

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO	
RAD: 14-43441- -3	FECHA: 2015-07-28 14:31:40
DEP: 2020 DIRECCION DE NUEVAS CREACIONES	EVE: 379 FASE NACIONAL INCLUIDO CAPITULO II
TRA: 11 PCT-PATENTE DE INVENCION CAPITULOS I Y II	FOLIOS: 9
ACT: 430 REQUERSOLICITA	

DIRECCIÓN DE NUEVAS CREACIONES

2020
Bogotá, D.C.,

Abogado(a)/Señor(a)
ALICIA LLOREDA RICAURTE

Asunto: Radicación: 14-43441- -3
 Trámite: 11
 Evento: 379
 Actuación: 430
 Oficio: 8947
 Folios: 9

Patente de Invención	X			Patente de Modelo de Utilidad	
Vía Nacional					
Vía PCT (Fase Nacional)	X	Capítulo I		Capítulo II	X
No. Solicitud Internacional	PCT/EP2012/069605				
Fecha de Presentación Internacional	04/10/2012				

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° 14-043441-00000-0000	28/Febrero/2014
Reivindicaciones:	Radicado N° 14-043441-00000-0000	28/Febrero/2014
	Radicado N° 14-043441-00001-0000	10/Abril/2014
Prioridad:	EP 11184257.1	07/Octubre/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

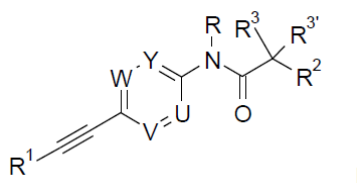
De acuerdo con lo establecido en el numeral 1.2.2.2 del capítulo primero del Título 10 de la Circular Única para efectos del examen de patentabilidad, se tendrá en cuenta la tasa pagada por la solicitante al momento de comenzar el examen para las reivindicaciones adicionales; esto es que cuando la solicitud 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 6 del capítulo reivindicatorio (Radicado N°. 14-043441-00001-0000).

Título de la solicitud: “DERIVADOS DE ETINILO COMO MODULADORES DEL RECEPTOR METABOTRÓPICO DE GLUTAMATO”

El problema planteado en esta solicitud consiste en la necesidad de proporcionar compuestos que sean moduladores alostéricos selectivos del receptor mGluR5 que posean solubilidad acuosa satisfactoria y presenten una biodisponibilidad oral adecuada.

Para resolver este problema técnico la solicitud en estudio proporciona derivados de etinilo de la fórmula I o una sal farmacéuticamente aceptable de los mismos, así como composiciones que los contienen.



El objeto de la presente solicitud se relaciona con la Clasificación Internacional de Patentes (CIP): A61K 31/44; A61K 31/50; A61K 31/505; C07D 237/22; C07D 239/42.

4. De la solicitud de patente

Reivindicaciones (Art 30 D 486, Art 22 PCT)

1. La reivindicación 1 no es clara porque:

- El término “opcionalmente sustituido” no es preciso por lo que no permite comprender los alcances de la materia reclamada. Se sugiere a la solicitante establecer las condiciones preferentes en reivindicaciones dependientes.
- Los términos “heteroarilo”, “alquilo inferior”, “alcoxilo inferior”, son imprecisos, puesto que no especifican el tipo de sustituyente (anillo o cadena) encontrado en la posición, por lo que se dificulta su comparación con el estado de la técnica. Se sugiere a la solicitante definir de manera clara y concisa el significado de dichos sustituyentes, especificando el tipo de anillos y cadenas encontrados en dichas posiciones.
- La limitación negativa (o disclaimer): “con la excepción del compuesto N-(5-(1H-pirrolo[2,3-B]piridina-2-il)etinil)piridina-2-il)acetamida” no se menciona en la descripción, se sugiere que esta limitación sea incluida.

Se sugiere hacer las aclaraciones correspondientes.

2. La reivindicación 5 no es clara porque:

- No se presentan las características técnicas esenciales de las etapas comprendidas en los procesos que se reclaman, como lo son temperatura y el tiempo de reacción, pH y composición del medio en el cual se da la misma, y la presencia de catalizadores. Se sugiere definir estas características, las cuales son necesarias para realizar la comparación con el estado de la técnica.
- Se utilizan expresiones generales no específicas para definir las etapas que hacen parte del método reclamado, tales como “hacer reaccionar” y “convertir” las cuales no implican en sí mismas etapas del método reclamado. Se sugiere

a la solicitante plantear el proceso reclamado en forma de esquema de síntesis, en el cual se incluyan todas las características esenciales del mismo.

- A pesar de que se incluyen las estructuras generales de los precursores utilizados, no se incluye el significado del sustituyente “X” presente en las fórmulas 6A, 6B y 6C del procedimiento en la variante (b), ni del sustituyente “Y” presente en las fórmulas 13A, 13B y 13C del procedimiento en la variante (c), por lo que dichas estructuras se encuentran incompletas. De acuerdo a lo que se encuentra definido en la descripción estos sustituyentes corresponden a un átomo de halógeno (Pág. 13, esquema 4), por lo que se sugiere que dicha definición sea incluida.

La reivindicación 1 no es concisa porque las definiciones de R, R¹, R², R³, y R^{3'} corresponden a géneros funcionales, por lo que son muy genéricas y dan lugar a una gran variedad de compuestos no sustentados 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. Adicionalmente la solicitud no proporciona información que demuestre el desarrollo de solvatos o hidratos de los compuestos reclamados, por lo que este tipo de compuestos no se encuentran debidamente soportados.

Sustituciones	Soporte de los ejemplos presentados
R	-H, -CH ₃
R ¹	Fenilo, fluorofenilo, difluorofenilo, clorofenilo
R ² /R ³ /R ^{3'}	H, -CH ₃ , -CH ₂ CH ₃ , -(CH ₂) ₂ CH ₃ , -CH(CH ₃) ₂ , -SCH ₃ , -OCH ₃ , -CF ₃

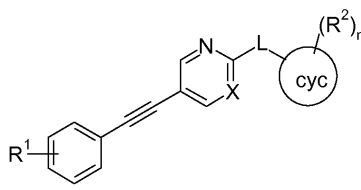
Se sugiere a la solicitante hacer las aclaraciones necesarias.

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: 07 de octubre de 2011 y se encontraron los siguientes documentos que anticipan el objeto de esta solicitud:

Ítem	Documento	Título	Fecha de Publicación
D1	WO 2011051201	Positive allosteric modulators (pam)	05/Mayo/2011
D2	US 2009/0042855	Benzamide mGluR5 Positive Allosteric Modulators And Methods Of Making And Using Same	12/Febrero/2009
D3	WO 2011073172	Ethynyl derivatives	23/Junio/2011

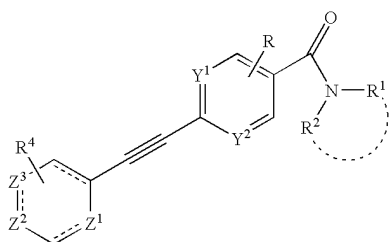
El documento D1¹ divulga compuestos derivados de feniletinilo de fórmula (I), los cuales son moduladores alostéricos positivos del receptor metabotrópico de glutamato subtipo 5 (mGluR5) (Pág. 1, líneas 1-19).



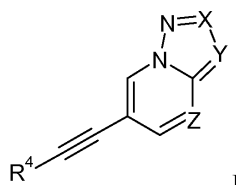
I

¹http://worldwide.espacenet.com/publicationDetails/originalDocument?CC=WO&NR=2011051201A1&KC=A1&FT=D&ND=&date=20110505&DB=&&locale=en_EP

El documento D2² divulga compuestos útiles como moduladores alostéricos positivos (potenciadores) del receptor metabotrópico de glutamato subtipo 5 (mGluR5), métodos para su preparación y composiciones farmacéuticas que los comprenden y su aplicación en el tratamiento de desórdenes neurológicos y psiquiátricos asociados a la disfunción de glutamato (Pág. 1, Párr. [0006]). Los compuestos divulgados en este documento corresponden a la fórmula (Pág. 1, Párr. [0007]).



El documento D3³ divulga compuestos derivados de etinilo de fórmula (I), los cuales son moduladores alostéricos positivos del receptor metabotrópico de glutamato subtipo 5 (mGluR5), así como métodos de preparación de los mismos (Pág. 1, líneas 1-14).



6. Examen de Patentabilidad (Art 14 D486)

Nivel inventivo (Art 18 D486)

La reivindicación 1 consiste en: “Derivados de etinilo de la fórmula IA, IB, y ID. En donde (...)” (Radicado N°. 14-043441-00001-00000).

Comparación de las características técnicas esenciales, con las del estado de la técnica:

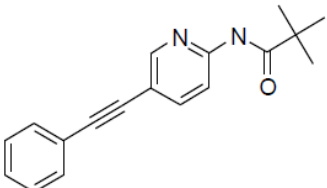
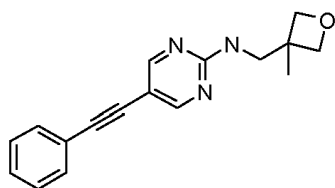
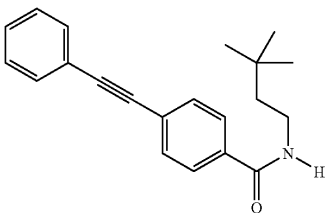
Características esenciales	D1	D2
Derivados de etinilo de la fórmula IA, IB y ID: IA IB ID o una sal de adición de ácido farmacéuticamente aceptable,	Derivados de feniletinil de fórmula I I o una sal de adición de ácido farmacéuticamente aceptable, una mezcla racémica, o los correspondientes enantiómeros y/o isómeros ópticos y/o estereoisómeros de los mismos (Pág. 47, Reivindicación 1) Dónde: X es N, CF o CH.	Un compuesto que tiene la estructura representada por la fórmula: (Pág. 86, Col. 1, Reivindicación 1) dónde: Y¹ y Y² se seleccionan independientemente de N y C-R. R comprende de dos a 4 sustituyentes seleccionados de H, halogeno, halogeno, hidroxilo, ciano, nitro, tiol, o un radical organico que comprende entre 1 a 12 átomos de carbono

2[http://worldwide.espacenet.com/publicationDetails/originalDocument?](http://worldwide.espacenet.com/publicationDetails/originalDocument?CC=US&NR=2009042855A1&KC=A1&FT=D&ND=&date=20090212&DB=&&locale=en_EP)

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3[http://worldwide.espacenet.com/publicationDetails/originalDocument?](http://worldwide.espacenet.com/publicationDetails/originalDocument?CC=WO&NR=2011073172A1&KC=A1&FT=D&ND=&date=20110623&DB=&&locale=en_EP)

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Características esenciales	D1	D2
una mezcla racémica, o los correspondientes enantiómeros y/o isómeros ópticos y/o estereoisómeros de los mismos. En dónde:		(Pág. 86, reivindicación 1)
R ¹ : fenilo o heteroarilo opcionalmente sustituidos por halógeno, alquilo inferior o alcoxilo inferior.	Fenilo sustituido con R ¹ R ¹ es hidrógeno, halógeno, alquilo inferior, o alquilo inferior sustituido con halógeno.	 Z ¹ , Z ² y Z ³ se seleccionan de N y C-R ⁴ , siempre que solo uno sea N. R ⁴ comprende hasta cinco sustituyentes seleccionados independientemente de H, Hal, hidroxilo, ciano, nitro, tiol, alquenilo, alquenilo o alquinilo opcionalmente sustituidos.
R es hidrógeno o alquilo inferior	L: es NR ³ , -NHC(R ³) ₂ , -O-, -OC(R ³) ₂ -, -CR ⁴ R ⁴ -, siendo R ³ hidrógeno o alquilo inferior	R ¹ /R ² se seleccionan independientemente de radicales orgánicos opcionalmente sustituidos que comprenden hasta 12 átomos de carbono
R ² se hidrógeno, alquilo inferior, alcoxilo inferior, CF ₃ o S- alquilo inferior.	 Cyc es cicloalquilo, heterocicloalquilo, o un biciclo no aromático seleccionado de 7-oxa-bicilo[2.2.1]hept-1-il o biciclo[2.2.1]hept-1-il n es 1, 2 o 3 R ² es hidrogeno, alquilo inferior, =O, alcoxi inferior, fenilo, hidroxil, o alquilo inferior sustituido con hidroxil	No se menciona
R ³ /R ^{3'} son independientemente hidrógeno, alquilo inferior o alcoxilo inferior o R ³ y R ^{3'} juntos forman un anillo cicloalquiloC ₃₋₅ , tetrahidrofurano o oxetano.		
Comparación de ejemplos específicos		
 (Pág. 14, Ej. 1)	 (Pág. 37, Ej. 21)	 EC ₅₀ 1,94E-08 M (Pág. 45, tercer compuesto)

El documento D1 se considera el estado de la técnica cercano a la solicitud definida en la reivindicación 1 porque da a conocer compuestos derivados de feniletinilo de fórmula (I), que son moduladores alostéricos positivos del receptor metabotrópico de glutamato subtipo 5 (mGluR5) (Pág. 1, líneas 1-19).

