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3. ☐ Reivindicaciones N°:

porque son reivindicaciones dependientes y no están redactadas de acuerdo con la segunda y tercera oraciones de la Norma 6.4(a).

Castillero N° III. Observaciones cuando falta unidad de invención (Continuación del punto 3 de la página 1)

La Autoridad de Búsqueda Internacional encontró varias invenciones en esta solicitud internacional, a saber:

Véase la página adicional

1. ☒ Como todos los aranceles de búsqueda adicionales se pagaron oportunamente por el solicitante, este informe de búsqueda internacional abarca todas las reivindicaciones buscables.

2. ☐ Como las reivindicaciones buscables pudieron buscarse sin esfuerzo que justifique un arancel adicional, esta Autoridad no intima al pago de ningún arancel adicional.

3. ☐ Como ninguno de los aranceles de búsqueda adicionales requeridos se pagaron oportunamente por el solicitante, este informe de búsqueda internacional abarca solamente aquellas reivindicaciones para las cuales se pagaron aranceles, específicamente las reivindicaciones N°:

4. ☐ El solicitante no pagó ninguno de los aranceles de búsqueda adicionales. En consecuencia, este informe de

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búsqueda internacional se limita a la invención mencionada en primer lugar en las reivindicaciones; está abarcada por las reivindicaciones N°:

Observación Bajo Protesta:

☐ Los aranceles de búsqueda adicionales estuvieron acompañados por el protesto del solicitante

☒ Ningún protesto acompañó el pago de los aranceles de búsqueda adicionales.

Formulario PCT/ISA/210 (continuación de la página 1 (2))
(enero de 2004)

Solicitud Internacional N° PCT/US2004/020734

OTRA INFORMACIÓN CONTINUACIÓN DE PCT/ISA/210

Esta Autoridad de Búsqueda Internacional encontró varias (grupos de) invenciones en esta solicitud internacional, a saber:

1. reivindicaciones: 1, 2, 4-6, 10-15 (todas en parte), 3, 9
compuestos de acuerdo con la estructura (I) de la reivindicación 1 en donde X es un anillo de biciclo'3.1.0 y sus usos

2. reivindicaciones: 1, 2, 4-6, 10-15 (todas en parte); 7, 8
compuestos de acuerdo con la estructura (I) de la reivindicación 1 en donde X es heterociclo unido a N.

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INFORMACIÓN DE BÚSQUEDA INTERNACIONAL

Información sobre miembros de familia de patentes

Solicitud Internacional N° PCT/US2004/020734

Documento en ir.	Ente patente citado en la búsqueda	Fecha de Publicación	Miembro(s) de familia de patentes	Fecha de publicación
2004147760	A1	29-07-2004	US 2004044052 A1	04-03-2004
			AU 2003210807 A1	09-09-2003
			BR 0307924 A	07-12-2004
			CA 2476038 A1	04-09-2003
			EP 1478629 A1	24-11-2004
			WO 03072553 A1	04-09-2003
2004048350	A	10-06-2004	WO 2004048350 A2	10-06-2004
0194342	A	13-12-2001	KR 2002071576 A	13-09-2002
			AU 5889701 A	17-12-2001
			BR 0111280 A	10-06-2003
			CA 2411859 A1	13-12-2001
			CN 1433413 T	30-07-2003
			EP 1289984 A1	12-03-2003
			HU 0301562 A2	29-12-2003
			JP 2003535860 T	02-12-2003
			WO 0194342 A1	13-12-2001
			MX PA02012045 A	15-10-2003
			NZ 522990 A	29-08-2003
			US 2003166620 A1	04-09-2003
5523403	A	04-06-1996	AT 161833 T	15-01-1998
			AU 670842 B2	01-08-1996
			AU 5323994 A	04-07-1994
			CA 2147753 A1	23-06-1994
			CN 1092413 A ,C	21-09-1994
			CZ 9501366 A3	18-10-1995
			DE 69316240 D1	12-02-1998
			DE 69316240 T2	20-05-1998
			DK 673370 T3	07-09-1998
			EP 0673370 A1	27-09-1995
			ES 2111188 T3	01-03-1998
			FI 952798 A	07-06-1995
			GR 3026228 T3	29-05-1998
			HU 74099 A2	28-11-1996
			IL 107663 A	16-10-1996
			JP 8504205 T	07-05-1996
			JP 3558088 B2	25-08-2004
			KR 276382 B1	15-12-2000
			MX 9307705 A1	30-06-1994
			NO 952253 A	08-08-1995
			NZ 257031 A	26-07-1996
			PH 31085 A	05-02-1998
			PL 309283 A1	02-10-1995
			SK 74695 A3	11-10-1995
			WO 9413649 A1	23-06-1994
			RU 2119484 C1	27-09-1998
			ZA 9307791 A	20-05-1995
9413649	A	23-06-1994	AT 161833 T	15-01-1998
			AU 670842 B2	01-08-1996
			AU 5323994 A	04-07-1994
			CA 2147753 A1	23-06-1994
			CZ 9501366 A3	18-10-1995
			DE 69316240 D1	12-02-1998

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INFORME DE BÚSQUEDA INTERNACIONAL

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Información sobre miembros de familia de patentes

Solicitud Internacional N° PCT/US2004/020734

Documento Patente citado en informe de búsqueda	Fecha de publicación	Miembro(s) de familia de patentes	Fecha de publicación
WO 013649 A		EP 0673370 A1	27-09-1995
		ES 2111188 T3	01-03-1998
		FI 952798 A	07-06-1995
		GR 3026228 T3	29-05-1998
		HU 74099 A2	28-11-1996
		JP 8504205 T	07-05-1996
		JP 3558088 B2	25-08-2004
		KR 276382 B1	15-12-2000
		NO 952253 A	08-08-1995
		NZ 257031 A	26-07-1996
		PL 309283 A1	02-10-1995
		RU 2119484 C1	27-09-1998
		SK 74695 A3	11-10-1995
		WO 9413649 A1	23-06-1994
		US 5523403 A	04-06-1996
		CN 1092413 A ,C	21-09-1994
		IL 107663 A	16-10-1996
		MX 9307705 A1	30-06-1994
		PH 31085 A	05-02-1998
		ZA 9307791 A	20-05-1995
WO 0027083 A	03-04-2003	CA 2443410 A1	03-04-2003
		EP 1385834 A1	04-02-2004
		WO 03027083 A1	03-04-2003
		US 2003125367 A1	03-07-2003
EP 0352781 A	31-01-1990	US 4948801 A	14-08-1990
		AU 622465 B2	09-04-1992
		AU 3911589 A	01-02-1990
		CA 1337526 C	07-11-1995
		DK 374389 A	30-01-1990
		EP 0352781 A2	31-01-1990
		FI 893618 A	30-01-1990
		HU 58062 A2	28-01-1992
		IE 892438 L	29-01-1990
		JP 2124877 A	14-05-1990
		JP 2899319 B2	02-06-1999
		NO 893076 A	30-01-1990
		NZ 230108 A	25-10-1991
		PT 91315 A	08-02-1990
		US 5130316 A	14-07-1992
		US 5043443 A	27-08-1991
		US 5254577 A	19-10-1993
		ZA 8905778 A	27-03-1991
US 5736545 A	07-04-1998	AT 221521 T	15-08-2002
		AU 703831 B2	01-04-1999
		AU 1954797 A	10-09-1997
		CA 2243706 A1	28-08-1997
		CN 1206410 A ,C	27-01-1999
		DE 69714418 D1	05-09-2002
		DE 69714418 T2	16-01-2003
		DK 883611 T3	02-12-2002
		EP 0883611 A1	16-12-1998
		ES 2180945 T3	16-02-2003
		HK 1017033 A1	02-08-2002
		ID 18467 A	09-04-1998
		JP 20000505084 T	25-04-2000

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INFORME DE BÚSQUEDA INTERNACIONAL

Información sobre miembros de familia de patentes

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Solicitud Internacional N° PCT/US2004/020734

