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**SUPERINDUSTRIA Y COMERCIO**  
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Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

Señor

**Jefe de la División de Nuevas Creaciones**

**Superintendencia de Industria y Comercio**

**Ministerio de Comercio, Desarrollo, Industria y Turismo**

E.                      S.                      D.

Ref.: Expediente No. 03.112.805

Solicitud de Patente PCT entrada fase nacional para: **"NUEVOS DERIVADOS HETEROCICLICOS QUE COMPRENDEN UN ANILLO DE TETRAHIDROISOQUINOLINA Y USO FARMACEUTICO DE LOS MISMOS".**

Solicitante: **KYOTO PHARMACEUTICAL INDUSTRIES, LTD.**

**PRESENTACION DEL EXAMEN PRELIMINAR**  
**INTERNACIONAL**

**MARGARITA CASTELLANOS ABONDANO**, mayor de edad, identificada y domiciliada como aparece al pie de mi firma, atentamente me permito presentar copia en inglés del examen preliminar Internacional junto con su traducción simple al español.

En consecuencia, atentamente solicito a Ud. se anexe dicho documento al expediente correspondiente a esta solicitud de Patente de Invención.

Del Señor Jefe,

  
**Margarita Castellanos Abondano**  
C.C. No. 39.779.654 de Usaquén  
T.P.A. No.70819 del C. Sup. de la Judicatura.  
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Bogotá,  
xgt/4649FNPCT

03 MAY 2004

Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

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|                                                                                                                                                            |                                                                                                                        |                                                          |
|------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------|----------------------------------------------------------|
| Applicant's or agent's file reference<br>09476                                                                                                             | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) |                                                          |
| International application No.<br>PCT/JP02/05098                                                                                                            | International filing date (day/month/year)<br>27 May 2002 (27.05.02)                                                   | Priority date (day/month/year)<br>29 May 2001 (29.05.01) |
| International Patent Classification (IPC) or national classification and IPC<br>C07D 413/12, A61K 31/4725, A61P 3/04, 3/06, 3/10, 9/10, 9/12, 29/00, 43/00 |                                                                                                                        |                                                          |
| Applicant<br>KYOTO PHARMACEUTICAL INDUSTRIES, LTD.                                                                                                         |                                                                                                                        |                                                          |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 3 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of            sheets.

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

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

|                                                                 |                                                                  |
|-----------------------------------------------------------------|------------------------------------------------------------------|
| Date of submission of the demand<br>02 December 2002 (02.12.02) | Date of completion of this report<br>05 August 2003 (05.08.2003) |
| Name and mailing address of the IPEA/JP                         | Authorized officer                                               |
| Facsimile No.                                                   | Telephone No.                                                    |

Form PCT/IPEA/409 (cover sheet) (July 1998)

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP02/05098

## I. Basis of the report

### 1. With regard to the elements of the international application:\*

- ☒ the international application as originally filed
- ☐ the description:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the claims:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, as amended (together with any statement under Article 19  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the drawings:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the sequence listing part of the description:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

### 2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item. These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

### 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

### 4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/fig \_\_\_\_\_

### 5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.  
PCT/JP 02/05098

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

|                               |        |      |     |
|-------------------------------|--------|------|-----|
| Novelty (N)                   | Claims | 1-12 | YES |
|                               | Claims |      | NO  |
| Inventive step (IS)           | Claims | 1-12 | YES |
|                               | Claims |      | NO  |
| Industrial applicability (IA) | Claims | 1-12 | YES |
|                               | Claims |      | NO  |

2. Citations and explanations

Document 1: WO, 98/00403, A1

Document 2: Heterocycles (2001), 55 (4), pp. 689-704

Document 3: WO, 00/08002, A1

Explanation

Documents 1-3 above, cited in the international search report, do not disclose or suggest the inventions set forth in Claims 1-12. These inventions are thus novel and involve an inventive step.

TRATADO DE COOPERACION DE PATENTES

PCT

INFORME DEL EXAMEN PRELIMINAR INTERNACIONAL

(Artículo 36 y Regla 70 del PCT)

