



Industria y Comercio

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

Operator: NewPath

Positivo

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 09-031839-00002-0000

Fecha: 2009-05-13 15:19:28 Dep. 2020 NUECREACIONE
Tra. 11 PATENTEIYII Eve: 379 FASENACIONALII
Act. 329 CTOINFORMACION Folios: 29

FORMULARIO ÚNICO DE CORRECCIONES Y MODIFICACIONES

SOLICITUD DE :

- 1 ☐ Corrección de error material ☒ Modificación a una solicitud

2
SOLICITANTENombre: **LEXICON PHARMACEUTICALS, INC.**

Dirección: 8800 Technology Forest Place, The Woodlands, Texas 77381-1160, Estados Unidos de América.

Nacionalidad o Domicilio: The Woodlands, Texas, Estados Unidos de América.

Lugar de Constitución: Texas, Estados Unidos de América.

Teléfono:

Fax:

E-mail:

IDENTIFICACIÓN

C.C. ☐NIT ☐C.E. ☐Otro ☐

Cual _____

Número _____

3
REPRESENTANTE
O APODERADONombre: **DILIA MARÍA RODRÍGUEZ D'ALEMAN**

Dirección: Cra. 11 No. 86-53 piso 6

Teléfono: 6 181088

Fax: 6350824

E-mail: clarkemodet@clarkemodet.com.co

IDENTIFICACIÓN

C.C. ☒NIT ☐C.E. ☐Otro ☐

Cual _____

Número 41.654.882 T.P. 20824

4 Número del expediente:

09-031.839

5 Descripción de la corrección o modificación solicitada: Que se anote :

El nuevo capítulo reivindicatorio consta de 40 reivindicaciones, que se encuentran dirigidas a los compuestos novedosos cubiertos por la fórmula de la antigua reivindicación 37 y a la formulación farmacéutica que los comprende. Se cancelaron todas las reivindicaciones de método y se restringió el alcance de la invención a dichos compuestos.

6 Comprobante de pago No. 09 - 19,488

Fecha 10 de marzo de 2009

7 Anexos:

☒ Comprobante de pago de la tasa☐ Poderes, si fuere el caso☐ Documento que acredita la existencia y representación legal de las partes, cuando sean personas jurídicas.

8

NOMBRE: **DILIA MARÍA RODRÍGUEZ D'ALEMAN**

FIRMA:

C.C. 41.654.882 T.P. 20824 CSJ

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 09 - 19,488
FECHA : MARZO 10 DE 2009

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 09-031839- -00002-0000

Fecha: 2009-05-13 15:19:28 Dep. 2020 NUECREACION
Tra. 11 PATENTEIYII Eve: 379 FASENACIONALII
Act. 329 CTOINFORMACION Folios: 29

***** CONSIGNACION *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
CLARKE MODEY Y CO. COLOMB	CONSIGNACION	BANCO DE BOGOTA	062754387	20584373	10/03/2009	65,530,000.00

***** CONCEPTO *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-03	CAMBIO DE MODALIDAD Y MODIFICA	
12		MARCAS Y LEHAS COMERCIALES	111,000.00
		TOTAL :	\$ 111,000.00

SON: CIENTO ONCE MIL PESOS

RESPONSABLE :

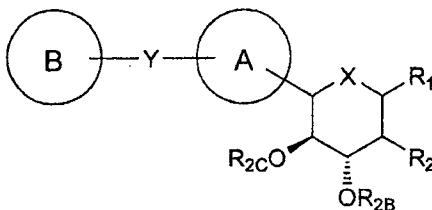
RECIBO DE CAJA APLICADO AL EXPEDIENTE No. _____

P-2152

CLAIMS

What is claimed is:

1. A compound of the formula:



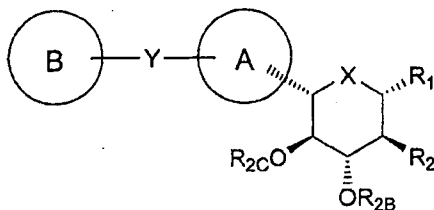
- 5 or a pharmaceutically acceptable salt or solvate thereof, wherein:
- A is optionally substituted aryl, cycloalkyl, or heterocycle;
- B is optionally substituted aryl, cycloalkyl, or heterocycle;
- X is O, S or NR₃;
- Y is O, S, SO, SO₂, NR₄, (C(R₅)₂)_p, (C(R₅)₂)_q-C(O)-(C(R₅)₂)_q, (C(R₅)₂)_q-C(O)O-
- 10 (C(R₅)₂)_q, (C(R₅)₂)_q-OC(O)-(C(R₅)₂)_q, (C(R₅)₂)_q-C(O)NR₄-(C(R₅)₂)_q, (C(R₅)₂)_q-NR₄C(O)-
(C(R₅)₂)_q, or (C(R₅)₂)_q-NR₄C(O)NR₄-(C(R₅)₂)_q;
- when X is O, R₁ is OR_{1A}, SR_{1A}, SOR_{1A}, SO₂R_{1A} or N(R_{1A})₂;
- when X is S, R₁ is hydrogen, OR_{1A}, SR_{1A}, SOR_{1A}, or SO₂R_{1A};
- when X is NR₃, R₁ is OR_{1A}, SR_{1A}, SOR_{1A}, SO₂R_{1A}, or R_{1A};
- 15 • each R_{1A} is independently hydrogen or optionally substituted alkyl, aryl or heterocycle;
- R₂ is fluoro or OR_{2A};
- each of R_{2A}, R_{2B}, and R_{2C} is independently hydrogen, optionally substituted alkyl, C(O)alkyl, C(O)aryl, or aryl;
- 20 R₃ is hydrogen, C(O)R_{3A}, CO₂R_{3A}, CON(R_{3B})₂, or optionally substituted alkyl, aryl or heterocycle;
- each R_{3A} is independently optionally substituted alkyl or aryl;
- each R_{3B} is independently hydrogen or optionally substituted alkyl or aryl;
- each R₄ is independently hydrogen or optionally substituted alkyl;
- 25 each R₅ is independently hydrogen, hydroxyl, halogen, amino, cyano, OR_{5A}, SR_{5A}, or optionally substituted alkyl;
- each R_{5A} is independently optionally substituted alkyl;

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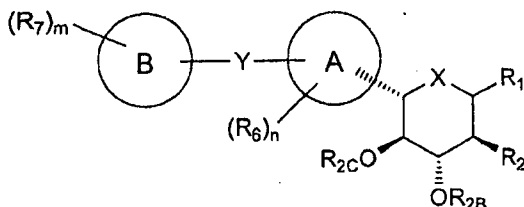
p is 0-3; and

each q is independently 0-2.