Documento patente citado en informe búsqueda	Fecha de publicación	Miembro(s) de familia de patentes	Fecha de publicación
US 5736545 A		NZ 331011 A	28-04-2000
		PT 883611 T	31-12-2002
		SI 883611 T1	31-12-2002
		TW 442460 B	23-06-2001
		WO 9730981 A1	28-08-1997
		ZA 9701287 A	14-08-1998

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TRATADO DE COOPERACIÓN EN MATERIA DE PATENTES

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PCT**OPINIÓN ESCRITA DE LA AUTORIDAD DE BÚSQUEDA INTERNACIONAL****(Norma 43bis.1 del PCT)****De la Autoridad de Búsqueda Internacional****Para: Véase el formulario PCT/ISA/220****Fecha de remisión (día/mes/año): véase formulario PCT/ISA/210
(página 2)****Referencia de archivo del Solicitante o del agente: véase el
formulario PCT/ISA/220****PARA OTRAS MEDIDAS. Véase el punto 2 a continuación****Solicitud Internacional N° PCT/US2004/020734****Fecha de presentación internacional (día/mes/año): 26-06-2004****Fecha de la prioridad (día/mes/año): 2-07-2003****Clasificación Internacional de Patente (IPC) o tanto
clasificación nacional como IPC: C07D413/14, C07D413/10,
C07D487/08, C07D417/14, A61K31/422, A61P31/04****Solicitante: MERCK & CO, INC.****1. Esta opinión escrita contiene indicaciones relacionadas con
los siguientes puntos:****[x] Casillero N° I. Fundamento del informe****[X] Casillero N° II. Prioridad**

[X] Casillero N° III. No establecimiento de opinión sobre la novedad, paso inventivo y aplicabilidad industrial

[X] Casillero N° IV. Falta de unidad de invención

[x] Casillero N° V. Declaración fundamentada conforme a la Cláusula 35(2) sobre la novedad, paso inventivo o aplicabilidad industrial; citas y explicaciones que fundamentan dicha declaración.

[X] Casillero N° VI. Ciertos documentos citados

[] Casillero N° VII. Ciertos defectos en la solicitud internacional

[] Casillero N° VIII. Ciertas Observaciones sobre la solicitud internacional

2. OTRAS MEDIDAS

Si se presenta un pedido de informe preliminar internacional, esta opinión se considerará como la opinión escrita de la Autoridad de Examen de Búsqueda Internacional ("IPEA") excepto que ésta no corresponda cuando el solicitante elige una Autoridad diferente de ésta para que sea la IPEA y la IPEA elegida ha notificado a la Oficina Internacional conforme a la Norma 66.1bis(b) que la opinión escrita de esta Autoridad de Búsqueda Internacional no se considerará así.

Si esta opinión, proporcionada anteriormente, se considera la opinión escrita de la IPEA, se invita al solicitante a

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presentar ante la IPEA una contestación escrita junto con, cuando corresponda, enmiendas, con anterioridad al vencimiento de tres meses desde la fecha de la remisión del Formulario PCT/ISA/220 o con anterioridad al vencimiento de 22 meses desde la fecha de la prioridad, la que sea posterior.

Para más opciones, véase el Formulario PCT/ISA/220.

3. Para más detalles, véase las notas al Formulario PCT/ISA/220

Nombre y domicilio de la ISA:

Oficina de Patentes Europea

D-80298 Munich

Tel 49-89 2399-0 Tx: 523656 epmu d

Fa: 49-89 2399 - 4465

Funcionario autorizado: Kollmannsberger, M

Teléfono: 49-89-2399-7364

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OPINIÓN ESCRITA DE LA AUTORIDAD DE BÚSQUEDA INTERNACIONAL

Solicitud Internacional N° PCT/US2004/020734

Casillero N° I. Fundamento de esta opinión.

1. Con respecto al idioma, esta opinión se ha establecido basada en la solicitud internacional en el idioma en que se presentó, a menos que se indique de otro modo en este punto.

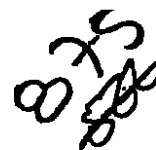
[] Esta opinión se ha establecido basada en la traducción del idioma original al siguiente idioma _____, que es el idioma de la traducción provista para los propósitos de la búsqueda internacional (conforme a las Normas 12.3 y 23.1(b) del PCT).

2. Con respecto a todas las secuencias de nucleótidos y/o aminoácidos que se describen en la solicitud internacional y que son necesarias para la invención reivindicada, esta opinión se ha establecido basada en:

a. tipo de material:

[] un listado de secuencias

[] tabla(s) relacionada(s) con el listado de secuencias



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b. formato del material

☐ en formato escrito

☐ en forma legible por computadora

c. fecha de presentación/provisión

☐ contenida en la solicitud internacional presentada

☐ presentada junto con la solicitud internacional en forma legible por computadora

☐ presentada con posterioridad ante esta Autoridad con propósitos de búsqueda.

3. ☐ Además, en caso de que se haya presentado o provisto más de una versión o copia de un listado de secuencias y/o una tabla relacionada con él, se presentaron las declaraciones requeridas de que la información de las copias posteriores o adicionales es idéntica a aquella de la solicitud presentada o no va más allá de la solicitud presentada, según corresponda.

4. Declaraciones adicionales

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OPINIÓN ESCRITA DE LA AUTORIDAD DE BÚSQUEDA INTERNACIONAL

Solicitud Internacional N° PCT/US2004/020734

Casillero N° II. Prioridad

1. ☒ No se provisto el siguiente documento:

☒ copia de la solicitud anterior cuya prioridad se ha reivindicado (Norma 43bis.1 y 55.7(a)).

☐ traducción de la solicitud anterior cuya prioridad se ha reivindicado (Norma 43bis.1 y 66.7(b)).

En consecuencia no ha sido posible considerar la validez de la reivindicación de prioridad. Esta opinión de todos modos se ha establecido sobre la suposición de que la fecha relevante es la fecha de prioridad reivindicada.

2. ☐ Esta opinión se ha establecido como si no se hubiera reivindicado ninguna prioridad debido al hecho de que la reivindicación de prioridad se ha considerado no válida (Normas 43bis.1 y 64.1). Por lo tanto para los propósitos de esta opinión, la fecha de presentación internacional indicada anteriormente se considera como la fecha relevante.

3. ☐ No ha sido posible considerar la validez de la reivindicación de prioridad porque no está disponible una copia del documento de prioridad para Estados Unidos a la fecha en que se realizó la búsqueda (Norma 17.1). Esta opinión

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de todos modos se ha establecido sobre la suposición de que la fecha relevante es la fecha de prioridad precedente.

4. Observaciones adicionales, si es necesario:

OPINIÓN ESCRITA DE LA AUTORIDAD DE BÚSQUEDA INTERNACIONAL

Solicitud Internacional N° PCT/US2004/020734

Casillero N° III. No establecimiento de opinión sobre la novedad, paso inventivo y aplicabilidad industrial

1. Las cuestiones sobre si la invención reivindicada parece novedosa, involucra un paso inventivo (no es obvia) o es aplicable industrialmente no se han examinado con respecto a:

☐ la solicitud internacional completa

☒ las reivindicaciones N° 1, 2, 4-8, 10-15 (siempre que se relacionen con X= heterociclo unido a N)

porque:

☒ la solicitud mencionada, o las reivindicaciones mencionadas N° 14, 15 se relacionan con la siguiente materia que no requiere un examen preliminar internacional (especifique):

véase la página aparte

Véase la página aparte

[x] no se ha establecido ningún informe de búsqueda internacional para las reivindicaciones mencionadas N° 1, 2, 4-8, 10-15 (siempre que se relacionen con X= heterociclo unido a N).

la forma escrita [] no se ha provisto

la forma legible por computadora [] no se ha provisto

[] las tablas relacionadas con el listado de secuencias de nucleótidos y/o aminoácidos, si está solamente en forma legible por computadora, no cumplen con los requisitos

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técnicos establecidos en el Anexo C-bis de las Instrucciones Administrativas.

[] Véase la página aparte para más detalles.

