Clarke, Modet & Cº
COLOMBIA

ESCOBAR - URIBE ASOCIADOS
Intellectual Property

As. 2971/P.

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISIÓN DE NUEVAS CREACIONES
E. S. D.

Ref.: Expediente No. **06-059.593**
Solicitud de Patente a nombre de
Janssen Pharmaceutica N.V.

Yo, **JIMENA ESCOBAR URIBE**, identificada como aparece al pie de mi firma, domiciliada en Bogotá, en la Carrera 11 No. 86-53, piso 6, obrando como apoderada de la sociedad **Janssen Pharmaceutica N.V.,** con domicilio en Beerse, Bélgica, solicitante de la patente de la referencia, pido a usted que se proceda a examinar si la invención, cuya patente se tramita en el expediente de la referencia, es patentable.

Adjunto al presente memorial el recibo No. 07 - 89,734 de 1 de noviembre de 2007, por la suma de $404.000.oo, con lo cual queda cubierta la tasa oficial correspondiente a dicho estudio.

Señor Superintendente,

JIMENA ESCOBAR URIBE
C.C.39.779.452 de Usaquén
T.P. 68.228

2971 164

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 07 - 89,734
FECHA : NOVIEMBRE 1 DE 2007

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
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Ape: 2007-11-08 16:53:40 Dep: 2020 NUECREACIONE
Cta: PATENTES Eve: 1 REGDEPOSITO
Act: RADICACION Folios: 2

***** C O N S I G N A C I O N *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
CLARKE MODET Y CO. COLOMB	CONSIGNACION	BANCO POPULAR	050-00110-6	71030245	01/11/2007	32,806,000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	85 EXAMEN DE PATENTABILIDAD DE INVEN	404,000.00
		TOTAL : $	404,000.00

SON: CUATROCIENTOS CUATRO MIL PESOS

RESPONSABLE : _____

IBO DE CAJA APLICADO AL EXPEDIENTE No._____

Industria y Comercio
SUPERINTENDENCIA

DIVISIÓN DE NUEVAS CREACIONES

RADICACIÓN EXPEDIENTE No. 06-59593

EL EXTRACTO DE LA PRESENTE SOLICITUD DE **PATENTE DE INVENCIÓN**

FUE PUBLICADO EN LA GACETA DE PROPIEDAD INDUSTRIAL No **578** DE

FECHA **31 DE JULIO DE 2007** EL TÉRMINO HÁBIL SEÑALADO POR LA LEY

EXPIRA EL **26 DE OCTUBRE DE 2007**

NOTA: Dentro del plazo de los seis (6) meses siguientes a partir de la fecha de publicación en la gaceta de Propiedad Industrial, deberá solicitar y cancelar el examen de patentabilidad so pena de que la solicitud caiga en abandono, de acuerdo con lo establecido en el Artículo 44 de la Decisión 486/2000.

SE PRESENTARON OPOSICIONES POR PARTE DE TERCEROS.

SI _____ NO ____X____

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International Bureau

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PCT

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60/519,155	12 November 2003 (12.11.2003)	US
60/579,758	15 June 2004 (15.06.2004)	US

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(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

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Published:

— *without international search report and to be republished upon receipt of that report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED INDOLE-O-GLUCOSIDES

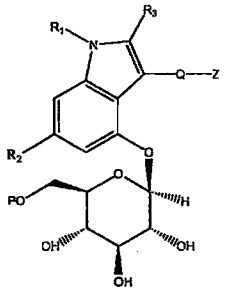
(57) Abstract: Substituted indole-O-glucosides, compositions containing them, and methods of using them, for example for the treatment of diabetes and Syndrome X are disclosed.

WO 2005/012243 A2

What is claimed is:

CLAIMS

1. A compound of formula (I)



(I)

wherein

R_1 is H, C_{1-4} alkyl, or R_4R_5N-(CO)-; each of R_4 and R_5 is independently C_{1-5} alkyl;

R_2 is H, F, Cl or C_{1-4} alkyl;

R_3 is H or C_{1-4} alkyl, provided that where R_3 is C_{1-4} alkyl, then R_2 is H;

Q is –C=O-, or $-(CH_2)_n-$ where n = 0, 1, or 2;

P = H, C_{1-7} acyl, or (C_{1-6} alkoxy)carbonyl;

Z is substituted or unsubstituted, and is selected from C_{3-7} cycloalkyl, phenyl, 5- or 6- membered heterocyclyl having 1 or 2 heteroatoms independently selected from N, O, and S, a biaryl, a 9- or 10-membered fused bicyclyl or fused heterobicyclyl, wherein said fused heterobicyclyl has between 1 and 4 heteroatoms independently selected from N, O, and S; or apharmaceutically acceptable salt, thereof.