2. The compound of claim 1, which is of the formula:



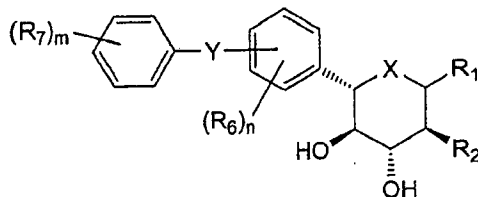
5 3. The compound of claim 1, which is of the formula:



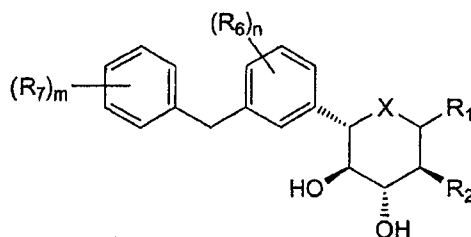
wherein:

- each R_6 is independently hydrogen, hydroxyl, halogen, amino, cyano, nitro, $C\equiv CR_{6A}$, OR_{6A} , SR_{6A} , SOR_{6A} , SO_2R_{6A} , $C(O)R_{6A}$, CO_2R_{6A} , CO_2H , $CON(R_{6A})(R_{6A})$, $CONH(R_{6A})$, $CONH_2$, $NHC(O)R_{6A}$, $NHSO_2R_{6A}$, or optionally substituted alkyl, aryl or heterocycle;
- each R_{6A} is independently optionally substituted alkyl, aryl or heterocycle;
- each R_7 is independently hydrogen, hydroxyl, halogen, amino, cyano, nitro, $C\equiv CR_{7A}$, OR_{7A} , SR_{7A} , SOR_{7A} , SO_2R_{7A} , $C(O)R_{7A}$, CO_2R_{7A} , CO_2H , $CON(R_{7A})(R_{7A})$, $CONH(R_{7A})$, $CONH_2$, $NHC(O)R_{7A}$, $NHSO_2R_{7A}$, or optionally substituted alkyl, aryl or heterocycle;
- each R_{7A} is independently optionally substituted alkyl, aryl or heterocycle;
- m is 1-3; and
- n is 1-3.

4. The compound of claim 3, which is of the formula:

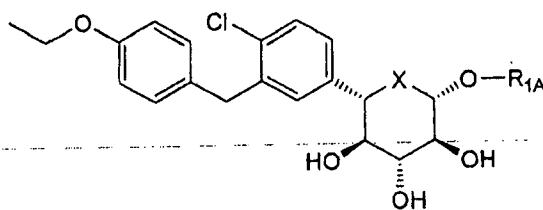


5. The compound of claim 4, which is of the formula:

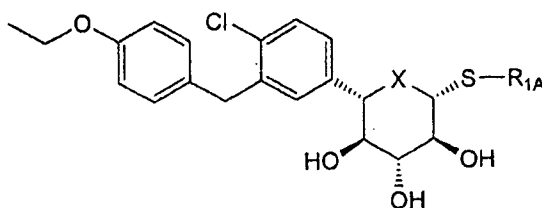


6. The compound of claim 5, wherein X is O.
7. The compound of claim 5, wherein X is S.
- 5 8. The compound of claim 5, wherein X is NR_3 .
9. The compound of claim 5, wherein R_1 is OR_{1A} .
10. The compound of claim 5, wherein R_{1A} is hydrogen.
11. The compound of claim 5, wherein R_{1A} is optionally substituted alkyl (e.g., optionally substituted lower alkyl).
- 10 12. The compound of claim 5, wherein R_1 is SR_{1A} .
13. The compound of claim 12, wherein R_{1A} is hydrogen.
14. The compound of claim 12, wherein R_{1A} is optionally substituted alkyl (e.g., optionally substituted lower alkyl).
15. The compound of claim 5, wherein R_1 is SOR_{1A} .
- 15 16. The compound of claim 15, wherein R_{1A} is hydrogen.
17. The compound of claim 15, wherein R_{1A} is optionally substituted alkyl (e.g., optionally substituted lower alkyl).
18. The compound of claim 5, wherein R_1 is SO_2R_{1A} .
19. The compound of claim 18, wherein R_{1A} is hydrogen.
- 20 20. The compound of claim 18, wherein R_{1A} is optionally substituted alkyl (e.g., optionally substituted lower alkyl).
21. The compound of claim 5, wherein at least one R_1 is $\text{N}(\text{R}_{1A})_2$.
22. The compound of claim 21, wherein at least one R_{1A} is hydrogen.

23. The compound of claim 21, wherein R_{1A} is optionally substituted alkyl (*e.g.*, optionally substituted lower alkyl).
24. The compound of claim 5, wherein R_1 is hydrogen.
25. The compound of claim 5, wherein R_1 is R_{1A} .
- 5 26. The compound of claim 25, wherein R_{1A} is hydrogen.
27. The compound of claim 25, wherein R_{1A} is optionally substituted alkyl (*e.g.*, optionally substituted lower alkyl).
28. The compound of claim 5, wherein R_6 is hydrogen, hydroxyl, halogen, OR_{6A} or optionally substituted lower alkyl (*e.g.*, optionally halogenated methyl, ethyl, or isopropyl).
- 10 29. The compound of claim 5, wherein R_7 is hydrogen, $C\equiv CR_{7A}$, OR_{7A} or optionally substituted lower alkyl (*e.g.*, optionally halogenated methyl, ethyl, or isopropyl).
30. The compound of claim 5, which is of the formula:

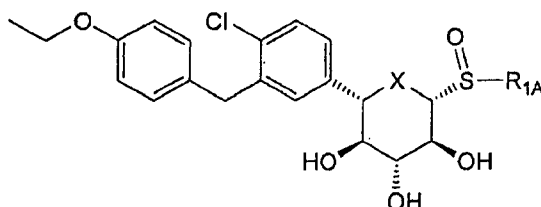


- 15 31. The compound of claim 5, which is of the formula:

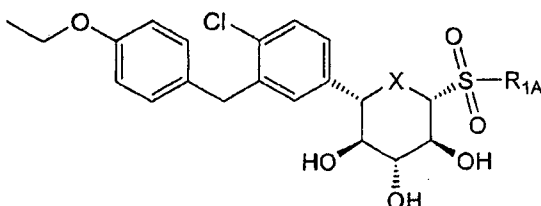


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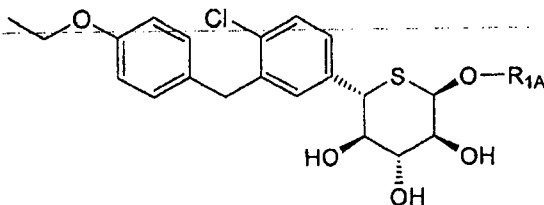
32. The compound of claim 5, which is of the formula:



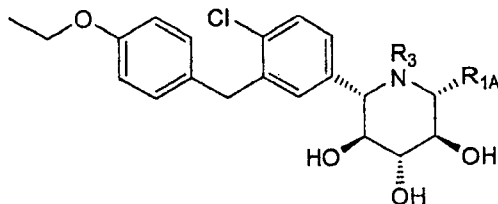
33. The compound of claim 5, which is of the formula:



- 5 34. The compound of one of claims 30-33, wherein X is O.
35. The compound of one of claims 30-33, wherein X is S.
36. The compound of one of claims 30-33, wherein X is NR₃.
37. The compound of claim 5, which is of the formula:



- 10 38. The compound of claim 5, which is of the formula:



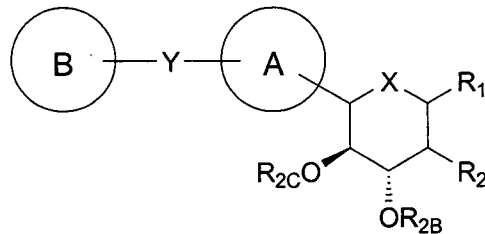
39. The compound of one of claims 30-33, 37 or 38, wherein R_{1A} is hydrogen or optionally substituted methyl or ethyl.

40. A pharmaceutical formulation comprising a compound of claim 1 and a
15 pharmaceutically acceptable diluent or excipient.

REIVINDICACIONES

Se reivindica lo siguiente:

1. Un compuesto de la fórmula :



o una sal farmacéuticamente aceptable o solvato del mismo, en donde:

A es arilo, cicloalquilo, o heterociclo opcionalmente sustituido;

B es arilo, cicloalquilo, o heterociclo opcionalmente sustituido;

X es O, S o NR₃;

Y es O, S, SO, SO₂, NR₄, (C(R₅)₂)_p, (C(R₅)₂)_q-C(O)-(C(R₅)₂)_q, (C(R₅)₂)_q-C(O)O-(C(R₅)₂)_q, (C(R₅)₂)_q-OC(O)-(C(R₅)₂)_q, (C(R₅)₂)_q-C(O)NR₄-(C(R₅)₂)_q, (C(R₅)₂)_q-NR₄C(O)-(C(R₅)₂)_q, o (C(R₅)₂)_q-NR₄C(O)NR₄-(C(R₅)₂)_q;

cuando X es O, R₁ es OR_{1A}, SR_{1A}, SOR_{1A}, SO₂R_{1A} o N(R_{1A})₂;

cuando X es S, R₁ es hidrógeno, OR_{1A}, SR_{1A}, SOR_{1A}, o SO₂R_{1A};

cuando X es NR₃, R₁ es OR_{1A}, SR_{1A}, SOR_{1A}, SO₂R_{1A}, o R_{1A};

cada R_{1A} es en forma independiente hidrógeno o alquilo, arilo o heterociclo opcionalmente sustituido;

R₂ es flúor o OR_{2A};

cada uno de R_{2A}, R_{2B}, y R_{2C} es en forma independiente hidrógeno, alquilo, C(O)alquilo, C(O)arilo, o arilo opcionalmente sustituido;

R₃ es hidrógeno, C(O)R_{3A}, CO₂R_{3A}, CON(R_{3B})₂, o alquilo, arilo o heterociclo opcionalmente sustituido;

cada R_{3A} es en forma independiente alquilo o arilo opcionalmente sustituido;

cada R_{3B} es en forma independiente hidrógeno o alquilo o arilo opcionalmente sustituido;

cada R_4 es en forma independiente hidrógeno o alquilo opcionalmente sustituido;

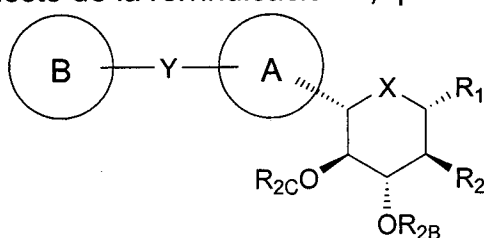
cada R_5 es en forma independiente hidrógeno, hidroxilo, halógeno, amino, ciano, OR_{5A} , SR_{5A} , o alquilo opcionalmente sustituido;

cada R_{5A} es en forma independiente alquilo opcionalmente sustituido;

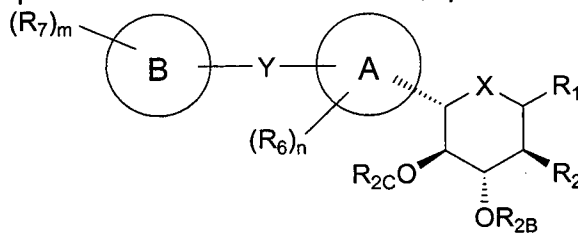
p es 0-3; y

cada q es en forma independiente 0-2.

2. El compuesto de la reivindicación 1, que es de la fórmula:



3. El compuesto de la reivindicación 1, que es de la fórmula:



en donde:

cada R_6 es en forma independiente hidrógeno, hidroxilo, halógeno, amino, ciano, nitro, $C\equiv CR_{6A}$, OR_{6A} , SR_{6A} , SOR_{6A} , SO_2R_{6A} , $C(O)R_{6A}$, CO_2R_{6A} , CO_2H , $CON(R_{6A})(R_{6A})$, $CONH(R_{6A})$, $CONH_2$, $NHC(O)R_{6A}$, $NHSO_2R_{6A}$, o alquilo, arilo o heterociclo opcionalmente sustituido;

cada R_{6A} es en forma independiente alquilo, arilo o heterociclo
opcionalmente sustituido;

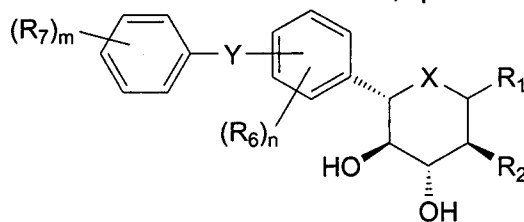
cada R_7 es en forma independiente hidrógeno, hidroxilo, halógeno,
amino, ciano, nitro, $C\equiv CR_{7A}$, OR_{7A} , SR_{7A} , SOR_{7A} , SO_2R_{7A} , $C(O)R_{7A}$, CO_2R_{7A} ,
 CO_2H , $CON(R_{7A})(R_{7A})$, $CONH(R_{7A})$, $CONH_2$, $NHC(O)R_{7A}$, $NHSO_2R_{7A}$, o alquilo,
arilo o heterociclo opcionalmente sustituido;

cada R_{7A} es en forma independiente alquilo, arilo o heterociclo
opcionalmente sustituido;

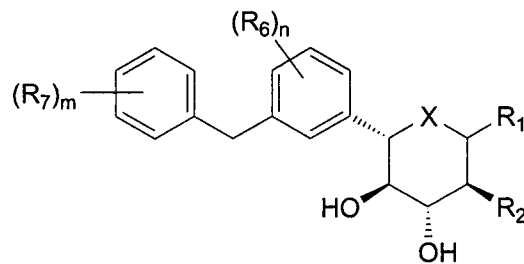
m es 1-3; y

n es 1-3.