Formulario PCT/ISA/237 (enero de 2004)

OPINIÓN ESCRITA DE LA AUTORIDAD DE BÚSQUEDA INTERNACIONAL

Solicitud Internacional N° PCT/US2004/020734

Casillero N° IV. Falta de unidad de invención

1. ☒ En respuesta a la invitación (Formulario PCT/ISA/206) a pagar aranceles adicionales, el solicitante:

☒ pagó los aranceles adicionales

☐ pagó aranceles adicionales bajo protesta

☐ no pagó aranceles adicionales

2. ☐ Esta Autoridad encontró que no se cumple el requisito de unidad de invención y eligió no invitar al solicitante a pagar aranceles adicionales.

3. Esta Autoridad considera que el requisito de unidad de invención de acuerdo con la Norma 13.1, 13.2 y 13.3

☐ se cumplió

☒ no se cumplió por los siguientes motivos:

véase la página aparte

4. En consecuencia, este informe se estableció con respecto a las siguientes partes de la solicitud internacional:

☒ todas las partes

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OPINIÓN ESCRITA DE LA AUTORIDAD DE BÚSQUEDA INTERNACIONAL
(PÁGINA APARTE)

Solicitud Internacional N° PCT/US2004/020734

Referencia Punto II

No establecimiento de opinión sobre la novedad, paso inventivo
y aplicabilidad industrial

III-1. Las reivindicaciones 14 y 15 se relacionan con una materia que esta Autoridad considera que está abarcada por las disposiciones de la Norma 67.1(iv) del PCT. En consecuencia, no se formulará ninguna opinión con respecto a la aplicabilidad industrial de la materia de estas reivindicaciones (Cláusula 34(4)(a)(I) del PCT).

III-2. La reivindicación 1 define el sustituyente Y entre otros como "CN". Dado que Y es un residuo divalente no está claro qué se desea cubrir con esta definición. No se dio opinión al respecto.

III-3. La reivindicación 1 define el heterociclo N para X como "grupo heterocíclico aromático que contiene 1-4 átomos de nitrógeno y al menos un enlace doble". Esta definición es confusa ya que los sistemas aromáticos generalmente tienen más de un enlace doble. Para el propósito de esta opinión esta

característica se ha interpretado como cualquier heterociclo que contiene N que tiene al menos un enlace doble.

Referencia al Punto IV

Falta de unidad de invención

La presente solicitud se refiere a antibióticos oxazolidinona. La solicitud reivindica compuestos que tienen como característica estructural común un anillo de oxazolidinona unido a dos sistemas cíclicos a los cuales se une otro sustituyente X; este sustituyente X puede ser un sistema de anillo de biciclo[3.1.0] por una parte y un anillo insaturado unido a N por otra parte. El anillo de oxazolidinona unido a dos sistemas cíclicos junto con la actividad antibiótica puede en principio considerarse como una característica técnica especial que se une a los compuestos reivindicados juntos para formar un solo concepto inventivo general en el sentido de las Normas 13.1 y 13.2 del PCT. Sin embargo, esta característica no es ni novedosa ni inventiva ya que ya W00194342 (citada en la página 1 de la memoria descriptiva) describe antibióticos oxazolidinonas (véase las reivindicaciones y los ejemplos indicados en el informe de búsqueda) que presentan estas características. La presente solicitud se propone resolver el problema de encontrar otros antibióticos oxazolidinonas de dos maneras diferentes que no están tan vinculadas por la

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característica técnica especial para formar un solo concepto inventivo general, a saber usando como otro sustituyente X un sistema de anillo de biciclo[3.1.0] por una parte o un anillo insaturado unido a N por otra parte.

Referencia al Punto V

Declaración fundamentada conforme a la Cláusula 35(2) sobre la novedad, paso inventivo o aplicabilidad industrial; citas y explicaciones que fundamentan dicha declaración.

V-1. Estado del Arte

Se citaron los siguientes documentos:

D1: US 2004/147760 A1 (THOMAS RICHARD CHARLES [US] ET AL) 29 de julio de 2004 (29-07-2004)

D2: WO 2004/048350 A (ASTRAZENEKA AB; ASTRAZENEKA UK LIMITED; GRAVESTOCK, MICHAEL, BARRY; HA) 10 de junio de 2004 (10-06-2004)

D3: WO 011/94342 A (DONG A PHARM CO LTD; CHO JONG HWAIN (KR); CHOI SUNG HAK (KR); LEE JAE) 13 de diciembre de 2001 (13-12-2001)

D4: US-A-5.523.403 (BARBACHYN ET AL) 4 de junio de 1996 (4-06-1996)

D5. WO 94/13649 A (THE UPJOHN COMPANY; BARBACHYN, MICHAEL, ROBERT) 23 de junio de 1994 (23-06-1994)

D6: WO 03/027083 A (KYORIN SEIYAKU KK; FUKUDA YASUMICHI (JP);
MERCK & CO INC (US); HAMMON) 3 de abril de 2003 (3-04-2003)
D7: EP-0.352.781 (E.I. DU PONT DE MEMOURS AND COMPANY) 311 de
enero de 1990 (31-01-1990)
D8: US-A-5.736.545 (GADWOOD ET AL) 7 de abril de 1998 (7-04-
1998)

D1 y D2 se publicaron con posterioridad a la fecha de la
presente solicitud y se no se considerarán durante el
procedimiento del PCT.

V-2. Novedad (Cláusula 33(3) del PCT)

a) Invención 1:

Los compuestos revelados en D6 difieren de la reivindicaciones
relacionadas con la invención 1 en que tienen solamente un
sistema de "separador" cíclico y en que el grupo
biciclo[3.1.0]-hexano está unido al separador cíclico a través
del anillo de 5 miembros en lugar del anillo de 3 miembros.
Los compuestos descritos en D3 en cambio no tienen el
biciclo[3.1.0]-hexano y presentan diferentes heterociclos en
esta posición.

b) invención 2:

D3-D5 describen antibióticos oxazolidinona (ver los pasajes indicados en el informe de búsqueda) en donde diferentes anillos heterocíclicos unidos a N insaturados corresponden al anillo "N" en la posición X de la estructura (I) en las presentes reivindicaciones. Las reivindicaciones que contienen fórmulas genéricas relacionadas con la invención 2 por lo tanto no son novedosas. Dado que los ejemplos de compuestos individuales relacionados con la invención 2 de las reivindicaciones 10-11 no se describen específicamente en los documentos citados, estas reivindicaciones son novedosas.

V-3. Paso Inventivo (Cláusula 33(3) del PCT)

a) invención 1:

El arte previo más cercano se observa en D3 ya que D3 también describe antibióticos oxazolidinonas que tienen dos o más sistemas cíclicos unidos al grupo oxazolidinona. El problema que debe resolverse es encontrar otros antibióticos oxazolidinona. La diferencia de las reivindicaciones relacionadas con la invención 1 comparada con D3 radica en la presencia de un grupo biciclo[3.1.0]-hexano como el sustituyente X. D6 describe antibióticos oxazolidinona que tienen ese sistema de anillo, pero el grupo biciclo[3.1.0]-hexano está unido a través del anillo de 5 miembros a los compuestos de D6 no tienen el separador cíclico. La solución propuesta no es obviamente deducible del arte previo.

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b) invención 2:

Dado que se sabe que los compuestos incluidos en las reivindicaciones relacionados con la invención 2 son antibióticos a partir de D3-D5, no se puede reconocer paso inventivo. También los ejemplos de compuestos individuales de las reivindicaciones 10 y 11 deben observarse como alternativas obvias de los compuestos descritos en D3-D5 ya que se sabe que los triazoles unidos a N y los tetrazoles son adecuados como sustituyentes X.

Referencia al Punto VI

Ciertos documentos citados

Ciertos documentos publicados

Solicitud N°	Fecha	de Fecha	de Fecha	de
Patente N°	publicación	presentación	prioridad	
	(día/mes/año)	(día/mes/año)	(día/mes/año)	
US2004/0147760	29-07-2004	22-08-2003		
WO2004/048350	10-06-2004	24-11-2003	28-11-2002	

McDermott Will & Emery

Boston Brussels Chicago Düsseldorf London Los Angeles Miami Milan
Munich New York Orange County Rome San Diego Silicon Valley Washington, D.C.

