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(72) Inventors; and

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Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

Published:

- with international search report (Art. 21(3))
- with information concerning authorization of rectification of an obvious mistake under Rule 91.3 (b) (Rule 48.2(i))

(88) Date of publication of the international search report:

31 March 2011

(54) Title: NOVEL SOLID STATE FORMS OF LAQUINIMOD AND ITS SODIUM SALT

(57) Abstract: Provided herein is a novel crystalline form of laquinimod, process for the preparation, pharmaceutical compositions, and method of treating thereof. Provided also herein are novel amorphous and polymorphic forms of laquinimod sodium, process for the preparation, pharmaceutical compositions, and method of treating thereof.



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INTERNATIONAL SEARCH REPORT

International application No
PCT/IB2009/006560

A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K31/4704 A61P37/02 C07D215/56

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, BEILSTEIN 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 2007/047863 A2 (TEVA PHARMA [IL]; TEVA PHARMA [US]; FRENKEL ANTON [IL]; GUREVICH EDUAR) 26 April 2007 (2007-04-26) cited in the application the whole document	1-20, 87-89, 93-96
X	US 6 077 851 A (BJOERK ANDERS [SE] ET AL) 20 June 2000 (2000-06-20) cited in the application. example 4	1-20, 87-89, 93-96
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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

25 February 2010

Date of mailing of the international search report

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INTERNATIONAL SEARCH REPORT

International application No

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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>JANSSON, K. ET AL: "Synthesis and Reactivity of Laquinimod, a Quinoline-3-carboxamide: Intramolecular Transfer of the ENOL Proton to a Nitrogen Atom as a Plausible Mechanism for Ketene Formation" JOURNAL OF ORGANIC CHEMISTRY, vol. 71, no. 4, 21 January 2006 (2006-01-21), pages 1658-1667, XP002568742 Synthesis of 2-na in right column, page 1665compounds 2-Na</p>	<p>1-20, 87-89, 93-96</p>
X	<p>WO 2005/074899 A2 (ACTIVE BIOTECH AB [SE]) 18 August 2005 (2005-08-18)</p> <p>example 2</p>	<p>1-20, 87-89, 93-96</p>
A	<p>US 6 875 869 B2 (JANSSON KARL [SE]) 5 April 2005 (2005-04-05) cited in the application example 2</p>	<p>1-20, 87-89, 93-96</p>
A	<p>JÖNSSON, S. ET AL: "Synthesis and Biological Evaluation of New 1,2-Dihydro-4-hydroxy-2-oxo-3-quinolinecarboxamides for Treatment of Autoimmune Disorders: Structure-Activity Relationship" JOURNAL OF MEDICINAL CHEMISTRY, vol. 47, no. 8, 16 March 2004 (2004-03-16), pages 2075-2088, XP002568743 compound 8c</p>	<p>1-20, 87-89, 93-96</p>

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB2009/006560

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.:
2-20, 89(completely); 1, 87-88, 93-96(partially)

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: 2-20, 89(completely); 1, 87-88, 93-96(partially)

Crystalline Form A1

2. claims: 21-37, 90(completely); 1, 87-88, 93-96(partially)

Crystalline Form A3

3. claims: 38-50, 91(completely); 1, 87-88, 93-96(partially)

Crystalline Form A4

4. claims: 51-61, 92(completely); 1, 87-88, 93-96(partially)

Amorphous

5. claims: 62-72

Process for Crystalline Form A2

6. claims: 73-86, 97

Crystalline Form A of free acid

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/IB2009/006560

Patent document cited in search report	Publication date	Patent family member(s)	Publication date	
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