La diferencia entre la solicitud, definida en la reivindicación 1 y los compuestos divulgados en D1 consiste en el sustituyente presente en posición para al grupo feniletinilo, que en la solicitud corresponde a un grupo amida, mientras que en los compuestos divulgados en el documento D1 corresponde, entre otros a un grupo amina.

No hay evidencia de que exista un efecto técnico asociado a la sustitución del grupo amina o éter presente en los compuestos del documento D1 por el grupo amida de la

solicitud. Los compuestos reclamados presentan un valor de EC₅₀ menores de 100nM (Pág. 27), por su parte los compuestos descritos en el documento D1 presentan valores para esta constante en un rango de 26-844nM (Págs. 24-26, tabla 1).

Por lo tanto, el problema técnico objetivo que pretende resolver esta invención se puede considerar como la necesidad de proporcionar compuestos alternativos a los divulgados en D1 que posean capacidad inhibitoria del mGluR5.

Sin embargo, la sustitución por grupos amida en esta posición ya está divulgada en el documento D2 que se refiere a compuestos derivados de etinilo de formula (I) útiles como moduladores alostéricos positivos (potenciadores) del receptor metabotrópico de glutamato tipo 5 (mGluR5).

En consecuencia, la persona del oficio normalmente versada en la materia, incluiría la sustitución con grupos amida de los compuestos divulgados en D2 en la estructura de los moduladores alostéricos positivos de mGluR5 del documento D1 para llegar así al objeto de la reivindicación 1 de la solicitud. Por lo cual se considera obvia.

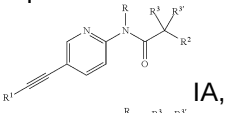
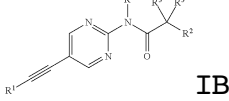
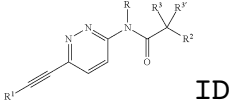
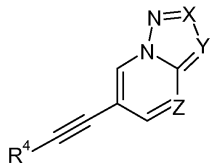
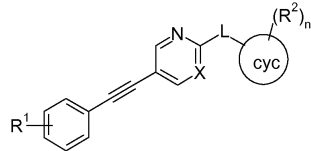
Puesto que las reivindicaciones dependientes 2 – 4 y 6 no mencionan características inventivas adicionales tampoco se pueden considerar inventivas.

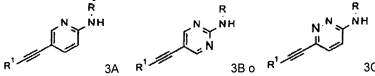
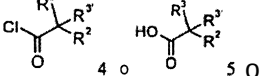
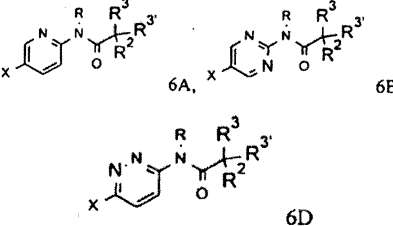
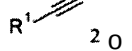
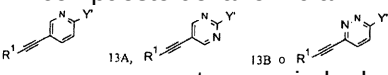
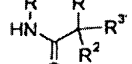
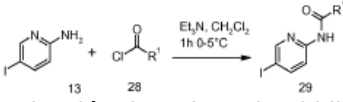
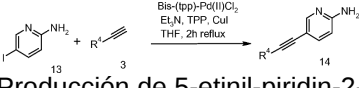
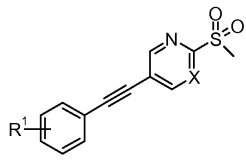
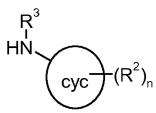
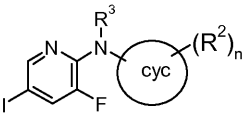
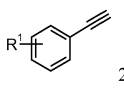
Conclusión:

Las reivindicaciones 1 – 4 y 6 cumplen el requisito de novedad (Art. 16), pero no cumplen con el requisito de nivel inventivo (Art. 18).

La reivindicación 5 consiste en: *“Un proceso de preparación de un compuesto de la fórmula I, descrito en una cualquiera de las reivindicaciones 1 a 4, que consiste en la variante (...)”* (Radicado N°. 14-043441-00001-00000).

Comparación de las características técnicas esenciales, con las del Estado de la Técnica:

Características esenciales	D3	D1
Un proceso para la preparación de un compuesto de fórmula que consiste en:  IA,  IB  ID	Producción de compuestos derivados de etinilo de formula I:  I Que comprenden las etapas de	Produccion de compuestos de formula I  I De acuerdo a los métodos que comprenden: (Pág. 12-13, métodos a y c)

Características esenciales	D3	D1
a) Hacer reaccionar un compuesto de la fórmula:  con un compuesto apropiado de fórmula:  b) Hacer reaccionar un compuesto de la fórmula:  con un compuesto apropiado de fórmula:  c) Hacer reaccionar un compuesto de la fórmula:  con un compuesto apropiado de fórmula: 	 Producción de N-(5-yodo-piridin-2-il)-amida Esquema 7, Paso 1 (Pág. 14)  Producción de 5-etinil-piridin-2-ilamina Esquema 3, Paso 1 (Pág. 12)	a) Hacer reaccionar un compuesto de la fórmula:  con un compuesto apropiado de fórmula:  c) Hacer reaccionar un compuesto de la fórmula:  con un compuesto apropiado de fórmula: 

El documento D3 se considera el estado de la técnica cercano al método solicitado en la reivindicación 5 porque divulga métodos para la preparación de derivados de etinilo útiles como moduladores alostéricos positivos del receptor mGluR5. El proceso de producción de dichos compuestos comprende la etapa de producir una amida a partir de un haluro de piridina y un cloruro de acilo apropiado (Pág. 14, Esquema 7, paso 1) o la reacción entre el haluro de piridina y un grupo alquínico apropiado (Pág. 12, Esquema 3, paso 1).

La diferencia entre el procedimiento divulgado en la reivindicación 5 y aquel divulgado en el documento D3, se encuentra en la estructura de los precursores utilizados, tal como se muestra en la tabla comparativa.

El efecto técnico logrado por el cambio en la estructura de los precursores es la obtención de los compuestos de fórmula (I), reclamados en las reivindicaciones 1-4.

Por lo tanto, el problema técnico objetivo a resolver consiste en cómo adaptar el procedimiento divulgado en D3 para obtener los compuestos, de la fórmula (I).

Sin embargo, la aplicación de este tipo de procedimientos para la obtención de compuestos derivados de alquínipiridina, se encuentra divulgada en el documento D1, el cual enseña compuestos derivados de feniletinilo de fórmula (I), que son moduladores alostéricos positivos del receptor metabotrópico de glutamato subtipo 5 (mGluR5) (Pág. 1, líneas 1-19) y métodos para su preparación.

Por lo tanto la persona del oficio normalmente versada en la materia modificaría la estructura de los precursores, de acuerdo a lo enseñado en el documento D1, para

adaptar el procedimiento divulgado en el documento D3, llegando así al objeto de la reivindicación 5, por lo cual la materia allí reclamada se considera obvia.

Conclusión:

La reivindicación 5 cumple el requisito de novedad (Art. 16), pero no cumple con el requisito de nivel inventivo (Art. 18).

7. Conclusión

Los requisitos de Patentabilidad de la presente solicitud están afectados así:

Ítem	Documento	Reivindicaciones afectadas	Requisito que afecta
D1	WO 2011051201	1-6	Nivel inventivo
D2	US 2009/0042855	1-4 y 6	Nivel Inventivo
D3	WO 2011073172	5	Nivel Inventivo

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 2015-08-04

Elaboró: Ruth Andrea Rodriguez
Revisó: Jeny Paola Villate Becerra

INFORME DE BÚSQUEDA DE PATENTES PARA EI RADICADO 14-043441-00001-0000						
CRITERIOS PARA LA BÚSQUEDA						
Palabras clave utilizadas		mGluR modulators AND pyridine CDPPB mGluR5				
CLASIFICACIONES INTERNACIONALES UTILIZADAS PARA LA BÚSQUEDA		C07D 213/74				
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
EUROPE	29/11/13	Database chemcats [Online] Chemical abstracts service, 2010-10-06, Database Accesion No. 0119298831				X
		US2009042855	1-4 y 6	Nivel Inventivo	X	
		WO2010012740				X
		WO2006099972				X
		WO2006044823				X
DOCUMENTOS ADICIONALES ENCONTRADOS POR EL EXAMINADOR DE ACUERDO A LOS CRITERIOS DE BÚSQUEDA.						
WO 2006044823						
R. Williams et al. / Bioorg. Med. Chem. Lett. 21 (2011) 1350–1353						
J. M. Weiss et al. / Bioorg. Med. Chem. Lett. 21 (2011) 4891–4899						
K.J. Gregory et al. / Neuropharmacology 60 (2011) 66e81						
Allosteric modulators of metabotropic glutamate receptors Marino and Conn. Current Opinion in Pharmacology 2006, 6:98–102						
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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Publication title

- ☐ Neuropharmacology (61)
- ☐ Bioorganic & Medicinal Chemistry Letters (24)
- ☐ European Journal of Pharmacology (18)
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- ☐ Current Opinion in Pharmacology (12)

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Topic

- ☐ metabotropic glutamate (52)
- ☐ nmda receptor (52)
- ☐ glutamate receptor (32)
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- ☐ allosteric modulator (17)

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- ☐ Reference Work (9)