|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                                                                                                                                        |                                                                            |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------|----------------------------------------------------------------------------|
| Archivo de referencia del solicitante o del agente<br><b>09476</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | <b>PARA ACCION POSTERIOR</b><br>Ver Notificación de Transmisión del Informe del Examen Preliminar Internacional (Formato PCT/1PEA/416) |                                                                            |
| Solicitud Internacional No.<br><b>PCT/JP02/05098</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | Fecha de presentación internacional (día/mes/año)<br><b>27 de Mayo de 2002 (27.05.2002)</b>                                            | Fecha de prioridad (día/mes/año)<br><b>29 de Mayo de 2001 (29.05.2001)</b> |
| Clasificación Internacional de Patente (CIP) o Clasificación Nacional y CIP<br><b>C07D 413/12, A61K 31/4725, A61P 3/04, 3/06, 3/10, 9/10, 9/12, 29/00, 43/00</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |                                                                                                                                        |                                                                            |
| Solicitante:<br><b>KYOTO PHARMACEUTICAL INDUSTRIES, LTD.</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                                                                        |                                                                            |
| <p>1 Este Informe de examen preliminar internacional ha sido preparado por esta Autoridad Examinadora Preliminar Internacional y se transmite al solicitante de acuerdo con el Artículo 36.</p> <p>2 Este INFORME consiste en un total de <u>3</u> hojas, incluyendo esta carátula.</p> <p><input type="checkbox"/> Este Informe también se acompaña de los ANEXOS, esto es, hojas de descripción, reivindicaciones y/o dibujos que han sido modificados y son la base de este Informe y/o hojas que contienen rectificaciones hechas ante esta Autoridad (ver Regla 70.16 y Sección 607 de las Instrucciones Administrativas según el PCT)</p> <p>Estos anexos consisten en un total de <u>  </u> hojas.</p>                                                                                                                                                     |                                                                                                                                        |                                                                            |
| <p>3 Este Informe contiene indicaciones relacionadas con los siguientes ítems:</p> <p>I <input checked="" type="checkbox"/> Base del informe</p> <p>II <input type="checkbox"/> Prioridad</p> <p>III <input type="checkbox"/> No establecimiento de opinión con respecto a la novedad, nivel inventivo y aplicación Industrial</p> <p>IV <input type="checkbox"/> Carencia de unidad de la invención</p> <p>V <input checked="" type="checkbox"/> Declaración razonada según artículo 35(2) con relación a la novedad, nivel inventivo o aplicación industrial; citas y explicaciones que apoyan tal declaración</p> <p>VI <input type="checkbox"/> Ciertos documentos citados</p> <p>VII <input type="checkbox"/> Ciertos defectos en la solicitud internacional</p> <p>VIII <input type="checkbox"/> Ciertas observaciones sobre la solicitud internacional</p> |                                                                                                                                        |                                                                            |
| Fecha de presentación de la solicitud de examen<br><b>2 de Diciembre de 2002 (02.12.02)</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       | Fecha de completamiento de este Informe<br><b>5 de Agosto de 2003 (05.08.2003)</b>                                                     |                                                                            |
| Nombre y dirección de correo de la autoridad de Examen Preliminar Internacional<br><br>Fax                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | Funcionario autorizado<br><br>Teléfono No.                                                                                             |                                                                            |

Forma PCT/1PEA/408

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**INFORME DEL EXAMEN**

**PRELIMINAR INTERNACIONAL**

**Solicitud Internacional No.**

**PCT/JP 02/05098**

**V. Declaración razonada bajo el artículo 35(2) con relación a la novedad, altura inventiva o aplicación industrial: citas y explicaciones que apoyan tal declaración.**

**1. Declaración**

|                            |                  |      |    |
|----------------------------|------------------|------|----|
| Novedad (N)                | Reivindicaciones | 1-12 | SI |
|                            | Reivindicaciones |      | NO |
| Altura inventiva (IS)      | Reivindicaciones | 1-12 | SI |
|                            | Reivindicaciones |      | NO |
| Aplicación Industrial (IA) | Reivindicaciones | 1-12 | SI |
|                            | Reivindicaciones |      | NO |

**2. Citaciones y explicaciones**

Documento 1: WO, 98/00403, A1  
Documento 2: Heterociclos (2001), 55 (4), pp.689-704  
Documento 3: WO, 00/08002, A1

**Explicación:**

Los documentos 1 a 3 de arriba, citados en el informe de búsqueda internacional, no revelan ni sugieren las invenciones establecidas en el pliego de reivindicaciones 1 a 12. Estas invenciones son novedosas e involucran nivel inventivo.

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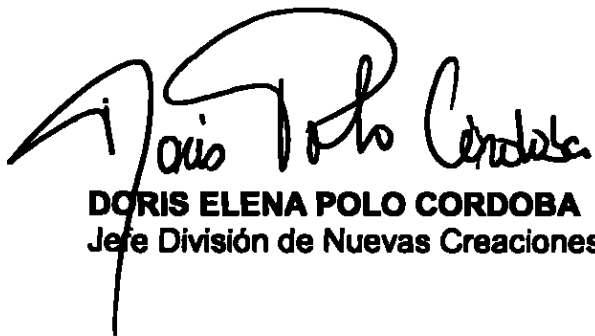
Doctor(a)  
MARGARITA CASTELLANOS ABONDANO.  
Apoderado(a)

|                    |                            |                |
|--------------------|----------------------------|----------------|
| <b>REFERENCIA:</b> | Radicación N°              | 03-112805      |
|                    | Solicitud internacional    | PCT/JP02/05098 |
|                    | Fecha límite fase nacional | 29/12/2003     |
|                    | Trámite                    | 011            |
|                    | Evento                     | 379            |
|                    | Actuación                  | 416            |
|                    | Oficio N°                  | 264            |
|                    | Folios                     | 001            |

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 los artículos 26 y 27 de la Decisión 486, de la Comisión de la Comunidad Andina, y en las demás disposiciones vigentes sobre la materia, se envía el extracto de la solicitud a la oficina de comunicaciones para efecto de su publicación en la Gaceta de Propiedad Industrial, conforme lo establece el artículo 40 de la Decisión 486.

**Cúmplase**  
Dado en Bogotá D C,

25 MAYO 2005

  
**DORIS ELENA POLO CORDOBA**  
Jefe División de Nuevas Creaciones (E).

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