SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

RAD: 14-42266- -3 **FECHA**: 2015-07-02 09:29:54
DEP: 2020 DIRECCION DE NUEVAS CREACIONES **EVE:** 378 FASE NACIONAL INCLUIDO CAPITULO I
TRA: 11 PCT-PATENTE DE INVENCION CAPITULOS I Y II **FOLIOS**: 4
ACT: 519 TRASLADOINFORME

DIRECCIÓN DE NUEVAS CREACIONES

2020
Bogotá, D.C.,

Abogado(a)/Señor(a)
CARLOS R. OLARTE GARCIA

Asunto: Radicación: 14-42266- -3
Trámite: 11
Evento: 378
Actuación: 519
Oficio: 7333
Folios: 4

Patente de Invención	X			Patente de Modelo de Utilidad	
Vía Nacional					
Vía PCT (Fase Nacional)	X	Capítulo I	X	Capítulo II	
No. Solicitud Internacional	PCT/US2012/051522				
Fecha de Presentación Internacional	20/08/2012				

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 comunica:

1. Documentos estudiados

Descripción:	Radicado N° 14-042266-00000-0000	27/Febrero/2014
Reivindicaciones:	Radicado N° 14-042266-00000-0000	27/Febrero/2014
	Radicado N° 14-042266-00002-0000	05/Diciembre/2014
Prioridad:	US 61/527,392	25/Agosto/2011

2. Análisis de la Prioridad

Se acepta la reivindicación de prioridad porque ésta solicitud fue presentada dentro del plazo establecido (Art. 9 D 486) y su contenido técnico corresponde con el de la prioridad reivindicada.

3. Objeto de la invención

De acuerdo con lo establecido en el numeral 1.2.2.2 del capítulo primero del Título 10 de la Circular Única para efectos del examen de patentabilidad, se tendrá en cuenta la tasa pagada por la solicitante al momento de comenzar el examen para las reivindicaciones adicionales; esto es que cuando la solicitud contenga más de diez (10) reivindicaciones y no se haya pagado la tasa complementaria, sólo se estudiarán las cubiertas por la tasa pagada. En el presente caso se estudiarán las reivindicaciones 1 a 24 del capítulo reivindicatorio (Radicado N°. 14-042266-00002-0000).

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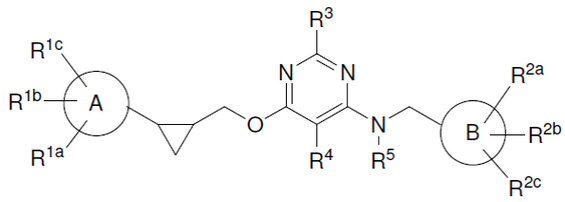
Título de la solicitud: “INHIBIDORES DE PDE10 DE PIRIMIDINA”

El problema planteado en esta solicitud consiste en la necesidad de proporcionar compuestos inhibidores para PDE10 que puedan ser adecuados para tratar trastornos y afecciones neurológicas y psiquiátricas.

Para resolver este problema técnico la solicitud en estudio proporciona compuestos de pirimidina que son útiles como agentes terapéuticos para el tratamiento de trastornos del sistema nervioso central asociados con la fosfodiesterasa 10 (PDE10). Los compuestos descritos por la presente solicitud corresponden a la formula I.



El objeto de la presente solicitud se relaciona con la Clasificación Internacional de Patentes (CIP): C07D 239/47.

4. De la solicitud de patente

Reivindicaciones (Art 30 D 486, Art 22 PCT)

La reivindicación 13 no es clara ya que al tratarse de una composición farmacéutica es necesario definir la identidad de sus componentes por medio de su nombre IUPAC, Denominación común internacional o estructura, y no de acuerdo a su función o actividad. En este orden de ideas el término “*vehículo farmacéuticamente aceptable*” no es adecuado. Se le recuerda a la solicitante que las características esenciales de este tipo de productos incluyen además las proporciones o concentraciones de los componentes que la conforman, por lo que se sugiere que sean incluidas.

La reivindicación 1 no es concisa porque las definiciones de los sustituyentes R^{1a}- R^{1c} , R^{2a}- R^{2c}, R^3 son muy generales puesto que corresponden a grupos funcionales, los que junto a la definición de los anillos A y B, da lugar a una gran cantidad de compuestos posibles, que no están debidamente soportados por la descripción. Se sugiere restringir la solicitud a una razonable generalización del tipo de compuestos que ha sido sintetizado ó probado, es decir, que se encuentran debidamente sustentados.

Sustituciones	Soporte de los ejemplos presentados
R^{1a}- R^{1c}	-H, -CH_3, CH_3-O-, -F, -CH_2F, -CHF_2, -CF_3, -Br, -CH_2CH_3, ciclopropilo, -Cl, Piridilo, tiazolilo, Pirazolilo, -CN, -C(OH)$(CH3)_2$
R^{2a} – R2^c	-CH_3, ciclopropilo, -F, -C(=O)OCH_3, -C(OH)$(CH3)_2$, -C$(CH3)_3$, -C(=O)N(CH_3)O(CH_3), -C(=O)CH_3, -CH(OH)CH_3,
A	Piridilo, quinolinilo, naftiridinilo, tiazolilo, piridazinilo, oxazolilo, pirazolilo, dihidropirrolopirazolilo, pirazolopirimidinilo.
R^3	-H, -CH_3, -NH_2, -OCH_3, -CF_3, -C(=O)NH_2, -CN, -C(=O)OH, -C(=O)OCH_2CH_3, -CH_2CH_3, -C(OH)$(CH3)_2$, -C(=O) CH_3, -Cl,
R^4	-H, -CH_3, -F, -Br, -Cl, -CN,
R^5	-H

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La reivindicación 1 no está sustentada en la descripción porque los compuestos en los que R^5 es diferente a hidrógeno, no se encuentran ejemplificados, y por lo tanto se puede considerar que esta es una característica esencial de los compuestos reclamados, por lo que se sugiere a la solicitante que así lo defina.

La reivindicación 13 no está soportada en la descripción, puesto que no muestra un desarrollo de composiciones farmacéuticas que cumplan las características esenciales descritas en dicha reivindicación.

Las reivindicaciones 14 - 24 corresponden con las características esenciales de la reivindicación 1, es decir con la fórmula I, sin embargo son independientes de esta. Se sugiere a la solicitante modificar la dependencia de estas reivindicaciones, con lo cual se logra que el capítulo reivindicatorio sea más conciso.

Descripción (Art 28 D 486)

La descripción no soporta adecuadamente el alcance dado por el capitulo reivindicatorio, dado que los ejemplos de compuestos mostrados resultan ser insuficientes para dar soporte a la totalidad de los compuestos al alcance de la reivindicación 1. La descripción no proporciona ejemplos de compuestos en los que R^5 es diferente a hidrógeno, por lo tanto no existe evidencia de que compartan la actividad farmacológica de aquellos que han sido ensayados.

La descripción no presenta una divulgación suficiente para dar sustento al capítulo reivindicatorio, ya que no existen ejemplos de composiciones farmacéuticas que comprenden los compuestos reclamados.

5. Determinación del Estado de la Técnica

El estado de la técnica se determinó con base en la fecha de presentación de la solicitud de prioridad: 25 de agosto de 2011 y consultadas las fuentes documentales y bases de datos a las que tiene acceso esta oficina, no se encontró documento alguno que anticipe el objeto de esta solicitud.

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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 (60) días contados a partir del día siguiente 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.

Se invita al solicitante se sirva indicar, si así lo considera, su renuncia al término faltante de sesenta (60) días para dar respuesta a este requerimiento y presentarla por escrito.

Finalmente, se le recuerda al solicitante que si como respuesta a este requerimiento llegara a modificar el capítulo reivindicatorio y éste contenga más de diez (10) reivindicaciones, no pagará la tasa de modificación voluntaria pero sí la correspondiente al pago por reivindicación adicional.

Notifíquese el presente oficio conforme a lo dispuesto en el numeral 5.2, literal e), del capítulo quinto del título primero de la Circular Única No. 10 de 2001.

JOSÉ LUIS SALAZAR LÓPEZ
Director de Nuevas Creaciones (c)

El anterior requerimiento fue notificado por fijación en lista, de fecha 2015-07-07

Elaboró: Ruth Andrea Rodriguez
Revisó: Jeny Paola Villate Becerra

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INFORME DE BÙSQUEDA DE PATENTES PARA El RADICADO 14-042266-00002-0000	
CRITERIOS PARA LA BÙSQUEDA	
Palabras clave utilizadas	Phosphodiesterase inhibitors pyrimidine PDE10 inhibitors C1(=NC(=CC(=N1)NC)OCC2CC2)C
CLASIFICACIONES INTERNACIONALES UTILIZADAS PARA LA BÚSQUEDA	C07D 491/06

BASES DE DATOS CONSULTADAS.

Google patents	Espacenet	Science Direct	PubChem

INFORMES DE EXÁMENES REALIZADOS EN OTRAS OFICINAS

Oficina	Fecha del examen o de reporte de búsqueda de documentos	Anterioridades encontradas para el examen o reportados en el examen de búsqueda(relacionarlos todos)	Reiv. afectadas	RELEVANCIA (requisito que afecta)	FUERON TENIDOS EN CUENTA PARA EL EXAMEN EN LA OFICINA COLOMBIANA	
					SI	NO
EUROPEA	26/11/14	US2011166135				X
		WO2011008931				X
		US2008167297				X
		US2011230472				X
		WO2012044562				X
USPTO	23/09/14	US8785467				X
		WO2012044561				X
		US8785467				X
		WO2012044561				X
		J.H. Poupaert, Drug Design: Basic Principles and Applications, in 2 ENCYCLOPEDIA OF PHARMACEUTICAL TECHNOLOGY 1362-1369, 1367 (James Swarbrick ed., 3rd ed., 2007)				X
JAPON		WO2010030027				X
		WO2011089132				X
		WO2011008931				X
		WO2006106307				X

DOCUMENTOS ADICIONALES ENCONTRADOS POR EL EXAMINADOR DE ACUERDO A LOS CRITERIOS DE BÙSQUEDA.

J. Guo et al. / Bioorg. Med. Chem. Lett. 19 (2009) 1935–1938. Identification of potent pyrimidine inhibitors of phosphodiesterase 7 (PDE7) and their ability to inhibit T cell proliferation

BÚSQUEDA DE SECUENCIAS

Número de depósito / patente y N° de secuencia

% de identidad					
SEQ ID NO (de la solicitud)	NCBI	The Lens	EMBL-EBI	DDJB	Genome Quest
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Scholarly articles for phosphodiesterase inhibitors pyrimidine

... of various **phosphodiesterase inhibitors**, **pyrimidine** ... - Helfman - Cited by 24

... , 5-a] **pyrimidines**, 3', 5'-cyclic AMP **phosphodiesterase** ... - Novinson - Cited by 67

... -d] **pyrimidines** as Selective Type 4 **Phosphodiesterase** ... - Crespo - Cited by 83

Fused pyrimidine based inhibitors of phosphodiesterase 7 ...
www.ncbi.nlm.nih.gov/pubmed/15780616
by J Kempson - 2005 - Cited by 30 - Related articles
Bioorg Med Chem Lett. 2005 Apr 1;15(7):1829-33. Fused **pyrimidine** based **inhibitors** of **phosphodiesterase** 7 (PDE7): synthesis and initial structure-activity ...

Differential effects of various phosphodiesterase inhibitors ...
www.ncbi.nlm.nih.gov/pubmed/6277336
by DM Helfman - 1982 - Cited by 24 - Related articles
Differential effects of various **phosphodiesterase inhibitors**, **pyrimidine** and purine compounds, and inorganic phosphates on cyclic CMP, cyclic AMP and cyclic ...

Identification of potent pyrimidine inhibitors of ...
www.ncbi.nlm.nih.gov/pubmed/19272774
by J Guo - 2009 - Cited by 13 - Related articles
Feb 21, 2009 - Identification of potent **pyrimidine inhibitors** of **phosphodiesterase** 7 (PDE7) and their ability to inhibit T cell proliferation. Guo J(1), Watson A, ...

Pyrrolo[2,3-d]pyrimidines as inhibitors of cAMP ...
www.ncbi.nlm.nih.gov/pubmed/2539163
by S Klumpp - 1989 - Cited by 3 - Related articles

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1-(2-Ethoxyethyl)-1*H*-pyrazolo[4,3-*d*]pyrimidines as potent phosphodiesterase 5 (PDE5) inhibitors
Bioorganic & Medicinal Chemistry Letters, Volume 20, Issue 10, 15 May 2010, Pages 3120-3124
Michael B. Tollefson, Brad A. Acker, E.J. Jacobsen, Robert O. Hughes, John K. Walker, David N.A. Fox, Michael J. Palmer, Sandra K. Freeman, Ying Yu, Brian R. Bond
Abstract | Graphical abstract | PDF (1907 K)

1-(2-(2,2,2-Trifluoroethoxy)ethyl-1*H*-pyrazolo[4,3-*d*]pyrimidines as potent phosphodiesterase 5 (PDE5) inhibitors
Bioorganic & Medicinal Chemistry Letters, Volume 20, Issue 10, 15 May 2010, Pages 3125-3128
Michael B. Tollefson, Brad A. Acker, E.J. Jacobsen, Robert O. Hughes, John K. Walker, David N.A. Fox, Michael J. Palmer, Sandra K. Freeman, Ying Yu, Brian R. Bond
Abstract | Graphical abstract | PDF (1193 K)

Identification of potent pyrimidine inhibitors of phosphodiesterase 7 (PDE7) and their ability to inhibit T cell proliferation
Bioorganic & Medicinal Chemistry Letters, Volume 19, Issue 7, 1 April 2009, Pages 1935-1938
Junqing Guo, Andrew Watson, James Kempson, Marianne Carlsen, Joseph Barbosa, Karen Stebbins, Deborah Lee, John Dodd, Steven G. Nadler, Murray McKinnon, Joel Barrish, William J. Pitts
Abstract | Graphical abstract | PDF (590 K)

3D-QSAR Studies on thieno[3,2-*d*]pyrimidines as Phosphodiesterase IV Inhibitors
Bioorganic & Medicinal Chemistry Letters, Volume 13, Issue 8, 17 April 2003, Pages 1403-1408
Asit K Chakraborti, B Gopalakrishnan, M.Elizabeth Sobhia, Alpeshkumar Malde
Abstract | Graphical abstract | PDF (306 K)