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- ☐ Local group I mGluR antagonists reduce TMJ-evoked activity of trigeminal subnucleus caudalis neurons in female rats Original Research Article
Neuroscience, Volume 299, 23 July 2015, Pages 125-133
 A. Tashiro, Y. Nishida, D.A. Bereiter
[Abstract](#) | [Research highlights](#) | [PDF \(508 K\)](#)
- ☐ S.08.04 Allosteric modulators of mGluR
European Neuropsychopharmacology, Volume 13, Supplement 4, October 2003, Pages S114-S115
 F. Gasparini
[PDF \(293 K\)](#)
- ☐ Effects of subtype-selective group I mGluR antagonists on synchronous activity induced by 4-aminopyridine/CGP 55845 in adult guinea pig hippocampal slices Original Research Article
Neuropharmacology, Volume 55, Issue 1, July 2008, Pages 47-54
 Alejandro Salah, Katherine L. Perkins
[Abstract](#) | [PDF \(1084 K\)](#)
- ☐ Homeostatic Scaling Requires Group I mGluR Activation Mediated by Homer1a Original Research Article Open Archive
Neuron, Volume 68, Issue 6, 22 December 2010, Pages 1128-1142
 Jia-Hua Hu, Joo Min Park, Sungjin Park, Bo Xiao, Marlin H. Dehoff, Sangmok Kim, Takashi Hayashi, Martin K. Schwarz, Richard L. Huganir, Peter H. Seeburg, David J. Linden, Paul F. Worley
[Abstract](#) | [Graphical abstract](#) | [PDF \(2264 K\)](#) | [Supplementary content](#)
- ☐ Positive and negative allosteric modulation of metabotropic glutamate receptors: emerging therapeutic potential Review Article
Pharmacology & Therapeutics, Volume 104, Issue 3, December 2004, Pages 233-244
 James N.C. Kew
[Abstract](#) | [PDF \(369 K\)](#)
- ☐ Interleukin-18 mediated inhibition of LTP in the rat dentate gyrus is attenuated in the presence of mGluR antagonists
Neuroscience Letters, Volume 412, Issue 3, 2 February 2007, Pages 206-210
 Derval Cumiskey, Mark Pickering, John J. O'Connor
[Abstract](#) | [PDF \(333 K\)](#)
- ☐ Orthosteric versus allosteric GPCR activation: The great challenge of group-III mGluRs
Biochemical Pharmacology, Volume 84, Issue 4, 15 August 2012, Pages 414-424
 Peter J. Flor, Francine C. Acher
[Abstract](#) | [Graphical abstract](#) | [PDF \(623 K\)](#)

mGluR modulators AND SAR

Author name

Journal or book title

Volume

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Publication title

- ☐ Bioorganic & Medicinal Chemistry Letters (31)
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☐ Annual Reports in Medicinal Chemistry (3)

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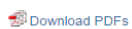
Topic

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☐ glutamate receptor (8)
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- ☐ **Synthesis and SAR of centrally active mGlu₅ positive allosteric modulators based on an aryl acetylenic bicyclic lactam scaffold**

Bioorganic & Medicinal Chemistry Letters, Volume 21, Issue 5, 1 March 2011, Pages 1350-1353

Richard Williams, Jason T. Manka, Alice L. Rodriguez, Paige N. Vinson, Colleen M. Niswender, C. David Weaver, Carrie K. Jones, P.

Jeffrey Conn, Craig W. Lindsley, Shaun R. Stauffer

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- ☐ **Synthesis and SAR of a novel positive allosteric modulator (PAM) of the metabotropic glutamate receptor 4 (mGluR4)**

Bioorganic & Medicinal Chemistry Letters, Volume 19, Issue 17, 1 September 2009, Pages 4967-4970

Richard Williams, Kari A. Johnson, Patrick R. Gentry, Colleen M. Niswender, Charles D. Weaver, P. Jeffrey Conn, Craig W. Lindsley, Corey R. Hopkins

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- ☐ **6-Aryl-3-pyrrolidinylpyridines as mGlu₅ receptor negative allosteric modulators**

Bioorganic & Medicinal Chemistry Letters, Volume 21, Issue 16, 15 August 2011, Pages 4891-4899

Jesse M. Weiss, Hermogenes N. Jimenez, Guiying Li, Myriam April, Michelle A. Uberti, Maria D. Bacolod, Robb M. Brodbeck, Darío Doller

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- ☐ **AFQ056/mavoglurant, a novel clinically effective mGluR5 antagonist: Identification, SAR and pharmacological**

characterization Original Research Article

Bioorganic & Medicinal Chemistry, Volume 22, Issue 21, 1 November 2014, Pages 5790-5803

Ivo Vranesic, Silvio Ofner, Peter Josef Flor, Graeme Bilbe, Rochdi Bouhelal, Albert Enz, Sandrine Desrayaud, Kevin McAllister, Rainer Kuhn, Fabrizio Gasparini

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- ☐ **Positive allosteric modulators of the metabotropic glutamate receptor subtype 4 (mGluR4): Part I. Discovery of pyrazolo[3,4-d]pyrimidines as novel mGluR4 positive allosteric modulators**

Bioorganic & Medicinal Chemistry Letters, Volume 18, Issue 20, 15 October 2008, Pages 5626-5630

Colleen M. Niswender, Evan P. Lebois, Qingwei Luo, Kwangho Kim, Hubert Muchalski, Huiyong Yin, P. Jeffrey Conn, Craig W. Lindsley

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- ☐ **The identification of structurally novel, selective, orally bioavailable positive modulators of mGluR2**

Bioorganic & Medicinal Chemistry Letters, Volume 20, Issue 2, 15 January 2010, Pages 759-762

Pier L. D'Alessandro, Corrado Corti, Adelheid Roth, Annarosa Ugolini, Anna Sava, Dino Montanari, Federica Bianchi, Stephen L. Garland, Ben Powney, Emma L. Koppe, Magalie Rocheville, Greg Osborne, Paloma Perez, Jesús de la Fuente, Maite De Los Frailes, Paul W. Smith, Clive Branch, David Nash, Stephen P. Watson

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- ☐ **Chapter Two - Pharmacology of Metabotropic Glutamate Receptor Allosteric Modulators: Structural Basis and Therapeutic**

mGluR modulators AND pyrimidine

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☐ European Journal of Medicinal Chemistry (4)

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- ☐ **Positive allosteric modulators of the metabotropic glutamate receptor subtype 4 (mGluR4): Part I. Discovery of pyrazolo[3,4-d]pyrimidines as novel mGluR4 positive allosteric modulators**
Bioorganic & Medicinal Chemistry Letters, Volume 18, Issue 20, 15 October 2008, Pages 5626-5630
Colleen M. Niswender, Evan P. Lebois, Qingwei Luo, Kwangho Kim, Hubert Muchalski, Huiyong Yin, P. Jeffrey Conn, Craig W. Lindsley
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- ☐ **Positive and negative allosteric modulation of metabotropic glutamate receptors: emerging therapeutic potential** Review Article
Pharmacology & Therapeutics, Volume 104, Issue 3, December 2004, Pages 233-244
James N.C. Kew
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- ☐ **Synthesis and SAR of centrally active mGluR positive allosteric modulators based on an aryl acetylenic bicyclic lactam scaffold**
Bioorganic & Medicinal Chemistry Letters, Volume 21, Issue 5, 1 March 2011, Pages 1350-1353
Richard Williams, Jason T. Manka, Alice L. Rodriguez, Paige N. Vinson, Colleen M. Niswender, C. David Weaver, Carrie K. Jones, P. Jeffrey Conn, Craig W. Lindsley, Shaun R. Stauffer
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- ☐ **Chapter Two - Pharmacology of Metabotropic Glutamate Receptor Allosteric Modulators: Structural Basis and Therapeutic Potential for CNS Disorders**
Progress in Molecular Biology and Translational Science, Volume 115, 2013, Pages 61-121
Karen J. Gregory, Meredith J. Noetzel, Colleen M. Niswender
[Abstract](#) | [Purchase PDF](#)
- ☐ **Identification of a novel transmembrane domain involved in the negative modulation of mGluR1 using a newly discovered allosteric mGluR1 antagonist, 3-cyclohexyl-5-fluoro-6-methyl-7-(2-morpholin-4-ylethoxy)-4H-chromen-4-one** Original Research Article
Neuropharmacology, Volume 57, Issue 4, September 2009, Pages 438-445
Junko Fukuda, Gentaroh Suzuki, Toshifumi Kimura, Yasushi Nagatomi, Satoru Ito, Hiroshi Kawamoto, Satoshi Ozaki, Hisashi Ohta
[Abstract](#) | [PDF \(402 K\)](#)
- ☐ **Metabotropic glutamatergic receptors and their ligands in drug addiction** Review Article
Pharmacology & Therapeutics, Volume 142, Issue 3, June 2014, Pages 281-305
Lucyna Pomierny-Chamiolo, Kinga Rup, Bartosz Pomierny, Ewa Niedzielska, Peter W. Kalivas, Malgorzata Filip
[Abstract](#) | [PDF \(1239 K\)](#)
- ☐ **Discovery of subtype-selective metabotropic glutamate receptor ligands using functional HTS assays** Review Article
Drug Discovery Today, Volume 5, Supplement 1, 1 June 2000, Pages 20-26
Mark A Varney, Carla M Suto
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CDPPB mGluR5



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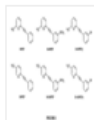
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Clear

Partial mGluR5 antagonists for treatment of anxiety and ...



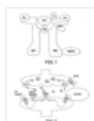
www.google.com.co/patents/US7531541

Grant - Filed 20 Sep 2006 - Issued 12 May 2009 - [P. Jeffrey Conn](#) - [Vanderbilt University](#)

Specific examples of partial **MgluR5** antagonists provided include those ...
Partial **mGluR5** antagonists for treatment of anxiety and CNS disorders
5MPEP inhibits the effects of CDPPB on **mGluR5** receptor responses in STN neurons.

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BENZAMIDE mGluR5 POSITIVE ALLOSTERIC MODULATO...



www.google.com.co/patents/US20090042855

App. - Filed 3 Jun 2008 - Published 12 Feb 2009 - [P. Jeffrey Conn](#) - [Conn P Jeffrey](#)

wherein the compound exhibits potentiation of **mGluR5** response to glutamate 4 shows CDPPB as a potent and selective **mGluR5** potentiator having modest ...

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Partial mglur5 antagonists and methods of use thereof



www.google.com.co/patents/WO2007035823A2?cl=en

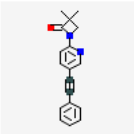
App. - Filed 20 Sep 2006 - Published 29 Mar 2007 - [David Alagille](#) - [David Alagille](#)

Recent studies with CDPPB suggest that this allosteric potentiator of **mGluR5** has effects in animal models that are consistent with potential antipsychotic activity ...

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Results: 6

- ☐



[AGN-PC-09TW63; SChEMBL2518326: 3,3-dimethyl-1-\[5-\(2-phenylethynyl\)pyridin-2-yl\]azetidin-2-one](#)

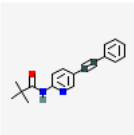
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IUPAC name: 3,3-dimethyl-1-[5-(2-phenylethynyl)pyridin-2-yl]azetidin-2-one

Create Date: 2011-11-21

CID: 53494831

[Summary](#) [Similar Compounds](#) [Same Parent, Connectivity](#)
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[AGN-PC-0JGRZG; SChEMBL14840464: RNUOSMNJMUZUNS-UHFFFAOYSA-N...](#)

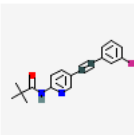
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IUPAC name: 2,2-dimethyl-N-[5-(2-phenylethynyl)pyridin-2-yl]propanamide

Create Date: 2013-06-11

CID: 71548244

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[AGN-PC-0JGS1Z; SChEMBL14840556: N-\[5-\[2-\(3-fluorophenyl\)ethynyl\]pyridin-2-yl\]-2,2-dimethylpropanamide](#)

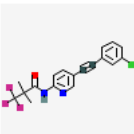
MW: 296.338783 g/mol MF: C₁₈H₁₇FN₂O

IUPAC name: N-[5-[2-(3-fluorophenyl)ethynyl]pyridin-2-yl]-2,2-dimethylpropanamide

Create Date: 2013-06-11

CID: 71548341

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[AGN-PC-0JGS23; SChEMBL14840596: N-\[5-\[2-\(3-chlorophenyl\)ethynyl\]pyridin-2-yl\]-3,3,3-trifluoro-2,2-dimethylpropanamide](#)

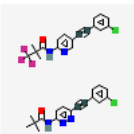
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IUPAC name: N-[5-[2-(3-chlorophenyl)ethynyl]pyridin-2-yl]-3,3,3-trifluoro-2,2-dimethylpropanamide

Create Date: 2013-06-11

CID: 71548345

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[SChEMBL14843864](#)

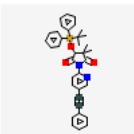
MW: 680.546210 g/mol MF: C₃₅H₃₀Cl₂F₃N₅O₂

IUPAC name: N-[6-[2-(3-chlorophenyl)ethynyl]pyridazin-3-yl]-2,2-dimethylpropanamide

Create Date: 2015-02-13

CID: 89487678

[Summary](#) [Similar Compounds](#) [Mixture/Component Compounds](#)
- ☐



[SChEMBL15762724](#)

MW: 558.741560 g/mol MF: C₃₅H₃₄N₂O₃Si

IUPAC name: 4-[tert-butyl((diphenyl)silyl)oxy]-3,3-dimethyl-1-[5-(2-phenylethynyl)pyridin-2-yl]azetidin-2-one

Create Date: 2015-02-13

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Ruth Rodriguez

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Search 3: TAC=(mGluR5 AND pyridine) and CPC=(C07D237/22) (Results 0)
Search 4: TAC=(mGluR5) and CPC=(C07D213/74) (Results 13)

Title: PYRIDINE DERIVATIVES

Abstract: Source: US6656957B A compound of formula I wherein X represents an optionally halo-substituted (C2-4)alkynylene group bonded via vicinal unsaturated carbon atoms.