2. A compound of claim 1, wherein R_1 is H.

3. A compound of claim 1, wherein R_2 is H, methyl, or ethyl.

4. A compound of claim 1, wherein Q is $-(CH_2)_n-$ and n is 1 or 2.

5. A compound of claim 1, wherein Z is unsubstituted or independently substituted with between 1 and 3 substituents independently selected from C_{1-4} alkoxy, phenoxy, C_{1-4} alkyl, C_{3-6} cycloalkyl, halo, hydroxy, cyano, amino, C_{1-4} alkylthio, C_{1-4} alkylsulfonyl, C_{1-4} alkylsulfinyl, C_{1-4} aminoalkyl, mono and di (C_{1-4} alkyl)amino, phenyl, C_{1-4} alkylaminosulfonyl (SO_2NHR), amino (C_{1-4} alkylsulfonyl) ($NHSO_2R$), di C_{1-4} alkylaminosulfinyl (SONHRR), C_{1-4} alkylamido (NHCOR), C_{1-4} alkylcarbamido (CONHR), and 5-6 membered heterocyclyl containing between 1 and 3 heteroatoms independently selected from N, S, and O; and wherein the substituent(s) on Z can be further independently substituted with between 1 and 3 substituents independently selected from C_{1-4} alkoxy, C_{1-4} alkyl, halo, hydroxy, cyano, amino, mono- or di C_{1-4} alkylamino and C_{1-4} alkylthio.

6. A compound of claim 1, wherein Z is selected from 4-substituted phenyl, 3,4-disubstituted phenyl, benzhydryl, substituted or unsubstituted

thiophene, biaryl, benzofuranyl, dihydrobenzofuranyl, 4-substituted pyridyl, benzo[b]thienyl, chromanyl, benzothiophenyl, indanyl, and naphthyl.

7 A compound of claim 5, wherein Z is unsubstituted or substituted with between 1 and 2 substituents independently selected from methoxy, ethoxy, fluoro, chloro, methyl, ethyl, propyl, butyl and isopropyl.

8. A compound of claim 1, wherein Z is biphenyl, 4-(3-pyridyl)phenyl, 4-(2-thienyl)phenyl, 4-(1H-pyrazol-1-yl)phenyl, 2-(5-phenyl)thiophenyl, (4-ethyl)phenyl, (4-propyl)phenyl, (4-methoxy)phenyl, dihydrobenzofuran-5-yl, or dihydrobenzofuran-6-yl.

9. A compound of claim 1, wherein R_1 is H; and R_2 is H, methyl, ethyl, propyl, or isopropyl.

10. A compound of claim 1 wherein Q is $-(CH_2)_n-$; n is 1 or 2; and R_2 is H, methyl or ethyl.

11. A compound of claim 1, wherein P is H, C_{1-3} acyl, or (C_{1-3} alkoxy)carbonyl.

12. A compound of Claim 2, wherein R_1 is H; R_2 is H, methyl, or ethyl; Q is $-(CH_2)_n-$ and n is 1 or 2; and Z is 4-substituted phenyl, 3,4-disubstituted phenyl, benzhydryl, substituted or unsubstituted thiophene, biaryl, benzofuranyl, dihydrobenzofuranyl, 4-substituted pyridyl, benzo[b]thienyl, chromanyl, benzothiophenyl, indanyl, and naphthyl.

13. A compound of claim 1 wherein R_1 is H; R_2 is H, methyl, or ethyl; wherein Z is 4-substituted phenyl, 3,4-disubstituted phenyl, benzhydryl,

substituted or unsubstituted thiophene, biaryl, benzofuranyl, dihydrobenzofuranyl, 4-substituted pyridyl, benzo[b]thienyl, chromanyl, benzothiophenyl, indanyl, and naphthyl; and Z is unsubstituted or substituted with between 1 and 2 substituents independently selected from methoxy, ethoxy, fluoro, chloro, methyl, ethyl, propyl, butyl and isopropyl.