Fused pyrimidine based inhibitors of phosphodiesterase 7 (PDE7): synthesis and initial structure–activity relationships
Bioorganic & Medicinal Chemistry Letters, Volume 15, Issue 7, 1 April 2005, Pages 1829-1833
James Kempson, William J. Pitts, Joseph Barbosa, Junqing Guo, Omonike Omotoso, Andrew Watson, Karen Stebbins, Gary C. Starling, John H. Dodd, Joel C. Barrish, Raymond Felix, Karl Fischer
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… of various **phosphodiesterase inhibitors**, **pyrimidine** and purine compounds, and inorganic phosphates on cyclic CMP, cyclic AMP and cyclic GMP **phosphodiesterases**
DM Helfman, JF Kuo - Biochemical pharmacology, 1982 - Elsevier
Abstract The effects of various compounds on homogeneous cyclic CMP **phosphodiesterase** (cyclic CMP-PDE) from pig liver were compared with the effects on cyclic AMP **phosphodiesterase** (cyclic AMP-PDE) and cyclic GMP **phosphodiesterase** (cyclic GMP- **...**
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3-Substituted 5, 7-dimethylpyrazolo [1, 5-a] **pyrimidines**, 3', 5'-cyclic AMP **phosphodiesterase inhibitors**
T Novinson, R Hanson, MK Dimmitt… - Journal of medicinal …, 1974 - ACS Publications
A series of 3-substituted 5, 7-dimethylpyrazolo [1, 5-a] **pyrimidines** has been synthesized and evaluated for their ability to inhibit the enzyme 3', 5'-cyclic-AMP **phosphodiesterase** that was isolated and purified from rabbit kidney, rabbit lung, and beef heart. The 3-bromo, 3- **...**
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Design, Synthesis, and Biological Activities of New Thieno [3, 2-d] **pyrimidines** as Selective Type 4 **Phosphodiesterase Inhibitors** 1
MI Crespo, L Pages, A Vega, V Segarra… - Journal of medicinal …, 1998 - ACS Publications
A common pharmacophore for compounds structurally related to nitraquazone has been derived. Using this pharmacophore, new structures have been designed, synthesized, and evaluated for their inhibitory potencies against cyclic adenosine 5'-monophosphate (cAMP **...**
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… of 6-carbethoxy-and 6-ethoxy-3, 7-disubstituted pyrazolo [1, 5-a] **pyrimidines** and related derivatives as adenosine cyclic 3', 5'-phosphate **phosphodiesterase inhibitors**
RH Springer, MB Scholten, DE O'Brien… - Journal of medicinal …, 1982 - ACS Publications
A number of 3,7-disubstituted 6-carbethoxypyrazolo[1,5-a]**pyrimidines** and 3,7-disubstituted 6-ethoxypyrazolo- [1,5-a]**pyrimidines** have been prepared and evaluated as adenosine cyclic 3',5'-phosphate (CAMP) **phosphodiesterase** (PDE) **inhibitors** vs. the low K, enzyme **...**
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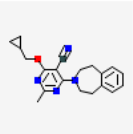
1. 

AGN-PC-03IYQA; SCHEMBL6947498; 4-(cyclopropylmethoxy)-2-methyl-6-(1,2,4,5-tetrahydro-3-benzazepin-3-yl)pyrimidine-5-carbonitrile

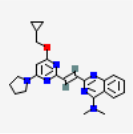
MW: 334.414880 g/mol MF: $C_{20}H_{22}N_4O$

IUPAC name: 4-(cyclopropylmethoxy)-2-methyl-6-(1,2,4,5-tetrahydro-3-benz...

Create Date: 2007-12-05

CID: 22003957

Summary Similar Compounds Same Parent, Connectivity

2. 

SCHEMBL2179683; NZXJRJODSGKNBM-VAWYXSNFSA-N; 2-{(E)-2-[4-(cyclopropylmethoxy)-6-pyrrolidin-1-yl-pyrimidin-2-yl]vinyl}-N,N-dimeth ylquinazolin-4-amine

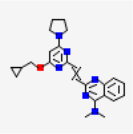
MW: 416.518720 g/mol MF: $C_{24}H_{28}N_6O$

IUPAC name: 2-[(E)-2-[4-(cyclopropylmethoxy)-6-pyrrolidin-1-ylpyrimidin-...

Create Date: 2012-08-19

CID: 58336180

Summary Similar Compounds Same Parent, Connectivity

3. 

AGN-PC-0CCSN0; 2-[(E)-2-[4-(cyclopropylmethoxy)-6-pyrrolidin-1-ylpyrimidin-2-yl]ethenyl]-N,N-dimethylquinazolin-4-amine

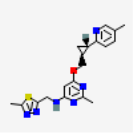
MW: 416.518720 g/mol MF: $C_{24}H_{28}N_6O$

IUPAC name: 2-[2-[4-(cyclopropylmethoxy)-6-pyrrolidin-1-ylpyrimidin-2-yl...

Create Date: 2012-11-30

CID: 67306888

Summary Similar Compounds Same Parent, Connectivity

4. 

SCHEMBL14721162; WQKPZDLZRFTMTI-CABCVRRESA-N; 2-Methyl-6-{[(1S,2S)-2-(5-methylpyridin-2-yl)cyclopropyl]methoxy}-N-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidin-4-amine

MW: 382.482580 g/mol MF: $C_{19}H_{22}N_6OS$

IUPAC name: 2-methyl-6-[[(1S,2S)-2-(5-methylpyridin-2-yl)cyclopropyl]met...

Create Date: 2013-03-21

CID: 71271414

Summary Similar Compounds Same Parent, Connectivity

Ruth Rodriguez

Search history

Search 1: PN=(wo2013028590) (Results 1)

Search 2: [SP]: 1. a compound selected from the group consisting of 2-methyl-6-{2-(5-methylpyridin-2-yl)cyclopropyl]methoxy}-n-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl] pyrimidin-4-amine, 2-methyl-6-{[(1s,2s)-2-(5-methylpyridin-2-yl)cyclopropyl]methoxy}-n-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidin-4-amine, s,s-2-methyl-n-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]-6-{[2-(1,5-naphthyridin-2-yl)cyclopropyl]methoxy}pyrimidin-4-amine, 6-{[(1s,2 s)-2-(5-methoxypyridin-2-yl) cyclopropyl]methoxy}-n-4-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidine-2,4-diamine, 5-fluoro-6-{[(1s,2s)-2-(5-methoxypyridin-2-yl)cyclopropyl]methoxy}-n-4-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidine-2,4-diamine, 6-{[2-(3,3'-bipyridin-6-yl)cyclopropyl]methoxy}-2-methyl-n-[(5-methyl-1,3,4-thiadiazol-2-yl) methyl]pyrimidin-4-amine, 6-{[2-(5-cyclopropylpyridin-2-yl)cyclopropyl]methoxy}-2-methyl-n-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidin-4-amine, and 2-amino-4-{[(1s,2s)-2-(5-methylpyridin-2-yl)cyclopropyl]methoxy}-6-{[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]amino}pyrimidine-5-carbonitrile, or a pharmaceutically acceptable salt thereof. 2. a compound of structural formula: [ftimg=69464273] or a pharmaceutically acceptable salt thereof. 3. the compound according to claim 2 which is. [ftimg=69464274]4. the compound according to claim 2 which is [ftimg=69464275] in the form of a pharmaceutically acceptable salt. 5. a compound which is [ftimg=69464276] or a pharmaceutically acceptable salt thereof. 6. the compound according to claim 5 which is [ftimg=69464277]7. the compound according to claim 5 which is [ftimg=69464278] in the form of a pharmaceutically acceptable salt. 8. a compound which is [ftimg=69464279] or a pharmaceutically acceptable salt thereof. 9. the compound according to claim 8 which is [ftimg=69464280]10. the compound according to claim 8 which is [ftimg=69464281] in the form of a pharmaceutically acceptable salt. 11. a compound which is [ftimg=69464282] or a pharmaceutically acceptable salt thereof. 12. the compound according to claim 11 which is [ftimg=69464283]13. the compound according to claim 11 which is [ftimg=69464284] in the form of a pharmaceutically acceptable salt. 14. a compound which is [ftimg=69464285] or a pharmaceutically acceptable salt thereof. 15. the compound according to claim 14 which is [ftimg=69464286]16. the compound according to claim 14 which is [ftimg=69464287] in the form of a pharmaceutically acceptable salt. 17. a compound which is [ftimg=69464288] or a pharmaceutically acceptable salt thereof. 18. the compound according to claim 17 which is [ftimg=69464289]19. the compound according to claim 17 which is [ftimg=69464290] in the form of a pharmaceutically acceptable salt. 20. a compound which is [ftimg=69464291] or a pharmaceutically acceptable salt thereof. 21. the compound according to claim 20 which is [ftimg=69464292]22. the compound according to claim 20 which is [ftimg=69464293] in the form of a pharmaceutically acceptable salt. 23. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and a compound of claim 1 or a pharmaceutically acceptable salt thereof. 24. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 3. 25. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 4. 26. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 6. 27. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 7. 28. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 9. 29. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 10. 30. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 12. 31. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 13. 32. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 15. 33. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 16. 34. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 18. 35. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 19. 36. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of 21. 37. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 22. (Results -1)

Search 3: [SP]: 1. a compound selected from the group consisting of 2-methyl-6-{2-(5-methylpyridin-2-yl)cyclopropyl]methoxy}-n-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl] pyrimidin-4-amine, 2-methyl-6-{[(1s,2s)-2-(5-methylpyridin-2-yl)cyclopropyl]methoxy}-n-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidin-4-amine, s,s-2-methyl-n-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]-6-{[2-(1,5-naphthyridin-2-yl)cyclopropyl]methoxy}pyrimidin-4-amine, 6-{[(1s,2 s)-2-(5-methoxypyridin-2-yl) cyclopropyl]methoxy}-n-4-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidine-2,4-diamine, 5-fluoro-6-{[(1s,2s)-2-(5-methoxypyridin-2-yl)cyclopropyl]methoxy}-n-4-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidine-2,4-diamine, 6-{[2-(3,3'-bipyridin-6-yl)cyclopropyl]methoxy}-2-methyl-n-[(5-methyl-1,3,4-thiadiazol-2-yl) methyl]pyrimidin-4-amine, 6-{[2-(5-cyclopropylpyridin-2-yl)cyclopropyl]methoxy}-2-methyl-n-[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]pyrimidin-4-amine, and 2-amino-4-{[(1s,2s)-2-(5-methylpyridin-2-yl)cyclopropyl]methoxy}-6-{[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]amino}pyrimidine-5-carbonitrile, or a pharmaceutically acceptable salt thereof. 2. a compound of structural formula: [ftimg=69464273] or a pharmaceutically acceptable salt thereof. 3. the compound according to claim 2 which is. [ftimg=69464274]4. the compound according to claim 2 which is [ftimg=69464275] in the form of a pharmaceutically acceptable salt. 5. a compound which is [ftimg=69464276] or a pharmaceutically acceptable salt thereof. 6. the compound according to claim 5 which is [ftimg=69464277]7. the compound according to claim 5 which is [ftimg=69464278] in the form of a pharmaceutically acceptable salt. 8. a compound which is [ftimg=69464279] or a pharmaceutically acceptable salt thereof. 9. the compound according to claim 8 which is [ftimg=69464280]10. the compound according to claim 8 which is [ftimg=69464281] in the form of a pharmaceutically acceptable salt. 11. a compound which is [ftimg=69464282] or a pharmaceutically acceptable salt thereof. 12. the compound according to claim 11 which is [ftimg=69464283]13. the compound according to claim 11 which is [ftimg=69464284] in the form of a pharmaceutically acceptable salt. 14. a compound which is [ftimg=69464285] or a pharmaceutically acceptable salt thereof. 15. the compound according to claim 14 which is [ftimg=69464286]16. the compound according to claim 14 which is [ftimg=69464287] in the form of a pharmaceutically acceptable salt. 17. a compound which is [ftimg=69464288] or a pharmaceutically acceptable salt thereof. 18. the compound according to claim 17 which is [ftimg=69464289]19. the compound according to claim 17 which is [ftimg=69464290] in the form of a pharmaceutically acceptable salt. 20. a compound which is [ftimg=69464291] or a pharmaceutically acceptable salt thereof. 21. the compound according to claim 20 which is [ftimg=69464292]22. the compound according to claim 20 which is [ftimg=69464293] in the form of a pharmaceutically acceptable salt. 23. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and a compound of claim 1 or a pharmaceutically acceptable salt thereof. 24. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 3. 25. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 4. 26. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 6. 27. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 7. 28. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 9. 29. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 10. 30. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 12. 31. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 13. 32. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 15. 33. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 16. 34. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of claim 18. 35. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 19. 36. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound of 21. 37. a pharmaceutical composition which comprises a pharmaceutically acceptable carrier and the compound according to claim 22. (Results 99)

Search 4: TAC=(PDE10 and inhibitors) (Results 168)

Search 5: TAC=(PDE10 and inhibitors) and CPC=(C07D239/47) (Results 1)

Search 6: TAC=(PDE10) and CPC=(C07D239/47) (Results 1)

Search 7: TAC=(pde10 and inhibitors) (Results 168)

Search 8: TAC=(pde10 and inhibitors AND pyrimidine) (Results 26)

1) Family number: 33098485 (US2007259896A)

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Title: CERTAIN THIENOPYRIMIDINE DERIVATIVES AS PHOSPHODIESTERASE 10 **INHIBITORS**

Abstract: Source: US2007259896A Certain thienopyrimidine derivatives are useful for the inhibition of **PDE10** enzymes, and thus are useful for treating psychiatric or neurological syndromes, e.g., pyschoses, obsessive-compulsive disorder and/or Parkinson's disease, as well as, for example, treating a disease state modulated by **PDE10** activity.