4. El compuesto de la reivindicación 3, que es de la fórmula:



5. El compuesto de la reivindicación 4, que es de la fórmula:



6. El compuesto de la reivindicación 5, en donde X es O .
7. El compuesto de la reivindicación 5, en donde X es S .
8. El compuesto de la reivindicación 5, en donde X es NR_3 .
9. El compuesto de la reivindicación 5, en donde R_1 es OR_{1A} .

10. El compuesto de la reivindicación 5, en donde R_{1A} es hidrógeno.
11. El compuesto de la reivindicación 5, en donde R_{1A} es alquilo opcionalmente sustituido (por ejemplo, alquilo inferior opcionalmente sustituido).
12. El compuesto de la reivindicación 5, en donde R_1 es SR_{1A} .
13. El compuesto de la reivindicación 12, en donde R_{1A} es hidrógeno.
14. El compuesto de la reivindicación 12, en donde R_{1A} es alquilo opcionalmente sustituido (por ejemplo, alquilo inferior opcionalmente sustituido).
15. El compuesto de la reivindicación 5, en donde R_1 es SOR_{1A} .
16. El compuesto de la reivindicación 15, en donde R_{1A} es hidrógeno.
17. El compuesto de la reivindicación 15, en donde R_{1A} es alquilo opcionalmente sustituido (por ejemplo, alquilo inferior opcionalmente sustituido).
18. El compuesto de la reivindicación 5, en donde R_1 es SO_2R_{1A} .
19. El compuesto de la reivindicación 18, en donde R_{1A} es hidrógeno.
20. El compuesto de la reivindicación 18, en donde R_{1A} es alquilo opcionalmente sustituido (por ejemplo, alquilo inferior opcionalmente sustituido).
21. El compuesto de la reivindicación 5, en donde al menos un R_1 es $N(R_{1A})_2$.

22. El compuesto de la reivindicación 21, en donde al menos un R_{1A} es hidrógeno.

23. El compuesto de la reivindicación 21, en donde R_{1A} es alquilo opcionalmente sustituido (por ejemplo, alquilo inferior opcionalmente sustituido).

24. El compuesto de la reivindicación 5, en donde R_1 es hidrógeno.

25. El compuesto de la reivindicación 5, en donde R_1 es R_{1A} .

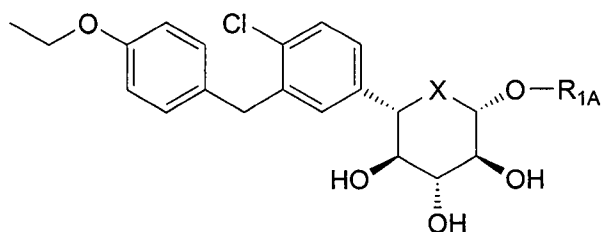
26. El compuesto de la reivindicación 25, en donde R_{1A} es hidrógeno.

27. El compuesto de la reivindicación 25, en donde R_{1A} es alquilo opcionalmente sustituido (por ejemplo, alquilo inferior opcionalmente sustituido).

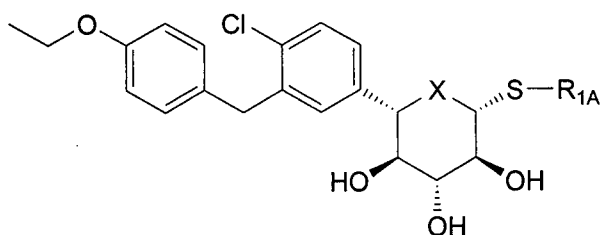
28. El compuesto de la reivindicación 5, en donde R_6 es hidrógeno, hidroxilo, halógeno, OR_{6A} o alquilo inferior opcionalmente sustituido (por ejemplo, metilo, etilo o isopropilo opcionalmente halogenado).

29. El compuesto de la reivindicación 5, en donde R_7 es hidrógeno, $C\equiv CR_{7A}$, OR_{7A} o alquilo inferior opcionalmente sustituido (por ejemplo, metilo, etilo o isopropilo opcionalmente halogenado).

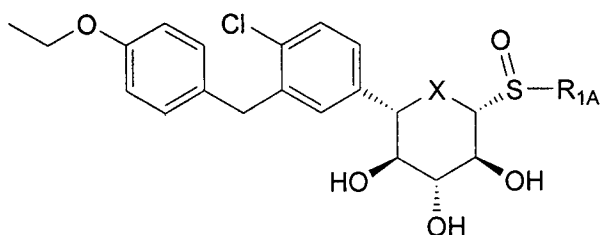
30. El compuesto de la reivindicación 5, que es de la fórmula:



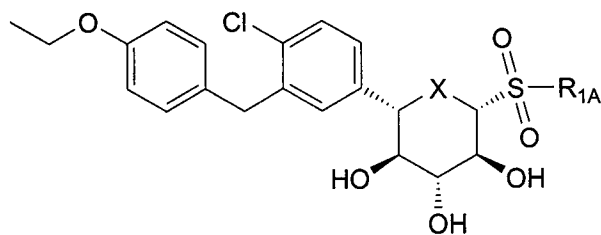
31. El compuesto de la reivindicación 5, que es de la fórmula:



32. El compuesto de la reivindicación 5, que es de la fórmula:



33. El compuesto de la reivindicación 5, que es de la fórmula:

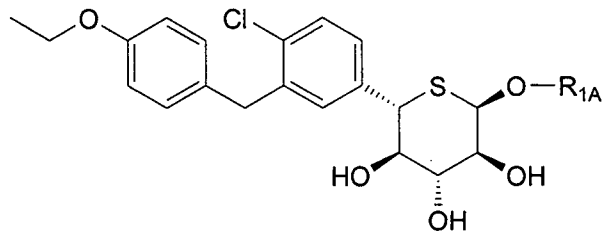


34. El compuesto de una de las reivindicaciones 30-33, en donde X es O.

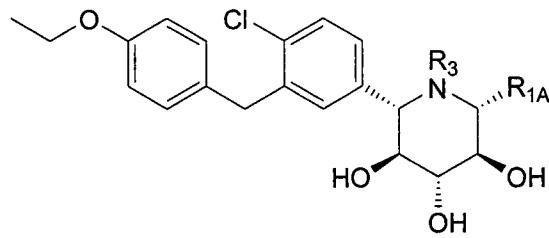
35. El compuesto de una de las reivindicaciones 30-33, en donde X es S.