14, A compound of claim 1, selected from 2-{3-[2-(2,3-Dihydro-benzofuran-5-yl)-ethyl]-1H-indol-4-yloxy}-β-D-glucopyranoside; 2-{3-[2-(2,3-Dihydro-benzofuran-5-yl)-ethyl]-1-methyl-1H-indol-4-yloxy}-β-D-glucopyranoside; 2-{3-[2-(4-Methoxy-phenyl)-ethyl]-1H-indol-4-yloxy}-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-6-O-methoxycarbonyl-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-6-O-ethoxycarbonyl-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-6-O-hexanoyl-β-D-glucopyranoside; 2-[3-(4-Methyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-(3-Biphenyl-4-ylmethyl-1H-indol-4-yloxy)-β-D-glucopyranoside; 2-[3-(4-Ethoxy-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Methylsulfanyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-6-methyl-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Thiophen-3-yl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; and 2-[3-(4-Pyridin-3-yl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside.

15. A compound of claim 1, selected from 2-{3-[2-(2,3-Dihydro-benzofuran-5-yl)-ethyl]-1H-indol-4-yloxy}-6-O-acetyl-β-D-glucopyranoside; 2-{3-(2-Benzo[1,3]dioxol-5-yl-ethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-{3-[2-(2,3-Dihydro-benzo[1,4]dioxin-6-yl)-ethyl]-1H-indol-4-yloxy}-β-D-glucopyranoside; 2-[3-(2-Naphthalen-2-yl-ethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-{3-[2-(4-Ethoxy-phenyl)-ethyl]-1H-Indol-4-yloxy}-β-D-glucopyranoside; 2-{3-[2-(4-Methoxy-phenyl)-ethyl]-6-methyl-1H-indol-4-yloxy}-β-D-glucopyranoside; 2-[3-(3-Fluoro-4-methyl-

benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Propyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Isopropyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(2-Fluoro-biphenyl-4-ylmethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Methoxy-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(3-Fluoro-4-methoxy-benzyl)-1H-indol-4-yloxy]-D-glucopyranoside; 2-[3-(4-Phenoxy-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Chloro-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-(3-Naphthalen-2-ylmethyl-1H-indol-4-yloxy)-β-D-glucopyranoside and 2-[3-(4-Ethyl-benzyl)-2-methyl-1H-indol-4-yloxy]-β-D-glucopyranoside.

16. A compound of claim 1, selected from 2-{3-[2-(4-Ethyl-phenyl)-ethyl]-1H-indol-4-yloxy}-β-D-glucopyranoside; 2-[1-Diethylcarbamoyl-3-(4-methoxy-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Methoxy-benzyl)-1-methyl-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1-isopropyl-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-Methyl-2-thienyl(-1H-indol-4-yloxy)-β-D-glucopyranoside; 2-[3-(2,3-Dihydro-benzofuran-5-ylmethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside and 2-[3-(4-Ethylbenzoyl)-1H-indol-4-yloxy]-β-D-glucopyranoside and 2-[3-(4-Methoxy-phenyl) 1H-indol-4-yloxy]-β-D-glucopyranoside.

17. A compound from claim 1, selected from 2-[3-(4-Cyclopropyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Pyrazol-1-yl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[6-Chloro-3-(4-ethyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-6-fluoro-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(5-Ethyl-thiophen-2-ylmethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(5-Propyl-thiophen-2-ylmethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; and 2-[3-(5-Phenyl-thiophen-2-ylmethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside.

18. A compound from claim 1, selected from: 2-{3-[2-(2,3-Dihydro-benzofuran-5-yl)-ethyl]-1H-indol-4-yloxy}-β-D-glucopyranoside; 2-{3-[2-(2,3-Dihydro-benzofuran-5-yl)-ethyl]-1-methyl-1H-indol-4-yloxy}-β-D-glucopyranoside; 2-[3-(3-Fluoro-4-methyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Methylsulfanyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-6-methyl-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Methyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside ; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-6-O-methoxycarbonyl-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-6-O-ethoxycarbonyl-β-D-glucopyranoside; 2-[3-(4- Propyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-(3-(4-Thiophen-3-yl-benzyl)-1H-indol-4-yloxy)-β-D-glucopyranoside; 2-[3-(4-Cyclopropyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Pyrazol-1-yl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside, 2-[6-Chloro-3-(4-ethyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-6-fluoro-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(5-Ethyl-thiophen-2-ylmethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside and 2-[3-(5-Phenyl-thiophen-2-ylmethyl)-1H-indol-4-yloxy]-β-D-glucopyranoside.