Classifications:
International (IPC 8-9): A61K31/495 A61K31/519 A61K31/5355 A61P25/00 A61P25/08 A61P25/14 A61P25/16 A61P25/18 A61P25/22 A61P25/28 A61P3/04 A61P3/10 A61P43/00 A61P7/12 C07D413/12 C07D495/04 (Advanced/Invention);
A61P25/18 A61P25/28 (Advanced/Non-invention);
A61K31/00 A61K31/495 A61K31/519 A61P25/00 A61P3/00 A61P43/00 A61P7/00 C07D495/00 (Core/Invention)
CPC: C07D495/04
European: C07D495/04
US: 514/234.2 514/260.1 514/260.100P 544/118 544/235 544/235S 544/278

Family:

Publication number	Publication date	Application number	Application date
AU2005321903 AA	20060706	AU20050321903	20051227
CA2594676 AA	20070622	CA20052594676	20051227
EP1831229 A1	20070912	EP20050855928	20051227
JP2008525500 T2	20080717	JP20070548601T	20051227
MX2007007387 A1	20070803	MX20070007387	20070619
US2007259896 AA	20071108	US20050317907	20051222
US2010010017 AA	20100114	US20090494057	20090629
US7576080 BB	20090818	US20050317907	20051222
WO06071988 A1	20060706	WO2005US47439	20051227

Priority:

US20040638179P 20041223 US20050317907 20051222 WO2005US47439 20051227
US20090494057 20090629

Probable Assignee: MEMORY PHARMACEUTICALS CORP

Assignee(s): (std)**:** ARRINGTON MARK P ; ARRINGTON MARK PHILLIP ; HOPPER ALLEN ; LIU RUIPING ; MEMORY PHARM CORP ; MEMORY PHARMACEUTICAL CORP ; MEMORY PHARMACEUTICALS CORP ; TEHIM ASHOK

Inventor(s): (std)**:** ARRINGTON MARK P ; ARRINGTON MARK PHILLIP ; HOPPER ALLEN ; LIU RUIPING ; TEHIM ASHOK

Inventor(s): MARK PHILLIP ARRINGTON ; RUIPING LIU ; ASHOK TEHIM ; ALLEN HOPPER ; ARRINGTON MARK

Agent(s): FINNEGAN HENDERSON FARABOW GARRETT AND DUNNER, LLP; FINNEGAN HENDERSON FARABOW GARRETT AND DUNNER LLP; DARBY AND DARBY PC

Designated states: AE AG AL AM AT AU AZ BA BB BE BF BG BJ BR BW BY BZ CA CF CG CH CI CM CN CO CR CU CY CZ DE DK DM DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GW HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LC LI LK LR LS LT LU LV LY MA MC MD MG MK ML MN MR MW MX MZ NA NE NG NI NL NO NZ OM PG PH PL PT RO RU SC SD SE SG SI SK SL SM SN SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW

2) Family number: 35872714 (US2009023756A)

© PatBase

Title: SUBSTITUTED QUINAZOLINES AS **PDE10** **INHIBITORS**

Abstract: Source: US2009023756A The invention pertains to substituted quinazoline compounds of structures (I) and (II) that serve as effective phosphodiesterase (PDE) **inhibitors**. The invention also relates to compounds which are selective **inhibitors** of PDE-10. The invention further relates to intermediates for preparation of such compounds; pharmaceutical compositions comprising such compounds; and the use of such compounds in methods for treating certain central nervous system (CNS) or other disorders. The invention relates also to methods for treating neurodegenerative and psychiatric disorders, for example psychosis and disorders comprising deficient cognition as a symptom. (I, II).

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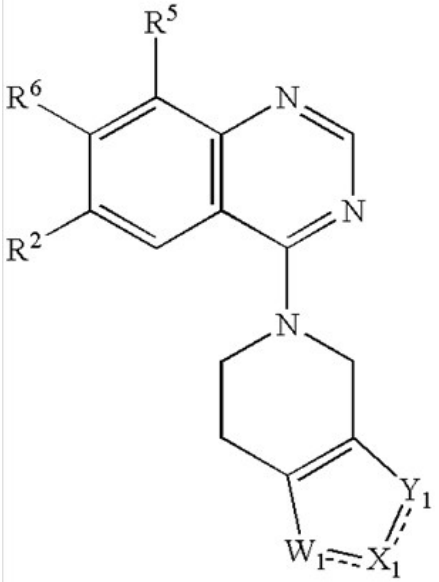

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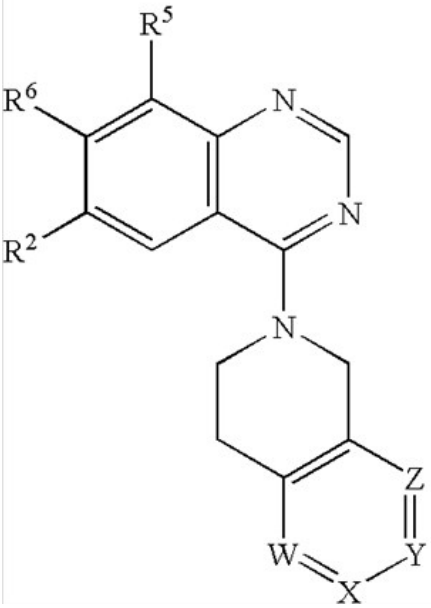

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A61K31/4353 A61K31/519 A61P25/00 C07D471/00 (Core/Invention)
CPC: C07D471/04 C07D471/14
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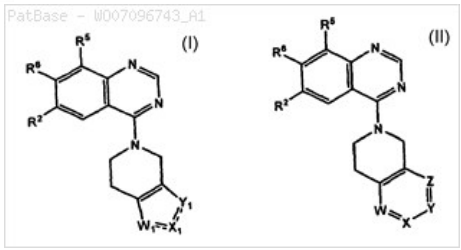

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JP2009527542 T2	20090730	JP20080555892T	20070209
US2009023756 AA	20090122	US20070279869	20070209
WO07096743 A1	20070830	WO2007IB00411	20070209

Priority:

US20060776112P 20060223 WO2007IB00411 20070209 US20070279869 20070209

Probable Assignee: PFIZER

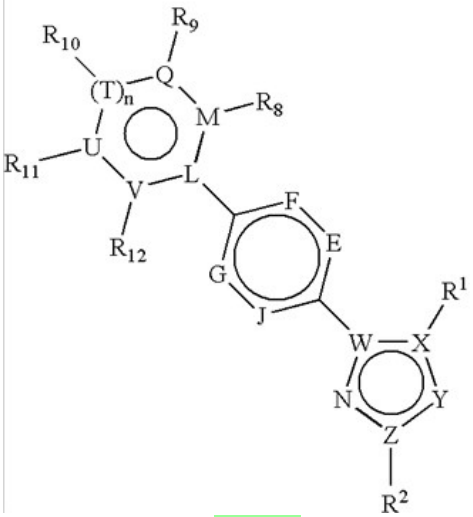
Assignee(s): (std):	ALLEN MARTIN PATRICK ; CHAPPIE THOMAS ALLEN ; HUMPHREY JOHN MICHAEL ; LIRAS SPIROS ; PFIZER PROD INC ; PFIZER
Assignee(s):	PFIZER INC ; PFIZER PRODUCTS INC
Inventor(s): (std):	ALLEN MARTIN PATRICK ; CHAPPIE THOMAS ALLEN ; HUMPHREY JOHN MICHAEL ; LIRAS SPIROS
Agent(s):	HODGE EMMA JANE; PFIZER INC STEVE T ZELSON
Designated states:	AE AG AL AM AT AU AZ BA BB BE BF BG BJ BR BW BY BZ CA CF CG CH CI CM CN CO CR CU CY CZ DE DK DM DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD MG MK ML MN MR MW MX MY MZ NA NE NG NI NL NO NZ OM PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN SV SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

3) Family number: 41039563 (US2008090834A)

Title: SELECTIVE AZOLE PDE10A INHIBITOR COMPOUNDS

Abstract: Source: US2008090834A The invention pertains to heteroaromatic compounds of the formula I,

I



as defined herein, that serve as effective phosphodiesterase (PDE) **inhibitors**. In particular, the invention relates to said compounds which are selective **inhibitors** of **PDE10**. The invention also relates to pharmaceutical compositions comprising said compounds; and the use of said compounds in a method for treating certain central nervous system (CNS) or other disorders.

Classifications:

International (IPC 8-9): A61K31/41 A61K31/4155 A61K31/4178 A61K31/4184 A61K31/4192 A61K31/4196 A61K31/427 A61K31/437 A61K31/444 A61K31/496 A61K31/497 A61K31/506 A61K31/52 A61P25/00 A61P3/04 C07D401/10 C07D401/14 C07D405/14 C07D417/14 C07D471/02 C07D471/04 C07D487/00 C07D487/04 C07D495/14 (Advanced/Invention);
A61K31/41 A61K31/4155 A61K31/4164 A61K31/4192 A61K31/4196 A61P25/00 C07D401/00 C07D471/00 C07D495/00 (Core/Invention)

International (IPC 1-7): A61K31/382 A61K31/407 A61K31/4155 A61K31/4192 A61K31/437 A61P25/14 A61P25/18 A61P25/22 A61P25/28 C07D209/00 C07D221/00 C07D235/00 C07D239/00 C07D335/00 C07D401/14 C07D409/14 C07D471/04 C07D487/04 C07D495/14

CPC: C07D401/10 C07D471/04 C07D495/14

European: C07D401/10 C07D471/04 C07D495/14

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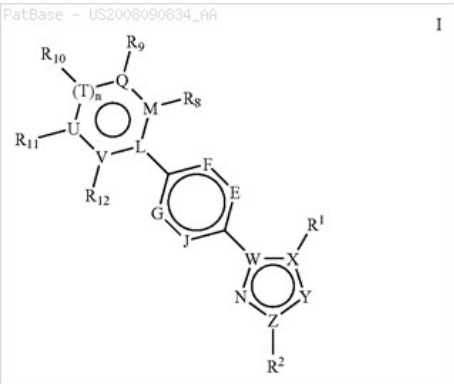

Family:

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US2008090834 AA	20080417	US20070770793	20070629
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Priority:

US20060819554P 20060706 US20070770793 20070629

Probable Assignee: PFIZER

Assignee(s): (std): HOOVER DENNIS JAY ; PFIZER ; PFIZER PROD INC ; WITTER KEVIN G

Assignee(s): PFIZER PRODUCTS INC ; PFIZER INC

Inventor(s): (std): HOOVER DENNIS J ; HOOVER DENNIS JAY ; WITTER KEVIN G

Agent(s): PFIZER INC STEVE T ZELSON; FULLER GROVER F JR ET AL

Designated states: AE AG AL AM AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LK LR LS LT LU LV LY MA MC MD MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN SV SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

4) Family number: 43347549 (US2009143361A)

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Title: PYRIDO[3,2-E]PYRAZINES, PROCESS FOR PREPARING THE SAME, AND THEIR USE AS **INHIBITORS** OF PHOSPHODIESTERASE 10

Abstract: Source: US2009143361A The invention relates to pyrido[3,2-e]pyrazines, to processes for preparing them, to pharmaceutical compositions which comprise these compounds and to the pharmaceutical use of these compounds, which are **inhibitors** of phosphodiesterase 10, as active compounds for treating central nervous system disorders, obesity, and metabolic disorders.

Classifications:
International (IPC 8-9): A61K31/4985 A61K31/5377 A61K31/55 A61K31/5513 A61P25/00 A61P25/06 A61P25/14 A61P25/16 A61P25/18 A61P25/22 A61P25/24 A61P25/28 A61P29/00 A61P3/04 A61P3/10 C07D223/22 C07D243/14 C07D401/14 C07D413/14 C07D471/14 (Advanced/Invention);
A61K31/4985 A61P25/00 C07D471/00 (Core/Invention)
International (IPC 1-7): A61K31/4985 A61P25/00 C07D471/14
CPC: C07D471/14
European: C07D471/14
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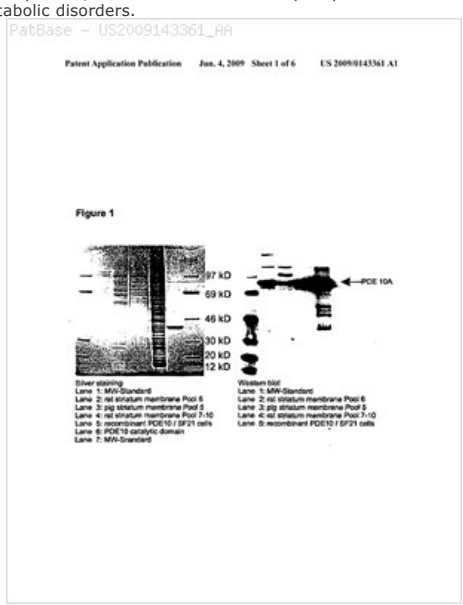

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US2009143361 AA	20090604	US20080277961	20081125
WO09070583 A1	20090604	WO2008US84688	20081125

Priority:
US20070004954P 20071130 US20080277961 20081125

Probable Assignee: ELBION GMBH

Assignee(s): (std): EGERLAND UTE ; ELBION GMBH ; ERDEI JAMES JOSEPH ; HOEFGEN NORBERT ; LANGEN BARBARA ; MALAMAS MICHAEL S ; WYETH CORP ; NI YIKE ; STANGE HANS ; SCHINDLER RUDOLF

Assignee(s): WYETH

Inventor(s): (std): EGERLAND UTE ; ERDEI JAMES JOSEPH ; HOEFGEN NORBERT ; HOFGEN NORBERT ; LANGEN BARBARA ; MALAMAS MICHAEL S ; NI YIKE ; SCHINDLER RUDOLF ; STANGE HANS

Agent(s): FISH AND RICHARDSON PC; GODDARD CHRISTINE A

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

5) Family number: 43815671 (US2011082137A)

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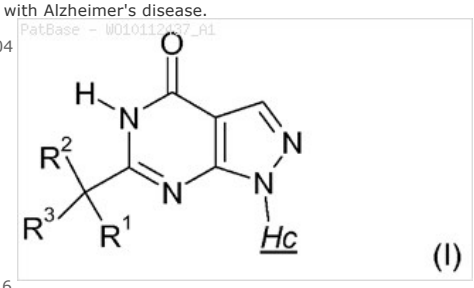
Title: NEW COMPOUNDS FOR THE TREATMENT OF CNS DISORDERS

Abstract: Source: US2011082137A The invention relates to novel 1,6-disubstituted pyrazolopyrimidinones of formula (I), in which Hc is a tetrahydropyranyl-group and R1 is the group V-W-ss, whereby V and W independently of each other may be an aryl group or an heteroaryl group, which independently of each other may optionally be substituted. According to one aspect of the invention the new compounds are for use as medicaments or for the manufacture of medicaments, in particular medicaments for the treatment of conditions concerning deficits in perception, concentration, learning or memory. The new compounds are also for the manufacture of medicaments and/or for use in the treatment of e.g. Alzheimer's disease, in particular for cognitive impairment associated with Alzheimer's disease.