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202.756.6171

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April 20, 2005

In re Application of: Yasumichi Fukuda
Application No.: PCT/US2004/020734
Filed: June 29, 2004
For: CYCLOPROPYL GROUP SUBSTITUTED OXAZOLIDINONE
ANTIBIOTICS AND DERIVATIVES THERETO

Attorney Docket No.: 50193-187

International Searching Authority: European Patent Office
PB 5818 Patentlaan 2
NL-2280 HV Rijswijk
Attn: ISA/EP Authorized Officer

RESPONSE TO WRITTEN OPINION

Sir or Madame:

This is in response to the Written Opinion dated 21 February 2005 in this application.

The Written Opinion noted that a copy of the earlier applications, whose priority has been claimed, has not been furnished. Our records show that the Receiving Office was requested to provide certified copies of two U.S. Provisional Application Nos. 60/483,904 and 60/546,984. A copy of the request is attached. Apparently, only one of the applications, namely, U.S. Provisional Application Nos. 60/483,904, was provided. See attached communication from the Receiving Office dated September 24, 2004. We have ordered a

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certified copy of U.S. Provisional Application No. 60/546,984 (as attached) and will forward the same to the International Bureau of WIPO upon receipt.

The specification has been amended to correct obvious errors in the nomenclature of the formulae in Examples 15, 16, 22, 28, 34, 35, 44, 45, 90, 91 and 106-109. Please replace pages 40, 41, 45, 48, 52, 53, 59, 91 and 101-103. Specifically, the nomenclature for Example 15 on page 40 has been amended to indicate the correct position of the bicyclo[3.1.0] ring on the fluorophenyl ring as being at the 4 position. The nomenclature for Examples 16, 22, 28, 34, 35, 44, 45, 90, 91 and 106-109 on pages 41, 45, 48, 52, 53, 59, 91 and 101-103, respectively, has been amended to indicate the correct position of the bicyclo[3.1.0] ring on the pyridine ring as being at the 2 position. Entry of the replacement pages is requested.

The claims have been amended as follows. Claim 1 has been amended to delete "or a

C₅-10 heteroaryl represented by N which represents an optionally substituted aromatic heterocyclic group containing 1 to 4 nitrogen atoms and at least one double bond, and which is connected through a bond on any nitrogen said heteroaryl optionally substituted with 1 to 3

substituents selected from R₇." In addition,



had been limited to

represent aryl or heteroaryl only. Claims 7 and 8 have been deleted. Claim 10 has been amended to delete the following two compounds: 1-[5(R)-3-[3-Fluoro-4-[2-(1-methyltetrazol-5-yl)pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole and 1-[5(R)-3-[3,5-Difluoro-4-[2-(1-methyltetrazol-5-yl)pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole. Claim 11 has been amended to delete the following compound: 1-[5(R)-3-[3-Fluoro-4-[2-(1-methyltetrazol-5-yl)pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-

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triazole. All of the claims, including the amended claims, claims are submitted herewith on substitute pages 150-174 which are attached to this response. Entry of the substitute claims is respectfully requested.

Applicant notes that the Written Opinion indicated that although claims 14 and 15 are covered by the provisions of Rule 67.1(v) PCT, that no opinion has been rendered as to the industrial applicability of the subject matter of the claims.

The Written Opinion stated that substituent Y as it relates to "CN" was unclear because Y is divalent. The "CN" moiety has been deleted from the "Y" grouping in claim 1.

The Written Opinion stated that with respect to defining X in claim 1 as being a heterocycle N, the expression "aromatic heterocyclic group containing 1-4 nitrogen atoms and at least one double bond" was confusing. The expression has been deleted from claim 1.

The Written Opinion indicates that there is no unity of invention. Claim 1 has been amended to delete the N-bound saturated ring and also that Ar is aryl and HAr is a heterocyclic aromatic. Also, claims 7 and 8 directed to the N-bound saturated ring of the oxazolidinone ring have been canceled. Accordingly, reconsideration of the holding of lack of unity of the invention is requested since the invention is directed to X being the bicyclo[3.1.0]-ring system..

In view of the amendments to the claims, the Written Opinion with respect to "invention 2" is now moot. As for "invention 1", it would appear from the Written Opinion that claims directed to X being the bicyclo[3.1.0] ring system would satisfy the novelty and inventive steps.

Application No.: PCT/US2004/020734

For all of the foregoing reasons, reconsideration of the application is requested.

Respectfully submitted,

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Box No. V DESIGNATIONS

The filing of this request constitutes under Rule 4.9(a), the designation of all Contracting States bound by the PCT on the international filing date, for the grant of every kind of protection available and, where applicable, for the grant of both regional and national patents.

However,

- ☐ DE Germany is not designated for any kind of national protection
- ☐ KR Republic of Korea is not designated for any kind of national protection
- ☐ RU Russian Federation is not designated for any kind of national protection

(The check-boxes above may be used to exclude (irrevocably) the designations concerned in order to avoid the ceasing of the effect, under the national law, of an earlier national application from which priority is claimed. See the Notes to Box No. V as to the consequences of such national law provisions in these and certain other States.)

Box No. VI PRIORITY CLAIM

The priority of the following earlier application(s) is hereby claimed:

Filing date of earlier application (day/month/year)	Number of earlier application	Where earlier application is:		
		national application: country or Member	regional application: regional Office	international application: receiving Office
item (1) 02 July 2003 (02.07.03)	60/483,904	US		
item (2) 24 February 2004 (24.02.04)	60/548,984	US		
item (3)				

- ☐ Further priority claims are indicated in the Supplemental Box.

The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) (only if the earlier application was filed with the Office which for the purposes of this international application is the receiving Office) identified above as:

- ☒ all items ☐ item (1) ☐ item (2) ☐ item (3) ☐ other, see Supplemental Box

* Where the earlier application is an ARIPO application, indicate at least one country party to the Paris Convention for the Protection of Industrial Property or one Member of the World Trade Organisation for which that earlier application was filed (Rule 4.10(b)(ii)):

Box No. VII INTERNATIONAL SEARCHING AUTHORITY

Choice of International Searching Authority (ISA) (if two or more International Searching Authorities are competent to carry out the international search, indicate the Authority chosen; the two-letter code may be used):

ISA/ EPO

Request to use results of earlier search; reference to that search (if an earlier search has been carried out by or requested from the International Searching Authority):

Date (day/month/year) Number Country (or regional Office)

Box No. VIII DECLARATIONS

The following declarations are contained in Boxes Nos. VIII (i) to (v) (mark the applicable check-boxes below and indicate in the right column the number of each type of declaration):

Number of
declarations

- ☐ Box No. VIII (i) Declaration as to the identity of the inventor
- ☐ Box No. VIII (ii) Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent
- ☐ Box No. VIII (iii) Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application
- ☐ Box No. VIII (iv) Declaration of inventorship (only for the purposes of the designation of the United States of America)
- ☐ Box No. VIII (v) Declaration as to non-prejudicial disclosures or exceptions to lack of novelty:

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PATENT COOPERATION TREATY

PCT/US04/020734

From the INTERNATIONAL BUREAU

NOTIFICATION CONCERNING
SUBMISSION OR TRANSMITTAL
OF PRIORITY DOCUMENT

(PCT Administrative Instructions, Section 411)

To:

TOFFENETTI, Judith, L.
McDemott, Will & Emery LLP
600 13th Street, N.W.
Washington, DC 20005-3098
ETATS-UNIS D'AMERIQUE

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Date of mailing (day/month/year) 29 September 2004 (29.09.2004)	
Applicant's or agent's file reference 50103-187	IMPORTANT NOTIFICATION
International application No. PCT/US04/020734	International filing date (day/month/year) 29 June 2004 (29.06.2004)
International publication date (day/month/year)	Priority date (day/month/year) 02 July 2003 (02.07.2003)
Applicant MERCK & CO., INC. et al	