Classifications:

International (IPC 8-9): A61K31/395 A61K31/44 A61K31/4402 A61K31/4406 A61K31/4409 A61K31/4418 A61K31/4427 A61K31/443 A61K31/4439 A61K31/444 A61K31/4545 A61K31/4709 A61K31/496 A61K31/497 A61K31/506 A61P21/02 A61P21/04 A61P25/00 A61P25/04 A61P25/08 A61P25/14 A61P25/16 A61P25/22 A61P25/24 A61P25/28 A61P43/00 A61P9/10 C07D213/00 C07D213/04 C07D213/06 C07D213/16 C07D213/26 C07D213/30 C07D213/50 C07D213/52 C07D213/53 C07D213/55 C07D213/56 C07D213/57 C07D213/65 C07D213/72 C07D213/74 C07D213/79 C07D213/80 C07D213/81 C07D213/82 C07D213/84 C07D213/89 C07D215/12 C07D401/06 C07D401/10 C07D401/12 C07D401/14 C07D403/00 C07D405/00 C07D405/06 C07D409/00 C07D409/06 C07D413/06 C07F7/08 (Advanced/Invention); A61K31/44 A61K31/4402 A61K31/4406 A61K31/4409 A61K31/4418 A61K31/4427 A61K31/4709 A61K31/496 A61K31/506 A61P25/00 A61P43/00 C07D213/16 (Advanced/Non-invention); A61K31/44 A61K31/4402 A61K31/4406 A61K31/4409 A61K31/4418 A61K31/4427 A61K31/4523 A61K31/4709 A61K31/496 A61K31/4965 A61K31/506 A61P21/00 A61P25/00 A61P43/00 A61P9/00 C07D213/00 C07D215/00 C07D401/00 C07D405/00 C07D409/00 C07D413/00 C07F7/00 (Core/Invention); A61K C07D (Subclass/Invention)

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US: 514/252.18 514/256 514/277 514/312 514/332 514/338 514/342 514/352 544/33 544/333 544/360 544/405 546/153 546/233.7 546/280.4 546/282.4 546/346

Family:

Publication number	Publication date	Application number	Application date
AR016314 AA	20010704	AR1998P103330	19980708
AT393145 E	20080515	AT19980941308T	19980709
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NZ502210 A	20020726	NZ19980502210	19980709
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VN4437 A1	20040825	VN20000000008	19980709
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Priority:

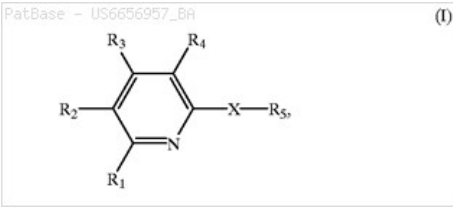
US19970891691 19970711 US19970890689 19970711 WO1998EP04266 19980709

Probable Assignee: NOVARTIS AG

Assignee(s): (std): ALLGEIER HANS ; AUBERSON YVES ; BIOLLAZ MICHEL ; COSFORD NICHOLAS DAVID ; GASPARINI FABRIZIO ; HECKENDORN ROLAND ; JOHNSON EDWIN CARL ; KUHN RAINER ; MERCK AND CO INC ; NOVARTIS ERFIND VERWALT GMBH ; NOVARTIS ERFINDUNGEN VERWALTUNG ; VARNEY MARK ANDREW ; VELICELEBI GOENUEL ; SAJBIA N JUEHROSAJENSIZ INK ; NOVARTIS AG ; SIBIA NEUROSCIENCES INC ; NOVARTIS CS AG ; SIBIA NEUROSCIENCES INC LA JOL ; SIBIA NEUROSCIENCES INC ; NOVARTIS PHARMA GMBH ; SIBIA NEUROSCIENCES ; NOVARTIS AG CS ; NOVARTIS INT AG

Assignee(s): SIBIA NEUROSCIENCES INC LA JOLLA KALIFORNIA ; SAJBIA N'JUEHROSAJENSIZ INK. ; VELICELEBI GONUL ; NOVARTIS ERFINDUNGEN VERWALTUNGSGESELLSCHAFT MBH ; NOVARTIS ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M B H ; MERCK AND CO

Inventor(s): (std): HECKENDORN ROLAND ; HECKENDORN ROLAND DR ; JOHNSON CARL EDWIN ; JOHNSON EDWIN ; JOHNSON EDWIN CARL ; KUHN RAINER ; KUHN RAINER DR ; M BIOLLAZ ; MARK ANDREW VARNEY ; MICHEL BIOLLAS ; MICHEL BIOLLAZ ; NICHOLAS DAVID COSFORD ; RAINER KUHN ; ROLAND HECKENDORN ; VARNEY ANDREW MARK ; VARNEY MARK ; VARNEY MARK ANDREW ; VELICELEBI GOENUEL ; VELICELEBI GONUL ; Y AUBERSON ; Y AUBERSON ; YVES AUBERSON ; VELISELEBI



GEHNJUL ; VARNI MARK EHNDJRJU ; OBERSON IV ; MICHEL BIOLLAZ DR ; KUN RAJNER ; KOSFORD NIKOLAS DEJVID ; KHEKKENDORN ROLAND ; GASPARINI FABRITSIO ; DZHONSON EHDUIN KARL ; B OLAZ MISHEL ; ALLGAJER KHANS ; AUBERSO Y ; AUBERSON Y ; AUBERSON YVES ; AUBERSON YVES DR ; BIOLLAS MICHEL ; BIOLLAZ M ; BIOLLAZ MICHEL ; BIOLLAZ MICHEL DR ; COSFORD DAVID NICHOLAS ; COSFORD NICHOLAS ; COSFORD NICHOLAS DAVID ; EDWIN CARL JOHNSON ; ELEBI GONUL VELI ; FABRIZIO GASPARINI ; GASPARINI FABRIZIO ; GASPARINI FABRIZIO AND ; GASPARINI FABRIZIO DR ; GOENUEL VELICELEBI ; GONUL VELI ELEBI ; GONUL VELICELEBI ; ALLGEIER H ; ALLGEIER HANS ; ALLGEIER HANS DR ; ALLGEIGER HANS ; H ALLGEIER ; HANS ALLGEIER

Inventor(s):

HANS ALLGEIGER ; ANDREW MARK VARNEY ; GONUL VELIXELEBI ; DAVID NICHOLAS COSFORD ; DR FABRIZIO GASPARINI ; DR HANS ALLGEIER ; DR MICHEL BIOLLAZ ; DR RAINER KUHN ; DR ROLAND HECKENDORN ; DR YVES AUBERSON ; B'OLAZ MISHEL' ; CARL EDWIN JOHNSON

Agent(s):

DE WEERD PETRUS GW ET AL

Designated states:

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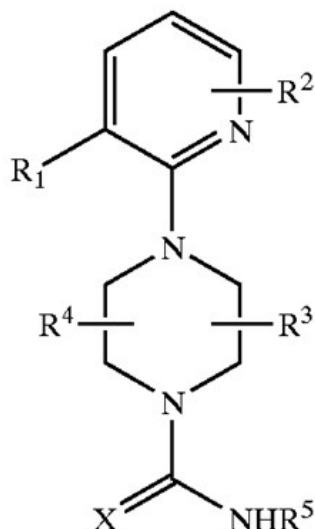
Title: THERAPEUTIC AGENTS USEFUL FOR TREATING PAIN

Abstract: Source: US2004044003A A Compound of formula (wherein X is O or S and R<1>-R<5>are disclosed herein) or a pharmaceutically acceptable salt thereof (each being a "Piperazine Compound"), pharmaceutical compositions comprising a Piperazine Compound and methods for treating or preventing pain, UI, an ulcer, IBD, IBS, an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, amyotrophic lateral sclerosis, dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia, or depression in an animal comprising administering to an animal in need thereof an effective amount of a Piperazine Compound are disclosed.

Classifications:

International (IPC 8-9): A61K31/44 A61K31/496 A61K31/497 A61K45/00 A61P1/04 A61P1/08 A61P13/02 A61P17/04 A61P21/00 A61P23/00 A61P25/00 A61P25/04 A61P25/08 A61P25/14 A61P25/16 A61P25/18 A61P25/22 A61P25/24 A61P25/28 A61P25/30 A61P27/02 A61P43/00 A61P9/10 C07D213/74 C07D401/04 C07D403/02 C07D405/12 C07D417/12 (Advanced/Invention);
International (IPC 1-7): A61K31/44 A61K31/485 A61K31/496 A61K31/497 A61K45/00 A61P1/04 A61P1/08 A61P13/02 A61P17/04 A61P21/00 A61P23/00 A61P25/00 A61P25/04 A61P25/08 A61P25/14 A61P25/16 A61P25/18 A61P25/22 A61P25/24 A61P25/28 A61P25/30 A61P27/02 A61P43/00 A61P9/10 C0743/04 C07D213/74 C07D401/04 C07D405/12 C07D417/12
CPC: C07D213/74 C07D405/12 C07D417/12
European: C07D213/74 C07D405/12 C07D417/12
US: 514/253.01 514/253.010P 514/253.11 514/253.110S 514/282 514/282S 544/36 544/360 544/360S

PatBase - US2004044003_AA



Family:

Publication number	Publication date	Application number	Application date
AT465993 E	20100515	AT20030708924T	20030131
AU2003212882 AA	20030902	AU20030212882	20030131
AU2003212882 AH	20030902	AU20030212882	20030131
DE60332316 D1	20100610	DE20036032316	20030131
DK1472225 T3	20100809	DK20030708924T	20030131
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EP2033951 A2	20090311	EP20080017729	20030131
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JP2005521679 T2	20050721	JP20030565969T	20030131
JP4583760 B2	20101117	JP20030565969T	20030131
PT1472225 T	20100730	PT20030708924T	20030131
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WO03066595 A2	20030814	WO2003US02983	20030131
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Priority:

US20020352855P 20020201 US20020411043P 20020917 US20030355186 20030131
 WO2003US02983 20030131 US20060368133 20060302 EP20030708924 20030131

Probable Assignee: PURDUE PHARMA LP

Assignee(s): (std): EURO CELTIQUE SA ; KYLE DONALD J ; SUN QUN

Assignee(s): EURO CELTIQUE S A ; PURDUE PHARMA LP ; QUN SUN

Inventor(s): (std): DONALD J KYLE ; KYLE DONALD ; KYLE DONALD J ; QUN SUN ; SUN QUN

Agent(s): MAIWALD WALTER ; JONES DAY

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

Title: THERAPEUTIC AGENTS USEFUL FOR TREATING PAIN

Abstract: Source: US2004053914A A compound of formula (wherein A, R₁, R₂, R₆, m and n are disclosed herein) or a pharmaceutically acceptable salt thereof (a "Piperazine Compound"); pharmaceutical compositions comprising a Piperazine Compound; and methods for treating pain, urinary incontinence (UI), an addictive disorder, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, a seizure, a pruritic condition, psychosis, a cognitive disorder, a memory deficit, restricted brain function, Huntington's chorea, amyotrophic lateral sclerosis (ALS), dementia, retinopathy, a muscle spasm, a migraine, vomiting, dyskinesia and depression in an animal comprising administering to an animal in need thereof an effective amount of a Piperazine Compound are disclosed.