Classifications:
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European: C07D487/04
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AR071577 AA	20100630	AR2009P101179	20090401
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Priority:

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Probable Assignee: BOEHRINGER INGELHEIM INT GMBH

Assignee(s): (std)**:** BOEHRINGER ENGELHEIM INT GMBH ; BOEHRINGER ENGELHEIM INTERNAT GMBH ; EICKMEIER CHRISTIAN ; FIEGEN DENNIS ; DOERNER CIOSSEK CORNELIA ; DORNER CIOSSEK CORNELIA ; BOHERINGER INGELHEIM INTERNAT GMBH ; BOEHRINGER SOHN INGELHEIM ; BOHERINGER INGELHEIM INT GMBH ; FUCHS KLAUS ; HEINE NIKLAS ; FOX THOMAS ; SCHAENZLE GERHARD ; ROSENBROCK HOLGER ; GIOVANNINI RICCARDO ; MAJDOUBI SIHAM TARIK GROUPE ; BOEHRINGER INGELHEIM INT

Assignee(s): BOEHRINGER INGELHEIM INTERNATIONAL GMBH ; BOEHRINGER INGELHEIM INT GMBH ; BOHERINGER INGELHEIM INTERNATIONAL GMBH ; BOEHRINGER ENGELHEIM INTERNATIONAL GMBH ; BOEHRINGER INGELHEIM GMBH

Inventor(s): (std)**:** DORNER CIOSSEK CORNELIA ; THOMAS FOX ; RICCARDO GIOVANNINI ; NIKLAS HEINE ; KLAUS FUCHS ; HOLGER ROSENBROCK ; GERHARD SCHAENZLE ; DENNIS FIEGEN ; CORNELIA DOERNER CIOSSEK ; CHRISTIAN EICKMEIER ; SCHAENZLE GERHARD ; ROSENBROCK HOLGER ; HEINE NIKLAS ; GIOVANNINI RICCARDO ; FUCHS KLAUS ; FUCHS DR KLAUS ; FOX THOMAS ; FIEGEN DENNIS ; EICKMEIER CHRISTIAN ; DOERNER CIOSSEK CORNELIA ; CORNELIA DORNER CIOSSEK

Inventor(s): DR KLAUS FUCHS ; DORNER-CIOSSEK, Cornelia ; EICKMEIER, Christian ; FIEGEN, Dennis ; FOX, Thomas ; FUCHS, Klaus ; GIOVANNINI, Riccardo ; HEINE, Niklas ; ROSENBROCK, Holger ; SCHAENZLE, Gerhard

Agent(s): HAMMANN HEINZ; SIMON ELKE ANNA MARIA; EDWARD S; MICHAEL P; HAMMANN HEINZ ET AL; HAMMANN ET AL HEINZ ET AL

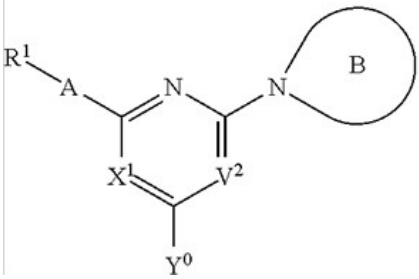
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

6) Family number: 44516637 (US2011160206A)

Title: TRI-SUBSTITUTED **PYRIMIDINE** COMPOUNDS AND THEIR USE AS **PDE10** **INHIBITORS**

Abstract: Source: US2011160206A The present invention provides a tri-substituted **pyrimidine** compound having an excellent **PDE10** inhibitory activity. The present invention relates to a tri-substituted **pyrimidine** compound represented by the following formula [I^0] or a pharmaceutically acceptable salt thereof, a method for preparing the same, and use of said compound for **PDE10** inhibitor, and a pharmaceutical composition comprising said compounds as an active ingredient: wherein: either one of X^1 and X^2 is N, and the other of X^1 and X^2 is CH; A is ss-CH-CH-, ss-C(Alk)=CH-, ss-CH_2 -CH_2 - or ss-O-CH_2 - (ss is a bond with R^1); Alk is a lower alkyl group; Ring B is an optionally substituted nitrogen-containing aliphatic heterocyclic group; R^1 is an optionally substituted quinoxalinyl or an optionally substituted quinolyl; Y^0 is mono- or di-substituted amino group, or a pharmaceutically acceptable salt thereof.

[I^0]



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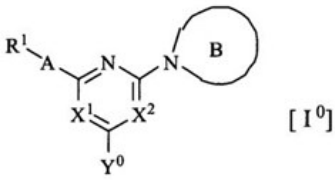
PatBase - WO10027097_A1



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Priority:
US20080094166P 20080904 US20080094166 20080904 WO2009JP65778 20090903

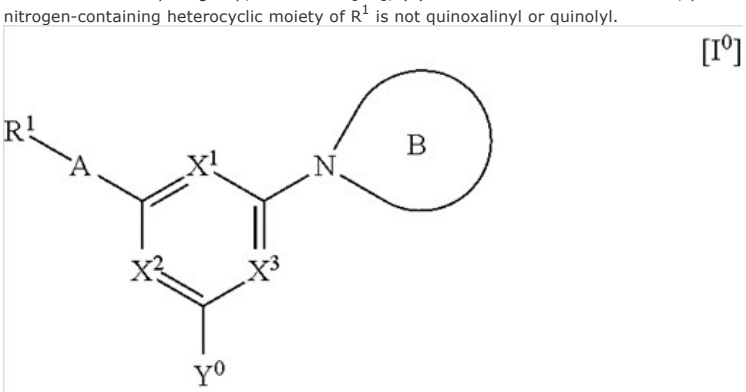
Probable Assignee: MITSUBISHI TANABE PHARMA CORP
Assignee(s): (std): KAWANISHI EIJI ; MATSUMURA TAKEHIKO ; MITSUBISHI TANABE PHARMA CORP
Assignee(s): MITSUBISHI TANABE PHARMA CORPORATIONJP
Inventor(s): (std): EIJI KAWANISHI ; KAWANISHI EIJI ; MATSUMURA TAKEHIKO ; TAKEHIKO MATSUMURA
Agent(s): HOFFMANN EITLE; TSUKUNI HAJIME
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

7) Family number: 44580857 (US2011166135A)

© PatBase

Title: AROMATIC NITROGEN-CONTAINING 6-MEMBERED RING COMPOUNDS AND THEIR USE

Abstract: Source: US2011166135A The present invention provides aromatic nitrogen-containing 6-membered ring compounds having excellent **PDE10** inhibitory activity. The present invention relates to an aromatic nitrogen-containing 6-membered ring compound represented by the following formula [I^0] or a pharmaceutically acceptable salt thereof, a method for preparing the same, and use of said compounds for **PDE10 inhibitors**, and a pharmaceutical composition comprising said compounds as an active ingredient: Formula [I^0] wherein: X^1, X^2 and X^3 each independently are N or CH, and at least two of X^1, X^2 and X^3 are N; A is ss-CH-CH-, ss-C(Alk)=CH-, ss-CH_2 -CH_2 - or ss-O-CH_2 - (ss is a bond with R^1); Alk is a lower alkyl group; Ring B is an optionally substituted nitrogen-containing aliphatic heterocyclic group; R^1 is an optionally substituted nitrogen-containing heterocyclic group, a nitrogen-containing heterocyclic moiety of which is a moiety selected from the group consisting of quinoxalinyl, quinolyl, isoquinolyl, quinazolinyl, pyrazinyl, pyrimidinyl and a moiety thereof fused with a 5 to 6-membered aliphatic ring thereto; Y^0 is a group selected from the group consisting of the following (1) to (5): (1) an optionally substituted phenyl or an optionally substituted aromatic monocyclic 5 to 6-membered heterocyclic group; (2) an optionally substituted aminocarbonyl; (3) an optionally substituted amino lower alkyl; (4) -O-R^2 wherein R^2 is hydrogen, an optionally substituted lower alkyl, lower cycloalkyl, aliphatic monocyclic 5 to 6-membered heterocyclic group, or Formula [AA]; (5) mono- or di-substituted amino; provided that, when Y^0 is mono- or di-substituted amino, the nitrogen-containing heterocyclic moiety of R^1 is not quinoxalinyl or quinolyl.



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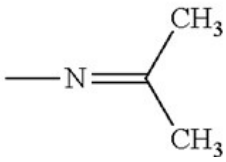

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CPC: C07D401/12 C07D401/14 C07D403/12 C07D403/14 C07D405/12
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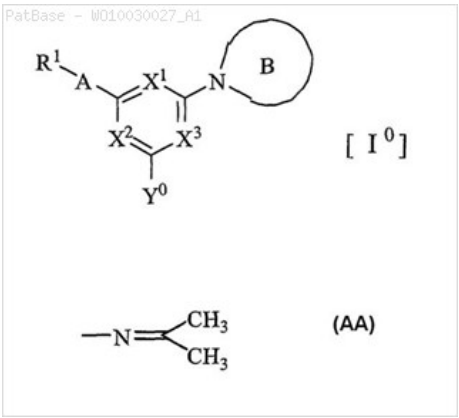

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Priority:

US20080095765P 20080910 WO2009JP66051 20090909 US20090062841 20090909 EP20090788067 20090909

Probable Assignee: MITSUBISHI TANABE PHARMA CORP

Assignee(s): (std): HIMIYAMA TOSHIYUKI ; KAWANISHI EIJI ; MATSUMURA TAKEHIKO ; MITSUBISHI TANABE PHARMA CORP ; SAKAMOTO TOSHIAKI ; MORIMOTO HIROSHI

Inventor(s): (std): HIMIYAMA TOSHIYUKI ; KAWANISHI EIJI ; MATSUMURA TAKEHIKO ; MORIMOTO HIROSHI ; SAKAMOTO TOSHIAKI

Agent(s): HOFFMANN EITLE; TSUKUNI HAJIME

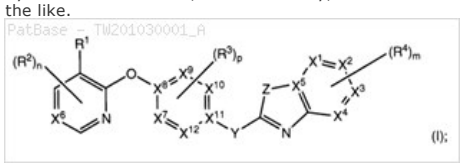
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL

8) Family number: 45002122 (US2010125062A)

Title: PYRIDINE AND **PYRIMIDINE** DERIVATIVES AS PHOSPHODIESTERASE 10 **INHIBITORS**

Abstract: Source: US2010125062A Pyridine and **pyrimidine** compounds, and compositions containing them, and processes for preparing such compounds. Provided herein also are methods of treating disorders or diseases treatable by inhibition of **PDE10**, such as obesity, non-insulin dependent diabetes, schizophrenia, bipolar disorder, obsessive-compulsive disorder, and the like.

Classifications:



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Family:

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Priority:

US20080114595P 20081114 US20090166215P 20090402 WO2009US64643 20091116
US20090619573 20091116 US20120676826 20121114 EP20090752706 20091116

Probable Assignee: AMGEN INC

Assignee(s): (std): BISWAS KAUSTAV ; FROHN MIKE ; DEMORIN FRENEL FILS ; HARRINGTON PAUL ; CHAVEZ FRANK JR ; CHEN NING ; FALSEY JAMES R ; FROHN MICHAEL J ; DE MORIN FRENEL FILS ; HARRINGTON ESSA HU ; CHAVEZ FRANK ; RUMFELT SHANNON ; KALLER MATTHEW R ; REICHELT ANDREAS ; RZASA ROB ; MONENSCHEIN HOLGER ; YAO GUOMIN ; NGUYEN TOM ; SHAM KELVIN ; HU ESSA ; KUNZ ROXANNE ; PICKRELL ALEX ; HORNE DAN ; SABA AND CO ; HORNE DANIEL B ; HARRINGTON PAUL E ; RZASA ROBERT M ; PICKRELL ALEXANDER J ; NGUYEN THOMAS T ; KAUSTAV BISWAS ; ALLEN JENNIFER R ; AMEN INC ; AMGEN INC

Assignee(s): AMGEN INC US

Inventor(s): (std): BISWAS KAUSTAV ; CHAVEZ FRANK ; CHAVEZ FRANK JR ; CHAVEZ JR FRANK ; CHEN NING ; ALLEN JENNIFER R ; DE MORIN FRENEL FILS ; DEMORIN FRENEL FILS ; FALSEY JAMES R ; FROHN MICHAEL J ; FROHN MIKE ; HARRINGTON ESSA HU ; HARRINGTON PAUL ; HARRINGTON PAUL E ; HORNE DANIEL B ; HU ESSA ; HOME DANIEL B ; HORNE DAN ; KALLER MATTHEW R ; KAUSTAV BISWAS ; KUNZ ROXANNE ; KUNZ ROXANNE K ; MONENSCHEIN HOLGER ; NGUYEN THOMAS T ; NGUYEN TOM ; YAO GUOMIN ; PICKRELL ALEX ; PICKRELL ALEXANDER J ; REICHELT ANDREAS ; RUMFELT SHANNON ; RZASA ROB ; RZASA ROBERT M ; SHAM KELVIN

Inventor(s): SHANNON RUMFELT ; TOM NGUYEN ; ROB RZASA ; ROXANNE KUNZ ; ALEX PICKRELL ; NING CHEN ; PAUL E HARRINGTON ; PAUL HARRINGTON ; MATTHEW R KALLER ; MIKE FROHN ; KELVIN SHAM ; HORNE DANIEL ; JAMES R FALSEY ; JENNIFER R ALLEN ; HOLGER MONENSCHEIN ; GUOMIN YAO ; FRANK CHAVEZ JR ; FRENEL FILS DEMORIN ; ESSA HU ; ANDREAS REICHELT ; DAN HORNE ; DANIEL B HORNE

Agent(s): GRUENECKER KINKELDEY STOCKMAIR AND SCHWANHAEUSSER ANWALTSSOZIETAET; GRUENECKER KINKELDEY STOCKMAIR AND SCHWANHAEUSSER; AMGEN INC; ELSA D; LEMOINE ELSA D