- By means of this Form, which replaces any previously issued notification concerning submission or transmittal of priority documents, the applicant is hereby notified of the date of receipt by the International Bureau of this priority document(s) relating to all earlier application(s) whose priority is claimed. Unless otherwise indicated by the letters "NR", in the right-hand column or by an asterisk appearing next to a date of receipt, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).
- (If applicable) The letters "NR" appearing in the right-hand column denote a priority document which, on the date of mailing of this Form, had not yet been received by the International Bureau under Rule 17.1(a) or (b). Where, under Rule 17.1(a), the priority document must be submitted by the applicant to the receiving Office or the International Bureau, but the applicant fails to submit the priority document within the applicable time limit under that Rule, the attention of the applicant is directed to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.
- (If applicable) An asterisk (*) appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b) (the priority document was received after the time limit prescribed in Rule 17.1(a) or the request to prepare and transmit the priority document was submitted to the receiving Office after the applicable time limit under Rule 17.1(b)). Even though the priority document was not furnished in compliance with Rule 17.1(a) or (b), the International Bureau will nevertheless transmit a copy of the document to the designated Offices, for their consideration. In case such a copy is not accepted by the designated Office as the priority document, Rule 17.1(a) provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

Priority date	Priority application No.	Country or regional Office or PCT receiving Office	Date of receipt of priority document
02 July 2003 (02.07.2003)	60/483,904	US	30 August 2004 (30.08.2004)

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Authorized officer

Cruz Juan

Facsimile No. +41 22 740 14 35

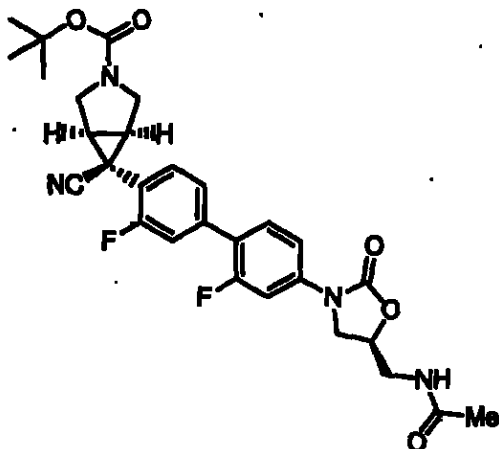
Facsimile No. +41 22 338 89 65
Telephone No. +41 22 338 8239

Form PCT/IB/304 (January 2004)

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EXAMPLE 14



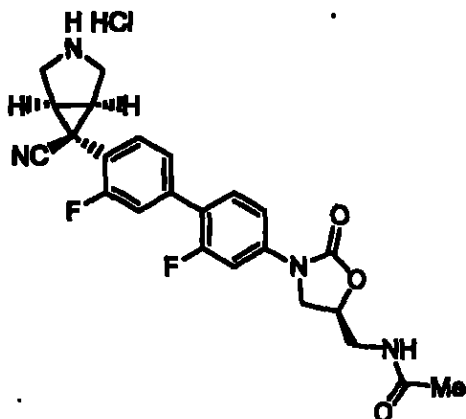
N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

The title compound 14 (24.9 mg) was prepared from N-[5(S)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (20.0 mg) and 1-bromo-4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorobenzene (20.2 mg) in the same manner as described for EXAMPLE 1.

MS (FAB⁺) *m/z*: 553 (MH⁺).

HRMS (FAB⁺) for C₂₉H₃₁F₂N₄O₅ (MH⁺): calcd, 553.2263; found, 553.2250.

EXAMPLE 15



N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide Hydrochloride.

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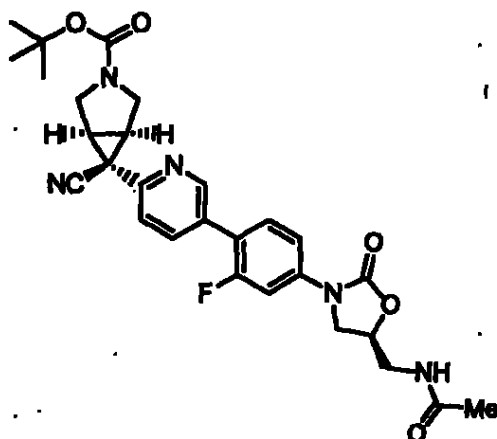
The title compound 15 (290 mg) was prepared from N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (367 mg)

in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 453 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₄H₂₃F₂N₄O₃ (MH⁺): calcd, 453.1738; found, 453.1747.

EXAMPLE 16



N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

The title compound 16 (354 mg) was prepared from N-[5(S)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (350 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (337 mg) in the same manner as described for EXAMPLE 1.

MS (FAB⁺) *m/z*: 536 (MH⁺).

HRMS (FAB⁺) for C₂₈H₃₁FN₃O₅ (MH⁺): calcd, 536.2309; found, 536.2296.

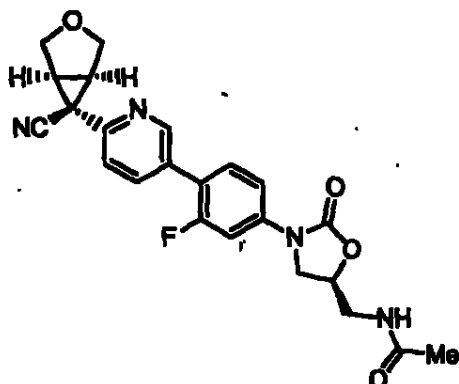
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HRMS (FAB⁺) for C₂₂H₂₂FN₄O₃ (MH⁺): calcd, 437.1737; found, 437.1755.

EXAMPLE 22



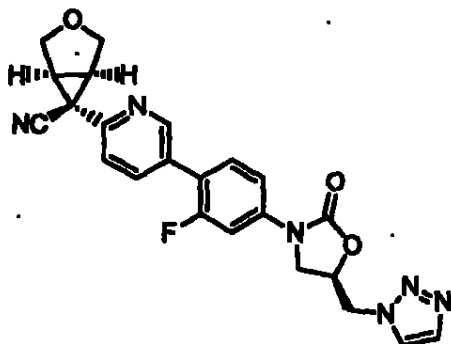
N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

The title compound 22 (302 mg) was prepared from N-[5(S)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (378 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridine (337 mg) in the same manner as described for EXAMPLE 1.

MS (EI⁺) *m/z*: 436 (M⁺).

HRMS (EI⁺) for C₂₂H₂₁FN₄O₄ (M⁺): calcd, 436.1547; found, 436.1516.

EXAMPLE 23



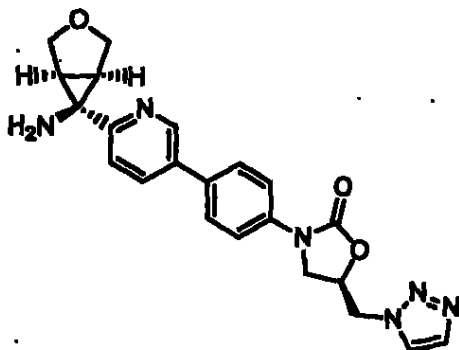
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole.

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896MS (FAB⁺) *m/z*: 519 (MH⁺).HRMS (FAB⁺) for C₂₇H₃₁N₆O₃ (MH⁺): calcd, 519.2356; found, 519.2382.

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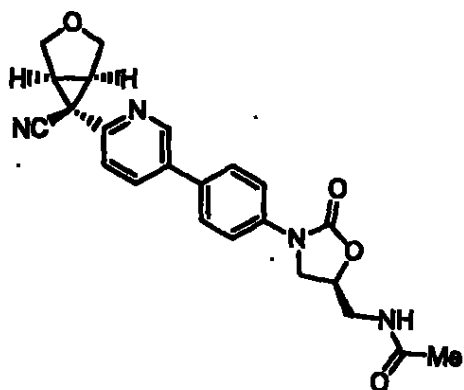
EXAMPLE 27

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole.

The title compound 27 (210 mg) was prepared from 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-*t*-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole (310 mg) in the same manner as described for EXAMPLE 18.

MS (FAB⁺) *m/z*: 419 (MH⁺).HRMS (FAB⁺) for C₂₂H₂₃N₆O₃ (MH⁺): calcd, 419.1832; found, 419.1835.