19. A compound in claim 1, selected from 2-{3-[2-(2,3-Dihydro-benzofuran-5-yl)-ethyl]-1H-indol-4-yloxy} β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-β-D-glucopyranoside; 2-[3-(4-Ethyl-benzyl)-1H-indol-4-yloxy]-6-O-ethoxycarbonyl-β-D-glucopyranoside; and 2-(3-(4-Thiophen-3-yl-benzyl)-1H-indol-4-yloxy)-β-D-glucopyranoside.

20. A pharmaceutical composition, comprising a compound of claim 1, 5, 6, 11, 12, 14, 15, 16,17,18 or 19.

21. A pharmaceutical composition, comprising a compound selected from claim 18 or19.

22. A method for treating diabetes in a mammal, said method comprising administering to a mammal in need of treatment an effective amount of a pharmaceutical composition of claim 18 or 19.

23. A method of claim 22, wherein said diabetes is type II diabetes.

24. A method for lowering serum glucose in a mammal, said method comprising administering to a mammal in need of treatment an effective amount of a pharmaceutical composition of claim 18 or 19.

25. A method for treating impaired glucose tolerance in a mammal, said method comprising administering to a mammal in need of treatment an effective amount of a pharmaceutical composition of claim 18 or 19.

26. A method for treating or inhibiting impaired glucose tolerance in a mammal, said method comprising administering to a mammal in need of treatment an effective amount of a pharmaceutical composition of claim 18 or 19.

27. A method for reducing the body mass index, body weight, or percentage body fat in a mammal, said method comprising administering to a mammal in need of treatment an effective amount of a pharmaceutical composition of claim 18 or 19.

28. A method of claim 27, wherein said reduction of body mass index is a method for treating obesity or an overweight condition.

29. A method for treating diabetes or Syndrome X, or associated symptoms or complications thereof in a subject, comprising

(a) administering to said subject a jointly effective amount of a compound of formula (I); and
(b) administering to said subject a jointly effective amount of an antidiabetic agent,

said co-administration being in any order and the combined jointly effective amounts providing the desired therapeutic effect.

30. A method for treating diabetes or Syndrome X, or associated symptoms or complications thereof in a subject, comprising

(a) administering to said subject a jointly effective amount of a compound of formula (I); and
(b) administering to said subject a jointly effective amount of a second antidiabetic agent,

said co-administration being in any order and the combined jointly effective amounts providing the desired therapeutic effect.

31. The method of claim 29 or 30, wherein the diabetes or Syndrome X, or associated symptoms or complications thereof is selected from IDDM, NIDDM, IGT, IFG, obesity, nephropathy, neuropathy, retinopathy,

atherosclerosis, polycystic ovarian syndrome, hypertension, ischemia, stroke, heart disease, irritable bowel disorder, inflammation, and cataracts.

32. The method of claim 29 or 30 wherein the diabetes or Syndrome X, or associated symptoms or complication thereof is IDDM.

33. The method of claim 29 or 30, wherein the diabetes or Syndrome X, or associated symptoms or complications thereof is NIDDM.

34. The method of claim 29 or 30, wherein the diabetes or Syndrome X, or associated symptoms or complications thereof is IGT or IFG.

35. The method of claim 29 or 30, further comprising administering to said subject a jointly effective amount of a third antidiabetic agent.

36. The method of claim 34, wherein the third antidiabetic agent is selected from

(aa) insulins,
(bb) insulin analogues;
(cc) insulin secretion modulators, and
(dd) insulin secretagogues.

37. The method of claim 29 or 30, wherein the compound of formula (I) is an SGLT inhibitor.

38. The method of claim 37, wherein the compound of formula (I) is an SGLT1 inhibitor.

39. The method of claim 37, wherein the compound of formula (I) is an SGLT2 inhibitor.

40. The method of claim 37, wherein the compound of formula (I) is a compound of formula (I) or an optical isomer, enantiomer, diastereomer, racemate or racemic mixture thereof, ester, prodrug form, or a pharmaceutically acceptable salt thereof.

41. The method of claim 29 or 30, wherein the jointly effective amount of an SGLT inhibitor is from about 10 to 1000 mg.

42. The method of claim 29 or 30, wherein the jointly effective amount of an SGLT inhibitor is an amount sufficient to reduce the plasma glucose excursion following a meal.

