	08-02-2007
			CN 101272786 A	24-09-2008
			WO 2007015453 A1	08-02-2007
			JP 4393552 B2	06-01-2010
			KR 20080031901 A	11-04-2008
			US 2010099704 A1	22-04-2010