36. El compuesto de una de las reivindicaciones 30-33, en donde X es NR₃.

37. El compuesto de la reivindicación 5, que es de la fórmula:



38. El compuesto de la reivindicación 5, que es de la fórmula:



39. El compuesto de una de las reivindicaciones 30-33, 37 o 38, en donde R_{1A} es hidrógeno o metilo o etilo opcionalmente sustituido.

40. Una formulación farmacéutica que comprende un compuesto de la reivindicación 1 y un diluyente o excipiente farmacéuticamente aceptable.

From the INTERNATIONAL BUREAU

PCTNOTIFICATION OF THE RECORDING
OF A CHANGE(PCT Rule 92bis.1 and
Administrative Instructions, Section 422)

Date of mailing (day/month/year) 03 April 2009 (03.04.2009)	To: BACHRACH, Max Lexicon Pharmaceuticals, Inc. 8800 Technology Forest Place The Woodlands, TX 77381 ETATS-UNIS D'AMERIQUE
Applicant's or agent's file reference LEX-0834-PCT LEX-1000-WO-PCT	
International application No. PCT/US2007/079654	IMPORTANT NOTIFICATION International filing date (day/month/year) 27 September 2007 (27.09.2007)

1. The following indications appeared on record concerning:

☒ the applicant ☒ the inventor ☐ the agent ☐ the common representative

Name and Address	State of Nationality	State of Residence
	Telephone No.	
	Facsimile No.	
	E-mail address	

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

☒ the person ☐ the name ☐ the address ☐ the nationality ☐ the residence

Name and Address GOODWIN, Nicole, C. 8 Howe Court Pennington, New Jersey 08534 United States of America	State of Nationality US	State of Residence US
	Telephone No.	
	Facsimile No.	
	E-mail address ☐ Notifications by e-mail authorized	

3. Further observations, if necessary:

The person identified in Box 2 should be added to the record as applicant for the United States of America only and inventor for all designated States.

4. A copy of this notification has been sent to:

☒ the receiving Office
☐ the International Searching Authority
☐ the Authority(ies) specified for supplementary search☒ the International Preliminary Examining Authority
☐ the designated Offices concerned
☒ the elected Offices concerned
☐ other:

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. +41 22 338 90 90	Authorized officer Odaka Hitomi e-mail pt07.pct@wipo.int Telephone No. +41 22 338 74 07
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PATENT COOPERATION TREATY

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:
MAX BACHRACH
LEXICON PHARMACEUTICALS, INC.
ASSOCIATE GENERAL COUNSEL, PATENTS
8800 TECHNOLOGY FOREST PLACE
THE WOODLANDS, TX 77381

PCT

NOTIFICATION OF TRANSMITTAL OF
INTERNATIONAL PRELIMINARY
REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Rule 71.1)

Date of mailing
(day/month/year)

25 MAR 2009

Applicant's or agent's file reference

LEX-1000-WO-PCT

IMPORTANT NOTIFICATION

International application No.

International filing date (day/month/year)

Priority date (day/month/year)

PCT/US07/79654

27 September 2007 (27.09.2007)

29 September 2006 (29.09.2006)

Applicant

LEXICON PHARMACEUTICALS, INC

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary report on patentability and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.
4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices)(Article 39(1))(see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary report on patentability. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the *PCT Applicant's Guide*.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed invention is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

Name and mailing address of the IPEA/ US

Mail Stop PCT, Attn: IPEA/US
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450
Facsimile No. (571) 273-3201

Authorized officer

Shaojia Anna Jiang

Telephone No. (571)272-0627

Form PCT/IPEA/416 (January 2004)

PATENT COOPERATION TREATY

PCT

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

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference LEX-1000-WO-PCT	FOR FURTHER ACTION	See Form PCT/IPEA/416																								
International application No. PCT/US07/79654	International filing date (day/month/year) 27 September 2007 (27.09.2007)	Priority date (day/month/year) 29 September 2006 (29.09.2006)																								
International Patent Classification (IPC) or national classification and IPC IPC: A61K 31/7028(2006.01),31/7034(2006.01) USPC: 514/23;536/1.11,29.2																										
Applicant LEXICON PHARMACEUTICALS, INC																										
1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36. 2. This REPORT consists of a total of <u>4</u> sheets, including this cover sheet. 3. This report is also accompanied by ANNEXES, comprising: a. ☐ (sent to the applicant and to the International Bureau) a total of <u>5</u> sheets, as follows: ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).																										
4. This report contains indications relating to the following items: <table style="width: 100%; border: none;"> <tr> <td style="width: 10%;">☒</td> <td style="width: 20%;">Box No. I</td> <td>Basis of the report</td> </tr> <tr> <td>☐</td> <td>Box No. II</td> <td>Priority</td> </tr> <tr> <td>☐</td> <td>Box No. III</td> <td>Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</td> </tr> <tr> <td>☐</td> <td>Box No. IV</td> <td>Lack of unity of invention</td> </tr> <tr> <td>☒</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>☐</td> <td>Box No. VI</td> <td>Certain documents cited</td> </tr> <tr> <td>☐</td> <td>Box No. VII</td> <td>Certain defects in the international application</td> </tr> <tr> <td>☐</td> <td>Box No. VIII</td> <td>Certain observations on the international application</td> </tr> </table>			☒	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
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☐	Box No. VIII	Certain observations on the international application																								
Date of submission of the demand 28 July 2008 (28.07.2008)	Date of completion of this report 05 March 2009 (05.03.2009)																									
Name and mailing address of the IPEA/ US Mail Stop PCT, Attn: IPEA/US Commissioner for Patents P.O. Box 1450 Alexandria, Virginia 22313-1450 Facsimile No. (571) 273-3201	Authorized officer Shaojia Anna Jiang Telephone No. (571)272-0627																									

Form PCT/IPEA/409 (cover sheet)(April 2007)

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/US07/79654

Box No. I Basis of the report

1. With regard to the **language**, this report is based on:

- ☒ the international application in the language in which it was filed.
- ☐ a translation of the international application into English, which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3(a) and 23.1(b))
- ☐ publication of the international application (under Rule 12.4(a))
- ☐ international preliminary examination (under Rules 55.2(a) and/or 55.3(a))

2. With regard to the **elements** of the international application, this report is based on (*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*):

- ☐ the international application as originally filed/furnished
- ☒ the description:
- pages 1-56 as originally filed/furnished
- pages* NONE received by this Authority on _____
- pages* NONE received by this Authority on _____
- ☒ the claims:
- pages 57-69 as originally filed/furnished
- pages* NONE as amended (together with any statement) under Article 19
- pages* 57-61 received by this Authority on 22 October 2008 (22.10.2008)
- pages* NONE received by this Authority on _____
- ☒ the drawings:
- pages 1/1 as originally filed/furnished
- pages* NONE received by this Authority on 11 December 2007 (11.12.2007)
- pages* NONE received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☒ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☒ the claims, Nos. 41-452
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to the sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below 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)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to the sequence listing (*specify*): _____

5. ☐ This report has been established taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91 (Rule 70.2(e)).