EXAMPLE 28

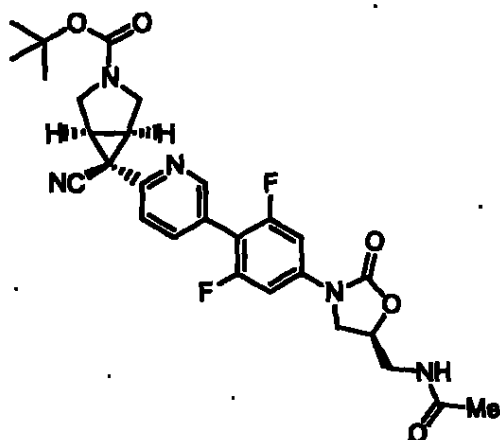
N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

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Title Compound 33 (281 mg) was prepared from 1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-*t*-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3,5-difluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole (360 mg) in the same manner as described for EXAMPLE 2.
MS (FAB⁺) *m/z*: 481 (MH⁺) (as free base).
HRMS (FAB⁺) for C₂₄H₂₀F₃N₆O₂ (MH⁺): calcd, 481.1600; found, 481.1598.

EXAMPLE 34



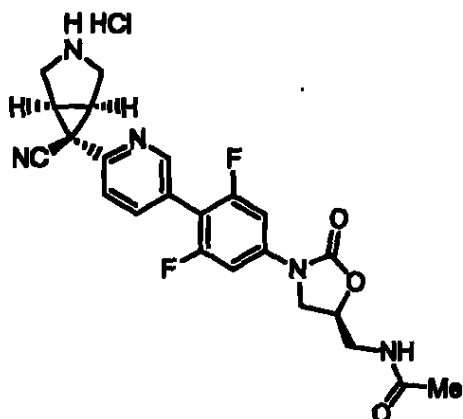
N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-*t*-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.
Title Compound 34 (15.5 mg) was prepared from N-[5(S)-3-[3,5-difluoro-4-(trifluoromethanesulfonyl)oxyphenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (19.6 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-3-*t*-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (20.0 mg) in the same manner as described for EXAMPLE 31.
MS (FAB⁺) *m/z*: 554 (MH⁺).
HRMS (FAB⁺) for C₂₈H₃₀F₂N₅O₅ (MH⁺): calcd, 554.2215; found, 554.2201.

EXAMPLE 35

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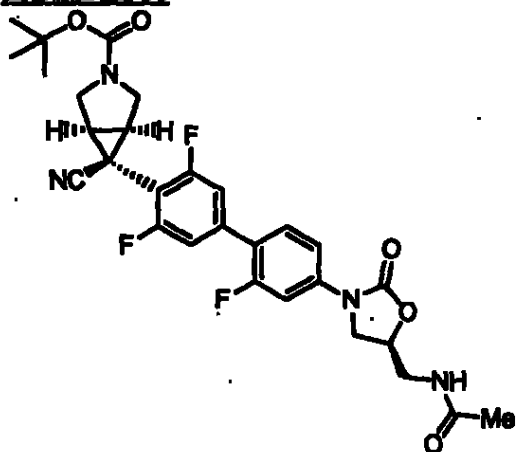
N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide Hydrochloride.

Title Compound 35 (185 mg) was prepared from N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-*t*-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (235 mg) in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 454 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₃H₂₂F₂N₃O₃ (MH⁺): calcd, 454.1691,; 454.1651.

EXAMPLE 36



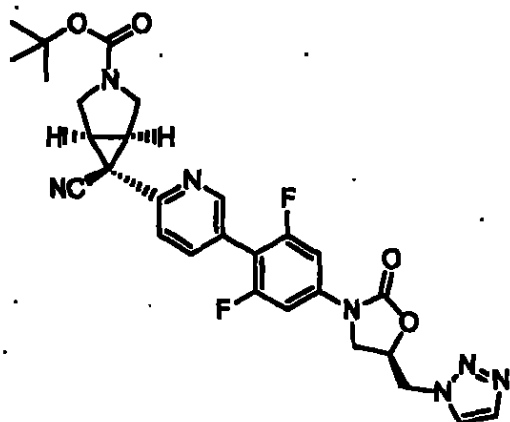
N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-*t*-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3,5-difluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

Title Compound 36 (347 mg) was prepared from N-[5(S)-3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaboroly)-3-fluorophenyl]-

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1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole.

Title Compound 44 (14.5 mg) was prepared from 1-[5(R)-3-[3,5-difluoro-4-(trifluoromethanesulfonyl)oxyphenyl]-

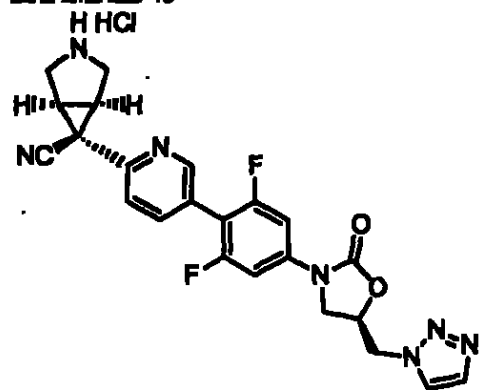
2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole (19.6 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-

cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (20.0 mg) in the same manner as described for EXAMPLE 31.

MS (FAB⁺) *m/z*: 564 (MH⁺).

HRMS (FAB⁺) for C₂₈H₂₈F₂N₇O₄ (MH⁺): calcd, 564.2171; found, 564.2147.

EXAMPLE 45

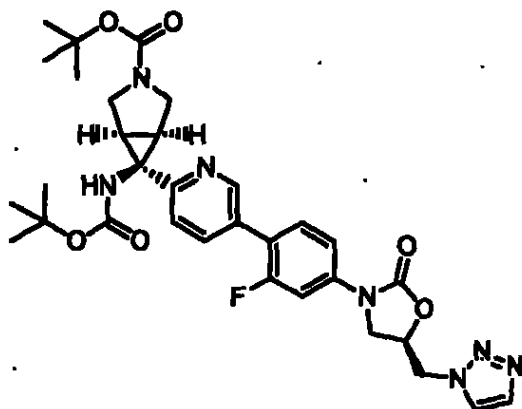


1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole Hydrochloride.

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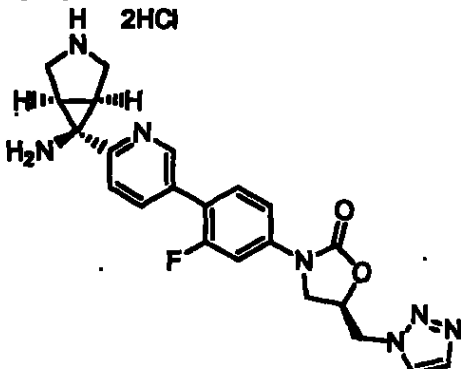
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-(t-butoxycarbonyl)amino-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole.

Title Compound 90 (473 mg) was prepared from 1-[5(R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)-phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole (600 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-(t-butoxycarbonyl)amino-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (702 mg) in the same manner as described for EXAMPLE 1.

MS (FAB⁺) *m/z*: 636 (MH⁺).

HRMS (FAB⁺) for C₃₂H₃₉FN₇O₆ (MH⁺): calcd, 636.2946; found, 636.2931.

EXAMPLE 91



1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole Dihydrochloride.

Title Compound 91 (305 mg) was prepared from 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-(t-butoxycarbonyl)amino-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-

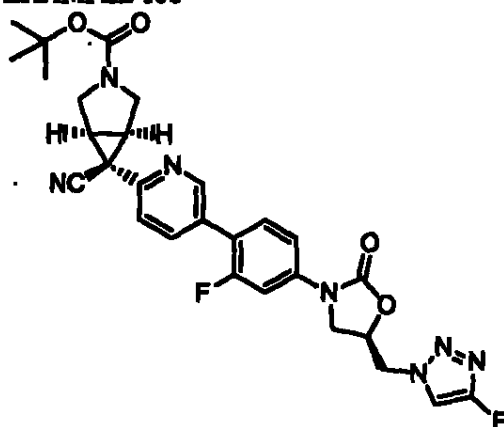
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HRMS (FAB⁺) for C₂₃H₁₉F₂N₆O₃ (MH⁺): calcd, 465.1487; found, 465.1514.

