

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO	
RAD: 14-43417- -3	FECHA: 2015-07-28 13:52:12
DEP: 2020 DIRECCION DE NUEVAS CREACIONES	EVE: 378 FASE NACIONAL INCLUIDO CAPITULO I
TRA: 11 PCT-PATENTE DE INVENCION CAPITULOS I Y II	FOLIOS: 9
ACT: 519 TRASLADOINFORME	

DIRECCIÓN DE NUEVAS CREACIONES

2020
Bogotá, D.C.,

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

Asunto: Radicación: 14-43417- -3
 Trámite: 11
 Evento: 378
 Actuación: 519
 Oficio: 8921
 Folios: 9

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/US2012/052802				
Fecha de Presentación Internacional	29/08/2012				

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° 14-043417-00000-0000	28/Febrero/2014
Reivindicaciones:	Radicado N° 14-043417-00000-0000	28/Febrero/2014
	Radicado N° 14-043417-00002-0000	08/Septiembre/2014
Prioridad:	US 61/530,038	01/Septiembre/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

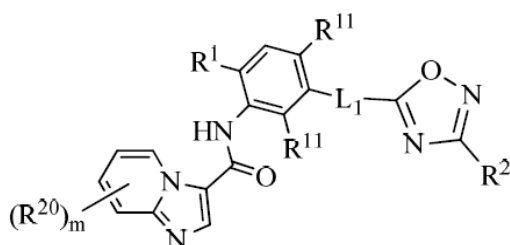
De acuerdo con lo establecido en el numeral 1.2.2.2 del capítulo primero del Título 10 de la Circular Única para efectos del examen de patentabilidad, se tendrá en cuenta la tasa pagada por la solicitante al momento de comenzar el examen para las reivindicaciones adicionales; esto es que cuando la solicitud 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 25 del capítulo reivindicatorio (Radicado N°. 14-043417-00002-0000).

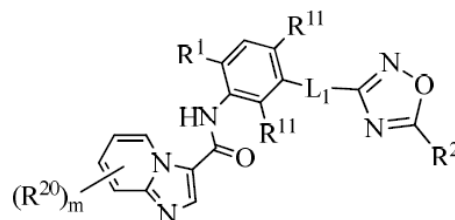
Título de la solicitud: “COMPUESTOS Y COMPOSICIONES COMO INHIBIDORES DE LA QUINASA C-KIT”

El problema planteado en esta solicitud consiste en la necesidad de proporcionar compuestos inhibidores para proteínas quinasas que puedan ser útiles en el tratamiento de una variedad de enfermedades y trastornos relacionados con la sobreexpresión o expresión inapropiada de las mismas.

Para resolver este problema técnico la solicitud en estudio proporciona compuestos y sales farmacéuticamente aceptables, que sean inhibidores de la quinasa c-kit, o inhibidores de las quinasas c- kit y PDGFR (PDGFR α y PDGFR β). Los compuestos proporcionados por la solicitud tienen una estructura según la formula (I) o formula (II).



Fórmula (I)



Fórmula (II)

El objeto de la presente solicitud se relaciona con la Clasificación Internacional de Patentes (CIP): A61K 31/437; A61P 11/00; A61P 17/00; A61P 29/00; A61P 3/00; C07D 471/04.

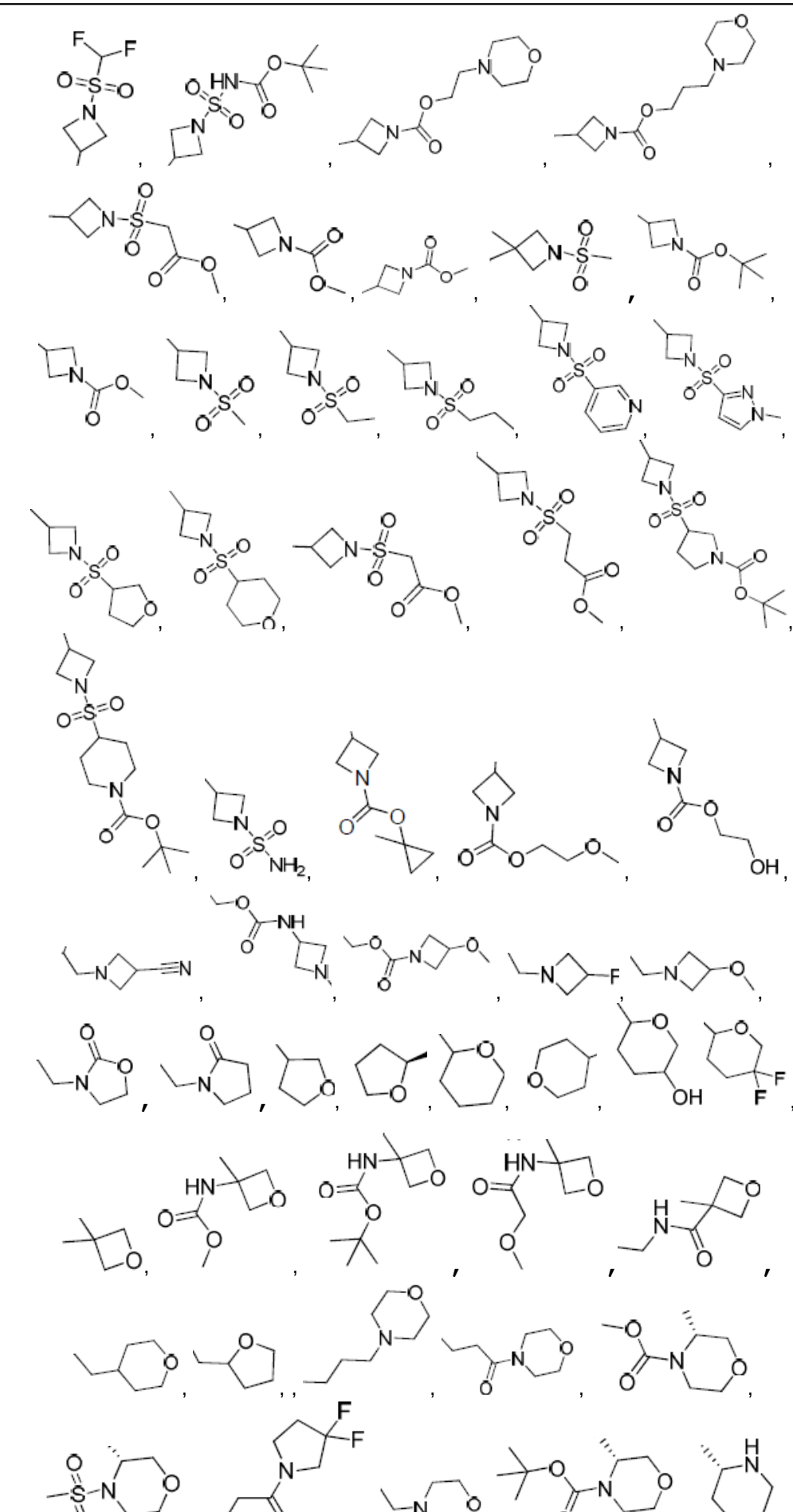
4. De la solicitud de patente

Reivindicaciones (Art 30 D 486, Art 22 PCT)

La reivindicación 25 no es clara ya que al tratarse de una composición farmacéutica sus características técnicas esenciales son sus ingredientes que deben estar adecuadamente caracterizados, por lo se sugiere definirlos por medio de su nombre IUPAC, Denominación común internacional o estructura, y no de acuerdo a su función o actividad dada por términos como “vehículo farmacéuticamente aceptable”.

La reivindicación 1 no es concisa porque las definiciones de los sustituyentes R¹, R², R²⁰, R¹¹ y L son muy generales puesto que corresponden a grupos funcionales, y dan 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 ¹	-CH ₃ , -F
R ²	

Sustituciones	Soporte de los ejemplos presentados
	

Sustituciones	Soporte de los ejemplos presentados
R ¹¹	-H
R ²⁰	-H, -CF₃, -F, -D, -(CH₂)₂C(CH₃)₂OH, -CH₂OCH₂CF₃, OCH₃, CH₃, -CH₂OCH₂CHF₂, -CH₂O(CH₂)₂F,
L ₁	Enlace, -NH

La reivindicación 1 no está sustentada en la descripción porque los compuestos en los que R^1 es diferente a flúor o metilo, R^{11} es diferente de hidrógeno, y L1 es diferente de un enlace o de un grupo NH (es decir, cuando L1 es $-C(O)NH-$), no se encuentran ejemplificados, por lo tanto no existe indicación de que compartan la actividad como inhibidores de las quinasas c-kit y PDGFR, demostrada por los compuestos ejemplificados y ensayados. Puesto que estas características, estructurales son comunes a todos los compuestos ensayados, se considera que son esenciales para los compuestos reclamados, por lo que se sugiere a la solicitante que restrinja la solicitud a dichos sustituyentes.