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/US07/79654

Box No. 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 <u>7-23 and 30-39</u>		YES
	Claims <u>1-6, 24-29, and 40</u>		NO
Inventive Step (IS)	Claims <u>7-23 and 30-39</u>		YES
	Claims <u>1-6, 24-29, and 40</u>		NO
Industrial Applicability (IA)	Claims <u>1-40</u>		YES
	Claims <u>NONE</u>		NO
2. Citations and Explanations (Rule 70.7)			
Claims 1-6, 24-29, and 40 lack novelty under PCT Article 33(2) as being anticipated by Eckhardt et al. (PCT publication WO2006/002912, reference of record in this action)			
Eckhardt et al. discloses a number of chemical structures falling within the limitations of the instant claims. (pp. 56-59, compounds 9-46) Eckhardt et al. also discloses a number of pharmaceutical formulations comprising these active ingredients and other pharmaceutically acceptable diluents and excipients such as lactose, corn starch, polyvinylpyrrolidinone, magnesium stearate, polyethylene glycol, and/or water. (pp. 60-02) Therefore Eckhardt et al. teaches every element of the claimed invention, anticipating the claims.			
Claims 7-23 and 30-39 meet the criteria set out in PCT Article 33(2)-(3), because the prior art does not teach or fairly suggest compounds having these particular structural groups, particularly the various substituents listed for the position R1.			
Claim 1-40 meet the criteria set out in PCT Article 33(4), and thus possess industrial applicability because the subject matter claimed can be made or used in industry. Specifically they can be used to treat various disorders of sugar and lipid regulation as described on p. 19 of the specification under the heading 5.4.			
----- NEW CITATIONS -----			
WO2006/002912 (Boehringer Ingelheim International GMBH) 12 January 2006, pp. 56-59			

TRATADO DE COOPERACION EN MATERIA DE PATENTES PCT

INFORME EXAMEN PRELIMINAR INTERNACIONAL (II Capitulo de Tratado de Cooperación de Patentes) (PCT artículo 36 y regla 70)

Referencia del solicitante o agente LEX-1000-WO-PCT	PARA PROSEGUIR Ver notificación de la transmisión del examen preliminar Internacional (formato PCT/IPEA/416)
No. Solicitud Internacional PCT/US07/79654	Fecha de presentación internacional (día/mes/año) 27 de septiembre de 2007 Fecha de prioridad (día/mes/año) 29 de septiembre de 2006
Clasificación Internacional de Patentes (IPC) o clasificación nacional e IPC IPC: A61K 31/7028(2006.01),31/7034(2006.01) 514/23;536/1.11,29.2	
Solicitante LEXICON PHARMACEUTICALS, INC.	

1. Este examen preliminar internacional ha sido preparado por esta Autoridad encargada del Examen Preliminar Internacional y es transmitida al solicitante de acuerdo con el artículo 36.
2. Este INFORME consiste de un total de **4** páginas, incluyendo esta hoja.
3. Este reporte también se acompaña con los siguientes anexos, que comprenden:
 - a. ☒ enviados al solicitante y a la Oficina Internacional, un número total de 5 hojas, de la siguiente forma :
 - ☐ hojas de la descripción, reivindicaciones y/o dibujos los cuales han sido modificados y que son la base de este reporte y/o hojas que contienen rectificaciones autorizadas por esta autoridad (ver regla 70.16 y sección 607 de las instrucciones administrativas).
 - ☐ hojas que fueron presentadas con esta solicitud inicial, pero que dicha autoridad consideran que contienen modificaciones que van más allá de la revelación de la solicitud internacional como fue presentada, como se indica en el ítem 4, casilla 4 No. 1 y la casilla suplementaria.
 - b. ☐ (enviado a la Autoridad Internacional únicamente) un total de (indicar tipo y número de carreras (s) electrónicas (s)), ☐ , que contienen una lista de secuencias o tablas relacionadas con la misma, leíbles únicamente en computador, como se indica en la casilla suplementaria relacionada con la lista de secuencias /ver sección 802 de las instrucciones administrativas).
4. Este informe contiene indicaciones que se relacionan con los siguientes puntos:
 - I. ☒ Base del Informe
 - II. ☐ Prioridad
 - III. ☐ El no-establecimiento de opinión en relación con la novedad, nivel inventivo y aplicación industrial
 - IV. ☐ Falta de unidad de invención
 - V. ☒ Declaración motivada bajo el Art. 35(2) con relación a la novedad, nivel inventivo o aplicación industrial; citaciones y explicaciones que soportan dicha declaración
 - VI. ☐ Ciertos documentos citados
 - VII. ☐ Ciertos defectos en la solicitud internacional
 - VIII. ☐ Ciertas observaciones en la solicitud internacional

Fecha de presentación de la demanda 28 de julio de 2008 (28.07.2008)	Fecha en que se completó este informe 5 de marzo de 2009 (05.03.2009)
Nombre y dirección de la autoridad encargada del examen preliminar internacional: Mail Stop PCT, Attn: ISA/US Comisionado para Patentes P.O. Box 1450 Alexandria, Virginia 22313-1450 Fax: (571) 273-3201	Oficial autorizado Shaojia Anna Jiang Teléfono: (571)272-0627

Solicitud internacional No. PCT/US2007/79654

1. En relación con el idioma, este reporte se basa en una solicitud internacional en el idioma en que este fue presentado, a menos que se indique otra cosa bajo este ítem.

____ Búsqueda internacional (bajo las reglas 12.3 y 23.1 (b)).

Publicación de la solicitud internacional (bajo las reglas 12.4(a))

Examen preliminar internacional (bajo las reglas 55.2(a) y/o 55.3(a))

DESCRIPTIVO, PAGINAS:

1-56 Como originalmente fueron presentadas.

57-69	Como originalmente fueron presentadas.
57-61	Presentadas el 22 de octubre de 2008

1/1 Presentadas el 11 de diciembre de 2007

3. Las modificaciones han resultado en la cancelación de:

El capítulo descriptivo páginas:

X Las reivindicaciones Nos.: 41-452

Los dibujos hojas:

Lista de secuencias

Cualquier tabla relacionada con la lista de secuencias

4. ____ Este informe ha sido establecido como si (algunas de) las modificaciones no hubieran sido efectuadas debido a que se ha considerado que van más allá de lo reivindicado mediante la solicitud internacional (Regla 70.2 (c)):

_____ El capítulo descriptivo páginas:

Las reivindicaciones Nos.:

Los dibujos hojas:

Lista de secuencias

Cualquier tabla relacionada con la lista de secuencias

5. ☐ Esta Opinión ha sido establecida teniendo en cuenta **la rectificación de un error evidente** autorizado por, o notificado a esta autoridad según la regla 91 (regla 70.2(e)).