EXAMPLE 106



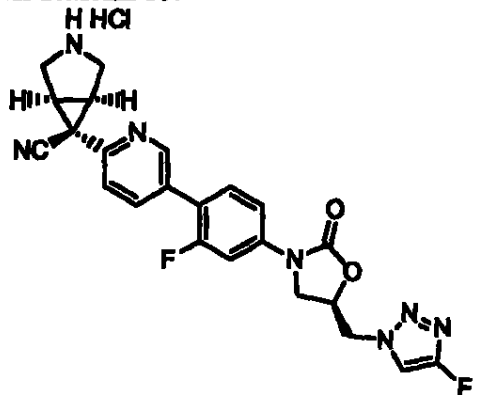
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-4-fluoro-1,2,3-triazole.

Title Compound 106 (301 mg) was prepared from 4-fluoro-1-[5(R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole (370 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (332 mg) in the same manner as described for EXAMPLE 1.

MS (FAB⁺) *m/z*: 564 (MH⁺).

HRMS (FAB⁺) for C₂₈H₂₈F₂N₇O₄ (MH⁺): calcd, 564.2171; found, 564.2168.

EXAMPLE 107



SUBSTITUTE

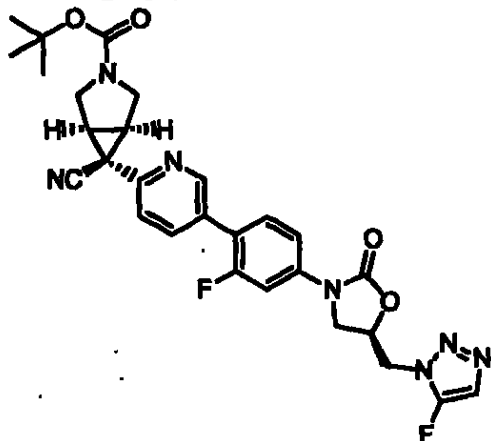
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-4-fluoro-1,2,3-triazole Hydrochloride.

Title Compound 107 (231 mg) was prepared from 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-4-fluoro-1,2,3-triazole (290 mg) in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 464 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₅H₂₀F₂N₇O₂ (MH⁺): calcd, 464.1647; found, 464.1645.

EXAMPLE 108



1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-5-fluoro-1,2,3-triazole.

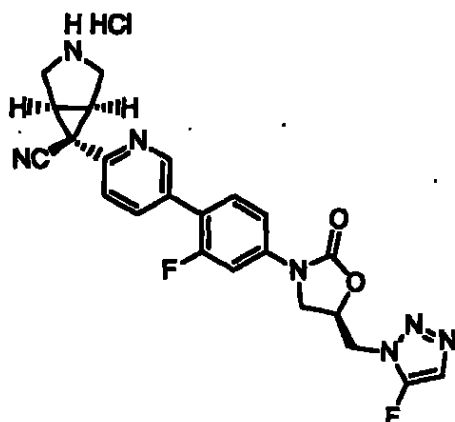
Title Compound 108 (169 mg) was prepared from 1-[5(R)-3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-ylmethyl]-5-fluoro-1,2,3-triazole (150 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (202 mg) in the same manner as described for EXAMPLE 31.

MS (FAB⁺) *m/z*: 564 (MH⁺).

HRMS (FAB⁺) for C₂₈H₂₂F₂N₇O₄ (MH⁺): calcd, 564.2171; found, 564.2189.

EXAMPLE 109

SUBSTITUTE



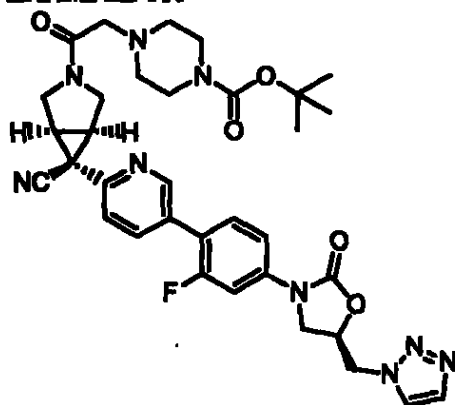
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-5-fluoro-1,2,3-triazole Hydrochloride.

Title Compound 109 (118 mg) was prepared from 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-*t*-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-5-fluoro-1,2,3-triazole (151 mg) in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 464 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₃H₂₂F₃N₇O₂ (MH⁺): calcd, 464.1647; found, 464.1679.

EXAMPLE 110



1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-(4-*t*-Butoxycarbonylpiperazin-1-yl)acetyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole.

Title compound 110 (60.7 mg) was prepared from 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-

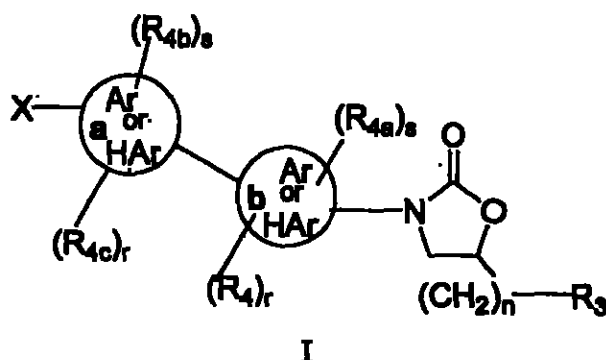
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What is Claimed Is:

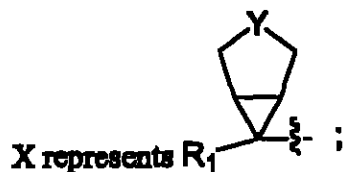
1. The present invention relates to compounds of formula I:



its enantiomer, diastereomer, or pharmaceutically acceptable salt, hydrate or prodrug thereof wherein:

R₁ represents

- i) hydrogen,
- ii) $(CH_2)_pNR_5R_6$,
- iii) $CR_7R_8R_9$, $C(R)_{12}OR_{14}$, CH_2NHR_{14} ,
- iv) $C(=O)R_{13}$, $C(=NOH)H$, $C(=NOR_{13})H$, $C(=NOR_{13})R_{13}$, $C(=NOH)R_{13}$, $C(=O)N(R_{13})_2$, $C(=NOH)N(R_{13})_2$, $NHC(=X_1)N(R_{13})_2$, NR_1CO_2R , $(C=NH)R_7$, $N(R_{13})C(=X_1)N(R_{13})_2$, $COOR_{13}$, SO_2R_{14} , $N(R_{13})SO_2R_{14}$, $N(R_{13})COR_{14}$,
- v) $(C_{1-6}alkyl)CN$, CN , $CH=C(R)_2$, $(CH_2)_pOH$, $C(=O)CHR_{13}$, $C(=NR_{13})R_{13}$, $NR_{10}C(=X_1)R_{13}$; or
- vi) C₅-10 heterocycle optionally substituted with 1-3 groups of R₇, which may be attached through either a carbon or a heteroatom;



Y represents NR^* , O or $\text{S}(\text{O})_p$;



represents aryl or heteroaryl;

R_3 represents $\text{NR}(\text{C}=\text{X}_2)\text{R}_{12}$, NR^*R_{12} , C₆₋₁₀ aryl, or $-(\text{O})_n\text{C}_{5-10}$ heterocyclyl which may be attached through either a carbon or a heteroatom; said aryl and heterocyclyl optionally substituted with 1-3 groups of R_7 ,

R_4 , R_{4a} , R_{4b} , and R_{4c} independently represent

- i) hydrogen,
- ii) halogen,
- iii) C₁₋₆ alkoxy, or
- iv) C₁₋₆ alkyl;

r and s independently are 1-3, with the provision that when $(\text{R}_{4a})_r$ and $(\text{R}_4)_r$ or $(\text{R}_{4b})_s$ and $(\text{R}_{4c})_s$ are attached to an Ar or HAr ring the sum of r and s is less than or equal to 4;