La reivindicación 25 no está soportada en la descripción, puesto que no muestra un desarrollo de composiciones farmacéuticas de acuerdo a lo reclamado en dicha reivindicación.

Descripción (Art 28 D 486)

La descripción no soporta adecuadamente el alcance dado por el capítulo 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^1 es diferente a flúor o metilo, R^{11} es diferente de hidrógeno, y L1 es diferente de un enlace o de un grupo NH (es decir, cuando L1 es $-C(O)NH-$), por lo tanto no existe evidencia de que compartan la actividad farmacológica de aquellos que han sido ensayados.

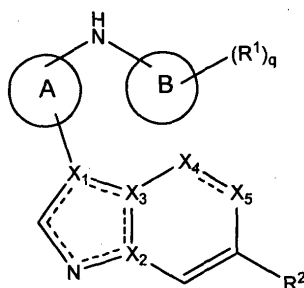
La descripción no presenta una divulgación suficiente para dar sustento al capítulo reivindicatorio, ya que no existen ejemplos de composiciones farmacéuticas que comprenden los compuestos reclamados.

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: 01 de septiembre de 2011 y se encontraron los siguientes documentos que anticipan el objeto de esta solicitud:

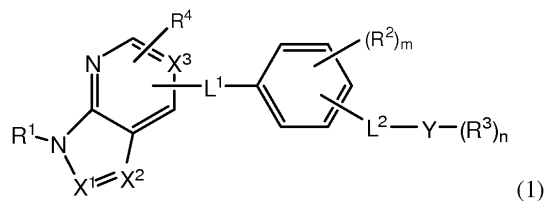
Ítem	Documento	Título	Fecha de Publicación
D1	WO2008078100	New compounds	03/Julio/2008
D2	WO2008144253	Protein kinase inhibitors and methods for using thereof	27/Noviembre/2008

El documento D1¹ divulga derivados bicíclicos heterocíclicos de formula (I), composiciones que los comprenden y a su uso en el tratamiento de enfermedades como cáncer (Resumen).



¹http://worldwide.espacenet.com/publicationDetails/originalDocument?CC=WO&NR=2008078100A2&KC=A2&FT=D&ND=&date=20080703&DB=&&locale=en_EP

El documento D2² divulga compuestos y composiciones farmacéuticas de los mismos, útiles como inhibidores de proteínas quinasas. Los compuestos divulgados en este documento corresponden a la formula (I). (Párr. [0004]- [0006]).



6. Examen de Patentabilidad (Art 14 D486)

Nivel inventivo (Art 18 D486)

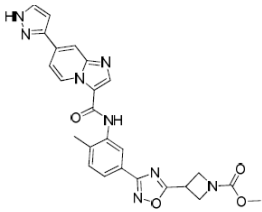
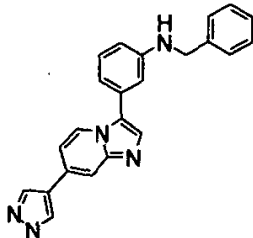
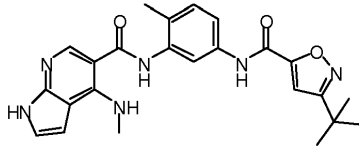
La reivindicación 1 consiste en: “Un compuesto de fórmula (I) o fórmula (II) o una sal farmacéuticamente aceptable del mismo, en donde (...)” (Radicado N°. 14-043417-00002-00000).

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

Características esenciales	D1	D2
Un compuesto de fórmula I o fórmula II Formula (I) Formula (II) O una sal farmacéuticamente aceptable del mismo, en donde	Un compuesto de formula (Ic) (Ic) L es C o N (Pág. 33) B representa un grupo -V - carbocíclico o un grupo -W - heterociclilo en el que dichos grupos carbocíclicos y heterociclilo pueden estar opcionalmente sustituidos por uno o más (por ejemplo 1, 2 o 3) grupos R^a	Un compuesto de fórmula (I): (1) O una sal farmacéuticamente aceptable del mismo, en donde L¹ y L² son independientemente NRCO o CONR Y es arilo o heteroarilo de 5 a 7 miembros que contiene N, O o S (Pág. 72, Reivindicación 1)
m es 1 y: R²⁰ se selecciona de H, halo, alquiloC₁-C₆; haloalquiloC₁-C₆, haloalcoxiloC₁-C₆ deuterio, C₁- C₆alquilo deuterado, - CN, - (CR⁹)_nOR⁴, -C(O)R⁴, - (CR⁹)_nC(=O)OR⁴, R¹⁰, - (CR⁹)_nR¹⁰, - ((CR⁹)_nO),R⁴, - (CR⁹)_nO(CR⁹)_nR⁷, - (CR⁹)_nC(=O)R⁴, - C(=O)N(R⁴)₂, - OR⁴, y - (CR⁹)_nCN; ó m es 4 y R²⁰ es deuterio	R² representa halógeno, H, alquiloC₁-C₆, alcoxiloC₁-C₆, -alqueniloC₂-C₆, alquíniloC₂-C₆, -CN, cicloalquiloC₃-C₈, cicloalquenilo C₃-C₈, -NHSO₂R^w; -CH=N-OR^w, un grupo arilo, o heterociclilo.	R⁴ es H, halo, NR⁶R⁷, NR⁵(CR²)_pNR⁶R⁷, NR⁵CONR⁶R⁷ o NRCO₂R⁶R² es halo, o un grupo alquiloC₁-C₆ opcionalmente halogenado
R¹ se selecciona de alquiloC₁-C₆ y halo	R^a se selecciona de un grupo que comprende halógeno y alquiloC₁₋₆	R² es halo, o un grupo alquiloC₁-C₆ opcionalmente halogenado
R² es R³ o L₂R³, Donde R³ se	R¹ es R^a o -Y-arilo, o -Z-heterociclilo los cuales pueden	(R³)_n donde R³ es halo, alquilo C₁₋₆ opcionalmente halogenado,

2[http://worldwide.espacenet.com/publicationDetails/originalDocument?](http://worldwide.espacenet.com/publicationDetails/originalDocument?CC=WO&NR=2008144253A1&KC=A1&FT=D&ND=&date=20081127&DB=&&locale=en_EP)

CC=WO&NR=2008144253A1&KC=A1&FT=D&ND=&date=20081127&DB=&&locale=en_EP

Características esenciales	D1	D2
selecciona a partir de un heterocicloalquilo no sustituido de 4- 6 miembros con 1- 2 heteroátomos independientemente seleccionados a partir de N, O y S, una piperidinona, una oxazolidin- 2- ona, pirrolidinona, una pirrolidin- 2- ona y un heterocicloalquilo sustituido de 4- 6 miembros con 1- 2 heteroátomos independientemente seleccionados a partir de N, O y S	estar opcionalmente sustituidos por uno o más (por ejemplo 1, 2 o 3) grupos R ^a Y y Z representan independientemente un enlace – CO-, -CH ₂ -, -CH ₂ J ₂ -, -CH ₂ J ₃ -, -O –(CH ₂) _s - o –NH-(CH ₂).	$(CR_2)_qR^8$ o $O(CR_2)_qR^9$
Cada R ¹¹ se selecciona a partir de H, halo y C ₁ - C ₆ alquilo	R ^a representa halógeno, alquilo C ₁₋₆ , alquenilo C ₂₋₆ , alquinilo C ₂₋₆ , cicloalquiloC ₃₋₈ , cicloalqueniloC ₃₋₈ , -OR ^x , -(CH ₂) _n -O-C ₁₋₆ alquilo, -O-(CH ₂) _n -OR ^x , halo C ₁₋₆ alquilo, halo C ₁₋₆ alcoxilo, C ₁₋₆ alcohol, =O, =S, nitro, Si(R ^x) ₄ , -(CH ₂) _s -CN , -S-R ^x , -SO-R ^x , -SO ₂ -R ^x , -COR ^x , -(CR ^x R ^y) _s -COOR ^z , -(CH ₂) _s -CONR ^x R ^y , -(CH ₂) _s -NR ^x R ^y , -(CH ₂) _s -NR ^x COR ^y , -(CH ₂) _s -NR ^x SO ₂ -R ^y , -(CH ₂) _s -NH-SO ₂ -NR ^x R ^y , -OCONR ^x R ^y , -(CH ₂) _s - NR ^x CO ₂ R ^y , -O-(CH ₂) _s -CR ^x R ^y - (CH ₂) _s , -OR ² o -(CH ₂) _s - SO ₂ NR ^x R ^y grupo	R ² es halo, o un grupo alquiloC ₁ - C ₆ opcionalmente halogenado
L ₁ es un enlace, -NH-, ó – C(O)NH-	-NH-	L ² es NRCO o CONR
Comparación de ejemplos específicos		
 (Pág. 199, Compuesto F68)	 (Pág. 147, Ej. 42)	 (Pág. 44, Ej. 36)

El documento D1 se considera el estado de la técnica cercano a la solicitud definida en la reivindicación 1 porque divulga compuestos bicíclicos y composiciones que los comprenden, concretamente, el documento divulga compuestos derivados de anillos de imidazo[1,2-a]piridina (Pág. 33, fórmula Ic) útiles como inhibidores o moduladores de la actividad de ciertas tirosinas quinasas, entre ellas PDGFR (Pág. 66, líneas 15-24).