Classifications:

International (IPC 8-9): A61K31/495 A61P25/00 A61P29/00 C07D213/74 C07D213/78 C07D213/80 C07D213/85 C07D401/04 C07D401/12 C07D401/14

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A61K31/495 A61P25/00 A61P29/00 C07D213/00 C07D401/00 C07D405/00 (Core/Invention)

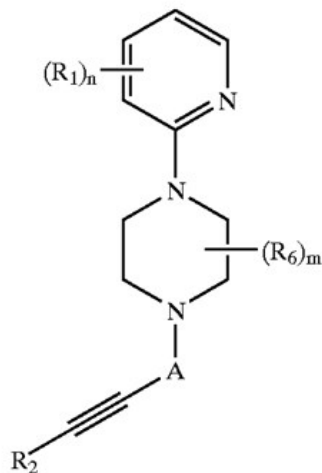
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CPC: C07D213/74 C07D213/80 C07D213/85 C07D401/04 C07D401/14 C07D405/12

European: C07D213/74 C07D213/80 C07D213/85 C07D401/04 C07D401/14 C07D405/12

US: 514/210.2 514/210.200P 514/253.01 514/253.09 514/253.090S 544/36 544/360 544/360S 544/364

PatBase - US2004053914_A4

**Family:**

Publication number	Publication date	Application number	Application date
AU2003228857 AA	20031117	AU20030228857	20030502
US2004053914 AA	20040318	US20030429078	20030502
US6864261 BB	20050308	US20030429078	20030502
WO03093236 A1	20031113	WO2003US13964	20030502

Priority:

US20020376803P 20020502 US20030460218P 20030403 US20030000000 20030403
US20030429078 20030502 WO2003US13964 20030502

Probable Assignee: PURDUE PHARMA LP

Assignee(s): (std): EURO CELTIQUE SA ; GHARAGOZLOO PARVIZ ; ISLAM KHONDAKER ; KYLE DONALD J ; SUN QUN ; TAFESSE LAYKEA ; WHITEHEAD JOHN WILLIAM FRANK ; YANG JI ; ZHOU XIAOMING

Assignee(s): PURDUE PHARMA LP ; EURO CELTIQUE S A

Inventor(s): (std): DONALD J KYLE ; GHARAGOZLOO PARVIZ ; ISLAM KHONDAKER ; JI YANG ; JOHN WILLIAM FRANK WHITEHEAD ; KHONDAKER ISLAM ; KYLE DONALD J ; LAYKEA TAFESSE ; PARVIZ GHARAGOZLOO ; QUN SUN ; SUN QUN ; TAFESSE LAYKEA ; WHITEHEAD JOHN WILLIAM FRANK ; XIAOMING ZHOU ; YANG JI ; ZHOU XIAOMING

Agent(s): JONES DAY

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

Title: NOVEL AMINOPYRIDINE DERIVATIVES AS **MGLUR5** ANTAGONISTS

Abstract: Source: US2006030601A The invention relates to novel aminopyridine derivatives of formula (I) wherein R 1 is methyl an R 4 and amino group -NR 6 R 7 and R 5 forms an arylalkynyl- or heteroarylalkynyl-group. The compounds are useful in the prevention or treatment of central nervous system disorders as well as other disorders modulated by **mGluR5** receptors.

Classifications:

International (IPC 8-9): A61K31/44 A61K31/4427 A61K31/444 A61P25/00 A61P25/04 A61P25/06 A61P25/14 A61P25/16 A61P25/18 A61P25/22 A61P25/24 A61P25/28 A61P25/30 A61P25/32 A61P25/34 A61P25/36 A61P29/00 A61P43/00 A61P9/10 C07D21/72 C07D213/00 C07D213/72 C07D213/73 C07D213/74 C07D213/75 C07D401/00 C07D401/02 (Advanced/Invention); A61K31/00 A61K31/44 A61K31/4427 A61P25/00 A61P29/00 A61P43/00 A61P9/00 C07D213/00 C07D401/00 (Core/Invention)

International (IPC 1-7): A61K31/44 A61K31/444 A61P25/00 C07D213/73 C07D213/74 **CPC:** C07D213/73 C07D213/74

European: C07D213/73 C07D213/74

US: 514/332 514/332S 514/333 514/352 514/352S 514/357 514/255 514/255S 514/256 514/304 514/304S 514/312



Family:

Publication number	Publication date	Application number	Application date
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Priority:

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Probable Assignee: ADDEX PHARMA SA

Assignee(s): (std): ADDEX PHARMA SA ; ADDEX PHARMACEUTICALS SA ; BESSIS ANNE SOPHIE ; BOLEA CHRISTELLE ; LE POUL EMMANUEL ; MUTEL VINCENT ; ROCHER JEAN PHILIPPE ; ADDEKS FARMA SA

Assignee(s): ADDEX PHARMA S A

Inventor(s): (std): BESSIS ANNE SOPHIE ; BOLEA CHRISTELLE ; BOLEA KRISTELL ; CHRISTELLE BOLEA ; EMMANUEL LE POUL ; JEAN PHILIPPE ROCHER ; LE POUL EMMANUEL ; LE PUL EHMMANJUEHL ; ANNE SOPHIE BESSIS ; BESSI ANN SOFI ; MJUTEL VINSEHN ; MUTEL VINCENT ; POUL EMMANUEL LE ; ROCHER JEAN PHILIPPE ; ROSHE ZHAN FILIPP ; VINCENT MUTEL

Inventor(s): ROSHE ZHAN-FILIPP ; MJUTEL' VINSEHN ; BESSI ANN-SOFI ; LE PUL' EHMMANJUEHL' ; BOLEA KRISTELL'

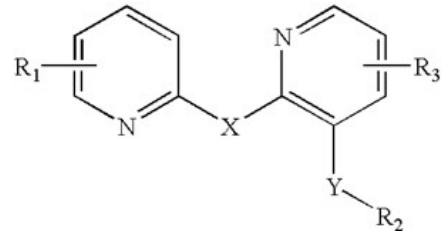
Agent(s): DAVIES JONATHAN MARK; EDWARDS AND ANGELL LLP; EDWARDS ANGELL PALMER AND DODGE LLP; PETER F

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 KP KR KZ LC LI LK LR LS LT LU LV MA MC MD MG MK ML MN MR MW MX MZ NA NE NI NL NO NZ OM PG PH PL PT RO RU SC SD SE SG SI SK SL SN SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW

Title: BIPYRIDYL AMINES AND ETHERS AS MODULATORS OF METABOTROPIC GLUTAMATE RECEPTOR-5

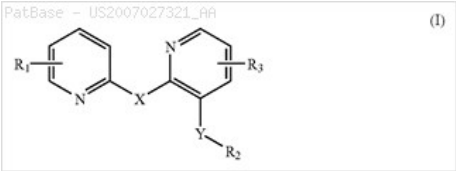
Abstract: Source: US2007027321A The present invention is directed to novel bipyridyl amine and ether compounds such as those of Formula (I): (I) (where R²1#191, R²2#191, R²3#191, X and Y are as defined herein) which are **mGluR5** modulators useful in the treatment or prevention of diseases and conditions in which **mGluR5** is involved, including but not limited to psychiatric and mood disorders such as schizophrenia, anxiety, depression, bipolar disorders, and panic, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm and sleep disorders, such as shift-work induced sleep disorder and jet-lag, drug addiction, drug abuse, drug withdrawal, obesity and other diseases. The invention is also directed to pharmaceutical compositions comprising these compounds. This invention further provides a method of treatment of these disorders and conditions by the administration of an effective amount of these novel bipyridyl amine and/or ether compounds and/or compositions containing these compounds.

(I)



Classifications:

International (IPC 8-9): A61K31/44 A61K31/444 A61K31/455 A61K31/4709 A61K31/4725 A61K31/4743 A61K31/497 A61K31/501 A61K31/506 A61K31/555 A61P1/14 A61P25/00 A61P25/04 A61P25/08 A61P25/16 A61P25/18 A61P25/20 A61P25/22 A61P25/24 A61P25/28 A61P25/30 A61P3/04 A61P39/00 A61P43/00 C07D213/69 C07D213/74 C07D213/79 C07D213/84 C07D213/85 C07D401/02 C07D401/10 C07D401/12 C07D401/14 C07D405/14 C07D409/14 C07D413/14 C07D417/14 C07D471/02 C07D491/04 C07D491/048 C07F7/22 (Advanced/Invention); A61K31/44 A61K31/4427 A61K31/455 A61K31/4709 A61K31/472 A61K31/4965 A61K31/501 A61K31/506 A61K31/555 A61P1/00 A61P25/00 A61P3/00 A61P39/00 A61P43/00 C07D213/00 C07D401/00 C07D405/00 C07D409/00 C07D413/00 C07D417/00 C07D491/00 C07F7/00 (Core/Invention)
International (IPC 1-7): A61K31/44 C07D401/10
CPC: C04B35/632 C07D213/74 C07D401/12 C07D401/14 C07D405/14 C07D409/14 C07D413/14 C07D417/14 C07D491/04
European: C04B35/632 C07D213/74 C07D401/12 C07D401/14 C07D405/14 C07D409/14 C07D413/14 C07D417/14 C07D491/04
US: 546/114 546/114P 546/256 546/256S 546/261 546/261S 546/264 546/264S



Family:

Publication number	Publication date	Application number	Application date
AU2004268112 AA	20050310	AU20040268112	20040827
CA2537141 AA	20060227	CA20042537141	20040827
CN1845915 A	20061011	CN200480025067	20040827
EP1664018 A1	20060607	EP20040782403	20040827
IN00876DN2006 A	20070810	IN2006DN00876	20060220
JP2007504229 T2	20070301	JP20060525369T	20040827
US2007027321 AA	20070201	US20040570068	20040827
WO05021529 A1	20050310	WO2004US27916	20040827

Priority:

US20030499627P 20030902 US20030499627 20030902 WO2004US27916 20040827
US20040570068 20040827 US20060570068 20060301

Probable Assignee: MERCK SHARP AND DOHME CORP

Assignee(s): (std): BONNEFOUS CELINE ; GOVEK STEVEN P ; HUTCHINSON JOHN H ; KAMENECKA THEODORE M ; MERCK AND CO INC ; VERNIER JEAN MICHEL

Assignee(s): MERCK AND COMPANY INCORPORATED ; MERCK SHARP AND DOHME CORP ; MERCK AND CO

Inventor(s): (std): CELINE BONNEFOUS ; JEAN MICHEL VERNIER ; JOHN H HUTCHINSON ; KAMENECKA THEODORE M VERNIER J ; STEVEN P GOVEK ; THEODORE M KAMENECKA ; VERNIER JEAN MICHEL ; KAMENECKA THEODORE M ; HUTCHINSON JOHN H ; GOVEK STEVEN P ; BONNEFOUS CELINE

Inventor(s): V HUTCHINSON JOHN H ; KAMENECKA THEODORE M VERNIER JEAN MICHEL BONNEFOUS ; KAMENECKA THEODORE ; GOVEK STEVEN ; HUTCHINSON JOHN

Agent(s): MERCK AND CO INC

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 KP KR KZ LC LI LK LR LS LT LU LV MA MC MD MG MK ML MN MR MW MX MZ NA NE NI NL NO NZ OM PG PH PL PT RO RU SC SD SE SG SI SK SL SN SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW

Title: THERAPEUTIC AGENTS USEFUL FOR TREATING PAIN

Abstract: The invention provides a compound of formula (I) (where R₁, R₁', R₂, R₃, X, Y, Z and n are disclosed herein) or a pharmaceutically acceptable salt thereof (s "Diaminoalkylene Compound"); pharmaceutical compositions comprising an effective amount of a Diaminoalkylene Compound; and methods for treating pain, as well as other conditions, comprising administering to an animal in need thereof an effective amount of a Diaminoalkylene Compound.

