

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 08-084190- -00002-0000

Señora

Alix Carmenza Céspedes de Vergel

Jefe de División de Nuevas Creaciones

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

E. _____ S. _____ D. _____

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Act. 329 CTOINFORMACION Folios: 10

Referencia: Expediente No. 08.084.190

Solicitud de Patente de Invención titulada: "N-sulfonilación selectiva de alcoholes 2-amino trifluoroalquilo sustituidos"

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 13 de agosto de 2008, bajo el número de radicación 08.084.190, 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

PATENT COOPERATION TREATY

PCT/US2007/004091

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)
28 August 2008 (28.08.2008)

Applicant's or agent's file reference
AM-101969PCT

IMPORTANT NOTICE

International application No.
PCT/US2007/004091

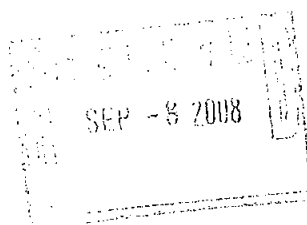
International filing date (day/month/year)
15 February 2007 (15.02.2007)

Priority date (day/month/year)
17 February 2006 (17.02.2006)

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
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Form PCT/IB/326 (January 2004)

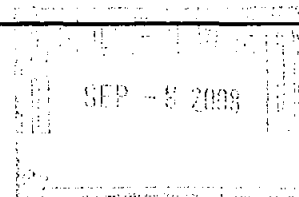
PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference AM-101969PCT	FOR FURTHER ACTION		See item 4 below
International application No. PCT/US2007/004091	International filing date (day/month/year) 15 February 2007 (15.02.2007)	Priority date (day/month/year) 17 February 2006 (17.02.2006)	
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 8 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).

	Date of issuance of this report 19 August 2008 (19.08.2008)
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

Form PCT/IB/373 (January 2004)

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/US2007/004091

International filing date (day/month/year)
15.02.2007

Priority date (day/month/year)
17.02.2006

International Patent Classification (IPC) or both national classification and IPC
INV. C07D333/34 C07C303/38 C07C311/17

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
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Date of completion of
this opinion

see form
PCT/ISA/210

Authorized Officer

English, Russell

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WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/US2007/004091

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:

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INTERNATIONAL SEARCHING AUTHORITY**

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Box No. IV Lack of unity of invention

1. ☐ In response to the invitation (Form PCT/ISA/206) to pay additional fees, the applicant has, within the applicable time limit:
 - ☐ paid additional fees
 - ☐ paid additional fees under protest and, where applicable, the protest fee
 - ☐ paid additional fees under protest but the applicable protest fee was not paid
 - ☐ not paid additional fees
2. ☒ This Authority found that the requirement of unity of invention is not complied with and chose not to invite the applicant to pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rule 13.1, 13.2 and 13.3 is
 - ☐ complied with
 - ☒ not complied with for the following reasons:
see separate sheet
4. Consequently, this report has been established in respect of the following parts of the international application:
 - ☒ all parts.
 - ☐ the parts relating to claims Nos.

Box No. V Reasoned statement under Rule 43b/s.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>1-23</u>
	No: Claims	
Inventive step (IS)	Yes: Claims	<u>1-13, 15-18</u>
	No: Claims	<u>14, 19-23</u>
Industrial applicability (IA)	Yes: Claims	<u>1-23</u>
	No: Claims	

2. Citations and explanations

see separate sheet

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING
AUTHORITY (SEPARATE SHEET)

International application No.

PCT/US2007/004091

Reference is made to the following documents:

D1: WO 2004/092155 A (Wyeth) 28 October 2004

D2: WO 03/103660 A (Wyeth) 18 December 2003

Re Item IV

Lack of unity of invention

1. According to Rule 13.1 PCT, the International application "shall relate to one invention only or to a group of inventions so linked as to form a single general inventive concept".

This is further clarified in Rule 13.2 PCT, which details that "the requirement for unity of invention shall only be fulfilled when there is a technical relationship among those inventions involving one or more of the same corresponding special technical features that defines a contribution which each of the claimed inventions, considered as a whole makes over the prior art".

2. *A priori*, the only features of the present application which appear capable of being the special technical feature are (i) the trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamide derivatives and (ii) their preparation by the amine catalysed reaction of the corresponding hydroxyamine with (hetero)arenesulphonyl chloride.

To decide whether either of these technical features is the special technical feature, we must apply the teaching of Rules 13.1 and 13.2 PCT, which stipulate that the technical feature must define a contribution over the prior art to be recognised as the special technical feature (which gives rise to unity).

For the purposes of unity, a single general inventive concept is required. This means that the broadest possible problem to be solved has to be drawn up (i.e. to cover all claimed possibilities).

It is considered that the problem to be solved by the present application is the provision of alternative methods for the preparation of trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamide derivatives (cf. page 1, lines 18-19). The Applicant solves this problem by means of the reaction of the corresponding

WRITTEN OPINION OF THE
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AUTHORITY (SEPARATE SHEET)

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hydroxyamine with (hetero)arenesulphonyl chloride using either (i) N-methylmorpholine in isopropyl acetate, acetonitrile, propionitrile or toluene or (ii) Hünig's base in tetrahydrofuran.