La diferencia entre la solicitud, definida en la reivindicación 1 y los compuestos divulgados en D1 consiste en que los compuestos de la solicitud presentan un grupo amida como enlazante entre el anillo de imidazo[1,2-a]piridina y el grupo fenilo, mientras que en los compuestos divulgados por el documento D1 dichos anillos se encuentran unidos directamente por un enlace.

No se evidencia un efecto técnico asociado a la introducción del grupo amida como enlazante entre el anillo de imidazo[1,2-a]piridina y el grupo fenilo presente en los compuestos reclamados, puesto que la solicitud presentan valores de IC₅₀ contra c-kit, mientras que el documento D1 únicamente muestra valores para la inhibición sobre

PDGFR, de esta forma, al no encontrarse valores comparables, no es posible establecer si existe o no un efecto técnico asociado a la diferencia encontrada.

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 actividad inhibitoria sobre c-kit y PDGFR.

Sin embargo, inhibidores de quinasas tales como PDGFR y c-kit que comprenden anillos bicilicos de imidazol[1,2-a]piridina y grupos fenilo unidos mediante un grupo amida, se encuentra divulgada en el documento D2, que se refiere a compuestos de formula (I) (Párr. [0004]- [0006]) útiles como inhibidores de kinasas, entre las que se encuentra PDGFR (Párr. [0016]).

En consecuencia, la persona del oficio normalmente versada en la materia, incluiría enlazantes tipo amida entre anillos de imidazol[1,2-a]piridina y grupos fenilo de acuerdo a lo divulgado en D2, en compuestos inhibidores de PDGFR tales como los mostrados en el documento D1, para llegar así al objeto de la reivindicación 1 de la solicitud. Por lo cual la materia reclamada se considera obvia.

Puesto que las reivindicaciones 2-25 no mencionan características inventivas adicionales tampoco se pueden considerar inventivas.

Conclusión:

Las reivindicaciones 1-25 cumplen el requisito de novedad (Art. 16), pero no cumplen 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	WO2008078100	1-25	Nivel inventivo
D2	WO2008144253	1-25	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 EL RADICADO 14-043417-00002-0000						
CRITERIOS PARA LA BÚSQUEDA						
Palabras clave utilizadas		(c-kit OR PDGFR) inhibitors				
CLASIFICACIONES INTERNACIONALES UTILIZADAS PARA LA BÚSQUEDA		C07D 498/04				
BASES DE DATOS CONSULTADAS.						
Google patents		Espacenet		Patbase		ScienceDirect
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	04/03/14	WO2008058037				X
		US2007072862				X
		Database Registry, Chemical abstracts service, 14 March 2010, Database accession no. 1209616-10-3				X
USPTO	27/06/2013	US2007072862				X
		WO2008058037				X
DOCUMENTOS ADICIONALES ENCONTRADOS POR EL EXAMINADOR DE ACUERDO A LOS CRITERIOS DE BÚSQUEDA.						
WO2008078100						
WO2008144253						
WO2011079076						
Discovery of new quinoline ether inhibitors with high affinity and selectivity for PDGFR tyrosine kinases. P. A. Plé et al. / Bioorg. Med. Chem. Lett. 22 (2012) 3050–3055						
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	
N/A						

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Publication title

☐ Biochemical and Biophysical Research Communicat... (8,633)
☐ FEBS Letters (4,031)
☐ European Journal of Pharmacology (3,713)
☐ Gastroenterology (3,466)
☐ Biochemical Pharmacology (3,373)
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☐ Journal (208,373)
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Discovery of new quinoline ether inhibitors with high affinity and selectivity for PDGFR tyrosine kinases

Bioorganic & Medicinal Chemistry Letters, Volume 22, Issue 9, 1 May 2012, Pages 3050-3055

Patrick A. Pié, Frédéric Jung, Sue Ashton, Laurent Hennequin, Romuald Laine, Christine Lambert-van der Brempt, Rémy Morgentin, Georges Pasquet, Sian Taylor

AbstractGraphical abstractPDF (715 K)

Efficacy and safety of imatinib mesylate (Gleevec®) and immunohistochemical expression of c-Kit and PDGFR-β in a Gynecologic Oncology Group Phase II Trial in women with recurrent or persistent carcinosarcomas of the uterus

Original Research Article
Gynecologic Oncology, Volume 117, Issue 2, May 2010, Pages 248-254

Warner K. Huh, Michael W. Sill, Kathleen M. Darcy, Kevin M. Elias, James S. Hoffman, John F. Boggess, Ronald D. Alvarez, Harry J. Long, David M. O'Malley, Michael J. Birrer

AbstractPDF (263 K)Supplementary content

Discovery of benzimidazole derivatives as novel multi-target EGFR, VEGFR-2 and PDGFR kinase inhibitors

Original Research Article
Bioorganic & Medicinal Chemistry, Volume 19, Issue 15, 1 August 2011, Pages 4529-4535

Yunqi Li, Chunyan Tan, Chunmei Gao, Cunlong Zhang, Xudong Luan, Xiaowu Chen, Hongxia Liu, Yuzong Chen, Yuyang Jiang

AbstractGraphical abstractPDF (707 K)Supplementary content

Tyrosine kinase inhibitors: New class of antimalarials on the horizon?

Original Research Article
Blood Cells, Molecules, and Diseases, Volume 55, Issue 2, August 2015, Pages 119-126

Vrushali Pathak, Roshan Colah, Kanjaksha Ghosh

AbstractPDF (963 K)

Bortezomib enhances the therapeutic efficacy of dasatinib by promoting c-KIT internalization-induced apoptosis in gastrointestinal stromal tumor cells

Original Research Article
Cancer Letters, Volume 361, Issue 1, 28 May 2015, Pages 137-146

Ying Dong, Chao Liang, Bo Zhang, Jianjuan Ma, Xuexin He, Siyu Chen, Xianning Zhang, Wei Chen

AbstractResearch highlightsPDF (3519 K)Supplementary content

Novel thiazole amine class tyrosine kinase inhibitors induce apoptosis in human mast cells expressing D816V KIT mutation

Original Research Article
Cancer Letters, Volume 353, Issue 1, 10 October 2014, Pages 115-123

Yanli Jin, Ke Ding, Deping Wang, Mengjie Shen, Jingxuan Pan

AbstractResearch highlightsPDF (2287 K)

Management of dose variability and side effects for individualized cancer pharmacotherapy with tyrosine kinase inhibitors

Review Article
Pharmacology & Therapeutics, Volume 162, August 2015, Pages 125-134

Toshiaki Tada, Satoshi Matsuoka, Takahiro...

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C-kit or PDGFR inhibitors



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Compounds and compositions as c-kit and pdgfr kinase ...

image
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available

www.google.com.co/patents/WO2008137794A1?cl=en

App. - Filed 2 May 2008 - Published 13 Nov 2008 - Xiaolin Li - Irm Llc

Compounds and compositions as c-kit and pdgfr kinase inhibitors. WO 2008137794 A1. Abstract. The invention provides a novel class of compounds, ...

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Compounds and compositions as c-kit and pdgfr kinase ...

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www.google.com.co/patents/WO2008137770A1?cl=en

App. - Filed 2 May 2008 - Published 13 Nov 2008 - Xiaolin Li - Irm Llc

Compounds and compositions as c-kit and pdgfr kinase inhibitors The method of claim 9, wherein said kinase is selected from c-kit, PDGFR α , PDGFR β , Lyn, ...

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Compounds and compositions as c-kit and pdgfr kinase ...

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www.google.com.co/patents/EP2152688A1?cl=en

App. - Filed 2 May 2008 - Published 17 Feb 2010 - Werner Breitenstein - Irm Llc

Compounds and compositions as c-kit and pdgfr kinase inhibitors Such compounds can be chosen from c-kit inhibitors and more particularly nontoxic, ...

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Compounds and compositions as c-kit and pdgfr kinase ...

image
not
available

www.google.com.co/patents/US20100234406

App. - Filed 2 May 2008 - Published 16 Sep 2010 - Xiaolin Li - Irm Llc

Compounds and compositions as c-kit and pdgfr kinase inhibitors. US 20100234406 A1. Abstract. The invention provides a novel class of compounds, ...

