

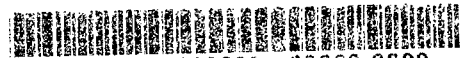
Señor

Superintendente Delegado para la Propiedad Industrial

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

E. S. D.

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 07-080209-00003-0000

Fecha: 2007-12-10 16:31:01 Dep: 2020 NULCREACIONE  
Lib: 11 PATENTEYII Liv: 378 FASENACIONALI  
Act: 329 CTOINFORMACION Folios: 9

Referencia: Expediente No. 07.080.209

Solicitud de Patente de Invención titulada: "Un polimorfo de 42-ester de rapamicina con ácido 3-hidroxi-2-(hidroximetil)-2-metilpropionico (CCI-779) y uso del mismo"

Solicitante: Wyeth

**MEMORIAL DE ALCANCE**

ÁLVARO CORREA ORDÓÑEZ, mayor de edad y vecino de Bogotá D.C., identificado según aparece al pie de mi firma, en mi condición de apoderado de la sociedad en referencia, manifiesto lo siguiente:

El día 06 de agosto de 2007, bajo el número de radicación 07.080.209, presenté la solicitud de patente de invención de la referencia, para la cual adjunto informe preliminar internacional sobre patentabilidad, capítulo I del tratado de cooperación en materia de patentes.

Atentamente,

  
ÁLVARO CORREA ORDÓÑEZ  
C.C.No.79.143.366 de Usaquén  
T.P.20.281

Anexo: Informe preliminar internacional sobre patentabilidad, capítulo I

From the INTERNATIONAL BUREAU

**PCT**NOTIFICATION CONCERNING  
TRANSMITTAL OF COPY OF INTERNATIONAL  
PRELIMINARY REPORT ON PATENTABILITY  
(CHAPTER I OF THE PATENT COOPERATION  
TREATY)

(PCT Rule 44bis.1(c))

To:

KODROFF, Cathy, A.  
Howson and Howson  
321 Norristown Road, Suite 200  
Spring House Corporate Center  
P.O. Box 457  
Spring House, PA 19477  
ETATS-UNIS D'AMERIQUEDate of mailing (day/month/year)  
23 August 2007 (23.08.2007)Applicant's or agent's file reference  
AM-101905PCT

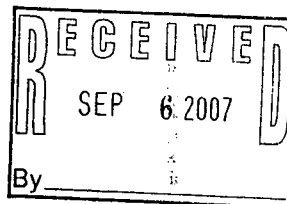
## IMPORTANT NOTICE

International application No.  
PCT/US2006/003098International filing date (day/month/year)  
25 January 2006 (25.01.2006)Priority date (day/month/year)  
09 February 2005 (09.02.2005)

Applicant

WYETH et al

The International Bureau transmits herewith a copy of the international preliminary report on patentability (Chapter I of the Patent Cooperation Treaty)

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Authorized officer

Philippe Becamel

Facsimile No. +41 22 338 82 70

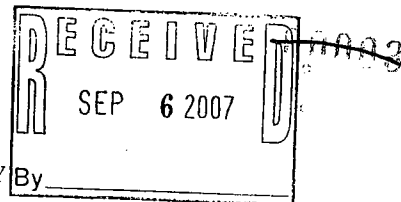
e-mail: pt12.pct@wipo.int

# 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<br>AM-101905PCT                                                                            | <b>FOR FURTHER ACTION</b> See item 4 below                                 |                                                                 |
| International application No.<br>PCT/US2006/003098                                                                               | International filing date (day/month/year)<br>25 January 2006 (25.01.2006) | Priority date (day/month/year)<br>09 February 2005 (09.02.2005) |
| International Patent Classification (8th edition unless older edition indicated)<br>See relevant information in Form PCT/ISA/237 |                                                                            |                                                                 |
| Applicant<br>WYETH                                                                                                               |                                                                            |                                                                 |

|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |  |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--|
| <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> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input checked="" type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><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</p> <p><input checked="" type="checkbox"/> Box No. VI Certain documents cited</p> <p><input checked="" type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application</p> |  |
| <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>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |  |

|                                                                                                                                              |                                                                                    |
|----------------------------------------------------------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------|
| <p>The International Bureau of WIPO<br/>34, chemin des Colombettes<br/>1211 Geneva 20, Switzerland</p> <p>Facsimile No. +41 22 338 82 70</p> | <p>Date of issuance of this report<br/>14 August 2007 (14.08.2007)</p>             |
|                                                                                                                                              | <p>Authorized officer</p> <p>Philippe Becamel</p> <p>e-mail: pt12.pct@wipo.int</p> |

