

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 P05792-WO-1	FOR FURTHER ACTION		See item 4 below
International application No. PCT/EP2015/061350	International filing date (<i>day/month/year</i>) 22 May 2015 (22.05.2015)	Priority date (<i>day/month/year</i>) 23 May 2014 (23.05.2014)	
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 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 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 29 November 2016 (29.11.2016)
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PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

PCT

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

International application No.
PCT/EP2015/061350

International filing date (day/month/year)
22.05.2015

Priority date (day/month/year)
23.05.2014

International Patent Classification (IPC) or both national classification and IPC
INV. C07D487/04 A61K31/519 A61P11/06 A61P29/00

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 43*bis*.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 66.1*bis*(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.

Name and mailing address of the ISA:



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Date of completion of this opinion

see form
PCT/ISA/210

Authorized Officer

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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:
 - a. forming part of the international application as filed:
 - in the form of an Annex C/ST.25 text file.
 - on paper or in the form of an image file.
 - b. furnished together with the international application under PCT Rule 13ter.1(a) for the purposes of international search only in the form of an Annex C/ST.25 text file.
 - c. furnished subsequent to the international filing date for the purposes of international search only:
 - in the form of an Annex C/ST.25 text file (Rule 13ter.1(a)).
 - on paper or in the form of an image file (Rule 13ter.1(b) and Administrative Instructions, Section 713).
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 forming part of 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>2-13, 25</u>
	No: Claims	<u>1, 14-24, 26-35</u>
Inventive step (IS)	Yes: Claims	
	No: Claims	<u>1-35</u>
Industrial applicability (IA)	Yes: Claims	<u>1-35</u>
	No: Claims	

2. Citations and explanations

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

Re Item V

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

1 Relevant prior art is provided by:

D1 WO 2011/003065 cited in the application

D2 WO 2012/129258

1.1 The Applicant should explain the reason for the proviso found in claim 1. If it is intended to exclude some unacknowledged prior art known to the Applicant the said prior art should be cited (Rule 5.1 (a) (ii) PCT).

2 Claims 25-28, 30 and 32-34 relate to a subject-matter considered by this Authority to be covered by the provision 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. 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.

3 The current application is novel vis-à-vis D2 on account of the points of attachment on the Q ring. The current application overlaps with D1. The specific examples in D1 (examples 18, 124 and 460) which anticipate the current application have been excluded by means of a proviso. However, document D1 not only discloses the excluded example compounds, but also discloses a generic formula illustrated by examples. In the present case, there

is a novelty destroying area of overlap between present claim 1 and the generic formula of claim 11 of D1. The overlap is considered to be novelty destroying.

- 4 The current application and the closest prior art D1 are both JAK inhibitors. The currently claimed matter overlaps with the said prior art and is only novel vis-à-vis specific examples in D1 on account of a proviso. In such a case the problem underlying the invention must be considered to be the provision of improved JAK inhibitors. Any such improvement can only be shown by means of comparative data. There does not appear to be any such data on file and accordingly it is considered that the problem has not been demonstrably solved.
- 4.1 The Applicant is asked to demonstrate that the problem underlying the current application has in fact been solved. In order to be fully convincing any comparative tests used to demonstrate this must be carried out against the structurally closest prior art i.e. D1, examples 18, 124 and 460 and should be representative for the whole scope of the claims.

Re Item VIII

Certain observations on the international application

- 1 Claim 25 which refers to Table 1 is not allowable under Rule 6.2 PCT.
- 2 The omnibus claim 35 is both superfluous and unclear in scope. It should be deleted.
- 3 All "incorporated by reference" passages(see e.g. p1, line 8 should be deleted.
- 4 There is an unacceptable discrepancy between the claims and the description since the description (see e.g. page 19 indicates that various substituents such as alkyl can be substituted even when this has not been specified. The skilled person would not have been aware of this from a reading of the claims

since the skilled person would assume that standard IUPAC nomenclature was being used. In the current case, however, the Applicant has obviously seen fit to use non-standard interpretations of standard nomenclature. The intended scope of the claims cannot be understood without referring to the description which contravenes (Rule 6 PCT). The claims and description should be fully harmonised so that their scope is the same and so that the claims are fully clear in their own right.

- 5 "A" and "an" only means one and does not mean "more". Page 44, lines 19-20 should be deleted.

- 6 The paragraph bridging pages 249-250 (spirit and scope) merely blurs the intended scope of the invention and should be deleted.

- 7 The reference on page 85, line 4 to D1 is unclear in scope. The Applicant should make it specifically clear how the current application differs from D1 and should not simply give a broad statement that any compound(s) of D1 is excluded.