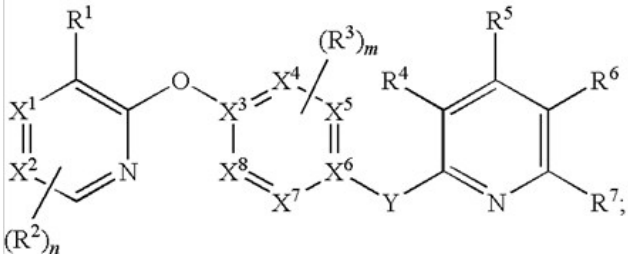
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

9) Family number: 45554754 (US2010160280A)

Title: AMINOPYRIDINE AND CARBOXYPYRIDINE COMPOUNDS AS PHOSPHODIESTERASE 10 **INHIBITORS**

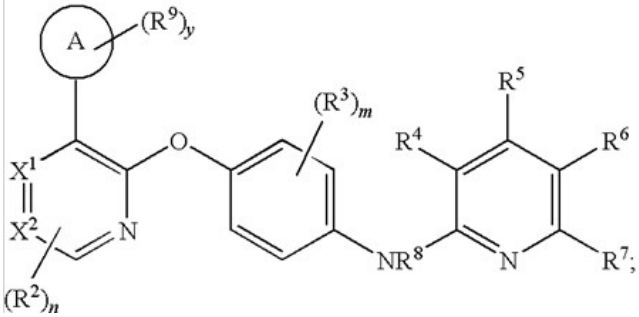
Abstract: Source: US2010160280A Pyridine and **pyrimidine** compounds:

(I)



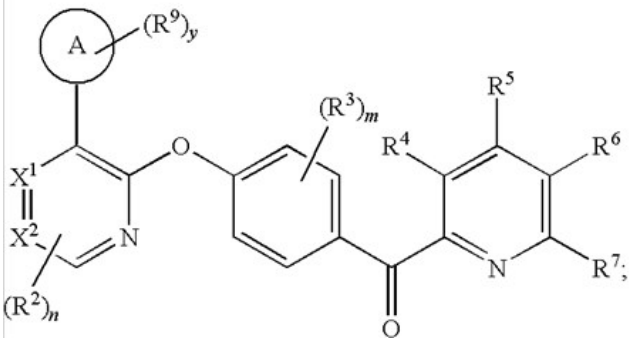
or a pharmaceutically acceptable salt thereof, wherein m, n, R^1, R^2, R^3, R^4, R^5, R^6, R^7, X^1, X^2, X^3, X^4, X^5, X^6, X^7, X^8, and Y are as defined in the specification;

(II)



or a pharmaceutically acceptable salt thereof, wherein ring A, m, n, y, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, X^1, X^2, and ring A are as defined in the specification; and

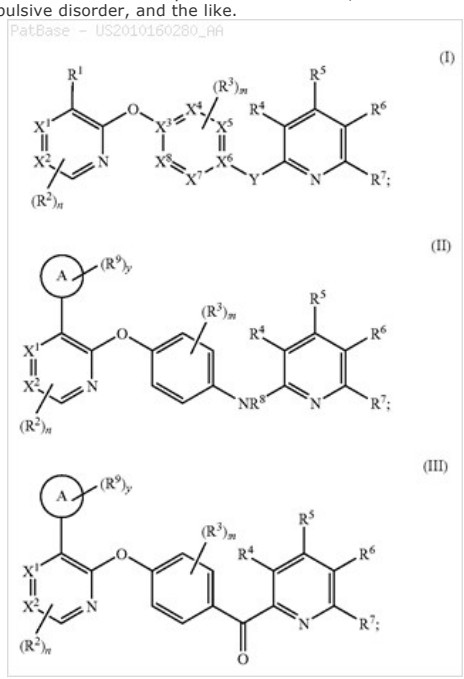
(III)



or a pharmaceutically acceptable salt thereof, wherein m, n, y, R^2, R^3, R^4, R^5, R^6, R^7, R^9, X^1, X^2, and ring A are as defined in the specification; compositions containing them, and processes for preparing such compounds. Provided herein also are methods of treating disorders or diseases treatable by inhibition of **PDE10**, such as obesity, non-insulin dependent diabetes, schizophrenia, bipolar disorder, obsessive-compulsive disorder, and the like.

Classifications:

International (IPC 8-9): A61K31/397 A61K31/4439 A61K31/444 A61K31/4545 A61K31/4709 A61K31/4725 A61K31/496 A61K31/497 A61K31/506 A61K31/5377 A61K31/55 A61K31/551 A61K31/553 A61P11/00 A61P17/00 A61P25/00 A61P25/08 A61P25/14 A61P25/16 A61P25/18 A61P25/24 A61P25/28 A61P25/32 A61P25/36 A61P43/00 C07D213/22 C07D213/62 C07D213/74 C07D213/82 C07D213/84 C07D243/08 C07D267/10 C07D401/02 C07D401/04 C07D401/12 C07D401/14 C07D403/14 C07D405/14 C07D407/14 C07D409/14 C07D413/12 C07D413/14 C07D417/14 (Advanced/Invention);
A61P25/00 (Advanced/Non-invention);
A61K31/4427 A61P25/00 C07D213/00 C07D401/00 C07D407/00 C07D409/00 C07D413/00 (Core/Invention);
A61K31/397 A61K31/444 A61K31/4545 A61K31/506 A61P25/00 C07D213/22 C07D213/62 C07D401/12 C07D413/12 (Core/Non-invention)
International (IPC 1-7): A61K31/444 A61P25/18 C07D213/74 C07D213/84 C07D401/12 C07D401/14 C07D407/14 C07D409/14 C07D413/14
CPC: C07D213/74 C07D213/84 C07D401/04 C07D401/12 C07D401/14 C07D407/14 C07D409/14 C07D413/14
European: C07D213/74 C07D213/84 C07D401/04 C07D401/12 C07D401/14 C07D407/14 C07D409/14 C07D413/14
US: 514/210.2 514/210.200P 514/211.15 514/211.150S 514/218 514/218S 514/235.5 514/235.500S 514/235.8 514/235.800S 514/252.11 514/253.01 514/253.010S 514/255.05 514/256 514/256S 514/318 514/318S 514/334 514/334S 514/335 514/335S 540/544 540/544S 540/575 540/575S 544/120 544/120S 544/124 544/124S 544/333 544/333S 544/357 544/364 544/364S 544/405 546/194 546/194S 546/257 546/257S 546/261 546/261S



Family:

Publication number	Publication date	Application number	Application date
AR074775 AA	20110209	AR2009P104944	20091217
AU2009333214 AA	20100708	AU20090333214	20091216
AU2009333214 BB	20130926	AU20090333214	20091216
CA2746307 AA	20100708	CA20092746307	20091216
CA2746307 C	20131119	CA20092746307	20091216

EP2376455 A1	20111019	EP20090793422	20091216
EP2376455 B1	20121114	EP20090793422	20091216
ES2397934 T3	20130312	ES20090793422T	20091216
JP2012512255 T2	20120531	JP20110542411T	20091216
MX2011006575 A1	20111006	MX20110006575	20110617
TW201035051 A	20101001	TW20090143428	20091217
US2010160280 AA	20100624	US20090639931	20091216
US8637500 BB	20140128	US20090639931	20091216
WO10077992 A1	20100708	WO2009US68330	20091216

Priority:

US20080138443P 20081217 US20080138434P 20081217 US20090639931 20091216 WO2009US68330 20091216

Probable Assignee: AMGEN INC

Assignee(s): (std): FROHN MICHAEL ; CHEN NING ; FALSEY JAMES R ; KALLER MATTHEW R ; HARRINGTON PAUL ; HU ESSA ; KUNZ ROXANNE ; HARRINGTON PAUL E ; FROHN MICHAEL J ; KUNZ ROXANNE K ; HARRINGTON ESSA HU ; RUMFELT SHANNON ; REICHELT ANDREAS ; NGUYEN THOMAS T ; PICKRELL ALEXANDER J ; SHAM KELVIN ; RZASA ROBERT M ; MERCEDE STEPHANIE J ; SIEGMUND AARON C ; YAO GUOMIN ; TEGLEY CHRISTOPHER M ; ALLEN JENNIFER R ; AMGEN INC

Assignee(s): AMGEN INC US

Inventor(s): (std): ALLEN JENNIFER R ; ANDREAS REICHELT ; CHEN NING ; ESSA HU ; FALSEY JAMES R ; FROHN MICHAEL ; FROHN MICHAEL J ; GUOMIN YAO ; HARRINGTON ESSA HU ; HARRINGTON PAUL ; HARRINGTON PAUL E ; HU ESSA ; KELVIN SHAM ; KUNZ ROXANNE ; KUNZ ROXANNE K ; KALLER MATTHEW R ; MERCEDE STEPHANIE J ; NING CHEN ; PAUL HARRINGTON ; PICKRELL ALEXANDER J ; MICHAEL FROHN ; NGUYEN THOMAS T ; REICHELT ANDREAS ; ROXANNE KUNZ ; RUMFELT SHANNON ; RZASA ROBERT M ; SHANNON RUMFELT ; SIEGMUND AARON C ; SHAM KELVIN ; TEGLEY CHRISTOPHER M ; YAO GUOMIN

Inventor(s): THOMAS T NGUYEN ; STEPHANIE J MERCEDE ; ROBERT M RZASA ; MATTHEW R KALLER ; JAMES R FALSEY ; JENNIFER R ALLEN ; CHRISTOPHER M TEGLEY ; AARON C SIEGMUND ; ALEXANDER J PICKRELL

Agent(s): GRUENECKER KINKELDEY STOCKMAIR AND SCHWANHAEUSSER; AMGEN INC; ELSA D; LEMOINE ELSA D

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

10) Family number: 47721231 (US2012065211A) © PatBase

Title: ALKOXY TETRAHYDRO-PYRIDOPYRIMIDINE **PDE10** **INHIBITORS**

Abstract: Source: US2012065211A The present invention is directed to alkoxy tetrahydro-pyridopyrimidine compounds which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:
International (IPC 8-9): A01N43/00 A61K31/519 A61K31/55 A61P25/00 A61P25/18 A61P25/22 C07D471/04 C07D519/00 (Advanced/Invention)
CPC: C07D471/04 C07D519/00
European: C07D471/04 C07D519/00
US: 514/249 514/264.1 514/264.100S 544/279 544/279S 544/353 546/113 546/118 546/119 546/268.1 548/335.1 548/373.1

Family:

Publication number	Publication date	Application number	Application date
EP2434885 A1	20120404	EP20100781051	20100524
EP2434885 A4	20121107	EP20100781051	20100524
EP2434885 B1	20140326	EP20100781051	20100524
US2012065211 AA	20120315	US20100320038	20100524
US8492392 BB	20130723	US20100320038	20100524
WO10138430 A1	20101202	WO2010US35894	20100524

Priority:
US20090181506P 20090527 WO2010US35894 20100524 US20100320038 20100524

Probable Assignee: MERCK SHARP AND DOHME CORP

Assignee(s): (std): BRESLIN MICHAEL J ; COLEMAN PAUL J ; COX CHRISTOPHER D ; MERCK SHARP AND DOHME ; SCHREIER JOHN D ; RAHEEM IZZAT T

Assignee(s): MERCK SHARP AND DOHME CORP

Inventor(s): (std): BRESLIN MICHAEL J ; COLEMAN PAUL J ; COX CHRISTOPHER D ; RAHEEM IZZAT T ; SCHREIER JOHN D

Agent(s): BUCHAN GAVIN MACNICOL; SYLVIA A; GERARD M; MERCK SHARP AND DOHME CORP

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

11) Family number: 48345498 (US2012136012A) © PatBase

Title: AMINO TETRAHYDRO-PYRIDOPYRIMIDINE **PDE10** **INHIBITORS**

Abstract: Source: US2012136012A The present invention is directed to amino tetrahydro-pyridopyrimidine compounds which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:
International (IPC 8-9): A01N43/90 A61K31/519 A61P25/00 A61P25/14 A61P25/18 A61P25/22 A61P25/28 C07D471/00 C07D471/04 C07D487/00 C07D519/00 (Advanced/Invention)
CPC: C07D471/04 C07D519/00
European: C07D471/04 C07D519/00
US: 514/259.31 514/264.11 514/264.110P 544/263 544/279 544/279S

Family:

Publication number	Publication date	Application number	Application date
EP2467382 A1	20120627	EP20100810373	20100805
EP2467382 A4	20130102	EP20100810373	20100805
EP2467382 B1	20140625	EP20100810373	20100805
US2012136012 AA	20120531	US20100389270	20100805
US8691827 BB	20140408	US20100389270	20100805
WO11022213 A1	20110224	WO2010US44482	20100805

Priority:
US20090234427P 20090817 WO2010US44482 20100805 US20100389270 20100805

Probable Assignee: MERCK SHARP AND DOHME

Assignee(s): (std): BRESLIN MICHAEL J ; COLEMAN PAUL J ; COX CHRISTOPHER D ; MERCK SHARP AND DOHME ; SCHREIER JOHN D ; RAHEEM IZZAT T

Assignee(s): MERCK SHARP AND DOHME CORP

Inventor(s): (std): BRESLIN MICHAEL J ; COLEMAN PAUL J ; COX CHRISTOPHER D ; RAHEEM IZZAT T ; SCHREIER JOHN D

Agent(s): BUCHAN GAVIN MACNICOL; SYLVIA A; JOHN C; MERCK SHARP AND DOHME CORP

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

12) Family number: 49513262 (US2012309754A)

Title: PYRAZOLOPYRIMIDINE COMPOUNDS AND THEIR USE AS **PDE10** **INHIBITORS**

Abstract: Source: US2012309754A The present invention relates to a compound represented by formula [I]: wherein: R1 is hydrogen, halogen, lower alkyl or cyano; Ring A is an optionally substituted heterocyclic group; Ring B is an optionally substituted 3 to 6-membered monocyclic group; and Y is optionally substituted amino, optionally substituted cyclic amino, optionally substituted aliphatic 3 to 6-membered monocyclyloxy, optionally substituted lower alkyl or optionally substituted lower alkyl-O-, or a pharmaceutically acceptable salt thereof, and to their use as **PDE10** inhibitor.