Ruth Rodriguez

Search history

- Search 1: TAC=(mGluR5 AND pyridine) (Results 119)
- Search 2: TAC=(mGluR5 AND pyridine) and CPC=(C07D213/74) (Results 5)
- Search 3: TAC=(mGluR5 AND pyridine) and CPC=(C07D237/22) (Results 0)
- Search 4: TAC=(mGluR5) and CPC=(C07D213/74) (Results 13)
- Search 5: TAC=(mGluR5) and CPC=(C07D237/22) (Results 1)
- Search 6: TAC=(mGluR5) and CPC=(C07D239/42) (Results 7)
- Search 7: [SP]: 1. a compound of formula i [ftimg=31947663] wherein y is n or ch with the proviso that y can only be ch, if at least one of u, v or w are n u is n or c-r4 v and w are independently n or ch with the proviso that only one of u, v or w can be simultaneously nitrogen r4 is hydrogen, methyl or halogen r1 is phenyl or heteroaryl, which are optionally substituted by halogen, lower alkyl or lower alkoxy r is hydrogen or lower alkyl r2 is hydrogen, lower alkyl, lower alkoxy, cf3 or s-lower alkyl r3/r3' are, independently from each other, hydrogen, lower alkyl or lower alkoxy or r3 and r3' form together a c3-5-cycloalkyl-, tetrahydrofuran- or an oxetane-ring or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof. 2. the compound of claim 1 having the formula [ftimg=31947664] wherein y is n u is -ch- or n v and w are independently n or ch with the proviso that only one of u, v or w can be simultaneously nitrogen r1 is phenyl, which is optionally substituted by halogen r is hydrogen or lower alkyl r2 is lower alkyl, lower alkoxy, cf3 or s-lower alkyl r3/r3' are independently from each other hydrogen or lower alkyl or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof. 3. a compound of claim 2, selected from the group consisting of 2,2-dimethyl-n-(5-phenylethynyl-pyridin-2-yl)-propionamide, n-(5-phenylethynyl-pyridin-2-yl)-butyramide, pentanoic acid (5-phenylethynyl-pyridin-2-yl)-amide, 3-methyl-n-(5-phenylethynyl-pyridin-2-yl)-butyramide, (rs)-2-methyl-pentanoic acid (5-phenylethynyl-pyridin-2-yl)-amide, 2-methylsulfanyl-n-(5-phenylethynyl-pyridin-2-yl)-acetamide, 2,2-dimethyl-n-(5-phenylethynyl-pyrimidin-2-yl)-propionamide, 2,2,n-trimethyl-n-(5-phenylethynyl-pyrimidin-2-yl)-propionamide, 2,2-dimethyl-n-(6-phenylethynyl-pyridazin-3-yl)-propionamide, 2-methoxy-n-(5-phenylethynyl-pyridin-2-yl)-acetamide, n-[5-(3-fluoro-phenylethynyl)-pyridin-2-yl]-2,2-dimethyl-propionamide, 2-methoxy-2-methyl-n-(5-phenylethynyl-pyridin-2-yl)-propionamide, n-[5-(3-fluoro-phenylethynyl)-pyridin-2-yl]-2-methoxy-2-methyl-propionamide, n-[5-(2,5-difluoro-phenylethynyl)-pyridin-2-yl]-2-methoxy-2-methyl-propionamide, n-[5-(3-chloro-phenylethynyl)-pyridin-2-yl]-3,3,3-trifluoro-2,2-dimethyl-propionamide and n-[6-(3-chloro-phenylethynyl)-pyridazin-3-yl]-2,2-dimethyl-propionamide. 4. the compound of claim 1 having the formula [ftimg=31947665] wherein r1 is phenyl or heteroaryl, which are optionally substituted by halogen, lower alkyl or lower alkoxy r is hydrogen or lower alkyl r2 is hydrogen, lower alkyl, lower alkoxy, cf3 or s-lower alkyl r3/r3' are, independently from each other, hydrogen, lower alkyl or lower alkoxy or r3 and r3' form together a c3-5-cycloalkyl-, tetrahydrofuran- or an oxetane-ring or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof. 5. a compound of claim 4 selected from the group consisting of 2,2-dimethyl-n-(5-phenylethynyl-pyridin-2-yl)-propionamide, n-(5-phenylethynyl-pyridin-2-yl)-butyramide, pentanoic acid (5-phenylethynyl-pyridin-2-yl)-amide, 3-methyl-n-(5-phenylethynyl-pyridin-2-yl)-butyramide, (rs)-2-methyl-pentanoic acid (5-phenylethynyl-pyridin-2-yl)-amide, 2-methylsulfanyl-n-(5-phenylethynyl-pyridin-2-yl)-acetamide, 2-methoxy-n-(5-phenylethynyl-pyridin-2-yl)-acetamide, n-[5-(3-fluoro-phenylethynyl)-pyridin-2-yl]-2,2-dimethyl-propionamide, 2-methoxy-2-methyl-n-(5-phenylethynyl-pyridin-2-yl)-propionamide, n-[5-(3-fluoro-phenylethynyl)-pyridin-2-yl]-2-methoxy-2-methyl-propionamide, n-[5-(2,5-difluoro-phenylethynyl)-pyridin-2-yl]-2-methoxy-2-methyl-propionamide and n-[5-(3-chloro-phenylethynyl)-pyridin-2-yl]-3,3,3-trifluoro-2,2-dimethyl-propionamide. 6. the compound of claim 1 having the formula [ftimg=31947666] wherein r1 is phenyl or heteroaryl, which are optionally substituted by halogen, lower alkyl or lower alkoxy r is hydrogen or lower alkyl r2 is hydrogen, lower alkyl, lower alkoxy, cf3 or s-lower alkyl r3/r3' are, independently from each other, hydrogen, lower alkyl or lower alkoxy or r3 and r3' form together a c3-5-cycloalkyl-, tetrahydrofuran- or an oxetane-ring or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof. 7. a compound of claim 6 selected from 2,2-dimethyl-n-(5-phenylethynyl-pyrimidin-2-yl)-propionamide or 2,2,n-trimethyl-n-(5-phenylethynyl-pyrimidin-2-yl)-propionamide. 8. the compound of claim 1 having the formula [ftimg=31947667] wherein r1 is phenyl or heteroaryl, which are optionally substituted by halogen, lower alkyl or lower alkoxy r is hydrogen or lower alkyl r2 is hydrogen, lower alkyl, lower alkoxy, cf3 or s-lower alkyl r3/r3' are independently from each other hydrogen, lower alkyl or lower alkoxy or r3 and r3' form together a c3-5-cycloalkyl-, tetrahydrofuran- or an oxetane-ring or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof. 9. a compound of claim 8, selected from 2,2-dimethyl-n-(6-phenylethynyl-pyridazin-3-yl)-propionamide or n-[6-(3-chloro-phenylethynyl)-pyridazin-3-yl]-2,2-dimethyl-propionamide. 10. the compound of claim 1 having the formula [ftimg=31947668] wherein r1 is phenyl or heteroaryl, which are optionally substituted by halogen, lower alkyl or lower alkoxy r is hydrogen or lower alkyl r2 is hydrogen, lower alkyl, lower alkoxy, cf3 or s-lower alkyl r3/r3' are independently from each other hydrogen, lower alkyl or lower alkoxy or r3 and r3' form together a c3-5-cycloalkyl-, tetrahydrofuran- or an oxetane-ring or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof. 11. the compound of claim 1 having the formula [ftimg=31947669] wherein r1 is phenyl or heteroaryl, which are optionally substituted by halogen, lower alkyl or lower alkoxy r is hydrogen or lower alkyl r2 is hydrogen, lower alkyl, lower alkoxy, cf3 or s-lower alkyl r3/r3' are independently from each other hydrogen, lower alkyl or lower alkoxy or r3 and r3' form together a c3-5-cycloalkyl-, tetrahydrofuran- or an oxetane-ring or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof. 12. a process for preparation of a compound of claim 1 comprising a) reacting a compound of formula [ftimg=31947670] with a suitable compound of formula [ftimg=31947671] to a compound of formula [ftimg=31947672] wherein the substituents are defined in claim 1, or if desired, converting the compounds obtained into pharmaceutically acceptable acid addition salts, or b) reacting a compound of formula [ftimg=31947673] with a suitable compound of formula [ftimg=31947674] to a compound of formula [ftimg=31947675] wherein the substituents are defined in claim 1, or if desired, converting the compounds obtained into pharmaceutically acceptable acid addition salts, or c) reacting a compound of formula [ftimg=31947676] with a suitable compound of formula [ftimg=31947677] to a compound of formula [ftimg=31947678] wherein the substituents are defined in claim 1, or d) reacting a compound of formula [ftimg=31947679] with a suitable compound of formula r-hal to a compound of formula [ftimg=31947680] wherein r is alkyl and hal is halogen and wherein the other substituents are defined in claim 1, or if desired, converting the compounds obtained into pharmaceutically acceptable acid addition salts. 13. a pharmaceutical composition comprising a compound of claim 1 together with at least one therapeutically inert carrier. (Results 99)
- Search 8: (7) AND PD=(2005 OR 2007 OR 2003 OR 2006 OR 2004 OR 2008 OR 2011 OR 2002 OR 2009 OR 2000 OR 2001 OR 2010) (Results 83)
- Search 9: (8) AND IPC=(C07) (Results 83)
- Search 10: fn=(42647780 or 42647780 or 32886770 or 32849686 or 32886770 or 33397783 or 32090793 or 42647780 or 44320998) (Results 6)
- Search 11: TAC=(c-kit OR PDGFR) and CPC=(C07D498/04) (Results 8)

Title: NUCLEIC AND MELTING ANALYSIS WITH SATURATION DYES

Abstract: Source: US2005233335A Methods are provided for nucleic acid analysis wherein a target nucleic acid is mixed with a dsDNA binding dye to form a mixture. Optionally, an unlabeled probe is included in the mixture. A melting curve is generated for the target nucleic acid by measuring fluorescence from the dsDNA binding dye as the mixture is heated. Dyes for use in nucleic acid analysis and methods for making dyes are also provided.