Classifications:

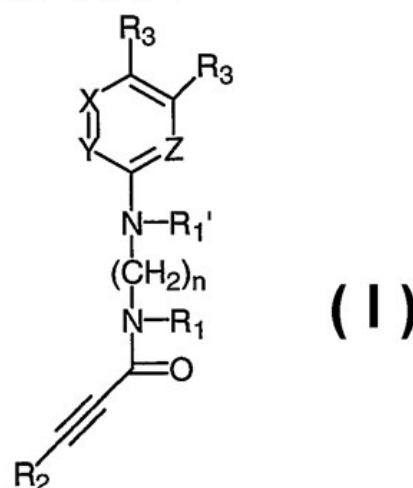
International (IPC 8-9): A61K31/44 A61K31/495 A61K31/53 C07D213/74 C07D237/20 C07D239/42 C07D253/07 C07D401/12 (Advanced/Invention)

International (IPC 1-7): A61K31/44 A61K31/495 A61K31/53 C07D213/00 C07D213/74 C07D237/20 C07D239/42 C07D253/06 C07D401/12

CPC: C04B35/632 C07D213/74 C07D239/42 C07D253/07 C07D401/12

European: C04B35/632 C07D213/74 C07D239/42 C07D253/07 C07D401/12

PatBase - WO05035500_R2



Family:

Publication number	Publication date	Application number	Application date
WO05035500 A2	20050421	WO2004US33621	20041011
WO05035500 A3	20050519	WO2004US33621	20041011

Priority:

US20030510810P 20031009

Probable Assignee: EURO CELTIQUE SA

Assignee(s): (std): EURO CELTIQUE SA ; GHARAGOZLOO PARVIZ

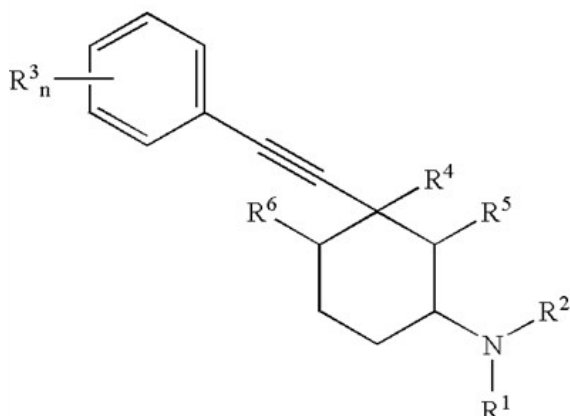
Assignee(s): EURO CELTIQUE S A

Inventor(s): (std): GHARAGOZLOO PARVIZ

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 KP KR KZ LC LK LR LS LT LU LV MA MC MD MG MK ML MN MR MW MX MZ NA NE NI NL NO NZ OM PG PH PL PT RO RU SC SD SE SG SI SK SL SN SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW

Title: ACETYLENE DERIVATIVES**Abstract:** Source: US2008188490A The invention provides compounds of formula (I)

(I)



specification, to processes for their preparation and corresponding intermediates, and their use as pharmaceuticals.

Classifications:

International (IPC 8-9): A61K31/136 A61K31/357 A61K31/36 A61K31/415 A61K31/4155 A61K31/416 A61K31/4164 A61K31/4184 A61K31/4188 A61K31/4196 A61K31/426 A61K31/433 A61K31/436 A61K31/44 A61K31/4402 A61K31/4406 A61K31/47 A61K31/4965 A61K31/498 A61K31/50 A61K31/505 A61P1/00 A61P1/10 A61P1/12 A61P13/10 A61P17/00 A61P25/00 A61P25/04 A61P25/08 A61P25/14 A61P25/16 A61P25/18 A61P25/22 A61P25/24 A61P25/28 A61P25/36 A61P27/02 A61P29/00 A61P3/02 A61P3/04 A61P43/00 C07C211/43 C07C211/52 C07C213/00 C07C215/44 C07C49/697 C07C49/747 C07D213/02 C07D213/74 C07D215/38 C07D215/40 C07D231/38 C07D231/56 C07D233/54 C07D233/60 C07D235/06 C07D235/08 C07D237/20 C07D239/02 C07D239/12 C07D239/42 C07D241/20 C07D241/42 C07D241/44 C07D249/08 C07D277/20 C07D277/42 C07D285/14 C07D317/46 C07D319/18 C07D319/20 C07D405/04 C07D487/04 C07D491/056 (Advanced/Invention); C07C211/43 C07C211/52 C07D231/38 C07D277/42 (Advanced/Non-invention); C07C211/00 C07C215/00 C07C49/00 C07D213/00 C07D215/00 C07D231/00 C07D233/00 C07D235/00 C07D239/00 C07D241/00 C07D249/00 C07D277/00 C07D285/00 C07D405/00 C07D487/00 (Core/Invention)

International (IPC 1-7): C07C211/52

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US: 514/256 514/313 514/313S 514/352 514/352S 544/322 544/322S 546/171 546/171S 546/304 546/304S

Family:

Publication number	Publication date	Application number	Application date
AT427927 E	20090415	AT20060724538T	20060424
AU2006239545 AA	20061102	AU20060239545	20060424
BRPI0610337 A2	20100615	BR2006PI10337	20060424
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CN101163662 A	20080416	CN200680013688	20060424
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EP1877364 B1	20090408	EP20060724538	20060424
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RU2007143507 A	20090610	RU20070143507	20060424
US2008188490 AA	20080807	US20060912624	20060424
WO06114260 A1	20061102	WO2006EP03764	20060424

Priority:

GB20050008318 20050425 WO2006EP03764 20060424

Probable Assignee: NOVARTIS AG

Assignee(s): (std): GLATTHAR RALF ; NOVARTIS AG ; NOVARTIS INT AG ; NOVARTIS PHARMA GMBH ; TROXLER THOMAS J

Inventor(s): (std): GLATTHAR RALF ; RALF GLATTHAR ; TROXLER THOMAS ; TROXLER THOMAS J

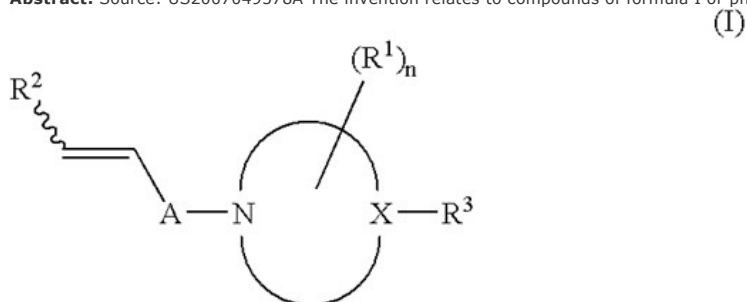
Inventor(s): THOMAS J TROXLER

Agent(s): VOGELI LANGE REGINA ET AL; NOVARTIS INSTITUTES FOR BIOMEDICAL RESEARCH INC

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 VE VN YU ZA ZM ZW

Title: CINNAMAMIDE COMPOUNDS AS METABOTROPIC GLUTAMATE RECEPTOR ANTAGONISTS

Abstract: Source: US2007049578A The invention relates to compounds of formula I or pharmaceutically acceptable salts or solvates thereof:



where R^1 , R^2 , R^3 , A, X, and n are defined in the description. The invention also includes pharmaceutical compositions and uses of, and processes of making the compounds, as well as methods of medical treatment of mGluR 5 mediated disorders.

Classifications:

International (IPC 8-9): A61K31/496 A61K31/497 A61K31/551

C07D403/02 (Advanced/Invention)

CPC: C07D213/74 C07D213/85 C07D241/04 C07D241/26 C07D295/205 C07D403/04

C07D403/14 C07D409/12 C07F5/025

European: C07D213/74 C07D213/85 C07D241/04 C07D241/26 C07D295/205

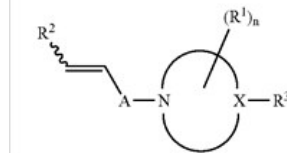
C07D403/04 C07D403/14 C07D409/12 C07F5/02C

US: 514/218 514/252.11 514/252.110S 514/253.12 514/253.120S 540/575 540/575S

544/357 544/357S 544/360 544/360S

PatBase - US2007049578_AA

(I)



Family:

Publication number	Publication date	Application number	Application date
US2007049578 AA	20070301	US20060503182	20060814

Priority:

US20050710608P 20050824 US20060503182 20060814

Probable Assignee: ASTRAZENECA AB

Assignee(s): (std): NPS PHARMA INC

Assignee(s): NPS PHARMACEUTICALS ; NPS PHARMACEUTICALS INC ; ASTRAZENECA AB

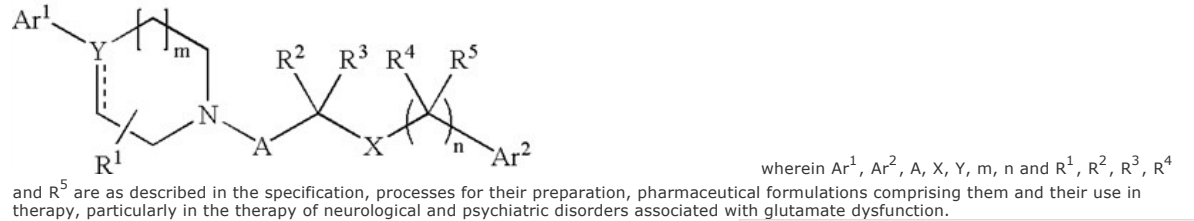
Inventor(s): (std): DOVE PETER ; EDWARDS LOUISE ; ISAAC METHVIN ; SLASSI ABDELMALIK ; XIN TAO

Agent(s): BIRCH STEWART KOLASCH AND BIRCH LLP

Title: PIPERAZINES AND PIPERIDINES AS **MGLUR5** POTENTIATORS

Abstract: Source: US2009023711A Compounds of Formula I or pharmaceutically acceptable salts or solvates thereof:

Formula I



Classifications:

International (IPC 8-9): A61K31/495 A61P25/18 C07D211/06 A01N43/40 A61K31/381 A61K31/435 A61K31/44 A61K31/445 A61K31/451 A61K31/49 A61K31/496 A61K31/4965 A61K31/497 A61K31/50 A61K31/506 A61K31/551 A61P25/00 A61P25/04 A61P25/08 A61P25/14 A61P25/20 A61P25/28 A61P25/30 A61P43/00 C07D211/18 C07D211/60 C07D211/70 C07D213/30 C07D213/61 C07D213/74 C07D213/85 C07D233/16 C07D233/54 C07D233/64 C07D239/26 C07D241/04 C07D241/12 C07D243/08 C07D277/24 C07D295/00 C07D295/10 C07D295/14 C07D295/16 C07D333/16 C07D401/00 C07D401/12 C07D401/14 C07D403/00 C07D409/12 C07D417/12 (Advanced/Invention); A61K31/381 A61K31/435 A61K31/44 A61K31/49 A61K31/495 A61K31/496 A61K31/4965 A61K31/50 A61K31/506 A61K31/551 A61P25/00 A61P43/00 C07D211/00 C07D213/00 C07D233/00 C07D239/00 C07D241/00 C07D243/00 C07D277/00 C07D295/00 C07D333/00 C07D401/00 C07D409/00 C07D417/00 (Core/Invention); A61K A61P C07D (Subclass/Invention)

International (IPC 1-7): A61K31/505 A61K31/506 A61P25/18 C07D21/70 C07D211/70 C07D213/30 C07D213/61 C07D213/74 C07D213/85 C07D233/64 C07D239/26 C07D241/12 C07D277/24 C07D295/10 C07D295/108 C07D295/14 C07D295/155 C07D333/16 C07D409/12 C07D417/12

CPC: C07D211/70 C07D213/30 C07D213/61 C07D213/74 C07D213/85 C07D233/64 C07D239/26 C07D241/12 C07D277/24 C07D295/108 C07D295/155 C07D333/16 C07D401/12 C07D409/12

European: C07D211/70 C07D213/30 C07D213/61 C07D213/74 C07D213/85 C07D233/64 C07D239/26 C07D241/12 C07D277/24 C07D295/108 C07D295/155 C07D333/16 C07D401/12 C07D409/12

US: 514/218 514/252.13 514/252.14 514/253.01 514/253.13 514/253.130S 514/254.02 514/254.05 514/255.01 514/255.010S 514/315 514/330 514/330S 540/575 540/575S 544/359 544/360 544/364 544/364S 544/366 544/369 544/379 544/391 544/391S 546/226 546/226S 546/228

Family:

Publication number	Publication date	Application number	Application date
AR058928 AA	20080305	AR2007P100050	20070105
AT446287 E	20091115	AT20070716336T	20070105
AU2007208500 AA	20070802	AU20070208500	20070105
BRPI0706401 A2	20110329	BR2007PI06401	20070105
CA2636931 AA	20080709	CA20072636931	20070105
CN101405266 A	20090408	CN200780009433	20070105
DE602007002877 D1	20091203	DE200760002877T	20070105
ECSPO88677 A	20080929	EC2008SP08677	20080812
EP1979320 A2	20081015	EP20070716336	20070105
EP1979320 B1	20091021	EP20070716336	20070105
ES2333482 T3	20100222	ES20070716336T	20070105
HK1122296 A1	20100115	HK20090102567	20090318
HK1122296 A3	20090515	HK20090102567	20090318
IL192474 A0	20090211	IL20080192474	20080626
IN05752DN2008 A	20081024	IN2008DN05752	20080702
JP2009524702 T2	20090702	JP20080558259T	20070105
KR20080092374 A	20081015	KR200807017280	20080716
NO20083390 A	20081014	NO20080003390	20080801
RU2008129129 A	20100227	RU20080129129	20070105
TW200734313 A	20070916	TW20060149847	20061229
US2009023711 AA	20090122	US20070160959	20070105
US7935703 BB	20110503	US20070160959	20070105
UY30087 A1	20070831	UY20070030087	20070105
WO07087135 A2	20070802	WO2007US00231	20070105
WO07087135 A3	20071101	WO2007US00231	20070105
ZA200805726 A	20090527	ZA20080005726	20080630

Priority:
US20060758992P 20060117 WO2007US00231 20070105 US20070160959 20070105

Probable Assignee: ASTRAZENECA AB

Assignee(s): (std): ARORA JALAJ ; ASTRAZENECA AB ; SLASSI ABDELMALIK ; NPS PHARMA INC ; ISAAC METHVIN ; BROWN DEAN G ; ASTRAZENECA SWEDEN AB

Assignee(s): ASTRAZENECA AKTIEBOLAG ; NPS PHARMACEUTICALS INC

Inventor(s): (std): ARORA JALAJ ; BROWN DEAN ; BROWN DEAN G ; ISAAC METHVIN ; SLASSI ABDELMALIK ; METHVIN ISAAC ; JALAJ ARORA ; ABDELMALIK SLASSI

Inventor(s): DEAN G BROWN ; BEAN G BROWN

Agent(s): ASTRA ZENECA PHARMACEUTICALS LP, GLOBAL INTELLECTUAL PROPERTY; ASTRA ZENECA PHARMACEUTICALS LP GLOBAL INTELLECTUAL PROPERTY; CONNOLLY BOVE LODGE AND HUTZ LLP

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

Title: BENZAMIDE **MGLUR5** POSITIVE ALLOSTERIC MODULATORS AND METHODS OF MAKING AND USING SAME

Abstract: Source: US2009042855A In one aspect, the invention relates to compounds, including phenylethynylbenzamide derivatives, cycloalkylethynylbenzamide derivatives, styrylbenzamide derivatives, 4-(3-phenyl-1,2,4-oxadiazol-5-yl)benzamide derivatives, 4-(pyridinylethynyl)benzamide derivatives, and N1-phenylterephthalamide derivatives, which are useful as positive allosteric modulators of the metabotropic glutamate receptor subtype 5 (**mGluR5**); synthetic methods for making the compounds; pharmaceutical compositions comprising the compounds; and methods of treating neurological and psychiatric disorders associated with glutamate dysfunction using the compounds and compositions. This abstract is intended as a scanning tool for purposes of searching in the particular art and is not intended to be limiting of the present invention.

Classifications:

International (IPC 8-9): A01N43/38 A01N43/42 A61K A61K31/395 A61K31/397 A61K31/40 A61K31/4035 A61K31/415 A61K31/4245 A61K31/4375 A61K31/445 A61K31/45 A61K31/47 A61K31/4704 A61K31/472 A61K31/4725 A61K31/496 A61K31/4965 A61K31/497 A61K31/519 A61K31/5375 A61K31/5377 A61P25/00 A61P25/04 A61P25/06 A61P25/08 A61P25/14 A61P25/18 A61P25/20 A61P25/22 A61P25/24 A61P25/28 A61P25/30 A61P3/00 A61P3/04 A61P3/10 A61P43/00 C07C233/65 C07C233/66 C07C233/69 C07C233/76 C07C233/77 C07C235/70 C07D205/04 C07D207/04 C07D207/09 C07D209/36 C07D209/44 C07D209/46 C07D209/48 C07D211/00 C07D211/06 C07D211/16 C07D211/18 C07D211/22 C07D211/42 C07D211/46 C07D211/52 C07D211/58 C07D211/60 C07D213/74 C07D217/06 C07D217/22 C07D217/24 C07D225/04 C07D231/38 C07D265/30 C07D271/06 C07D295/13 C07D295/14 C07D295/16 C07D295/192 C07D295/30 C07D401/00 C07D401/04 C07D401/06 C07D401/10 C07D401/12 C07D403/00 C07D409/04 C07D413/04 C07D413/06 C07D413/12 C07D471/02 C07D471/04 C07D487/00 C07D487/02 C07D487/04 C07D491/00 C07D491/02 C07D495/02 C07D497/02 C07D498/00 C07D498/02 C07D513/00 C07D513/02 C07D515/02 C7C233/65 C7D205/04 C7D211/22 C7D211/46 C7D211/48 C7D217/06 C7D235/26 C7D271/06 C7D295/192 C7D401/12 C7D409/04 C7D413/12 (Advanced/Invention); A61K31/397 A61K31/403 A61K31/415 A61K31/4245 A61K31/445 A61K31/45 A61K31/472 A61K31/496 A61K31/4965 A61K31/519 A61K31/5375 A61P25/00 A61P3/00 A61P43/00 C07D209/00 C07D211/00 C07D217/00 C07D231/00 C07D271/00 C07D295/00 C07D401/00 (Core/Invention); A61K (Subclass/Invention)

International (IPC 1-7): A61K31/497

CPC: A61K31/397 A61K31/5377 C07D209/46 C07D209/48 C07D401/06 A61K31/496 A61K31/445 A61K31/45 A61K31/4704 A61K31/4965 A61K31/5375 C07D211/00 C07D211/16 C07D217/22 C07D217/24 C07D225/04 C07D231/38 C07D295/16 C07D413/06 C07D471/02 C07D487/00 C07D487/02 C07D491/02 C07D495/02 C07D497/02 C07D498/02 C07D513/02 C07D515/02 A61K31/4035 A61K31/472 A61K31/4725 A61K31/497 C07D211/60 C07C233/65 C07C233/66 C07C233/69 C07C2101/02 C07C2101/08 C07C2101/14 C07C2102/08 C07D205/04 C07D207/09 C07D211/18 C07D211/22 C07D211/42 C07D211/46 C07D211/52 C07D211/58 C07D213/74 C07D217/06 C07D271/06 C07D295/13 C07D295/14 C07D295/192 C07D295/30 C07D401/04 C07D401/10 C07D401/12 C07D409/04 C07D413/12
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Family:

Publication number	Publication date	Application number	Application date
AU2008259776 AA	20081211	AU20080259776	20080603
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CA2689282 AA	20091201	CA20082689282	20080603
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Priority:

US20070941686P 20070603	US20070985041P 20071102	US20080132289 20080603
US20080263224 20081031	WO2008US65647 20080603	US20110269933 20111010
US20110269977 20111010		

Probable Assignee: VANDERBILT UNIV

Assignee(s): (std): UNIV VANDERBILT ; JONES CARRIE K ; RODRIGUEZ ALICE L ; LINDSLEY CRAIG W ; NISWENDER COLLEEN M ; CONN P JEFFREY ; WILLIAMS RICHARD ; WEAVER CHARLES DAVID

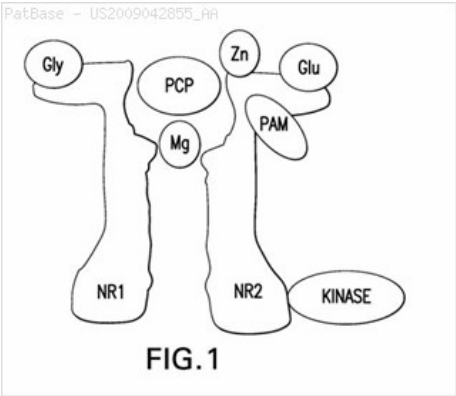
Assignee(s): CONN P ; DEPARTMENT OF VETERAN S AFFAIRS US GOV ; DEPARTMENT OF VETERANS AFFAIRS ; DEPARTMENT OF VETERAN'S AFFAIRS US GOV ; NATIONAL INST ; NATIONAL INSTITUTES OF HEALTH ; NATIONAL INSTITUTES OF HEALTH NIH US DEPT OF HEALTH ; NATIONAL INSTITUTES OF HEALTH (NIH) US DEPT OF HEALTH AND HUMAN SERVICES (DHHS) US GOVERNMENT ; NATIONAL INSTITUTES OF HEALTH NIH US DEPT OF HEALTH AND HUMAN SERVICES DHHS US GOVERNMENT ; VANDERBILT UNIV ; VANDERBILT UNIVERSITY

Inventor(s): (std): DAVID WEAVER CHARLES ; JEFFREY CONN P ; RICHARD WILLIAMS ; WILLIAMS RICHARD ; WEAVER CHARLES DAVID ; RODRIGUEZ ALICE L ; NISWENDER COLLEEN M ; LINDSLEY CRAIG W ; JONES CARRIE K ; CONN P JEFFREY