3. Document D1 discloses the preparation of trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamide derivatives by the amine catalysed reaction of the corresponding hydroxyamine with heteroarenesulphonyl chloride (see examples 1.B.8, 1.C.9, 2.A.5, 4.B, 6.G, 10.C and 11.E).

Thus, the process of D1 solves the problem in an identical manner to the present application. In the light of D1, the solution proposed in the present application to the problem mentioned above, namely the provision of alternative methods for the preparation of trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamide derivatives, is known from the prior art. Neither the compounds themselves nor the coupling reaction used to prepare them can be regarded as the special technical feature which links together the separate inventions disclosed in the present application.

Since there are no apparent features which may be regarded as the special technical feature which could link the different inventions of the application, then there is a lack of unity.

In the light of the above, the examiner has identified, *a posteriori*, two different subjects:

- (I) *Claims 1-13(in part), 14, 16-18, 19-23(in part)*
Process for the preparation of trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamides by reaction of the corresponding hydroxyamine with (hetero)arenesulphonyl chloride using N-methylmorpholine in isopropyl acetate, acetonitrile, propionitrile or toluene.
- (II) *Claims 1-13(in part), 15, 19-23(in part)*
Process for the preparation of trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamides by reaction of the corresponding hydroxyamine with (hetero)arenesulphonyl chloride using Hünig's base in tetrahydrofuran.

All the claims 1-23 have been searched and are the subject of the present opinion.

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

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Re Item V

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

4. Subject-matter

The present application concerns a process for the preparation of trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamide derivatives by the reaction of the corresponding hydroxyamine with (hetero)arenesulphonyl chloride using either (i) N-methylmorpholine (NMM) in isopropyl acetate, acetonitrile, propionitrile or toluene or (ii) Hünig's base in tetrahydrofuran. Optionally, the product is isolated from the reaction mixture by a solvent exchange with heptane (claim 19).

5. Novelty

Document D1 discloses the preparation of trifluoromethyl substituted N-(2-hydroxyethyl) thiophenesulphonamides (see examples 1.B.8, 1.C.9, 2.A.5, 4.B, 6.G, 10.C and 11.E). In these examples the base used is triethylamine and the solvent is dichloromethane or, in one case, tetrahydrofuran. The use of NMM or Hünig's base is not specifically disclosed in D1.

Document D2 discloses the preparation of N-(2-hydroxyethyl) benzenesulphonamide derivatives by the coupling of benzenesulphonamide derivatives with hydroxyamine derivatives using triethylamine in dichloromethane. The preparation of the trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamide derivatives of the present application is not explicitly described in D1.

The subject-matter of present claims 1-23 is therefore new (Article 33(2) PCT).

6. Inventive step

The present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claims 1-13, 15-18 does not involve an inventive step in the sense of Article 33(3) PCT.

The document D1 is regarded as being the closest prior art to the subject-matter of claims 1-23, and discloses the use of triethylamine in dichloromethane in the coupling of 5-chloro-2-thiophenesulphonyl chloride with various trifluoromethyl substituted 2-hydroxyethylamine derivatives. The use of NMM and Hünig's base is not described in D1, nor is the solvent exchange process with heptane for the isolation of the

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product (claim 19).

A direct comparison of the yields in the examples of the present application (pages 13-14) with those of the relevant examples of D1 (1.B.8, 1.C.9, 2.A.5, 4.B, 6.G and 10.C) is not simple since the method by which the product is isolated is different in the two cases. However, the crude yields in D1 are generally lower than the final yield of purified product in the present application. This strongly suggests that the use of the base/solvent systems in the claimed process gives a better yield than the use of triethylamine and dichloromethane in D1.

The problem to be solved by the present invention may therefore be regarded as the provision of an improved process for the preparation of trifluoromethyl substituted N-(2-hydroxyethyl) (hetero)arenesulphonamide derivatives. The applicant proposes the coupling reaction of present claim 1 using the base/solvent systems as described in paragraph 4 above.

There is nothing in D1 to suggest that these base/solvent system would lead to a higher yield of sulphonamide product. However, the examples only show that the use of NMM and isopropyl acetate actually does give a better yield. No examples of the other claimed base-solvent combinations is presented by the applicant.

The subject-matter of claims 14,20-23, which relate to the use of NMM and isopropyl acetate, involves an inventive step. The use of the other bases and solvents is, in each case, merely one of several straightforward possibilities from which the skilled person would select, in accordance with circumstances, without the exercise of inventive skill, in order to solve the problem posed. In the absence of an unexpected technical effect arising from the selection of the particular base-solvent combinations other than NMM with isopropyl acetate, no inventive step can be acknowledged for the subject-matter of claims 1-13,15-18.

The use of solvent exchange with heptane to isolate the product removes the need to perform the chromatography steps as described in D1, thereby simplifying the process. The subject-matter of claim 19 therefore involves an inventive step.