Classifications:

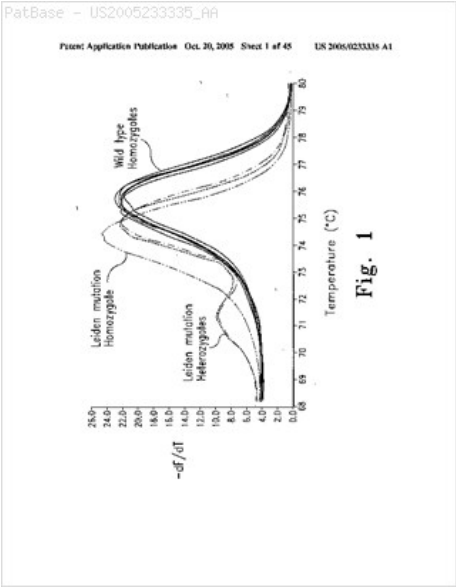
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International (IPC 1-7): C07D43/02 C12Q1/68

CPC: C07D413/06 C07D417/06 C07D471/04 C07D473/12 C07D498/04 C07D513/04 C12Q1/6827 Y10S435/975 C12Q1/6851 C09B23/04 C12Q1/6813 C12Q1/6883 C12Q2600/156

European: C07D413/06 C07D417/06 C07D417/14 C07D471/04 C07D473/12 C07D498/04 C07D513/04 C09B23/00D C09B23/04 C12Q1/68B C12Q1/68B6 C12Q1/68D2C

US: 435/6 435/6.1 435/6.100S 435/6.11 435/6.110P 435/6.12 435/6.120P 435/6.18 435/6.180P 435/6P 435/91.1 435/91.2 435/975 536/23.1 544/242 544/245 544/276 544/276S 544/277 544/277S 544/295 544/295S 544/296 544/296S 544/333 544/333S 544/334 548/100 548/152



Family:

Publication number	Publication date	Application number	Application date
AU2005329731 AA	20061116	AU20050329731	20050420
AU2005329731 AH	20090305	AU20050329731	20050420
AU2005329731 BB	20110203	AU20050329731	20050420
AU2005329731 BH	20110210	AU20050329731	20050420
AU2011202040 AA	20110526	AU20110202040	20110503
AU2011202040 BB	20120726	AU20110202040	20110503
CA2562780 AA	20051020	CA20052562780	20050420
CA2562780 C	20130219	CA20052562780	20050420
CA2795339 AA	20051020	CA20052795339	20050420
CN101198706 A	20080611	CN200580019704	20050420
CN101198706 B	20111026	CN200580019704	20050420
CN102367477 A	20120307	CN201110321820	20050420
CN102367477 B	20150415	CN201110321820	20050420
EP1774047 A2	20070418	EP20050857791	20050420
EP1774047 A4	20100303	EP20050857791	20050420
EP1774047 B1	20141008	EP20050857791	20050420
EP2574678 A1	20130403	EP20120178723	20050420
EP2574678 B1	20140910	EP20120178723	20050420
EP2826867 A1	20150121	EP20140182030	20050420
ES2525673 T3	20141229	ES20120178723T	20050420
ES2527446 T3	20150123	ES20050857791T	20050420
JP2008510698 T2	20080410	JP20070527236T	20050420
JP5507047 B2	20140528	JP20070527236T	20050420
US2005233335 AA	20051020	US20040827890	20040420
US2007020672 AA	20070125	US20060485851	20060713
US2009117553 AA	20090507	US20070931174	20071031
US2014370507 AA	20141218	US20140462904	20140819
US7387887 BB	20080617	US20040827890	20040420
US7456281 BB	20081125	US20060485851	20060713
WO06121423 A2	20061116	WO2005US13388	20050420
WO06121423 A3	20071122	WO2005US13388	20050420

Priority:

US20040827890 20040420	WO2005US13388 20050420	AU20050329731 20050420
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Probable Assignee: BIOFIRE DIAGNOSTICS INC

Assignee(s): (std): BIOFIRE DEFENSE LLC ; BIOFIRE DIAGNOSTICS INC ; DUJOLS VIRGINIE E ; HOLDEN JOSEPH A ; IDAHO TECHNOLOGY INC ; UNIV UTAH RES FOUND ; WITTMER CARL T ; WILLMORE PAYNE CARLYNN ; ZHOU LUMING ; IDAHO TECHNOLOGY CO LTD

Assignee(s): OF UTAH UNIV ; IDAHO TECHNOLOGY CO ; OF UTAH RESEARCH FOUNDATION UNIV ; UNIVERSITY OF UTAH RESEARCH FOUNDATION ; ATHYRIUM OPPORTUNITIES FUND A LP ; BIOFIRE DEFENCE LLC ; UTAH RESEARCH FOUNDATION UNIV

Inventor(s): (std): DUJOLS VIRGINIE ; DUJOLS VIRGINIE E ; HOLDEN JOSEPH A ; HOLDEN JOSPEH ; WILLMORE PAYNE CARLYNN ; WITTMER CARL ; WITTMER CARL T ; ZHOU LUMING E ; LUMING ZHOU ; DUJOLS VIRGINIE E ; CARLYNN WILLMORE PAYNE

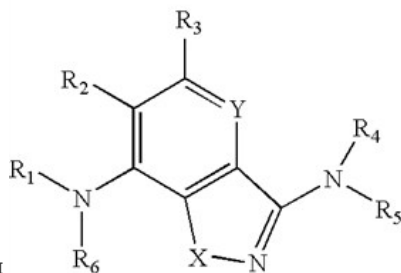
Inventor(s): JOSEPH A HOLDEN ; VIRGINIE E DUJOLS ; HOLDEN JOSEPH ; CARL T WITTMER

Agent(s): ELSY DAVID ; ICE MILLER ; ICE MILLER LLP ; FAUCETT III HOMER W ; GRIDLEY DOREEN J ; DOREEN J ; HOMER W ; SWIFT MICHAEL A ; MICHAEL A

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 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 SM SN SY SZ TD TG TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW

Title: DI-AMINO-SUBSTITUTED HETEROCYCLIC COMPOUNDS AND METHODS OF USE

Abstract: Source: US2008318946A The present invention comprises a new class of compounds capable of modulating the **c-kit** receptor and, accordingly, useful for treatment of **c-kit** mediated diseases, including various fibrotic and mast cell related diseases such as mastocytosis. The



compounds have a general Formula I wherein R^{1-6} , X and Y are defined herein. The invention further comprises pharmaceutical compositions, methods for treatment of **c-kit** mediated diseases, and intermediates and processes useful for the preparation of compounds of the invention.

