

Señora

Alix Carmenza Céspedes de Vergel

Jefe de División de Nuevas Creaciones

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

E. S. D.

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 08-093113-00001-0000

Fecha: 2008-10-27 16:50:31 Dep. 2020 NUECREACIONE
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 329 CTOINFORMACION Folios: 5

Referencia: Expediente No. 08.093.113

Solicitud de Patente de Invención titulada: "Procedimiento para preparar conjugados de polietilenglicol solubles en agua de inmunosupresores de macrolidos"

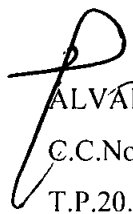
Solicitante: Wyeth

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 4 de septiembre de 2008, bajo el número de radicación 08.093.113, presenté la solicitud de patente de invención de la referencia, para la cual adjunto Reporte Preliminar Internacional sobre Patentabilidad Capítulo I.

Atentamente,


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

Anexo: Reporte 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
501 Office Center Drive
Suite 210
Fort Washington, PA 19034
ETATS-UNIS D'AMERIQUE

Date of mailing (*day/month/year*)
18 September 2008 (18.09.2008)

Applicant's or agent's file reference
AM-102114PCT

IMPORTANT NOTICE

International application No.
PCT/US2007/005646

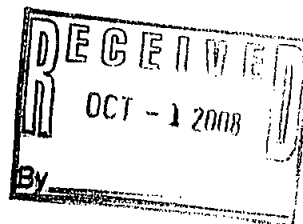
International filing date (*day/month/year*)
05 March 2007 (05.03.2007)

Priority date (*day/month/year*)
07 March 2006 (07.03.2006)

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

Athina Nickitas-Etienne

Facsimile No. +41 22 338 82 70

e-mail: pt04.pct@wipo.int

Form PCT/IB/326 (January 2004)

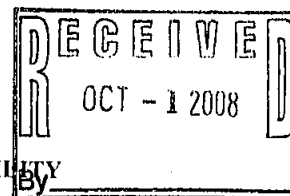
PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)



Applicant's or agent's file reference AM-102114PCT	FOR FURTHER ACTION		See item 4 below
International application No. PCT/US2007/005646	International filing date (<i>day/month/year</i>) 05 March 2007 (05.03.2007)	Priority date (<i>day/month/year</i>) 07 March 2006 (07.03.2006)	
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237			
Applicant Wyeth			

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).

2. This REPORT consists of a total of 7 sheets, including this cover sheet.

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.

3. This report contains indications relating to the following items:

☒	Box No. I	Basis of the report
☐	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 Article 35(2) 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

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).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. +41 22 338 82 70	Date of issuance of this report 09 September 2008 (09.09.2008) Authorized officer Athina Nickitas-Etienne e-mail: pt04.pct@wipo.int
--	--

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

International application No.
PCT/US2007/005646

International filing date (day/month/year)
05.03.2007

Priority date (day/month/year)
07.03.2006

International Patent Classification (IPC) or both national classification and IPC
INV. A61K47/48 A61P37/06

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 Patentlaan 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

Bettio, Andrea

Telephone No. +31 70 340-9526



**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/US2007/005646

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 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.
4. ☐ 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.
5. Additional comments:

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/US2007/005646

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of

☐ the entire international application

☒ claims Nos. 1-32 in part

because:

☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international search (*specify*):

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed (*specify*):

☒ no international search report has been established for the whole application or for said claims Nos. 1-32 in part

☐ a meaningful opinion could not be formed without the sequence listing; the applicant did not, within the prescribed time limit:

☐ furnish a sequence listing on paper complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Searching Authority in a form and manner acceptable to it.

☐ furnish a sequence listing in electronic form complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Searching Authority in a form and manner acceptable to it.

☐ pay the required late furnishing fee for the furnishing of a sequence listing in response to an invitation under Rules 13*ter*.1(a) or (b).

☐ a meaningful opinion could not be formed without the tables related to the sequence listings; the applicant did not, within the prescribed time limit, furnish such tables in electronic form complying with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions, and such tables were not available to the International Searching Authority in a form and manner acceptable to it.

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in electronic form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

☐ See Supplemental Box for further details

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/US2007/005646

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>1-31</u>
	No: Claims	<u>32</u>
Inventive step (IS)	Yes: Claims	<u>1-31</u>
	No: Claims	<u>32</u>
Industrial applicability (IA)	Yes: Claims	<u>1-32</u>
	No: Claims	

2. Citations and explanations

see separate sheet

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The present claim 1 relates to an extremely large number of possible compounds, namely "immunosuppressive macrolide having a shikimic acid derived cyclohexyl region". Support and disclosure in the sense of Article 6 and 5 PCT is to be found however for only a very small proportion of the compounds claimed, namely rapamycin everolimus, temsirolimus, tacrolimus and ascomycin as cited on page 3 line 30 -page 31 line 1 of the present application. The non-compliance with the substantive provisions is to such an extent, that the search was performed taking into consideration the non-compliance in determining the extent of the search of claim 1 (PCT Guidelines 9.19 and 9.23).

The search of claim 1-32 was restricted to those claimed compounds which appear to be supported by the description, namely rapamycin everolimus, temsirolimus, tacrolimus and ascomycin as cited on page 3 line 30 -page 31 line 1 of the present application.

Re Item V

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

Reference is made to the following documents:

D1: US-A-5 780 462 (LEE HYUK-KOO [US] ET AL) 14 July 1998 (1998-07-14) cited in the application

D2: US 2002/055518 A1 (ZHU TIANMIN [US] ET AL) 9 May 2002 (2002-05-09) cited in the application

Novelty (Art 33(2) PCT)

The subject matter of present claim 32 is not novel in the sense of Art 33(2) PCT for the following reasons:

D1 (Column 8 lines 43-65) and D2 (paragraphs 60, 61) both disclose a Methoxypoly(ethylene glycol) esters of rapamycin wherein PEG is attached at position 42

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

International application No.

PCT/US2007/005646

of the macrolide structure.

Although the synthesis of said compounds according to one of the methods disclosed in present claims 1-31 is not disclosed in said documents, they can undisputedly be prepared by said methods.

Consequently the subject matter of present claim 32 is not novel in the sense of Art 33(2)PCT over D1 and D2.

Inventive step (Art 33(3) PCT)

The subject matter of present claim 32 being not novel is also not inventive in the sense of Art 33(3) PCT.

The problem to be solved by the subject matter of present claims 1-31 is the provision of new and alternative processes for the preparation of water soluble poly(ethylene glycol) derivative of a macrolide immunosuppressants (page 23 lines 19-20 of the present application).

As a solution the present application proposes methods according to present claim 1 wherein the macrolide is reacted with an acylating agent in presence of a lipase to form an acetylated macrolide prior to reaction of the regioselectively acetylated macrolide with a poly(ethylene glycol) derivative.

D1 and D2 (see section novelty) both of which can be considered as the closest prior art, disclose methods for the synthesis of macrolide derivatives pegylated in position 42.

The difference between the methods disclosed in D1 and D2 and the subject matter of present claims 1-31 is the fact that in the prior art, in order to obtain regioselective pegylation in position 42, it is necessary to selectively protect the OH group in position 31.

The subject matter of present claims 1-31 is therefore inventive in the sense of Art 33(3) PCT because it represents a easier and shorter synthetic method which reduces the number of intermediate steps thereby rendering the synthetic procedure more efficient compared to the prior art.