Classifications:
International (IPC 8-9): A61K31/415 A61K31/519 A61K31/5377 A61P25/00 A61P25/18 A61P25/22 A61P25/28 A61P25/30 A61P43/00 C07D293/00 C07D487/00 C07D487/04 C07D519/00 (Advanced/Invention);
A61K31/519 A61K31/5377 A61P25/00 A61P25/18 A61P25/22 A61P25/28 A61P25/30 A61P43/00 (Advanced/Non-invention);
A61K31/519 A61P25/00 A61P25/18 A61P25/22 C07D487/04 (Core/Non-invention)
CPC: C07D487/04
European: C07D487/04
US: 514/233.2 514/249 514/250 514/255.05 514/259.3 514/303 514/403 544/117 544/281 548/121 548/360.1

Family:

Publication number	Publication date	Application number	Application date
AU2011221075 AA	20110901	AU20110221075	20110225
AU2011221075 BB	20131219	AU20110221075	20110225
CA2791166 AA	20110901	CA20112791166	20110225
CA2791166 C	20141230	CA20112791166	20110225
CN102770435 A	20121107	CN201180011300	20110225
EP2539342 A1	20130102	EP20110747579	20110225
EP2539342 A4	20130814	EP20110747579	20110225
EP2539342 B1	20150415	EP20110747579	20110225
IN08161CN2012 A	20140207	IN2012CN08161	20120921
JP2013520399 T2	20130606	JP20120539107T	20110225
JP5538555 B2	20140702	JP20120539107T	20110225
KR101478889 B1	20150102	KR20127025194	20110225
KR20120137383 A	20121220	KR20127025194	20110225
MX2012009866 A1	20120912	MX20120009866	20120824
RU2012141054 A	20140410	RU20120141054	20110225
RU2543386 C2	20150227	RU20120141054	20110225
TW201141869 A	20111201	TW20110106420	20110225
TWI476200 B	20150311	TW20110106420	20110225
US2012309754 AA	20121206	US20110579095	20110225
US8969376 BB	20150303	US20110579095	20110225
WO11105628 A1	20110901	WO2011JP54997	20110225

Priority:
US20100308706P 20100226 WO2011JP54997 20110225 US20110579095 20110225

Probable Assignee: MITSUBISHI TANABE PHARMA CORP

Assignee(s): (std): HONGU MITSUYA ; KAWANISHI EIJI ; MITSUBISHI TANABE PHARMA CORP ; MITSUBISI TANABE FARMA KOROPOREJSHN ; TANAKA YOSHIHITO

Assignee(s): MITSUBISHI TANABE PHARMA CORP2-6-18, KITAHAMACHUO-KUOSAKA-SHI541-8505OSAKAJP

Inventor(s): (std): EIJI KAWANISHI ; HONGU MITSUYA ; KAWANISHI EIJI ; MITSUYA HONGU ; TANAKA YOSHIHITO ; YOSHIHITO TANAKA ; TANAKA JOSIKHITO ; KHONGU MITSUJA ; KAVANISI EIDZI

Inventor(s): HONGU MITSUYAJP ; KAWANISHI EIJIJP ; TANAKA YOSHIHITOJP

Agent(s): HOFFMANN EITLE; BIRCH STEWART KOLASCH AND BIRCH LLP; TSUKUNI HAJIME

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

13) Family number: 49952980 (US2011306588A) © PatBase

Title: HETEROARYLOXYHETEROCYCLYL COMPOUNDS AS **PDE10** **INHIBITORS**

Abstract: Source: US2011306588A Heteroaryloxyheterocyclyl compounds, and compositions containing them, and processes for preparing such compounds. Provided herein also are methods of treating disorders or diseases treatable by inhibition of **PDE10**, such as obesity, non-insulin dependent diabetes, schizophrenia, Huntington's Disease, bipolar disorder, obsessive-compulsive disorder, and the like.

Classifications:

International (IPC 8-9): A61K31/444 A61K31/4535 A61K31/454 A61K31/4709 A61K31/4725 A61K31/496 A61K31/497 A61K31/498 A61K31/4985 A61K31/501 A61K31/506 A61K31/517 A61K31/5355 A61K31/5377 A61K31/55 A61K31/551 A61K31/553 A61P25/00 A61P25/14 A61P25/16 A61P25/18 A61P25/24 A61P25/28 A61P25/30 A61P25/36 C07D241/18 C07D401/04 C07D401/06 C07D401/14 C07D403/04 C07D403/14 C07D405/04 C07D405/14 C07D409/14 C07D413/14 C07D417/14 C07D471/04 C07D471/08 C07D487/04 C07D487/10 C07D491/107 C07D519/00 (Advanced/Invention);
A61P25/18 A61P3/04 A61P3/10 (Advanced/Non-invention)

CPC: C07D491/107 C07D413/14 C07D403/04 C07D487/04 C07D401/04 C07D405/04 C07D401/06 C07D409/14 C07D401/14 C07D403/14 C07D405/14 C07D417/14 C07D471/04 C07D471/08 C07D487/10

European: C07D401/14 C07D403/14 C07D405/14 C07D417/14 C07D471/04 C07D471/08 C07D487/10

US: 514/210.16 514/210.160P 514/210.21 514/210.210S 514/211.15 514/211.150S 514/217.05 514/217.050S 514/218 514/218S 514/235.2 514/235.8 514/235.800S 514/249 514/249S 514/252.11 514/255.05 514/255.050S 540/544 540/544S 540/575 540/575S 540/598 540/598S 544/120 544/120S 544/230 544/230S 544/238 544/292 544/295 544/320 544/350 544/354 544/356 544/356S 544/357 544/405 544/405S 546/113 546/118 546/122 546/159 546/162 546/193 546/282.1 548/306.1 548/468 548/524

Family:

Publication number	Publication date	Application number	Application date
AU2011253003 AA	20111117	AU20110253003	20110512
AU2011253003 BB	20140501	AU20110253003	20110512
CA2798325 AA	20111117	CA20112798325	20110512
EP2569302 A1	20130320	EP20110724480	20110512
JP2013526546 T2	20130624	JP20130510318T	20110512
MX2012013127 A1	20121130	MX20120013127	20121112
US2011306588 AA	20111215	US20110106718	20110512
US2013253186 AA	20130926	US20130892196	20130510
US8497265 BB	20130730	US20110106718	20110512
WO11143495 A1	20111117	WO2011US36342	20110512

Priority:

US20100334520P 20100513 US20110106718 20110512 WO2011US36342 20110512
US20130892196 20130510

Probable Assignee: AMGEN INC

Assignee(s): (std): HARRINGTON ESSA HU ; HU ESSA ; MONENSCHEIN HOLGER ; RZASA ROBERT M ; KALLER MATTHEW R ; HORNE DANIEL B ; NGUYEN THOMAS T ; REICHELT ANDREAS ; ALLEN JENNIFER ; ALLEN JENNIFER R ; AMGEN INC

Inventor(s): (std): RZASA ROBERT M ; REICHELT ANDREAS ; NGUYEN THOMAS T ; MONENSCHEIN HOLGER ; KALLER MATTHEW R ; HU ESSA ; HORNE DANIEL B ; ALLEN JENNIFER R ; ALLEN JENNIFER ; HARRINGTON ESSA HU

Inventor(s): HOLGER MONENSCHEIN ; JENNIFER ALLEN ; MATTHEW R KALLER ; ROBERT M RZASA ; THOMAS T NGUYEN ; ANDREAS REICHELT ; DANIEL B HORNE ; ESSA HU HARRINGTON

Agent(s): SEXTON JANE HELEN; ELSA D; LEMOINE ELSA D

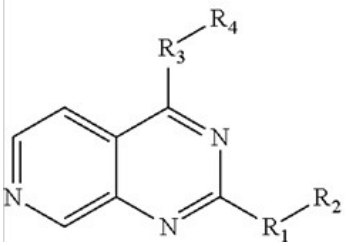
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT RO RS RU SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

14) Family number: 50262490 (US2011319409A) © PatBase

Title: 7-AZA-QUINAZOLINE **PDE10** **INHIBITORS**

Abstract: Source: US2011319409A The present invention is directed to 7-aza-quinazoline compounds of general structural formula I

I



which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**).

Classifications:
International (IPC 8-9): A61K31/519 A61K31/5377 A61P25/00 A61P25/18 A61P25/28 C07D471/04 (Advanced/Invention)
CPC: C07D471/04
European: C07D471/04
US: 514/234.2 514/234.200P 514/264.11 514/264.110S 544/117 544/117S 544/279 544/279S

Family:

Publication number	Publication date	Application number	Application date
US2011319409 AA	20111229	US20100821311	20100623

Priority:
US20100821311 20100623

Probable Assignee: COX CHRISTOPHER D

Assignee(s): (std): COX CHRISTOPHER D ; FLORES BROC A ; RAHEEM IZZAT T ; WHITMAN DAVID B

Inventor(s): (std): COX CHRISTOPHER D ; FLORES BROC A ; RAHEEM IZZAT T ; WHITMAN DAVID B

15) Family number: 50908900 (US2013137707A) © PatBase

Title: PYRAZOLOPYRIMIDINE PDE 10 **INHIBITORS**

Abstract: Source: US2013137707A The present invention is directed to pyrazolopyrimidine compounds which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:
International (IPC 8-9): A61K31/44 A61K31/519 A61P25/00 A61P25/16 A61P25/18 A61P25/28 C07D487/00 C07D487/04 C07D519/00 (Advanced/Invention)
CPC: C07D487/04 A61K31/519 C07D519/00
European: A61K31/519 C07D487/04 C07D519/00
US: 514/259.3 544/281

Family:

Publication number	Publication date	Application number	Application date
EP2621926 A2	20130807	EP20110829779	20110926
EP2621926 A4	20140910	EP20110829779	20110926
US2013137707 AA	20130530	US20110816568	20110926
US8957077 BB	20150217	US20110816568	20110926
WO12044562 A2	20120405	WO2011US53195	20110926
WO12044562 A3	20120628	WO2011US53195	20110926

Priority:
US20100388298P 20100930 US20110497999P 20110617 WO2011US53195 20110926 US20110816568 20110926

Probable Assignee: MERCK SHARP AND DOHME

Assignee(s): (std): COX CHRISTOPHER D ; MERCK SHARP AND DOHME ; RAHEEM IZZAT T ; SCHREIER JOHN D

Assignee(s): MERCK SHARP AND DOHME CORP

Inventor(s): (std): COX CHRISTOPHER D ; RAHEEM IZZAT T ; SCHREIER JOHN D

Agent(s): BUCHAN GAVIN MACNICOL; SYLVIA A; JOHN C; MERCK SHARP AND DOHME CORP

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT QA RO RS RU RW SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

16) Family number: 50908899 (US2013158056A) © PatBase

Title: ALKOXY **PYRIMIDINE** **PDE10** **INHIBITORS**

Abstract: Source: US2013158056A The present invention is directed to 2-alkoxy **pyrimidine** compounds which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:
International (IPC 8-9): A01N43/54 A61K31/505 A61K31/513 C07D401/14 C07D417/14 C07D471/04 C07D495/04 C07D513/04 (Advanced/Invention);
A61K31/506 C07D239/30 C07D239/48 C07D239/52 C07D239/56 (Advanced/Non-invention)
CPC: A61K31/513 C07D401/14 C07D403/12 C07D403/14 C07D413/14 C07D417/12 C07D417/14 C07D471/04 C07D495/04 A61K31/505 A61K31/506 C07D239/30 C07D239/48 C07D239/52 C07D239/56 C07D513/04
European: A61K31/513 C07D401/14 C07D403/12 C07D403/14 C07D413/14 C07D417/12 C07D417/14 C07D471/04 C07D495/04 C07D513/04
US: 514/274 544/295 544/296 544/310 544/317

Family:

Publication number	Publication date	Application number	Application date
EP2621276 A2	20130807	EP20110829778	20110926
US2013158056 AA	20130620	US20110820648	20110926
US8785467 BB	20140722	US20110820648	20110926
WO12044561 A2	20120405	WO2011US53194	20110926
WO12044561 A3	20120531	WO2011US53194	20110926

Priority:
US20100388280P 20100930 WO2011US53194 20110926 US20110820648 20110926

Probable Assignee: MERCK SHARP AND DOHME

Assignee(s): (std): COX CHRISTOPHER D ; MERCK SHARP AND DOHME ; RAHEEM IZZAT T ; SHIPE WILLIAM D ; THEBERGE CORY R

Assignee(s): MERCK SHARP AND DOHME CORP

Inventor(s): (std): COX CHRISTOPHER ; COX CHRISTOPHER D ; RAHEEM IZZAT T ; SHIPE WILLIAM D ; THEBERGE CORY R

Agent(s): BUCHAN GAVIN MACNICOL; SYLVIA A; JOHN C; AYLER SYLVIA A ET AL

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT QA RO RS RU RW SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

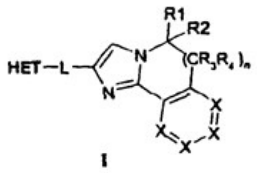
17) Family number: 51132430 (US2012129836A)

Title: IMIDAZOLE DERIVATIVES AS PDE10A ENZYME **INHIBITORS**

Abstract: Source: US2012129836A This invention is directed to compounds, which are PDE10A enzyme **inhibitors**. The invention provides a pharmaceutical composition comprising a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. The present invention also provides processes for the preparation of the compounds of formula I. The present invention further provides a method of treating a subject suffering from a neurodegenerative disorder comprising administering to the subject a therapeutically effective amount of a compound of formula I. The present invention also provides a method of treating a subject suffering from a drug addiction comprising administering to the subject a therapeutically effective amount of a compound of formula I. The present invention further provides a method of treating a subject suffering from a psychiatric disorder comprising administering to the subject a therapeutically effective amount of a compound of formula I.