Classifications:

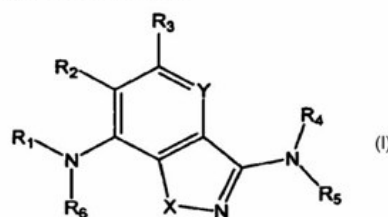
International (IPC 8-9): A61K31/42 A61K31/422 A61K31/423 A61K31/506 A61K31/5377 A61P11/00 A61P35/00 A61P37/00 A61P37/02 C07D261/20 C07D413/12 C07D413/14 C07D498/04 (Advanced/Invention); A61K31/42 A61K31/422 A61P37/00 C07D261/00 C07D413/00 C07D498/00 (Core/Invention)

CPC: C07D261/20 C07D413/12 C07D413/14 C07D498/04

European: C07D261/20 C07D413/12 C07D413/14 C07D498/04

US: 514/233.8 514/233.800P 514/275 514/275S 544/124 544/124S 544/331 544/331S

PatBase - US2008318946_AH



Family:

Publication number	Publication date	Application number	Application date
AU2007275683 AA	20080124	AU20070275683	20070717
AU2007275683 BB	20120510	AU20070275683	20070717
CA2658190 AA	20090119	CA20072658190	20070717
CA2658190 C	20130129	CA20072658190	20070717
EP2057133 A2	20090513	EP20070810613	20070717
EP2057133 B1	20140820	EP20070810613	20070717
US2008318946 AA	20081225	US20070879832	20070718
US8163742 BB	20120424	US20070879832	20070718
WO08011110 A2	20080124	WO2007US16383	20070717
WO08011110 A3	20080306	WO2007US16383	20070717

Priority:

US20060832307P 20060720 WO2007US16383 20070717 US20070879832 20070718

Probable Assignee: AMGEN INC

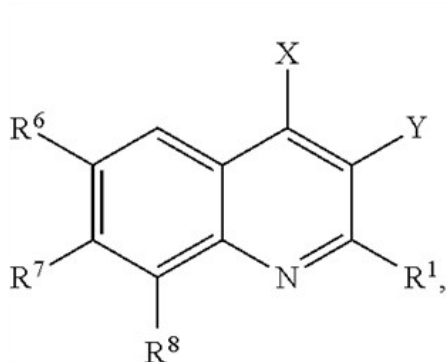
Assignee(s): (std): AMGEN INC ; CHEN NING ; HU ESSA

Inventor(s): (std): HU ESSA ; CHEN NING

Inventor(s): ESSA HU ; NING CHEN

Agent(s): GRUENECKER KINKELDEY STOCKMAIR AND SCHWANHAUESSER ANWALTSSOZIETAET; GRUENECKER KINKELDEY STOCKMAIR AND SCHWANHAUESSER; AMGEN INC; G PRABHAKAR; REDDY G PRABHAKAR

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 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: PHOSPHATIDYLINOSITOL 3 KINASE INHIBITORS**Abstract:** Source: US2011212053A Provided are compounds according to Formula (I):

(I)

or stereoisomer, prodrug, polymorph, or

pharmaceutically acceptable salt forms thereof, wherein X, Y, R¹, R⁶, R⁷, and R⁸ are as defined, and which compounds are effective inhibitors of PI3-kinase and/or other medically and clinically relevant kinases. Also provided are pharmaceutical compositions and methods of using the compounds and compositions as PI3-kinase and kinase inhibitors. More particularly, the compounds of the invention provide treatments and therapeutics for human diseases regulated by, or associated with, the activity of, PI3-kinases and/or protein kinases, or mutant or variant forms thereof.

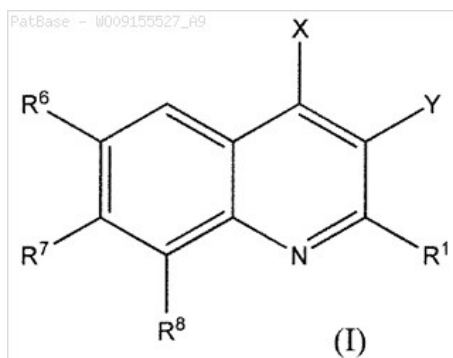
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CPC: A61K31/437 C07D471/04 C07D498/04 C07D513/04

European: A61K31/437 C07D471/04 C07D498/04 C07D513/04

US: 424/649 424/649S 424/85.1 424/85.100S 424/85.2 424/85.200P 424/94.1 424/94.100S 424/94.6 424/94.600S 435/375 435/375S 514/110 514/110S 514/180 514/180S 514/232.5 514/232.500S 514/232.8 514/232.800S 514/252.04 514/252.040S 514/253.03 514/253.030S 514/256 514/256S 514/293 514/293S 544/126 544/126S 544/238 544/238S 544/333 544/333S 544/361 544/361S 544/80 544/80S 546/82 546/82S 546/83 546/83S

**Family:**

Publication number	Publication date	Application number	Application date
EP2303890 A2	20110406	EP20090767836	20090619
EP2303890 A4	20120411	EP20090767836	20090619
US2011212053 AA	20110901	US20090737215	20090619
WO09155527 A2	20091223	WO2009US47970	20090619
WO09155527 A3	20100624	WO2009US47970	20090619
WO09155527 A9	20100506	WO2009US47970	20090619

Priority:

US20080073915P 20080619 WO2009US47970 20090619 US20090737215 20090619

Probable Assignee: PROGENICS PHARMACEUTICALS INC

Assignee(s): (std): HAMILTON MARK ; HAN AMY QI ; PROGENICS PHARM INC ; QI HAN AMY ; QIAN DAPENG ; WANG ERIC

Assignee(s): PROGENICS PHARMACEUTICALS INC

Inventor(s): (std): HAMILTON MARK ; HAN AMY QI ; QI HAN AMY ; QIAN DAPENG ; WANG ERIC

Agent(s): LUCAS BRIAN RONALD; HOFFMANN HANS PETER G

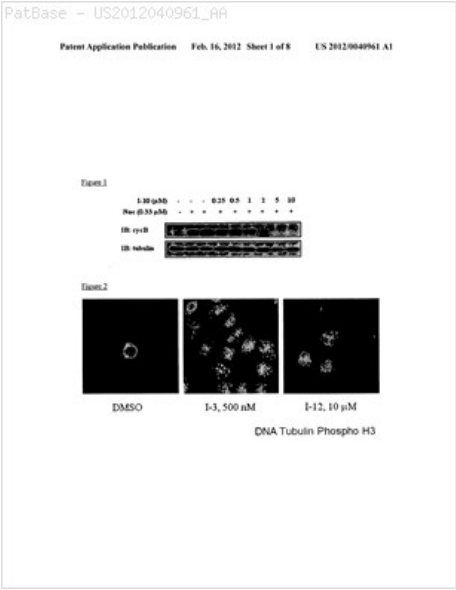
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Title: PYRIMIDO-DIAZEPINONE KINASE SCAFFOLD COMPOUNDS AND METHODS OF TREATING DISORDERS

Abstract: Source: US2012040961A The present invention relates to novel pyrimido-diazepinone compounds, methods of modulating protein kinases, including MPS1 (TTK), ERK5 (BMK1, MAPK7), polo kinase 1, 2, 3, or 4, Ack1, Ack2, Abi, DCAMKL1, ABL1, AbI mutants, DCAMKL2, ARK5, BRK, MKNK2, FGFR4, TNK1, PLK1, ULK2, PLK4, PRKD1, PRKD2, PRKD3, ROS 1, RPS6KA6, TAOK1, TAOK3, TNK2, Bcr-Abl, GAK, cSrc, TPR-Met, Tie2, MET, FGFR3, Aurora, AxiI, Bmx, BTK, **c-kit**, CHK2, Flt3, MST2, p70S6K, **PDGFR**, PKB, PKC, Raf, ROCK-H, Rsk1, SGK, TrkA, TrkB and TrkC, and the use of such compounds in the treatment of various diseases, disorders or conditions.

Classifications:

International (IPC 8-9): A61K31/4985 A61K31/519 A61K31/551 A61K31/553 A61K31/554 A61P1/00 A61P1/04 A61P1/16 A61P11/00 A61P11/06 A61P13/12 A61P17/00 A61P17/02 A61P17/06 A61P19/02 A61P19/04 A61P19/06 A61P19/08 A61P19/10 A61P25/00 A61P25/04 A61P25/14 A61P25/16 A61P25/28 A61P29/00 A61P31/00 A61P31/04 A61P31/12 A61P31/16 A61P31/18 A61P31/22 A61P33/06 A61P35/00 A61P35/02 A61P35/04 A61P37/06 A61P37/08 A61P43/00 A61P7/02 A61P9/00 A61P9/04 A61P9/10 A61P9/12 C07D471/14 C07D487/04 C07D487/12 C07D487/14 C07D491/14 C07D495/14 C07D498/04 C07D513/04 C12N5/02 (Advanced/Invention); A61K31/4985 A61P29/00 A61P35/00 C07D487/00 (Core/Invention) **CPC:** C07C2101/08 C07C2101/14 C07D471/14 C07D487/04 C07D487/14 C07D491/14 C07D495/14 C07D498/04 C07D513/04 **European:** C07D471/14 C07D487/04 C07D487/14 C07D491/14 C07D495/14 C07D498/04 C07D513/04 M07C101/08 M07C101/14 **US:** 435/375 435/375S 514/211.04 514/211.040P 514/220 514/220S 514/221 514/221S 540/488 540/488S 540/495 540/495S 540/496 540/496S 540/502 540/502S



Family:

Publication number	Publication date	Application number	Application date
CA2748181 AA	20100715	CA20102748181	20100106
EP2379559 A2	20111026	EP20100729389	20100106
EP2379559 A4	20120516	EP20100729389	20100106
JP2012514601 T2	20120628	JP20110544638T	20100106
US2012040961 AA	20120216	US20100143505	20100106
WO10080712 A2	20100715	WO2010US00050	20100106
WO10080712 A3	20101111	WO2010US00050	20100106

Priority:

US20090193901P 20090106 WO2010US00050 20100106 US20100143505 20100106

Probable Assignee: DANA FARBER CANCER INST INC

Assignee(s): (std): DANA FARBER CANCER INST INC ; GRAY NATHANAEL S ; DENG XIANMING ; KWIATKOWSKI NICHOLAS PAUL

Assignee(s): DANA FARBER CANCER INSTITUTE ; DANA FARBER CANCER INST

Inventor(s): (std): DENG XIANMING ; GRAY NATHANAEL S ; KWIATKOWSKI NICHOLAS PAUL

Agent(s): ADAM HOLGER; CORLESS PETER F 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

Title: PROTEIN KINASE CONJUGATES AND INHIBITORS

Abstract: Source: US2011117073A The invention relates to protein conjugates that contain a protein kinase containing a cysteine residue in the ATP binding site and an inhibitor that is covalently and irreversibly bonded to said cysteine residue, such that the activity of the protein kinase is irreversibly inhibited. The invention also relates to compounds that irreversibly inhibit protein kinases.

Classifications:

International (IPC 8-9): A61K31/381 A61K31/395 A61K31/4155 A61K31/416 A61K31/4245 A61K31/4365 A61K31/437 A61K31/44 A61K31/444 A61K31/4545 A61K31/496 A61K31/497 A61K31/502 A61K31/5025 A61K31/505 A61K31/506 A61K31/519 A61K31/53 A61K31/5365 A61K31/5377 A61K31/5383 A61K38/00 A61K38/45 A61K45/00 A61K47/48 A61P11/06 A61P19/00 A61P25/28 A61P27/02 A61P35/00 A61P37/02 A61P43/00 A61P9/00 C07D213/66 C07D213/81 C07D231/56 C07D239/42 C07D239/48 C07D333/68 C07D401/04 C07D401/12 C07D401/14 C07D403/04 C07D403/06 C07D403/12 C07D403/14 C07D405/14 C07D409/04 C07D409/14 C07D413/12 C07D417/12 C07D417/14 C07D471/04 C07D471/10 C07D487/04 C07D495/04 C07D498/04 C12N9/12 G01N G01N33/53 (Advanced/Invention); G01N (Subclass/Invention)

CPC: C12N9/96 A61K47/48061 A61K47/48238 C07D213/74 C07D213/81 C07D213/82 C07D215/22 C07D231/12 C07D239/42 C07D239/48 C07D333/68 C07D401/12 C07D401/14 C07D403/04 C07D403/06 C07D403/12 C07D403/14 C07D409/04 C07D409/12 C07D409/14 C07D413/12 C07D413/14 C07D417/12 C07D417/14 C07D471/04 C07D471/10 C07D487/04 C07D495/04 C07D498/04 C12N9/1205

European: A61K47/48H4H A61K47/48R C07D213/74 C07D213/81 C07D213/82 C07D215/22 C07D231/12 C07D239/42 C07D239/48 C07D333/68 C07D401/12 C07D401/14 C07D403/04 C07D403/06 C07D403/12 C07D403/14 C07D409/04 C07D409/12 C07D409/14 C07D413/12 C07D413/14 C07D417/12 C07D417/14 C07D471/04 C07D471/10 C07D487/04 C07D495/04 C07D498/04 C12N9/12C C12N9/96

US: 424/94.5 424/94.500P 435/194 435/194S 514/248 514/248S 514/255.05 514/255.050S 514/275 514/275S 514/350 514/350S 544/236 544/236S 544/295 544/295S 544/323 544/323S 546/298 546/298S

PatBase - US2011117073_A61

Irreversible Inhibitors Demonstrate Prolonged PD Relative to Sorafenib in EOL-1 cells with FIL1P1-PDGFR alpha fusion protein

Hours post washout	Sorafenib (% PDGFR pTyr)	Irreversible (% PDGFR pTyr)
0	20	20
1	25	20
2	28	58
4	12	55

Family:

Publication number	Publication date	Application number	Application date
AU2010295690 AA	20110324	AU20100295690	20100915
AU2010295690 AB	20120412	AU20100295690	20100915
CA2773985 AA	20120312	CA20102773985	20100915
CN102713618 A	20121003	CN201080050753	20100915
EP2478361 A2	20120725	EP20100817748	20100915
EP2478361 A4	20140521	EP20100817748	20100915
IL218678 A0	20120531	IL20120218678	20120315
JP2013505245 T2	20130214	JP20120529871T	20100915
KR20120093220 A	20120822	KR20127009760	20120416
MX2012003332 A1	20120803	MX20120003332	20120316
NZ598705 A	20140627	NZ20100598705	20100915
RU2012114902 A	20131027	RU20120114902	20100915
SG179172 A1	20120427	SG20120001854	20100915
US2011117073 AA	20110519	US20100882484	20100915
WO11034907 A2	20110324	WO2010US48916	20100915
WO11034907 A3	20110512	WO2010US48916	20100915

Priority:
US20090242988P 20090916 US20100882484 20100915 WO2010US48916 20100915

Probable Assignee: CELGENE AVILOMICS RESEARCH INC

Assignee(s): (std): GHOSH SHOMIR ; KLUGE ARTHUR ; SINGH JUSWINDER ; QIAO LIXIN ; NIU DEQIANG ; PETTER RUSSELL COLYN ; ZHU ZHENDONG ; LOBB ROY ; AVILA THERAPEUTICS INC ; CELGENE AVILOMICS RES INC

Assignee(s): CELGENE AVILOMICS RESEARCH INC

Inventor(s): (std): ROY LOBB ; QIAO LIXIN ; PETTER RUSSELL COLYN ; NIU DEQIANG ; LOBB ROY ; LIXIN QIAO ; KLUGE ARTHUR ; JUSWINDER SINGH ; GHOSH SHOMIR ; DEQIANG NIU ; ARTHUR KLUGE ; COLYN PETTER RUSSELL ; ZHU ZHENDONG ; ZHENDONG ZHU ; SINGH JUSWINDER ; SHOMIR GHOSH

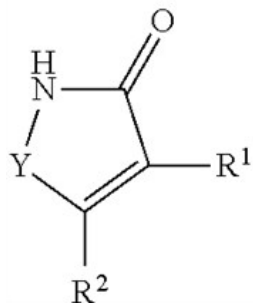
Inventor(s): RUSSELL COLYN PETTER

Agent(s): MUELLER BORE AND PARTNER PATENTANWAELTE; UNDERWOOD ROBERT H

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

Title: NOVEL THIENOPYRROLE COMPOUNDS**Abstract:** Source: US2011152243A The invention provides a compound of Formula (I)

Formula (I)



biologically active metabolites, stereoisomers and isomers thereof wherein the variable are defined herein. The compounds of the invention are useful for treating immunological and oncological conditions.

Classifications:

International (IPC 8-9): A61K31/397 A61K31/416 A61K31/4365 A61K31/437 A61K31/454 A61K31/496 A61K31/4985 A61K31/517 A61K31/519 A61K31/53 A61K31/5377 A61P1/04 A61P17/06 A61P19/02 A61P3/10 A61P35/00 A61P37/00 C07D265/00 C07D401/14 C07D403/14 C07D413/14 C07D471/04 C07D487/04 C07D495/04 (Advanced/Invention); A61P3/10 A61P35/00 A61P37/00 (Advanced/Non-invention); A61K31/4365 A61K31/437 A61K31/4985 A61K31/519 A61P3/10 A61P35/00 A61P37/00 C07D471/04 C07D487/04 C07D495/04 (Core/Non-invention)

International (IPC 1-7): A61K31/517 A61K31/519 A61P17/06 A61P19/02 A61P35/00 A61P37/06 C07D495/04

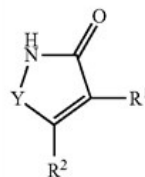
CPC: C07D487/04 C07D487/08 C07D495/04 C07D498/04

European: C07D487/04 C07D487/08 C07D495/04 C07D498/04

US: 514/210.21 514/210.210P 514/234.8 514/234.800S 514/243 514/243S 514/249 514/249S 514/252.17 514/252.170S 514/264.1 514/264.100S 514/266.22 514/266.220S 514/300 514/300S 514/322 514/322S 514/406 514/406S 544/116 544/116S 544/183 544/183S 544/279 544/279S 544/284 544/284S 546/121 546/121S 546/199 546/199S 548/361.1 548/361.100S

PatBase - US2011152243_A1

Formula (I)