# PATENT COOPERATION TREATY

From the  
INTERNATIONAL SEARCHING AUTHORITY

To:

see form PCT/ISA/220

PCT

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

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/US2006/003098

International filing date (day/month/year)  
25.01.2006

Priority date (day/month/year)  
09.02.2005

International Patent Classification (IPC) or both national classification and IPC  
INV. C07D498/18 A61K31/395 A61P35/00

Applicant  
WYETH

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 or 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.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:



European Patent Office - P.B. 5818 Patentlaag 2  
NL-2280 HV Rijswijk - Pays Bas  
Tel. +31 70 340 - 2040 Tx: 31 651 epo nl  
Fax: +31 70 340 - 3016

Date of completion of  
this opinion

see form  
PCT/ISA/210

Authorized Officer

Menchaca, R

Telephone No. +31 70 340-9524



WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY

International application No.  
PCT/US2006/003098

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. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
  - a. type of material:
    - ☐ a sequence listing
    - ☐ table(s) related to the sequence listing
  - b. format of material:
    - ☐ on paper
    - ☐ in electronic form
  - c. time of filing/furnishing:
    - ☐ contained in the international application as filed.
    - ☐ filed together with the international application in electronic form.
    - ☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto 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.
4. Additional comments:

Box No. II Priority

1. ☒ The validity of the priority claim has not been considered because the International Searching Authority does not have in its possession a copy of the earlier application whose priority has been claimed or, where required, a translation of that earlier application. This opinion has nevertheless been established on the assumption that the relevant date (Rules 43bis.1 and 64.1) is the claimed priority date.
2. ☐ This opinion has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rules 43bis.1 and 64.1). Thus for the purposes of this opinion, the international filing date indicated above is considered to be the relevant date.
3. Additional observations, if necessary:

112  
11/11/06

WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY

International application No.  
PCT/US2006/003098

**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 | 1-13 |
|                               | No: Claims  |      |
| Inventive step (IS)           | Yes: Claims |      |
|                               | No: Claims  | 1-13 |
| Industrial applicability (IA) | Yes: Claims | 1-13 |
|                               | No: Claims  |      |

2. Citations and explanations

see separate sheet

**Box No. VI Certain documents cited**

1. Certain published documents (Rules 43bis.1 and 70.10)

and / or

2. Non-written disclosures (Rules 43bis.1 and 70.9)

see form 210

**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

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11/11/07

**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING  
AUTHORITY (SEPARATE SHEET)**

International application No.

PCT/US2006/003098

**Re Item V**

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

**1 Documents**

Reference is made to the following documents:

D1: US-A-5 362 718 (1994-11-08)

D2: US-B1-6 277 983 (2001-08-21).

**2 Subject-matter**

The invention relates to a polymorph form II of CCI-779, a process for its preparation and pharmaceutical compositions comprising said compound.

**3 Novelty**

D1 (see example 11, column 13; claim 15) and D2 (see example 5, column 9) disclose processes for the preparation of CCI-779 and pharmaceutical compositions thereof. Neither D1 nor D2 discloses a polymorph form of CCI-779 according to the present application and hence, the subject-matter of independent claims 1 and 4 is novel over D1-D2 in the sense of Article 33(2) PCT.

The subject-matter of independent claim 5, disclosing a process for the preparation of polymorph form II of CCI-779, and independent claims 11-13, disclosing its pharmaceutical use, is therefore novel over the prior art in the sense of Article 33(2) PCT.

The subject-matter of dependent claims 2-3 and 6-10, fulfills as such the requirements of the PCT with respect to novelty (Article 33(2) PCT).