43. A method for inhibiting the onset of diabetes or Syndrome X, or associated symptoms or complications thereof in a subject, said method comprising

(a) administering to said subject a jointly effective amount of compound of formula (I); and
(b) administering to said subject a jointly effective amount of a second antidiabetic agent,

said co-administration being in any order and the combined jointly effective amounts providing the desired prophylactic effect.

44. The method of claim 43, wherein said onset is from pre-diabetic state to NIDDM.

45. A pharmaceutical composition comprising a compound of formula (I), a second antidiabetic agent, and a pharmaceutically acceptable carrier.

46. A process for formulating a pharmaceutical composition, comprising formulating together a compound of formula (I), a second anti-diabetic agent, and a pharmaceutically acceptable carrier.

47. A process for making a pharmaceutical composition comprising mixing one or more compound of formula (I) in combination with a second antidiabetic agent for the preparation of a medicament for treating a condition selected from IDDM, NIDDM, IGT, IFG, obesity, nephropathy, neuropathy, retinopathy, atherosclerosis, polycystic ovarian syndrome, hypertension, ischemia, stroke, heart disease, irritable bowel disorder, inflammation, and cataracts.

48. A method for inhibiting the progression of a prediabetic condition in a subject to a diabetic condition, comprising

(a) administering to said subject a jointly effective amount of compound of formula (I); and
(b) administering to said subject a jointly effective amount of an antidiabetic agent,

said co-administration being in any order and the combined jointly effective amounts providing the desired inhibiting effect.

49. The method of claim 48 wherein said condition is IGT or IFG.

50. The method of claim 48 wherein said inhibiting of the progression of a prediabetic condition is prevention of the progression of the prediabetic condition to a diabetic condition.

51. The method of claim 48, wherein the compound of formula (I) is an SGLT inhibitor.

52. The method of claim 48, wherein the compound of formula (I) optionally has one or more hydroxyl or diol protecting groups, or is an optical isomer, enantiomer, diastereomer, racemate or racemic mixture, ester, prodrug form, or a pharmaceutically acceptable salt thereof.

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISION DE NUEVAS CREACIONES

2020
Bogotá, D.C.

Abogado
JIMENA ESCOBAR URIBE

ASUNTO		
	Radicación	06-59593
	Trámite	002
	Evento	001
	Actuación	411
	Oficio	9845
	Folios	011

Patente de Invención [X] Patente de Modelo de Utilidad [ ]

Vía Nacional [X]

Como resultado del examen de fondo, realizado con fundamento en el artículo 45 de la Decisión 486 de la Comisión de la Comunidad Andina, se le comunica:

1. Documentos estudiados

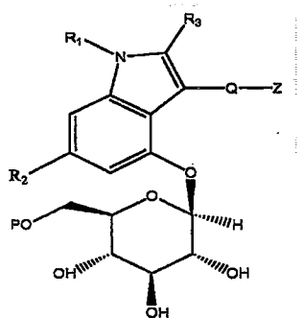
Descripción: Folios 5-120 como se radicó inicialmente
Reivindicaciones: Folios 121-133 como se radicó inicialmente

2. Objeto de la invención

Título de la solicitud: INDOL-O-GLUCOSIDOS SUSTITUIDOS (folio 1)

El problema técnico planteado en la solicitud está relacionado con la necesidad de obtener nuevos compuestos antidiabéticos, útiles para tratar diabetes, síndrome X (de resistencia a la insulina) y condiciones asociadas (folio 10; pág. 5).

Para solucionar este problema técnico la solicitud en estudio proporciona en: Reivindicaciones 1-19: un compuesto de fórmula (I) ó una sal farmacéuticamente aceptable del mismo.



(I)

Reivindicaciones 20-21: una composición farmacéutica que comprende un compuesto de formula (I).

Reivindicaciones 22-44 y 48-52: relacionadas con métodos de tratamiento.

Reivindicación 45: una composición farmacéutica que comprende un compuesto de formula (I), un segundo agente antidiabético y un portador farmacéuticamente aceptable.

Reivindicaciones 46-47: procedimientos para formular y hacer una composición farmacéutica de un compuesto de formula (I), un segundo agente antidiabético y un portador farmacéuticamente aceptable.

Clasificación Internacional de Patentes (IPC): A61K 31/404, A61K31/70, C07H17/00, A61P3/10.

3. Excepción a la patentabilidad *(artículo 20 D486 literal d)*

Las reivindicaciones 22-44 y 48-52, relacionadas con métodos de tratamiento, están exceptuadas de patentabilidad de acuerdo con el artículo anterior; por lo que es recomendable eliminarlas del alcance de la solicitud.