Classifications:
International (IPC 8-9): A61K31/415 A61K31/4188 A61K31/4196 A61K31/437 A61K31/4515 A61K31/454 A61K31/4545 A61K31/495 A61K31/496 A61K31/4985 A61K31/519 A61K31/53 A61K31/551 A61K31/5513 A61K31/554 A61K45/06 A61P25/00 A61P25/14 A61P25/18 A61P25/24 A61P25/28 A61P3/00 A61P43/00 C07D C07D403/14 C07D471/04 C07D471/06 C07D487/04 C07D487/06 C07D519/00 (Advanced/Invention); A61P25/14 A61P25/18 (Advanced/Non-invention);
A61K31/519 A61P25/00 C07D519/00 (Core/Invention);
C07D (Subclass/Invention)
CPC: A61K45/06 A61K31/4985 A61K31/437 C07D487/04 C07D471/04 C07D519/00
European: C07D519/00
US: 514/211.13 514/211.130P 514/220 514/220S 514/249 514/249S 514/259.41 514/259.410S 514/294 514/303 514/303S 514/393 544/350 544/350S 546/119 546/119S 546/84 548/302.1

PatBase - WO12065612_A1



Family:

Publication number	Publication date	Application number	Application date
AP201306928 A0	20130630	AP20130006928	20111118
AR083926 AA	20130410	AR2011P104313	20111118
AU2011331607 AA	20120524	AU20110331607	20111118
CA2817663 AA	20130510	CA20112817663	20111118
CL2013001397 A1	20130830	CL20130001397	20130516
CN103328487 A	20130925	CN201180065325	20111118
CO6700886 A2	20130628	CO20130129441	20130527
CR20130226 A	20130903	CR20130000226	20130516
DOP20130108 A	20130930	DO20130000108	20130516
EA201390741 A1	20131129	EA20130090741	20111118
ECSP13012698 A	20130830	EC2013SP12698	20130618
EP2640731 A1	20130925	EP20110793325	20111118
IL226344 A0	20130731	IL20130226344	20130513
IN01512KN2013 A	20140328	IN2013KN01512	20130515
JP2013542963 T2	20131128	JP20130539140T	20111118
KR20140009192 A	20140122	KR20137012797	20111118
MA34736 B1	20131203	MA20130036011	20130614
MX2013005592 A1	20130612	MX20130005592	20130517
NZ610353 A	20150130	NZ20110610353	20111118
PE15342014 A1	20141116	PE20130001212	20111118
SG190282 A1	20130628	SG20130003716	20111118
TH132263 A	20140401	TH20131002528	20111118
TW201300391 A	20130101	TW20110141570	20111115
US2012129836 AA	20120524	US20110299368	20111118
US2014005201 AA	20140102	US20130016685	20130903
US2014357639 AA	20141204	US20140309423	20140619
US8552045 BB	20131008	US20110299368	20111118
US8796304 BB	20140805	US20130016685	20130903
US9062060 BB	20150623	US20140309423	20140619
WO12065612 A1	20120524	WO2011DK00136	20111118

Priority:

US20100415356P 20101119 DK20100001045 20101119 US20110299368 20111118
WO2011DK00136 20111118 US20130016685 20130903 US20140309423 20140619

Probable Assignee: LUNDBECK AND CO H AS

Assignee(s): (std): LUNDBECK AND CO AS H ; KILBURN JOHN PAUL ; LANGGAARD MORTEN ; KEHLER JAN ; MARIGO MAURO ; LUNDBECK AND CO H AS ; LUNDBECK AND AMP ; H LUNDBECK AND CO AS ; LUNDBECK A H ; NIELSEN JACOB

Assignee(s): H LUNDBECK AS ; LANGGARD MORTEN ; H ; H LUNDBECK A ; H LUNDBECK A S ; LUNDBECK AND

Inventor(s): (std): KEHLER JAN ; KILBURN JOHN PAUL ; LANGG RD MORTEN ; LANGGAARD MORTEN ; LANGGARD MORTEN ; MARIGO MAURO ; NIELSEN JACOB

Inventor(s): JACOB NIELSEN ; JAN KEHLER ; JOHN PAUL KILBURN ; MAURO MARIGO ; MORTEN LANGGAARD ; MORTEN LANGGARD

Agent(s): KALUM BO; MARY CATHERINE; KITAE T; H LUNDBECK A S

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT QA RO RS RU RW SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

18) Family number: 52445207 (US2014336195A) © PatBase

Title: ARYLOXMETHYL CYCLOPROPANE DERIVATIVES AS **PDE10** **INHIBITORS**

Abstract: Source: US2014336195A The present invention is directed to aryloxymethyl cyclopropane derivatives which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:
International (IPC 8-9): A61K31/444 C07D239/34 C07D401/12 C07D401/14 C07D403/14 C07D413/14 C07D417/14 C07D471/04 C07D487/04 (Advanced/Invention)
CPC: C07D487/04 C07D401/12 C07D401/14 C07D413/14 C07D417/14 C07D471/04 C07D403/14
US: 514/248 514/255.05 514/259.1 514/269 514/274 514/300 514/333 514/334 514/335 544/236 544/281 544/310 544/319 544/405 546/122 546/256 546/272.1 546/275.4

Family:

Publication number	Publication date	Application number	Application date
EP2714041 A1	20140409	EP20120789446	20120521
EP2714041 A4	20141217	EP20120789446	20120521
US2014336195 AA	20141113	US20120117313	20120521
US8975261 BB	20150310	US20120117313	20120521
WO12162213 A1	20121129	WO2012US38759	20120521

Priority:
US20110489457P 20110524 WO2012US38759 20120521 US20120117313 20120521

Probable Assignee: MERCK SHARP AND DOHME

Assignee(s): (std): BRESLIN MICHAEL J ; COX CHRISTOPHER D ; HARTINGH TIMOTHY J ; MERCK SHARP AND DOHME ; VASSALLO LAURA ; RAHEEM IZZAT T ; PERO JOSEPH ; ROSSI MICHAEL

Assignee(s): MERCK SHARP AND DOHME CORP

Inventor(s): (std): BRESLIN MICHAEL J ; COX CHRISTOPHER D ; HARTINGH TIMOTHY J ; PERO JOSEPH ; RAHEEM IZZAT T ; ROSSI MICHAEL ; VASSALLO LAURA

Agent(s): BUCHAN GAVIN MACNICOL; SYLVIA A; JOHN C; MERCK SHARP AND DOHME CORP

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT QA RO RS RU RW SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

19) Family number: 53121833 (US2014228368A)

© PatBase

Title: **PYRIMIDINE PDE10 INHIBITORS**

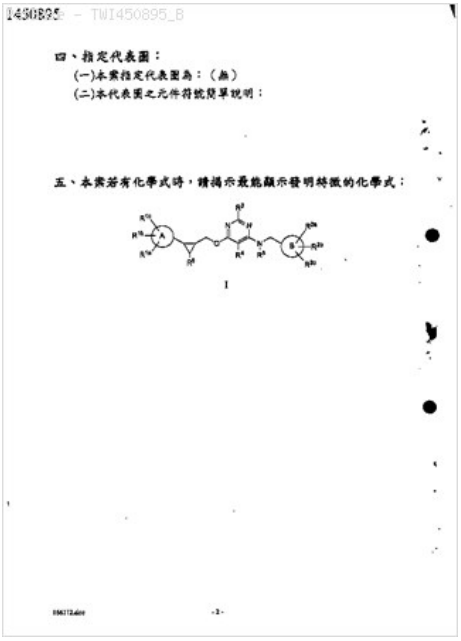
Abstract: Source: US2014228368A The present invention is directed to **pyrimidine** compounds which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:

International (IPC 8-9): A61K31/505 A61K31/506 A61K31/519 A61P25/00 A61P25/14 A61P25/18 A61P25/22 A61P25/24 A61P25/28 A61P43/00 C07D C07D239/47 C07D401/12 C07D401/14 C07D403/12 C07D405/14 C07D413/14 C07D417/14 C07D471/04 C07D487/04 (Advanced/Invention);
A61K31/506 A61P25/14 A61P25/18 A61P25/22 (Advanced/Non-invention);
C07D (Subclass/Invention)

CPC: C07D487/04 C07D401/14 C07D417/14 A61K31/506 C07D239/47 C07D401/12 C07D413/14 C07D471/04

US: 514/248 514/252.02 514/259.3 514/269 514/272 514/274 544/236 544/238 544/281 544/310 544/319 544/320



Family:

Publication number	Publication date	Application number	Application date
AR087628 AA	20140409	AR2012P103086	20120822
AU2012299080 AA	20130228	AU20120299080	20120820
CA2845578 AA	20140214	CA20122845578	20120820
CL2014000450 A1	20140822	CL20140000450	20140225
CN103917528 A	20140709	CN201280052330	20120820
CO6890101 A2	20140310	CO20140042266	20140227
CR20140085 A	20140502	CR20140000085	20140224
DOP20140039 A	20140601	DO20140000039	20140225
EA201490492 A1	20140630	EA20140090492	20120820
EP2748151 A1	20140702	EP20120826375	20120820
EP2748151 A4	20150107	EP20120826375	20120820
IL230997 A0	20140331	IL20140230997	20140216
JP2014524471 T2	20140922	JP20140527214T	20120820
JP5648147 B2	20150107	JP20140527214T	20120820
KR20140053356 A	20140507	KR20147007427	20120820
MA35508 B1	20141002	MA20140036829	20140313
MX2014002178 A1	20140501	MX20140002178	20140224
NZ621245 A	20141224	NZ20120621245	20120820
PE15352014 A1	20141106	PE20140000255	20120820
TW201313699 A	20130401	TW20120130166	20120820
TWI450895 B	20140901	TW20120130166	20120820
US2014228368 AA	20140814	US20120239603	20120820
US9062059 BB	20150623	US20120239603	20120820
WO13028590 A1	20130228	WO2012US51522	20120820

Priority:

US20110527392P 20110825 WO2012US51522 20120820 US20120239603 20120820

Probable Assignee: MERCK SHARP AND DOHME CORP

Assignee(s): (std): COX CHRISTOPHER D ; DUDKIN VADIM ; DUDKIN VADIM Y ; KERN JEFFREY ; RAHEEM IZZAT T ; LAYTON MARK E ; MERCK SHARP AND DOHME CORP ; MERCK SHARP AND DOHME ; MERK SHARP AND DOHME CORP ; MERCK SHARP AND DOHME MSD

Inventor(s): (std): COX CHRISTOPHER D ; DUDKIN VADIM ; DUDKIN VADIM Y ; DUDKIN VAMIN ; KERN JEFFREY ; KERNS JEFFREY ; LAYTON MARK E ; RAHEEM IZZAT T

Inventor(s): VAMIN DUDKIN ; CHRISTOPHER D COX ; MARK E LAYTON ; IZZAT T RAHEEM ; JEFFREY KERN

Agent(s): BUCHAN GAVIN MACNICOL; SYLVIA A; JOHN C; MERCK SHARP AND DOHME CORP

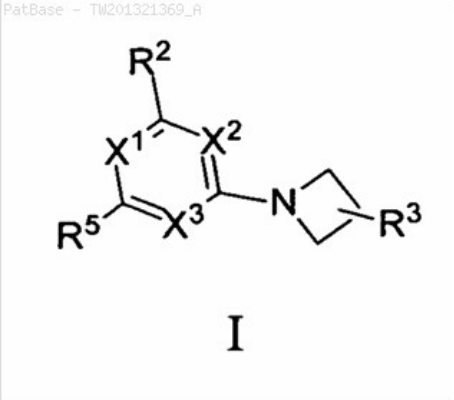
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BN BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PE PG PH PL PT QA RO RS RU RW SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

20) Family number: 53486796 (US2014256708A)

Title: 1,3 SUBSTITUTED AZETIDINE **PDE10** **INHIBITORS**

Abstract: Source: US2014256708A The present invention is directed to substituted azetidinecompounds which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:
International (IPC 8-9): A61K31/397 A61K31/4427 A61K31/506 A61P25/00 A61P25/14 A61P25/18 A61P25/22 A61P25/24 A61P25/28 A61P25/30 A61P43/00 C07D401/14 C07D403/14 C07D405/14 C07D413/14 C07D417/14 (Advanced/Invention); A61P25/14 A61P25/18 A61P25/28 (Advanced/Non-invention)
CPC: C07D417/14 C07D401/14 C07D403/14 C07D405/14 C07D413/14
US: 514/210.2 514/210.21 544/238 544/295 544/317 544/327 544/328



Family:

Publication number	Publication date	Application number	Application date
AR088258 AA	20140521	AR2012P103729	20121005
AU2012318874 AA	20130411	AU20120318874	20121001
CA2851082 AA	20140403	CA20122851082	20121001
EP2763672 A1	20140813	EP20120838133	20121001
EP2763672 A4	20150304	EP20120838133	20121001
JP2014528446 T2	20141027	JP20140534619T	20121001
TW201321369 A	20130601	TW20120137034	20121005
US2014256708 AA	20140911	US20120349041	20121001
WO13052395 A1	20130411	WO2012US58220	20121001

Priority:
US20110544065P 20111006 WO2012US58220 20121001 US20120349041 20121001

Probable Assignee: MERCK SHARP AND DOHME CORP

Assignee(s): (std): BESHORE DOUGLAS C ; COX CHRISTOPHER D ; KIM JUNE J ; KUDUK SCOTT D ; MCVEAN CAROL ; REGER THOMAS ; SHEEN JUSTIN ; MERCK SHARP AND DOHME

Assignee(s): SHEEN JUSTIN T ; MERCK SHARP AND DOHME CORP126 EAST LINCOLN AVENUERAHWAYNJ07065-0907US ; STEEN JUSTIN T ; MERCK SHARP AND DOHME CORP

Inventor(s): (std): STEEN JUSTIN T ; SHEEN JUSTIN ; REGER THOMAS ; MCVEAN CAROL ; KUDUK SCOTT D ; KIM JUNE J ; COX CHRISTOPHER D ; BESHORE DOUGLAS C ; SHEEN JUSTIN T ; MCVEAN CAROL A

Inventor(s): BESHORE DOUGLAS CUS ; COX CHRISTOPHER DUS ; KIM JUNE JUS ; KUDUK SCOTT DUS ; MCVEAN CAROLUS ; REGER THOMASUS ; STEEN JUSTIN TUS

Agent(s): BUCHAN GAVIN MACNICOL; MERCK SHARP AND DOHME CORP

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BN BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PA PE PG PH PL PT QA RO RS RU RW SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

21) Family number: 53486828 (US2014249134A) © PatBase

Title: TRIAZOLYL **PDE10** **INHIBITORS**

Abstract: Source: US2014249134A The present invention is directed to substituted triazolyl compounds which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:

International (IPC 8-9): A01N43/38 A61K31/4439 A61K31/501 A61K31/506 A61P25/00 C07D401/14 C07D403/04 C07D403/14 C07D405/14 C07D413/14 C07D417/14 C07D471/04 C07D487/04 (Advanced/Invention)

CPC: C07D487/04 C07D403/04 C07D471/04 C07D413/14 C07D405/14 C07D401/14 C07D403/14 C07D417/14

US: 514/210.21 514/234.5 514/252.19 514/255.05 514/256 514/269 514/274 514/275 544/122 544/295 544/317 544/319 544/324 544/328

Family:

Publication number	Publication date	Application number	Application date
EP2763533 A1	20140813	EP20120838891	20121003
EP2763533 A4	20150513	EP20120838891	20121003
US2014249134 AA	20140904	US20120349030	20121003
WO13052526 A1	20130411	WO2012US58525	20121003

Priority:

US20110544055P 20111006 WO2012US58525 20121003 US20120349030 20121003

Probable Assignee: MERCK SHARP AND DOHME CORP

Assignee(s): (std): COX CHRISTOPHER D ; DUDKIN VADIM Y ; KIM JUNE J ; KUDUK SCOTT D ; MCVEAN CAROL ; STEEN JUSTIN ; STEELE THOMAS ; REGER THOMAS ; MERCK SHARP AND DOHME

Assignee(s): MERCK SHARP AND DOHME CORP

Inventor(s): (std): MCVEAN CAROL A ; SHEEN JUSTIN T ; STEEN JUSTIN ; STEELE THOMAS ; REGER THOMAS ; MCVEAN CAROL ; KUDUK SCOTT D ; KIM JUNE J ; DUDKIN VADIM Y ; COX CHRISTOPHER D

Agent(s): BUCHAN GAVIN MACNICOL; MERCK SHARP AND DOHME CORP

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BN BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PA PE PG PH PL PT QA RO RS RU RW SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

22) Family number: 55310668 (US2015148332A)

Title: TRIAZOLO COMPOUNDS AS **PDE10 INHIBITORS**

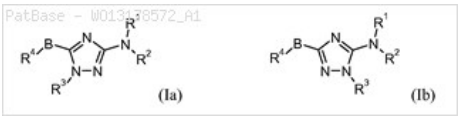
Abstract: Source: US2015148332A The present invention provides compounds of formula (Ia) and (Ib) wherein R1, R2, R3 and R4 are as defined in the description and in the claims, as well as physiologically acceptable salts thereof. These compounds inhibit PDE10A and can be used as medicaments.