**4 Inventive step**

D1 is regarded as being the closest prior art to the subject-matter of independent claims 1, 4-5 and 11-13 and discloses (see novelty section) a process for the preparation of CCI-779 and pharmaceutically acceptable salts thereof.

The subject-matter of claims 1, 4-5 and 11-13 differs from this known D1 in that a

different polymorph form of CCI-779 is disclosed together with a process for its preparation.

The problem to be solved may therefore be regarded as the provision of further polymorph forms of CCI-779 and processes for their preparation. This is achieved in the present invention by using polymorph form II of CCI-779 disclosed in claim 1, which can be readily prepared by using the process disclosed in claim 5.

Since no technical effect can be attributed to the new polymorph form (e.g. improved activity, greater bioavailability, etc.), seeking for a new crystalline form of a known compound is considered obvious to a person skilled in the art. The presence of a different effect must be shown in comparison with the compound from the closest prior art.

The subject-matter of independent claims 1, 4-5 and 11-13 cannot therefore be considered as involving an inventive step in the sense of Article 33(3) PCT.

The subject-matter of dependent claims 2-3 and 6-10 does not contain any features which, in combination with the features of any claim to which they refer, meet the requirements of the PCT with respect to inventive step (Article 33(3) PCT).

**Re Item VII**

**Certain defects in the international application**

The general expressions "...incorporated herein by reference.." (see line 19, page 12 and lines 12-13, page 21) and "...the spirit of the invention.." (see line 15, page 21) are vague and unclear and leave the reader in doubt as to the meaning of the technical features to which they refer. They may imply that the extent of the protection may be expanded in some vague and not precisely defined way (Article 5 PCT).

**Re Item VIII**

**Certain observations on the international application**

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**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING  
AUTHORITY (SEPARATE SHEET)**

International application No.

PCT/US2006/003098

Claim 1 does not meet the requirements of Article 6 PCT in that the matter for which protection is sought is not clearly defined. Claim 1 attempts to define the subject-matter by using a negative limitation. A claim's subject-matter is normally defined in terms of positive features indicating that certain elements are present. Negative limitations may be used only if adding positive features to the claim either would not define more clearly and concisely the subject-matter still protectable or would unduly limit the scope of the claim.

"Polymorph form II" is an arbitrary name with no technical meaning. Said expression used in claims 3-5, 8 and 10-13 is vague and unclear and leaves the reader in doubt as to the meaning of the technical feature to which it refers, thereby rendering the definition of the subject-matter of said claims unclear, Article 6 PCT.

The dependency of claim 3 on claim 1 is not clear since it discloses a polymorph form II of CCI-779 "...according to claim 1". However, claim 1 does not mention said polymorph form II (Article 6 PCT).

**REPUBLICA DE COLOMBIA**  
**SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO**

Folio 116

2020  
Bogotá D.C.,

Doctor(a)  
CORREA ORDOÑEZ ALVARO  
Apoderado(a) y/o Representante de  
WYETH

|            |                            |                   |
|------------|----------------------------|-------------------|
| REFERENCIA | Radicación No.             | 07 80209          |
|            | Solicitud internacional    | PCT/US2006/003098 |
|            | Fecha inicio fase nacional | 09/09/2007        |
|            | Trámite                    | 11                |
|            | Evento                     | 378               |
|            | Actuación                  | 416               |
|            | Oficio No.                 | 5630              |
|            | Folios                     | 1                 |

Teniendo en cuenta que la solicitud de privilegio de patente que se tramita bajo el expediente indicado en la referencia, reúne los requisitos de forma establecidos en la Decisión 486 de la Comisión de la Comunidad Andina, el Tratado de Cooperación en Materia de Patentes (PCT), el Reglamento y la Circular Única de la Superintendencia de Industria y Comercio, se envía el extracto de la solicitud a la Oficina de Comunicaciones para efecto de su publicación en la Gaceta de Propiedad Industrial.

Cúmplase  
Dado en Bogotá DC,

12 MAR. 2008

ALIX CARMENZA CESPEDES DE VERGEL  
Jefe de la división de Nuevas Creaciones

adpa10