4. Determinación del Estado de la Técnica

Considerando que la fecha de presentación de la primera solicitud de patente es 20-06-2006, se buscaron documentos del estado de la técnica relacionados, con fecha de publicación anterior a ésta.

N°	Documento	Título	Fecha de publicación
D1	WO2005012243	Substituted indole-O-glucosides	10-02-2005

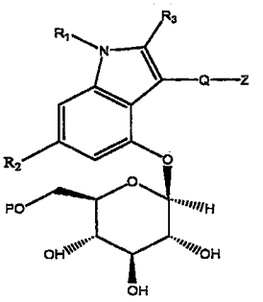
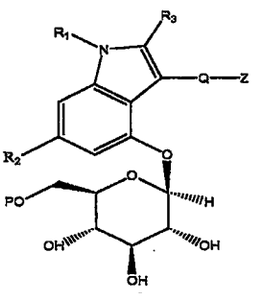
Anterioridad **D1** en folios 166-172.

5. Análisis de patentabilidad (artículo 14 D486)

5.1. Novedad *(artículo 16 D486)*

Se solicita un compuesto de fórmula (I) ó una sal farmacéuticamente aceptable del mismo (reivindicaciones 1-19), una composición farmacéutica que lo contiene (reivindicaciones 20-21), otra composición farmacéutica que lo contiene junto con un segundo agente antidiabético (reivindicación 45) y procedimientos para formular y hacer una composición farmacéutica de un compuesto de formula (I), un segundo agente antidiabético y un portador farmacéuticamente aceptable (reivindicaciones 46-47).

Comparando elemento por elemento el objeto de la solicitud con el estado de la técnica más cercano, esta Oficina encuentra que:

SOLICITUD	D1
Un compuesto de fórmula (I) o una sal farmacéuticamente aceptable del mismo.  R^1-R^3, P, Q y Z según capitulo reivindicatorio.	Un compuesto de fórmula (I) o una sal farmacéuticamente aceptable del mismo.  R^1-R^3, P, Q y Z: iguales a los de la solicitud (folios 167-169; pág. 95-100, reivindicaciones 1-19).
Una composición farmacéutica que contiene un compuesto de formula (I).	Una composición farmacéutica que contiene un compuesto de formula (I) (folios 169-170; pág. 100-101, reivindicaciones 20-21).
Una composición farmacéutica que contiene un compuesto de formula (I) junto con un segundo agente antidiabético.	Una composición farmacéutica que contiene un compuesto de formula (I) junto con un segundo agente antidiabético (folio 172; pág. 105, reivindicación 45)
Procedimientos para formular y hacer una composición farmacéutica de un compuesto de formula (I), un segundo agente antidiabético y un portador farmacéuticamente aceptable	Procedimientos para formular y hacer una composición farmacéutica de un compuesto de formula (I), un segundo agente antidiabético y un portador farmacéuticamente aceptable (folios 172; pág. 105, reivindicaciones 46-47).

Que D1 ya divulga la misma materia reclamada en la solicitud tal como se señala en el cuadro comparativo; por lo que el compuesto de formula (I), la composición farmacéutica que lo contiene, la otra composición que lo contiene junto con otro agente antidiabético y los procedimientos para formular y preparar esta última composición, no cumplen el requisito de novedad.

6. Conclusión

Los requisitos de patentabilidad de la presente solicitud se encuentran afectados así:

N°	Documento N°	Reivindicaciones afectadas	Requisito que afecta
D1	WO2005012243	1-21 y 45-47	Novedad

En cumplimiento del artículo 45 de la Decisión 486 de la Comisión de la Comunidad Andina, se le indica que debe dar respuesta dentro del término de sesenta días contados a partir de la fecha de notificación de la presente comunicación. En caso de no dar respuesta al presente requerimiento, o si a pesar de la respuesta subsistieran los impedimentos para la concesión, se denegará la patente.

Notifíquese el presente oficio conforme a lo dispuesto en el artículo 8 de la resolución reglamentaria No. 00210 del 15 de enero de 2001, advirtiendo que contra él no procede recurso alguno en la vía gubernativa.

ALIX CARMENZA CESPEDES DE VERGEL
Jefe de División de Nuevas Creaciones

El anterior requerimiento fue notificado por fijación en lista, de fecha 27 AGO. 2009

CONCEPTO TECNICO No. 2752	**EXAMINADOR TECNICO** Código No. 202079