*** Si el ítem 4 aplica algunas o todas de estas hojas que estén marcadas deben ser "reemplazadas."**

INFORME DEL EXAMEN PRELIMINAR INTERNACIONAL

Solicitud internacional No. PCT/US2007/79654

V. Declaración motivada, bajo el Art. 35(2) con relación a la novedad, nivel inventivo o aplicación industrial; citaciones y explicaciones que sustentan dicha declaración

Declaración

Novedad	SI:	Reivindicaciones	7-23 y 30-39
	NO:	Reivindicaciones	1-6, 24-29, y 40
Nivel inventivo	SI:	Reivindicaciones	7-23 y 30-39
	NO:	Reivindicaciones	1-6, 24-29, y 40
Aplicación Industrial	SI:	Reivindicaciones	1-40
	NO:	Reivindicaciones	

2. Citaciones y explicaciones (regla 70.7)

Las reivindicaciones 1-6, 24-29, y 40 carecen de novedad bajo el artículo 33(2) de PCT ya que son anticipadas por Eckhardt y otros (publicación PCT WO2006/002912, referencia de registro en esta acción)

Eckhardt y otros divulgan un número de estructuras químicas que caen dentro de las limitaciones de las presentes reivindicaciones. (pp. 56-59, componentes 9-46) Eckhardt y otros también divulgan un número de formulaciones farmacéuticas que comprenden estos ingredientes activos y otros diluentes y excipientes farmacéuticamente aceptables tales como lactosa, almidón de maíz, polivinilpirrolidinona, estearato de magnesio, polietilenglicol, y/o agua. (pp. 60-02) Por lo tanto Eckhardt y otros enseñan cada elemento de la invención reclamada, anticipando las reivindicaciones.

Las reivindicaciones 7-23 y 30-39 cumplen con los criterios establecidos en el artículo 33(2)-(3) del PCT, porque el arte previo no enseña o sugiere los compuestos que tienen estos grupos estructurales particulares, particularmente los varios sustituyentes listados para la posición R1.

Las reivindicaciones 1-40 cumplen con los criterios establecidos en el artículo 33(4) del PCT, y así tienen aplicación industrial porque la materia objeto reclamada puede ser fabricada o utilizada en la industria. Específicamente éstas pueden ser utilizadas para tratar varios desórdenes de regulación de azúcar y lípidos como se describe en la página 10 de la solicitud bajo el encabezado 5.4

-----NUEVAS CITACIONES-----

WO2006/002912 (Boehringer Ingelheim International GMBH) 12 de enero de 2006, pp. 56-59

The demand must be filed directly with the competent International Preliminary Examining Authority or, if two or more Authorities are competent, with the one chosen by the applicant. The full name or two-letter code of that Authority may be indicated by the applicant on the line below:

IPEA/ US

PCT

CHAPTER II

DEMAND

under Article 31 of the Patent Cooperation Treaty:
The undersigned requests that the international application specified below be the subject of international preliminary examination according to the Patent Cooperation Treaty.

For International Preliminary Examining Authority use only	
Identification of IPEA	Date of receipt of DEMAND
Box No. I IDENTIFICATION OF THE INTERNATIONAL APPLICATION	
Applicant's or agent's file reference LEX-1000-WO-PCT	
International application No. PCT/US2007/079654	International filing date (day/month/year) 27/09/2007
(Earliest) Priority date (day/month/year) 29/09/2006	
Title of invention PHLORIZIN ANALOGS AS INHIBITORS OF SODIUM GLUCOSE CO-TRANSPORTER 2	
Box No. II APPLICANT(S)	
Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.) LEXICON PHARMACEUTICALS, INC. 8800 Technology Forest Place The Woodlands, TX 77381 United States of America	Telephone No. (281) 863-3000
	Facsimile No. (832) 442-5920
	Applicant's registration No. with the Office
State (that is, country) of nationality: US	State (that is, country) of residence: US
Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.) GOODWIN, Nicole C. 8 Howe Court Pennington, NJ 08534 United States of America	
State (that is, country) of nationality: US	State (that is, country) of residence: US
☒ Further applicants are indicated on a continuation sheet.	

Sheet No. . . .

International application No.
PCT/US2007/079654**Continuation of Box No. II APPLICANT(S)***If none of the following sub-boxes is used, this sheet should not be included in the demand.*Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)*

HARRISON, Bryce A.
102 Norcross Circle
Hamilton, NJ 08619
United States of America

State *(that is, country)* of nationality:
USState *(that is, country)* of residence:
USName and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)*

KIMBALL, S. David
13 Charred Oak Lane
East Windsor, NJ 08520
United States of America

State *(that is, country)* of nationality:
USState *(that is, country)* of residence:
USName and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)*

MABON, Ross
104 Heritage Boulevard, Apt. 1
Princeton, NJ 08540
United States of America

State *(that is, country)* of nationality:
GBState *(that is, country)* of residence:
USName and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)*

RAWLINS, David B.
219 Vernon Road
Morrisville, PA 19067
United States of America

State *(that is, country)* of nationality:
USState *(that is, country)* of residence:
US☐ Further applicants are indicated on another continuation sheet.

Box No. III AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCEThe following person is ☒ agent ☐ common representativeand ☒ has been appointed earlier and represents the applicant(s) also for international preliminary examination.☐ is hereby appointed and any earlier appointment of (an) agent(s)/common representative is hereby revoked.☐ is hereby appointed, specifically for the procedure before the International Preliminary Examining Authority, in addition to the agent(s)/common representative appointed earlier.Name and address: *(Family name followed by given name; for a legal entity, full official designation.
The address must include postal code and name of country.)*

Bachrach, Max
Associate General Counsel, Patents
Lexicon Pharmaceuticals, Inc.
8800 Technology Forest Place
The Woodlands, TX 77381
United States of America

Telephone No.

(281) 863-3485

Facsimile No.