**Family:**

Publication number	Publication date	Application number	Application date
AR079783 AA	20120222	AR2010P104920	20101222
TW2011130852 A	20110916	TW20100145075	20101221
US2011152243 AA	20110623	US20100974311	20101221
WO11079105 A1	20110630	WO2010US61475	20101221

Priority:

US20090289595P 20091223 US20100974311 20101221

Probable Assignee: ABBOTT LAB

Assignee(s): (std): DUNSTAN THERESA A ; EDMUNDS JEREMY J ; ERICSSON ANNA M ; IHLE DAVID C ; WISHART NEIL ; WANG LEI ; STEWART KENT D ; WANG LU ; FERENZ CATHERINE R ; HOBSON ADRIAN D ; ABBOTT LAB

Assignee(s): ABBOTT LABORATORIES

Inventor(s): (std): DUNSTAN THERESA A ; DUNSTAN THERESA A ; EDMUNDS JEREMY ; EDMUNDS JEREMY J ; ERICSSON ANNA ; ERICSSON ANNA M ; FERENZ CATHERINE ; FERENZ CATHERINE R ; HOBSON ADRIAN ; HOBSON ADRIAN D ; IHLE DAVID ; IHLE DAVID C ; WANG LEI ; WANG LU ; STEWART KENT ; STEWART KENT D ; WISHART NEIL

Agent(s): O BRIEN GAYLE B 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 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: COMPOUNDS AND COMPOSITIONS AS **C-KIT** KINASE INHIBITORS

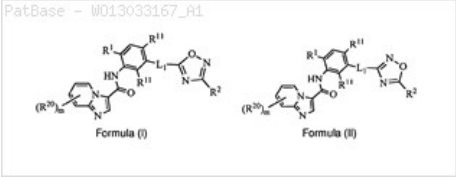
Abstract: Source: US2013059832A The invention provides compounds and pharmaceutical compositions thereof, which are useful as protein kinase inhibitors, as well as methods for using such compounds to treat, ameliorate or prevent a condition associated with abnormal or deregulated kinase activity. In some embodiments, the invention provides methods for using such compounds to treat, ameliorate or prevent diseases or disorders that involve abnormal activation of **c-kit** or **c-kit** and **PDGFR** (PDGFRA, **PDGFR** beta) kinases.

Classifications:

International (IPC 8-9): A61K31/351 A61K31/397 A61K31/4184 A61K31/4245 A61K31/437 A61K31/439 A61K31/444 A61K31/4545 A61K31/496 A61K31/5377 A61K31/5386 A61P1/00 A61P1/04 A61P1/16 A61P11/00 A61P11/02 A61P11/06 A61P17/00 A61P17/04 A61P29/00 A61P3/00 A61P3/04 A61P3/10 A61P37/00 A61P37/08 A61P43/00 A61P7/00 A61P9/12 C07D C07D403/14 C07D407/14 C07D413/04 C07D413/14 C07D471/04 C07D491/044 C07D491/048 C07D491/107 C07D498/04 C07D498/08 C07D519/00 (Advanced/Invention); A61P1/00 A61P11/00 A61P17/00 A61P3/10 A61P9/12 (Advanced/Non-invention); A61P11/00 A61P17/00 A61P29/00 A61P3/00 (Core/Invention); C07D (Subclass/Invention)

CPC: C07D491/048 C07D491/044 C07D471/04 C07D491/107 C07D498/04

US: 514/210.18 514/210.21 514/233.2 514/249 514/253.04 514/300 514/302 514/49 544/127 544/362 546/115 546/121 546/15



Family:

Publication number	Publication date	Application number	Application date
AP201407493 A0	20140331	AP20140007493	20120829
AR087753 AA	20140416	AR2012P103223	20120831
AU2012302042 AA	20130307	AU20120302042	20120829
CA2845169 AA	20140212	CA20122845169	20120829
CL2014000492 A1	20141003	CL20140000492	20140227
CN103797011 A	20140514	CN201280042743	20120829
CO6900141 A2	20140320	CO20140043417	20140228
CR20140107 A	20140502	CR20140000107	20140228
CU20140024 A7	20140424	CU20140000024	20140227
EA201490545 A1	20140730	EA20140090545	20120829
EP2751104 A1	20140709	EP20120756885	20120829
IL231227 A0	20140430	IL20140231227	20140227
JP2014525448 T2	20140929	JP20140528537T	20120829
KR20140075692 A	20140619	KR20147008107	20120829
MA35460 B1	20140901	MA20140036864	20140328
MX2014002484 A1	20141125	MX20140002484	20140228
PE09092014 A1	20140720	PE20140000295	20120829
TW201313717 A	20130401	TW20120131942	20120831
US2013059832 AA	20130307	US20120598213	20120829
US2014031333 AA	20140130	US20130031792	20130919
US2014228347 AA	20140814	US20140258963	20140422
US8569283 BB	20131029	US20120598213	20120829
US8754071 BB	20140617	US20130031792	20130919
US9023839 BB	20150505	US20140258963	20140422
UY34301 A1	20130405	UY20120034301	20120829
WO13033167 A1	20130307	WO2012US52802	20120829

Priority:
US20110530038P 20110901 US20120598213 20120829 US20130031792 20130919
WO2012US52802 20120829 US20140258963 20140422

Probable Assignee: IRM LLC

Assignee(s): (std): JANSSEN PHARMACEUTICA NV ; NABAKKA JULIET ; LI XIAOLIN ; MOLTENI VALENTINA ; LIU XIAODONG ; NGUYEN BAO ; LOREN JON ; MOLENTI VALENTINA ; PETRASSI HANK MICHAEL JAMES ; YEH VINCE ; IRM ; IRM LLC

Assignee(s): IRM LLC A DELAWARE LIABILITY CO LTD ; NOVARTIS INST FOR FUNCTIONAL GENOMICS INC DBA GENOMICS INST OF NOVARTIS RESEARCH FOUNDATION ; NOVARTIS INST FOR FUNCTIONAL GENOMICS ; NOVARTIS INT PHARMACEUTICAL LTD ; NOVARTIS AG

Inventor(s): (std): BAO NGUYEN ; HANK MICHAEL JAMES PETRASSI ; JON LOREN ; JULIET NABAKKA ; LI XIAO LIN ; LI XIAOLIN ; LIU XIADONG ; LIU XIAO DONG ; LIU XIAODONG ; LOREN JON ; MOLENTI VALENTINA ; MOLTENI VALENTINA ; NABAKKA JULIET ; NGUYE BAO ; NGUYEN BAO ; PETRASSI HANK MICHAEL JAMES ; VALENTINA MOLTENI ; VINCE YEH ; XIADONG LIU ; XIAOLIN LI ; YEH VINCE

Agent(s): KIDDLE SIMON JOHN; DANIEL E; GENOMICS INST OF NOVARTIS RESEARCH FOUNDATION; RAYMOND DANIEL E 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 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

Title: PYRIMIDO-DIAZEPINONE COMPOUNDS AND METHODS OF TREATING DISORDERS

Abstract: The present invention relates to novel pyrimido-diazepinone compounds, methods of modulating protein kinases, including MPS1 (TTK), ERK5 (BMK1, MAPK7), LRRK2, EphA2, polo kinase 1,2,3, or 4, Ack1, Ack2, Ab1, DCAMKL1, ABL1, Ab1 mutants, DCAMKL2, ARK5, BRK, MKNK2, FGFR4, TNK1, PLK1, ULK2, PLK4, PRKD1, PRKD2, PRKD3, ROS1, RPS6KA6, TAOK1, TAOK3, TNK2, Bcr-Ab1, GAK, cSrc, TPR-Met, Tie2, MET, FGFR3, Aurora, Ax1, Bmx, BTK, **c-kit**, CHK2, Flt3, MST2, p70S6K, **PDGFR**, PKB, PKC, Raf, ROCK-H, Rsk1, SGK, TrkA, TrkB and TrkC, and the use of such compounds in the treatment of various diseases, disorders or conditions.

Classifications:

International (IPC 8-9): A61K31/505 A61K31/519 A61P29/00 A61P35/00 C07D487/12 C07D487/14 (Advanced/Invention)

CPC: C07D487/04 C07D471/18 C07D487/14 C07D487/16 C07D495/14 C07D498/04 C07D498/18 C07D513/04 C07F5/022

Family:

Publication number	Publication date	Application number	Application date
WO14145909 A2	20140918	WO2014US30760	20140317
WO14145909 A3	20141224	WO2014US30760	20140317

Priority:

US20130802075P 20130315

Probable Assignee: DANA FARBER CANCER INST INC

Assignee(s): (std): DANA FARBER CANCER INST INC

Inventor(s): (std): CHOI HWAN GUEN ; DENG XIANMING ; GRAY NATHANAEL S ; WALLER DAVID ; WANG JINHUA

Agent(s): CORLESS PETER F 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