Classifications:

International (IPC 8-9): A61K31/4192 A61K31/437 A61K31/4745 A61K31/498 A61K31/4985 A61K31/519 A61P25/00 C07D C07D403/06 C07D403/14 C07D471/04 C07D487/04 (Advanced/Invention);
C07D (Subclass/Invention)

CPC: C07D487/04 C07D403/06 C07D471/04

US: 514/210.21 514/217.05 514/233.2 514/249 514/259.31 514/303 540/599 544/127 544/263 544/350 544/353 546/119



Family:

Publication number	Publication date	Application number	Application date
AR091185 AA	20150114	AR2013P101863	20130529
AU2013269800 AA	20131205	AU20130269800	20130527
CA2868240 AA	20140923	CA20132868240	20130527
CN104364249 A	20150218	CN201380027925	20130527
CO7091174 A2	20141021	CO20140213791	20140926
CR20140481 A	20141117	CR20140000481	20141016
EA201492069 A1	20150331	EA20140092069	20130527
EP2855455 A1	20150408	EP20130725360	20130527
IL235829 A0	20150201	IL20140235829	20141120
IL235829 A1	20150201	IL20140235829	20141120
KR20150027143 A	20150311	KR20147036637	20130527
MX2014014468 A1	20150212	MX20140014468	20141126
PE23662014 A1	20150110	PE20140001616	20130527
TW201402564 A	20140116	TW20130118823	20130528
US2015148332 AA	20150528	US20140552837	20141125
WO13178572 A1	20131205	WO2013EP60838	20130527

Priority:

EP20120169954 20120530 WO2013EP60838 20130527 EP20130725360 20130527

Probable Assignee: HOFFMANN LA ROCHE

Assignee(s): (std): BOSCH GMBH ROBERT ; HOFFMANN LA ROCHE

Assignee(s): RUDOLPH MARKUS ; GROEBKE ZBINDEN KATRIN ; KUHN BERND ; SCHAFFHAUSER HERVE ; FLOHR ALEXANDER ; LERNER CHRISTIAN ; F HOFFMANN LA ROCHE AG ; F HOFFMANN LA ROCHE AGGRENZACHERSTRASSE 124CH-4070BASELCH ; FHOFFMANN LA ROCHE AG ; HOFFMANN LA ROCHE INC

Inventor(s): (std): ALEXANDER FLOHR ; BERND KUHN ; CHRISTIAN LERNER ; FLOHR ALEXANDER ; HERVE SCHAFFHAUSER ; KATRIN GROEBKE ZBINDEN ; KUHN BERND ; GROEBKE ZBINDEN KATRIN ; LERNER CHRISTIAN ; MARKUS RUDOLPH ; RUDOLPH MARKUS ; SCHAFFHAUSER HERVE ; ZBINDEN KATRIN GROEBKE ; WINKLER THOMAS ; MARX KLAUS ; HOFFMANN ULLI ; DIEM CARSTEN ; BREITENBACH JAN

Inventor(s): SCHAFFHAUSER HERVEFR ; RUDOLPH MARKUSCH ; LERNER CHRISTIANCH ; GROEBKE ZBINDEN KATRINCH ; KUHN BERNDCH ; FLOHR ALEXANDERDE

Agent(s): KUENG PETER

Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BN BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IS IT JP KE KG KM KN KP KR KZ LA LC LI LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PA PE PG PH PL PT QA RO RS RU RW SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

23) Family number: 59066700 (ECSP14013268A) © PatBase

Title: INHIBIDORES DE **PDE10** DE PIRIMIDINA **Pyrimidine** **PDE10** **INHIBITORS** (Machine translation)

Abstract: Source: ECSP14013268A La presente invencion se refiere a compuestos de pirimidina que son utiles como agentes terapeuticos para el tratamiento de trastornos del sistema nervioso central asociados con la fosfodiesterasa 10 (**PDE10**). La presente invencion tambien se refiere al uso de dichos compuestos para tratar trastornos neurologicos y psiquiatricos, tales como la esquizofrenia, la psicosis o la enfermedad de Huntington, y aquellos asociados con la hipofuncion del cuerpo estriado o la disfuncion de los ganglios basales. The present invention relates to **pyrimidine** compounds that are useful as therapeutics for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**) agents. The present invention also relates to the use of such compounds to treat neurological and psychiatric, such as schizophrenia, psychosis or disorders Huntington's disease, and those associated with striatal hypofunction or dysfunction of the basal ganglia.

Classifications:
International (IPC 8-9): C07D239/47 (Advanced/Invention)

Family:

Publication number	Publication date	Application number	Application date
ECSP14013268 A	20140430	EC2014SP13268	20140325

Priority:

US20110615273 20110825

Probable Assignee: MERCK SHARP AND DOHME

Assignee(s): (std): MERCK SHARP AND DOHME

Assignee(s): MERCK SHARP AND DOHME CORP

Inventor(s): (std): COX CHRISTOPHER D ; DUDKIN VADIM ; LAYTON MARK E ; KERN JEFFREY CURTIS ; RAHEEM IZZAT T

24) Family number: 56548782 (WO14081619A1) © PatBase

Title: **PYRIMIDINE PDE10 INHIBITORS**

Abstract: The present invention is directed to **pyrimidine** compounds which are useful as therapeutic agents for the treatment of central nervous system disorders associated with phosphodiesterase 10 (**PDE10**). The present invention also relates to the use of such compounds for treating neurological and psychiatric disorders, such as schizophrenia, psychosis or Huntington's disease, and those associated with striatal hypofunction or basal ganglia dysfunction.

Classifications:
International (IPC 8-9): C07D239/34 (Advanced/Invention)
CPC: C07D239/34

Family:

Publication number	Publication date	Application number	Application date
WO14081619 A1	20140530	WO2013US70214	20131115

Priority:

US20120728464P 20121120

Probable Assignee: MERCK SHARP AND DOHME

Assignee(s): (std)**:** KUDUK SCOTT D ; MCCOMAS CASEY C ; REGER THOMAS S ; MERCK SHARP AND DOHME

Assignee(s): MERCK SHARP AND DOHME CORP

Inventor(s): (std)**:** KUDUK SCOTT D ; MCCOMAS CASEY C ; REGER THOMAS S

Agent(s): MERCK SHARP AND DOHME CORP

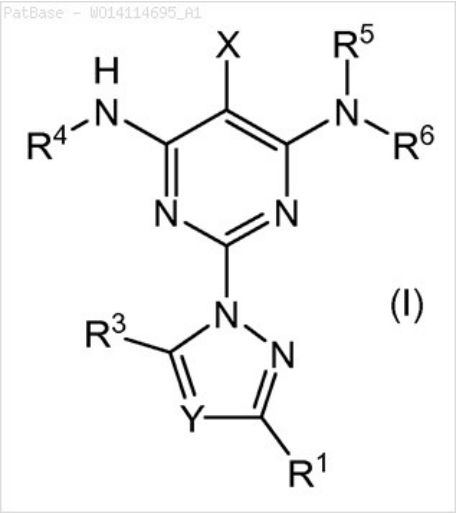
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BN BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IR IS IT JP KE KG KM KN KP KR KZ LA LC LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PA PE PG PH PL PT QA RO RS RU RW SA SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

25) Family number: 57048926 (WO14114695A1)

Title: NEW **PYRIMIDINE** DERIVATIVES AS PHOSPHODIESTERASE 10 **INHIBITORS** (PDE-10)

Abstract: The present invention relates to novel **pyrimidine** derivatives of formula (I)[Formula should be entered here] as **inhibitors** of the enzyme phosphodiesterase 10 (PDE-10), pharmaceutical compositions comprising an effective amount of these compoundsand theuse of the compounds for manufacturing a medicamentfor thetreatment ofpathological conditions or diseases that can improve by inhibition of the enzyme Phosphodiesterase such as neurological, psychiatric, respiratory or metabolic diseases.

Classifications:
International (IPC 8-9): A61K31/506 A61P25/28 C07D401/14 C07D403/04 (Advanced/Invention)
CPC: C07D401/14 C07D403/04 A61K31/506



Family:

Publication number	Publication date	Application number	Application date
ES2480341 AA	20140725	ES20130030082	20130124
ES2480341 BA	20150122	ES20130030082	20130124
WO14114695 A1	20140731	WO2014EP51290	20140123

Priority:
ES20130030082 20130124

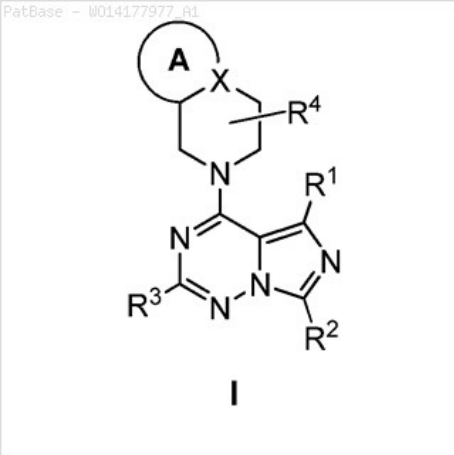
Probable Assignee: PALOBIOFARMA S L
Assignee(s): (std): PALOBIOFARMA S L
Assignee(s): PALOBIOFARMA SL
Inventor(s): (std): CAMACHO GOMEZ JUAN ; CASTRO PALOMINO LARIA JULIO
Inventor(s): JUAN CAMACHO GOMEZ ; JULIO CASTRO PALOMINO LARIA
Agent(s): CARBONELL VALLES ENRIC
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BN BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IR IS IT JP KE KG KM KN KP KR KZ LA LC LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PA PE PG PH PL PT QA RO RS RU RW SA SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW

26) Family number: 57711383 (US2014329820A)

Title: TRIAZINE DERIVATIVES

Abstract: Source: US2014329820A The present invention is directed to a new class of triazine derivatives as described by formula I below in which A, X, R1, R2, R3, and R4 are as defined herein and to the use of the compounds as **PDE10 inhibitors**.

Classifications:
International (IPC 8-9): A61K31/429 A61K31/4353 A61K31/4725 A61K31/53 A61P17/06 A61P25/00 A61P25/16 A61P25/18 A61P25/24 A61P25/28 C07D417/04 C07D471/04 C07D487/04 C07D498/04 C07D513/04 C07D519/00 (Advanced/Invention); A61P25/00 A61P25/14 (Advanced/Non-invention)
CPC: C07D471/04 C07D498/04 C07D513/04 C07D519/00 C07D487/04 A61K31/4353 A61K31/53
US: 514/243 544/184



Family:

Publication number	Publication date	Application number	Application date
TW201506027 A	20150216	TW20140115365	20140429
US2014329820 AA	20141106	US20140265458	20140430
US2015080401 AA	20150319	US20140549871	20141121
US8933224 BB	20150113	US20140265458	20140430
UY35547 A1	20141128	UY20140035547	20140430
WO14177977 A1	20141106	WO2014IB60945	20140423

Priority:
US20130818650P 20130502 US20140265458 20140430 US20140549871 20141121

Probable Assignee: PFIZER
Assignee(s): (std): PFIZER ; PFIZER LTD
Assignee(s): PFIZER INC
Inventor(s): (std): ALLEN CHAPPIE THOMAS ; BRYCE TUTTLE JAMISON ; CHAPPIE THOMAS ALLEN ; HELAL CHRISTOPHER JOHN ; JOHN HELAL CHRISTOPHER ; KORMOS BETHANY LYN ; LYN KORMOS BETHANY ; ROBERT VERHOEST PATRICK ; TUTTLE JAMISON BRYCE ; VERHOEST PATRICK ROBERT
Agent(s): J MICHAEL; OLSON A DEAN ET AL
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BN BR BW BY BZ CA CF CG CH CI CL CM CN CO CR CU CY CZ DE DK DM DO DZ EC EE EG ES FI FR GA GB GD GE GH GM GN GQ GR GT GW HN HR HU ID IE IL IN IR IS IT JP KE KG KM KN KP KR KZ LA LC LK LR LS LT LU LV LY MA MC MD ME MG MK ML MN MR MT MW MX MY MZ NA NE NG NI NL NO NZ OM PA PE PG PH PL PT QA RO RS RU RW SA SC SD SE SG SI SK SL SM SN ST SV SY SZ TD TG TH TJ TM TN TR TT TZ UA UG US UZ VC VN ZA ZM ZW