

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference 31850 WO	FOR FURTHER ACTION		See item 4 below
International application No. PCT/EP2013/075876	International filing date (<i>day/month/year</i>) 09 December 2013 (09.12.2013)	Priority date (<i>day/month/year</i>) 10 December 2012 (10.12.2012)	
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237			
Applicant F. HOFFMANN-LA ROCHE AG			

<p>1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 bis.1(a).</p> <p>2. This REPORT consists of a total of 7 sheets, including this cover sheet.</p> <p>In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.</p>																								
<p>3. This report contains indications relating to the following items:</p> <table> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. I</td> <td>Basis of the report</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. II</td> <td>Priority</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. III</td> <td>Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. IV</td> <td>Lack of unity of invention</td> </tr> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. V</td> <td>Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. VI</td> <td>Certain documents cited</td> </tr> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. VII</td> <td>Certain defects in the international application</td> </tr> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. VIII</td> <td>Certain observations on the international application</td> </tr> </table> <p>4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).</p>	<input checked="" type="checkbox"/>	Box No. I	Basis of the report	<input type="checkbox"/>	Box No. II	Priority	<input type="checkbox"/>	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability	<input type="checkbox"/>	Box No. IV	Lack of unity of invention	<input checked="" type="checkbox"/>	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement	<input type="checkbox"/>	Box No. VI	Certain documents cited	<input checked="" type="checkbox"/>	Box No. VII	Certain defects in the international application	<input checked="" type="checkbox"/>	Box No. VIII	Certain observations on the international application
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	Date of issuance of this report 16 June 2015 (16.06.2015)
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PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

PCT

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY
(PCT Rule 43bis.1)**

To:

see form PCT/ISA/220

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference see form PCT/ISA/220	FOR FURTHER ACTION See paragraph 2 below
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International application No. PCT/EP2013/075876	International filing date (day/month/year) 09.12.2013	Priority date (day/month/year) 10.12.2012
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International Patent Classification (IPC) or both national classification and IPC
INV. C07C311/16 C07C311/21 C07C311/29 C07C311/39 C07C311/44 A61K31/18 A61P29/00 A61P37/00

Applicant
F. HOFFMANN-LA ROCHE AG

1. This opinion contains indications relating to the following items:



- Box No. I Basis of the opinion
- Box No. II Priority
- Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- Box No. IV Lack of unity of invention
- Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step and industrial applicability; citations and explanations supporting such statement
- Box No. VI Certain documents cited
- Box No. VII Certain defects in the international application
- Box No. VIII Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

<p>Name and mailing address of the ISA:</p> <div style="text-align: center;">  </div> <p>European Patent Office P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Fax: +31 70 340 - 3016</p>	<p>Date of completion of this opinion</p> <p>see form PCT/ISA/210</p>	<p>Authorized Officer</p> <p>Fitz, Wolfgang</p> <p>Telephone No. +31 70 340-4359</p>	
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Box No. I Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of:
 - the international application in the language in which it was filed
 - a translation of the international application into , which is the language of a translation furnished for the purposes of international search (Rules 12.3(a) and 23.1 (b)).
2. This opinion has been established taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91 (Rule 43bis.1(a))
3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, this opinion has been established on the basis of a sequence listing filed or furnished:
 - a. (means)
 - on paper
 - in electronic form
 - b. (time)
 - in the international application as filed
 - together with the international application in electronic form
 - subsequently to this Authority for the purposes of search
4. In addition, in the case that more than one version or copy of a sequence listing has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
5. Additional comments:

Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	<u>4, 6, 8, 10, 12-18, 20-27</u>
	No: Claims	<u>1-3, 5, 7, 9, 11, 19, 28</u>
Inventive step (IS)	Yes: Claims	<u>4, 6, 8, 10, 12-18, 20-27</u>
	No: Claims	<u>1-3, 5, 7, 9, 11, 19, 28</u>
Industrial applicability (IA)	Yes: Claims	<u>1-28</u>
	No: Claims	

2. Citations and explanations

see separate sheet

Box No. VII Certain defects in the international application

The following defects in the form or contents of the international application have been noted:

see separate sheet

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

- D1 DATABASE REGISTRY [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 6 July 2005 (2005-07-06), Database accession no. 851689-54-8
- D2 DATABASE REGISTRY [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 19 December 2003 (2003-12-19), Database accession no. 627841-51-4
- D3 WO 2012/158784 A2
- D4 KUMAR N ET AL: "Probe Reports from the NIH Molecular Libraries Program, Campaign to identify novel modulators of the Retinoic acid receptor-related Orphan Receptors (ROR)", PROBE REPORTS FROM THE NIH MOLECULAR LIBRARIES PROGRAM, pages 1-22, XP002686345, Retrieved from the Internet: URL:<http://www.ncbi.nlm.nih.gov/books/NBK56239/> [retrieved on 2012-10-30]

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Claims 23 and 25 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 39.1(iv) / 67.1(iv) PCT.

The patentability can be dependent upon the formulation of the claims. The EPO, for example, does not recognise as patentable claims to the use of a compound in medical treatment, but may allow claims to a product, in particular substances or compositions for use in a first or further medical treatment.

2. D1 (abstract) discloses a compound which falls in the scope of claims 1-3, 5, 7, 9, 11 and 28. D2 (abstract) discloses a compound which falls in the scope of claims 1-3, 5, 9, 11, 19 and 28.

The subject-matter of claims 1-3,5,7,9,11,19 and 28 is consequently not new, Art. 33 (2) PCT.

3. No inventive step can be acknowledged for subject matter which is not new. The subject-matter of claims 1-3,5,7,9,11,19 and 28 consequently lacks an inventive step, Art. 33(3) PCT.

Each of D3 or D4 can be regarded as being the prior art closest to the subject-matter of claims 1-28. D3 and D4 disclose benzenesulfonamide derivatives, which are useful as RORc modulators.

The main difference between the compounds of present claim 1 and the compounds of D2 and D3 is that the former contain two rings in the sulfonic acid part of the sulfonamide.

There is no improved technical effect demonstrated with regard to the difference to the closest prior art.

The problem underlying the present application is seen as providing alternative RORc modulators.

The solution proposed in claims 1-28 of the present application, as far as new and not too broadly claimed (see below), is considered as involving an inventive step (Article 33(3) PCT), because the present compounds are structurally rather remote from the compounds of D3 and D4.

Re Item VII

Certain defects in the international application

4. Contrary to the requirements of Rule 5.1 (a)(ii) PCT, the relevant background art disclosed in D3 and D4 is not mentioned in the description, nor are these documents identified therein.

Re Item VIII

Certain observations on the international application

5. The formulae Ia and Ib in claim 1 are broader than justified by the support which is available in the description, in particular when considering the limited diversity in the structures of the compounds in the examples, Art. 6 PCT.

The scope of the claim should be in balance with the support, so that it is reasonable to assume that substantially all claimed compounds are indeed useful as RORc modulators.

6. Claim 28 is vague, Art. 6 PCT.

7. The following issues might also have to be addressed in the European phase: general statements ("spirit of the invention", "but not limited", "and the like" etc.) should be deleted. References to methods of treatment in the description should be reformulated, see also point 1 above.