Inventor(s): CONN P ; P JEFFREY CONN ; CRAIG W LINDSLEY ; COLLEEN M NISWENDER ; CHARLES DAVID WEAVER ; CARRIE K JONES ; ALICE L RODRIGUEZ

Agent(s): BRADLEY ADRIAN; BALLARD SPAHR ANDREWS AND INGERSOLL LLP; BALLARD SPAHR LLP; BALLARD SPHAR LLP; SHORTELL D BRIAN ET AL

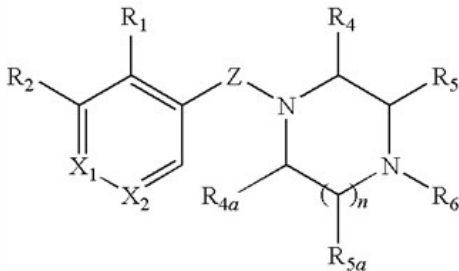
Designated states: AE AG AL AM AO AT AU AZ BA BB BE BF BG BH BJ BR BW BY BZ CA CF CG CH CI CM CN CO CR CU CY CZ DE DK DM DO



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 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

Title: PIPERAZINE METABOTROPIC GLUTAMATE RECEPTOR 5 (**MGLUR5**) NEGATIVE ALLOSTERIC MODULATORS FOR ANXIETY/DEPRESSION

Abstract: Source: US2009325964A The present teachings relate to piperazine metabotropic glutamate receptor 5 (**mGluR5**) negative allosteric

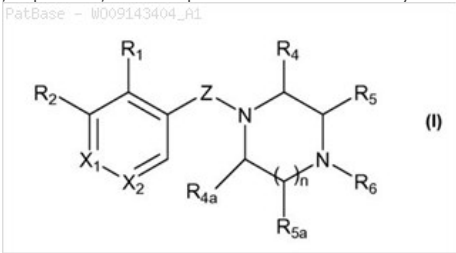


modulators having Formula I: wherein the constituent variables are as defined herein. The present teachings further relate to methods for the preparation of the compounds, and to methods for using the compounds for treatment of diseases and disorders including schizophrenia, paranoia, depression, manic-depressive illness and anxiety.

Classifications:

International (IPC 8-9): A61K31/496 A61K31/497 A61K31/53 A61P25/00 C07D213/74 C07D241/20 C07D251/30 C07D295/192 C07D401/12 C07D401/14 (Advanced/Invention); A61K31/496 A61K31/4965 A61K31/53 A61P25/00 C07D213/00 C07D241/00 C07D251/00 C07D295/00 C07D401/00 (Core/Invention)
CPC: C07D213/74 C07D241/20 C07D295/192 C07D401/12
European: C07D213/74 C07D241/20 C07D295/192 C07D401/12
US: 514/241 514/252.18 514/253.13 544/219 544/357 544/364

PatBase - W009143404_R1



Family:

Publication number	Publication date	Application number	Application date
US2009325964 AA	20091231	US20090470814	20090522
WO09143404 A1	20091126	WO2009US44938	20090522

Priority:

US20080055671P 20080523 US20090470814 20090522

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Assignee(s): WYETH LLC ; WYETH

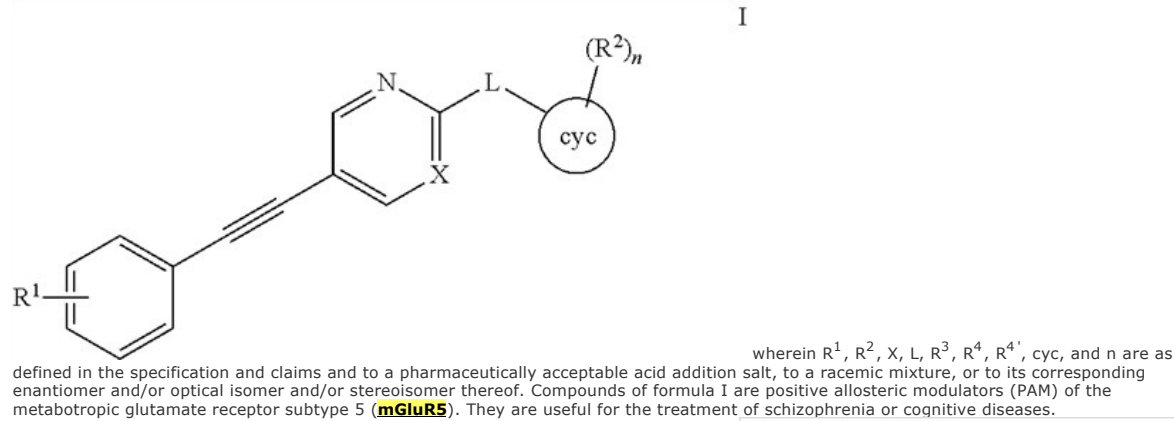
Inventor(s): (std): BURSAVICH MATTHEW GREGORY ; GILBERT ADAM MATTHEW ; STOCK JOSEPH RAYMOND

Agent(s): WYETH,PATENT LAW GROUP; WYETH PATENT LAW GROUP; MAZZARESE JOSEPH M 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 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

Title: POSITIVE ALLOSTERIC MODULATORS (PAM)

Abstract: Source: US2011098313A The present invention relates to phenylethynyl compounds of formula I



Classifications:

International (IPC 8-9): A61K31/44 A61K31/4427 A61K31/4433 A61K31/4439 A61K31/444 A61K31/4545 A61K31/505 A61K31/506 A61K31/5355 A61K31/5377 A61P25/00 A61P25/18 A61P25/28 C07D C07D213/64 C07D213/72 C07D213/74 C07D239/04 C07D239/34 C07D239/42 C07D401/06 C07D405/12 C07D413/06 C07D493/08 (Advanced/Invention); A61P25/18 (Advanced/Non-invention); A61K31/44 A61K31/4433 A61K31/444 A61K31/5377 A61P25/18 C07D401/06 C07D405/12 C07D413/06 (Core/Non-invention); A61K C07D (Subclass/Invention)

International (IPC 1-7): A61K31/4427 A61P25/00 A61P25/18 C07D213/63 C07D213/74 C07D239/42 C07D401/06 C07D405/12 C07D413/06

CPC: C07D213/64 C07D213/74 A61K31/44 A61K31/4427 A61K31/4433 A61K31/505 A61K31/506 C07D239/34 C07D239/42 C07D401/06 C07D405/12 C07D413/06 C07D493/08

European: A61K31/44 A61K31/4427 A61K31/4433 A61K31/505 A61K31/506 C07D213/74 C07D239/34 C07D239/42 C07D401/06 C07D405/12

US: 514/228.8 514/230.8 514/274 514/275 514/275S 514/318 514/336 514/336S 514/340 514/341 514/343 514/345 514/352 514/352S 544/131 544/316 544/316S 544/330 544/330S 544/332 544/332S 544/96 546/194 546/268.1 546/271.4 546/274.4 546/278.4 546/281.7 546/282.1 546/282.100S 546/290 546/301 546/304 546/304S 546/312

Family:

Publication number	Publication date	Application number	Application date
AR078756 AA	20111130	AR2010P103901	20101025
AU2010311624 AA	20110505	AU20100311624	20101025
CA2778219 AA	20110505	CA20102778219	20101025
CN102596909 A	20120718	CN201080048857	20101025
CN102596909 B	20140903	CN201080048857	20101025
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HK1171743 A1	20141212	HK20120112510	20121205
IL219110 A0	20120628	IL20120219110	20120405
IN03741CN2012 A	20130802	IN2012CN03741	20120426
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JP5463420 B2	20140409	JP20120535759T	20101025
KR101385363 B1	20140414	KR20127013528	20101025
KR20120067373 A	20120625	KR20127013528	20101025
MX2012004963 A1	20120612	MX20120004963	20120427
PE11582012 A1	20120827	PE20120000562	20101025
RU2012120307 A	20131210	RU20120120307	20101025
TW201121951 A	20110701	TW20100136360	20101025
TW1410415 B	20131001	TW20100136360	20101025
US2011098313 AA	20110428	US20100908014	20101020
US2013131056 AA	20130523	US20130741404	20130115
US8389536 BB	20130305	US20100908014	20101020
US8716316 BB	20140506	US20130741404	20130115
WO11051201 A1	20110505	WO2010EP66016	20101025

Priority:

EP20090174136 20091027 US20100908014 20101020 WO2010EP66016 20101025

EP20100773287 20101025 US20130741404 20130115

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Inventor(s): JAESCHKE GEORG

Agent(s): POPPE REGINA; GEORGE W; PATRICIA S; KIMBERLY J

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 LT 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

Title: ETHYNYL DERIVATIVES

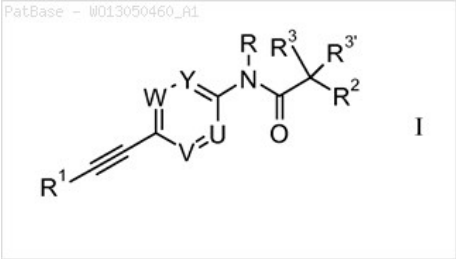
Abstract: Source: US2013090347A The present invention relates to ethynyl derivatives of formula I wherein U, V, W, Y, R, R1, R2, R3 and R3' are as described herein. It has been found that the compounds of general formula I are allosteric modulators of the metabotropic glutamate receptor subtype 5 (**mGluR5**).

Classifications:

International (IPC 8-9): A61K31/44 A61K31/50 A61K31/505 A61K31/55 A61P25/00 A61P25/18 A61P25/28 A61P43/00 C07D C07D211/00 C07D211/34 C07D213/40 C07D213/74 C07D213/75 C07D237/02 C07D237/20 C07D237/22 C07D239/02 C07D239/42 (Advanced/Invention); A61P25/00 A61P25/18 (Advanced/Non-invention); C07D (Subclass/Invention)

CPC: C07D213/74 C07D237/22 C07D239/42 C07D213/75 C07D237/20

US: 514/247 514/275 514/352 544/224 544/332 546/312



Family:

Publication number	Publication date	Application number	Application date
AR088765 AA	20140702	AR2012P103715	20121005
AU2012320509 AA	20130411	AU20120320509	20121004
AU2012320509 AH	20140320	AU20120320509	20121004
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CL2014000818 A1	20140905	CL20140000818	20140403
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CO6900142 A2	20140320	CO20140043441	20140228
CR20140093 A	20140507	CR20140000093	20140226
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KR20140069303 A	20140609	KR20147011407	20121004
MX2014003636 A1	20140604	MX20140003636	20140326
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TW201321356 A	20130601	TW20120136751	20121004
TWI458710 B	20141101	TW20120136751	20121004
US2013090347 AA	20130411	US20120628128	20120927
US8530472 BB	20130910	US20120628128	20120927
WO13050460 A1	20130411	WO2012EP69605	20121004

Priority:
EP20110184257 20111007 WO2012EP69605 20121004 EP20120772100 20121004

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Assignee(s): HOFFMANN LA ROCHE INC ; F HOFFMANN LA ROCHE AG ; FHOFFMANN LA ROCHE AG

Inventor(s): (std): ANTONIO RICCI ; DANIEL RUEHER ; ERIC VIEIRA ; GEORG JAESCHKE ; HEINZ STADLER ; JAESCHKE GEORG ; LINDEMAN LOTHAR ; LINDEMANN LOTHAR ; LOTHAR LINDERMANN ; RICCI ANTONIO ; RUEHER DANIEL ; STADLER HEINZ ; VIEIRA ERIC ; VIERA ERIC

Inventor(s): LOTHAR LINDEMANN

Agent(s): POPPE REGINA

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