(832) 442-5920

Agent's registration No. with the Office

45,479

☐ **Address for correspondence:** Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.**Box No. IV BASIS FOR INTERNATIONAL PRELIMINARY EXAMINATION****Statement concerning amendments:***

1. The applicant wishes the international preliminary examination to start on the basis of:

☐ the international application as originally filedthe description ☒ as originally filed☐ as amended under Article 34the claims ☐ as originally filed☐ as amended under Article 19 (together with any accompanying statement)☒ as amended under Article 34the drawings ☒ as originally filed☐ as amended under Article 342. ☐ The applicant wishes any amendment to the claims under Article 19 to be considered as reversed.3. ☐ Where the IPEA wishes to start the international preliminary examination at the same time as the international search in accordance with Rule 69.1(b), the applicant requests the IPEA to postpone the start of the international preliminary examination until the expiration of the applicable time limit under Rule 69.1(d).4. ☐ The applicant expressly wishes the international preliminary examination to start earlier than at the expiration of the applicable time limit under Rule 54bis.1(a).

* Where no check-box is marked, international preliminary examination will start on the basis of the international application as originally filed or, where a copy of amendments to the claims under Article 19 and/or amendments of the international application under Article 34 are received by the International Preliminary Examining Authority before it has begun to draw up a written opinion or the international preliminary examination report, as so amended.

Language for the purposes of international preliminary examination:

☒ which is the language in which the international application was filed.☐ which is the language of a translation furnished for the purposes of international search.☐ which is the language of publication of the international application.☐ which is the language of the translation (to be) furnished for the purposes of international preliminary examination.**Box No. V ELECTION OF STATES**

The filing of this demand constitutes the election of all Contracting States which are designated and are bound by Chapter II of the PCT.

Box No. VI CHECK LIST

The demand is accompanied by the following elements, in the language referred to in Box No. IV, for the purposes of international preliminary examination:

- | | | | |
|--|---|-------|--------|
| 1. translation of international application | : | _____ | sheets |
| 2. amendments under Article 34 | : | 14 | sheets |
| 3. copy (or, where required, translation) of amendments under Article 19 | : | _____ | sheets |
| 4. copy (or, where required, translation) of statement under Article 19 | : | _____ | sheets |
| 5. letter | : | _____ | sheets |
| 6. other (<i>specify</i>) | : | _____ | sheets |

For International Preliminary Examining Authority use only
received not received

☐	☐
☐	☐
☐	☐
☐	☐
☐	☐
☐	☐

The demand is also accompanied by the item(s) marked below:

- | | |
|--|---|
| 1. ☒ fee calculation sheet | 5. ☐ statement explaining lack of signature |
| 2. ☐ original separate power of attorney | 6. ☐ sequence listing in electronic form |
| 3. ☐ original general power of attorney | 7. ☐ tables in electronic form related to a sequence listing |
| 4. ☐ copy of general power of attorney; reference number, if any: | 8. ☐ other (<i>specify</i>): |

Box No. VII SIGNATURE OF APPLICANT, AGENT OR COMMON REPRESENTATIVE

Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the demand).



Max Bachrach
Associate General Counsel, Patents
Lexicon Pharmaceuticals, Inc.

For International Preliminary Examining Authority use only

1. Date of actual receipt of DEMAND:

2. Adjusted date of receipt of demand due to CORRECTIONS under Rule 60.1(b):

- | | |
|--|--|
| 3. ☐ The date of receipt of the demand is AFTER the expiration of 19 months from the priority date and item 4 or 5, below, does not apply.
☐ The applicant has been informed accordingly. | 6. ☐ The date of receipt of the demand is AFTER the expiration of the time limit under Rule 54bis.1(a) and item 7 or 8, below, does not apply. |
| 4. ☐ The date of receipt of the demand is WITHIN the time limit of 19 months from the priority date as extended by virtue of Rule 80.5. | 7. ☐ The date of receipt of the demand is WITHIN the time limit under Rule 54bis.1(a) as extended by virtue of Rule 80.5. |
| 5. ☐ Although the date of receipt of the demand is after the expiration of 19 months from the priority date, the delay in arrival is EXCUSED pursuant to Rule 82. | 8. ☐ Although the date of receipt of the demand is after the expiration of the time limit under Rule 54bis.1(a), the delay in arrival is EXCUSED pursuant to Rule 82. |

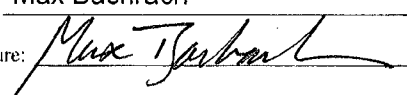
For International Bureau use only

Demand received from IPEA on:

PCT

FEE CALCULATION SHEET

Annex to the Demand

International application No. PCT/US2007/079654	For International Preliminary Examining Authority use only	
Applicant's or agent's file reference LEX-1000-WO-PCT	Date stamp of the IPEA	
Applicant LEXICON PHARMACEUTICALS, INC.		
CALCULATION OF PRESCRIBED FEES		
1. Preliminary examination fee	750.00	P
2. Handling fee (<i>Applicants from certain States are entitled to a reduction of 75% of the handling fee. Where the applicant is (or all applicants are) so entitled, the amount to be entered at H is 25% of the handling fee.</i>)	171.00	H
3. Total of prescribed fees Add the amounts entered at P and H and enter total in the TOTAL box	921.00	
	TOTAL	
MODE OF PAYMENT (Not all modes of payment may be available at all IPEAs)		
☒ authorization to charge deposit account with the IPEA (see below)	☐ cash	
☐ cheque	☐ revenue stamps	
☐ postal money order	☐ coupons	
☐ bank draft	☐ other (specify):	
AUTHORIZATION TO CHARGE (OR CREDIT) DEPOSIT ACCOUNT (This mode of payment may not be available at all IPEAs)		
☒ Authorization to charge the total fees indicated above.	IPEA/ US	
☒ (<i>This check-box may be marked only if the conditions for deposit accounts of the IPEA so permit</i>) Authorization to charge any deficiency or credit any overpayment in the total fees indicated above.	Deposit Account No.: 50-0892	
	Date: 28 July 2008	
	Name: Max Bachrach	
	Signature: 	

PATENT COOPERATION TREATY

Applicant: LEXICON PHARMACEUTICALS, INC.

International Application No.: PCT/US2007/079654

International Filing Date: 27 September 2007

Applicant File Reference:
LEX-1000-WO-PCT
(formerly LEX-0834-PCT)

Earliest Priority Date: 29 September 2006

For: Phlorizin Analogs As Inhibitors Of Sodium
Glucose Co-Transporter 2

AMENDMENT UNDER ARTICLE 34

Mail Stop PCT
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Pursuant to Article 34, Applicants hereby amend the claims of the above-captioned international patent application. The amended claims (claims 1-40) are a subset of the claims originally filed, and are provided on the replacement sheets (pages 57-69) submitted herewith.

In addition, please note that the applicants identified in the accompanying Demand include Nicole C. Goodwin, who is an inventor and an applicant in the US only. Dr. Goodwin's name was inadvertently not listed among the applicant/inventors listed on the Request.

If any fees are due for the entry of this paper, please charge them to Lexicon Pharmaceuticals, Inc. deposit account 50-0892.

Respectfully submitted,

Date: July 28, 2008


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