R_5 and R_6 independently represent

- i) hydrogen,
- ii) C₁₋₆ alkyl optionally substituted with 1-3 groups of halogen, CN, OH, C₁₋₆ alkoxy, amino, imino, hydroxyamino, alkoxyamino, C₁₋₆ acyloxy, C₁₋₆ alkylsulfenyl, C₁₋₆ alkylsulfenyl, C₁₋₆ alkylsulfonyl, aminosulfonyl, C₁₋₆ alkylaminosulfonyl, C₁₋₆ dialkylaminosulfonyl, 4-morpholinylsulfonyl, phenyl, pyridine, 5-isoxazolyl, ethylenyloxy, or ethynyl, said phenyl and pyridine optionally substituted with 1-3 halogen, CN, OH, CF_3 , C₁₋₆ alkyl or C₁₋₆ alkoxy;

SUBSTITUTE

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907

iii) C₁₋₆ acyl optionally substituted with 1-3 groups of halogen, OH, SH, C₁₋₆ alkoxy, naphthalenoxy, phenoxy, amino, C₁₋₆ acylamino, hydroxylamino, alkoxyamino, C₁₋₆ acyloxy, aralkyloxy, phenyl, pyridine, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, C₁₋₆ hydroxyacyloxy, C₁₋₆ alkylsulfonyl, phthalimido, maleimido, succinimido, said phenoxy, phenyl and pyridine optionally substituted with 1-3 groups of halo, OH, CN, C₁₋₆ alkoxy, amino, C₁₋₆ acylamino, CF₃ or C₁₋₆ alkyl;

iv) C₁₋₆ alkylsulfonyl optionally substituted with 1-3 groups of halogen, OH, C₁₋₆ alkoxy, amino, hydroxylamino, alkoxyamino, C₁₋₆ acyloxy, or phenyl; said phenyl optionally substituted with 1-3 groups of halo, OH, C₁₋₆ alkoxy, amino, C₁₋₆ acylamino, CF₃ or C₁₋₆ alkyl;

v) arylsulfonyl optionally substituted with 1-3 of halogen, C₁₋₆ alkoxy, OH or C₁₋₆ alkyl;

vi) C₁₋₆ alkoxy carbonyl optionally substituted with 1-3 of halogen, OH, C₁₋₆ alkoxy, C₁₋₆ acyloxy, or phenyl, said phenyl optionally substituted with 1-3 groups of halo, OH, C₁₋₆ alkoxy, amino, C₁₋₆ acylamino, CF₃ or C₁₋₆ alkyl;

vii) aminocarbonyl, C₁₋₆ alkylaminocarbonyl or C₁₋₆ dialkylaminocarbonyl, said alkyl groups optionally substituted with 1-3 groups of halogen, OH, C₁₋₆ alkoxy or phenyl

viii) five to six membered heterocycles optionally substituted with 1-3 groups of halogen, OH, CN, amino, C₁₋₆ acylamino, C₁₋₆ alkylsulfonylamino, C₁₋₆ alkoxy carbonylamino, C₁₋₆ alkoxy, C₁₋₆ acyloxy or C₁₋₆ alkyl, said alkyl optionally substituted with 1-3 groups of halogen, or C₁₋₆ alkoxy;

ix) C₃₋₆ cycloalkylcarbonyl optionally substituted with 1-3 groups of halogen, OH, C₁₋₆ alkoxy or CN;

SUBSTITUTE

- x) benzoyl optionally substituted with 1-3 groups of halogen, OH, C1-6 alkoxy, C1-6 alkyl, CF₃, C1-6 alkanoyl, amino or C1-6 acylamino;
- xi) pyrrolylcarbonyl optionally substituted with 1-3 of C1-6 alkyl;
- xii) C1-2 acyloxyacetyl where the acyl is optionally substituted with amino, C1-6 alkylamino, C1-6 dialkylamino, 4-morpholino, 4-aminophenyl, 4-(dialkylamino)phenyl, 4-(glycylamino)phenyl; or

R₅ and R₆ taken together with any intervening atoms can form a 3 to 7 membered heterocyclic ring containing carbon atoms and 1-2 heteroatoms independently chosen from O, S, SO, SO₂, N, or NR₈;

R₇ represents

- i) hydrogen, halogen, (CH₂)_pC₃₋₁₀ heterocyclyl, CN, CO₂R, CON(R)₂, CHO, (CH₂)₀₋₃NHAc, C(=NOR), OH, C1-6 alkoxy, C1-6 alkyl, alkenyl, hydroxy C1-6 alkyl, (CH₂)₁₋₃NHC(O)C1-6 alkyl, (CH₂)₀₋₃N(C1-6 alkyl)₂, NHCO₂R, -OCOC1-6 alkyl;
- ii) (CH₂)_namino, (CH₂)_nC1-6 alkylamino, C1-6 acylamino, C1-6 dialkylamino, hydroxylamino or C1-2 alkoxyamino all of which can be optionally substituted on the nitrogen with C1-6 acyl, C1-6 alkylsulfonyl or C1-6 alkoxycarbonyl, said acyl and alkylsulfonyl optionally substituted with 1-2 of halogen or OH;

R₈ and R₉ independently represent

- i) H, CN,
- ii) C1-6 alkyl optionally substituted with 1-3 halogen, CN, OH, C1-6 alkoxy, C1-6 acyloxy, or amino,

SUBSTITUTE

iii) phenyl optionally substituted with 1-3 groups of halogen, OH, C1-6 alkoxy; or

R7 and R8 taken together can form a 3-7 membered carbon ring optionally interrupted with 1-2 heteroatoms chosen from O, S, SO, SO₂, NH, and NR₈;

X₁ represents O, S or NR₁₃, NCN, NCO₂R₁₆, or NSO₂R₁₄

X₂ represents O, S, NH or NSO₂R₁₄;

R₁₀ represents hydrogen, C1-6 alkyl or CO₂R₁₅;

R₁₂ represents hydrogen, C1-6 alkyl, NH₂, OR, CHF₂, CHCl₂, C(R)₂Cl, (CH₂)_nSR, (CH₂)_nCN, (CH₂)_nSO₂R, (CH₂)_nS(O)R, C1-6 alkylamino, C3-6 cycloalkyl, C5-10 heterocyclyl or C1-6 dialkylamino, where said alkyl, and cycloalkyl may be substituted with 1-3 groups of halo, CN, OH or C1-6 alkoxy, said heterocyclyl optionally substituted with 1-3 groups of R₇;

each R₁₃ represents independently hydrogen, C1-6 alkyl, C6-10 aryl, NR₅R₆, SR₈, S(O)R₈, S(O)₂R₈, CN, OH, C1-6 alkylS(O)R, C1-6 alkoxy carbonyl, hydroxycarbonyl, -OCOaryl, C1-6 acyl, C3-7 membered carbon ring optionally interrupted with 1-4 heteroatoms chosen from O, S, SO, SO₂, NH and NR₈ where said C1-6 alkyl, aryl or C1-6 acyl groups may be independently substituted with 0-3 halogens, hydroxy, N(R)₂, CO₂R, C6-10 aryl, C5-10 heteroaryl, or C1-6 alkoxy groups;

when two R₁₃ groups are attached to the same atom or two adjacent atoms they may be taken together to form a 3-7 membered carbon ring optionally interrupted with 1-2 heteroatoms chosen from O, S, SO, SO₂, NH, and NR₈;

SUBSTITUTE

R represents hydrogen or C₁₋₆ alkyl;

R* represents hydrogen, CN, C(=O)R₁₄, (CH₂)_pCO₂C₁₋₆ alkyl, (CH₂)_pC₅₋₁₀heterocyclyl, or C₁₋₆ alkyl, said alkyl and heterocyclyl optionally substituted with 1 to 3 groups of R₇;

R₁₄ represents amino, C₁₋₆ alkyl, C₃₋₆ cycloalkyl, (CH₂)_pC₅₋₁₀heterocyclyl, C₁₋₆ haloalkyl, phenyl, said alkyl, cycloalkyl, phenyl, heterocyclyl optionally substituted with 1-3 group of R₇, when R₇ is an amino or hydroxyl group or a nitrogen that forms part of the heterocycle, said amino and hydroxy optionally protected with an amino or hydroxy protecting group;

R₁₅ is C₁₋₆ alkyl or benzyl said benzyl optionally substituted with 1-3 groups of halo, OH, C₁₋₆ alkoxy, amino, C₁₋₆ acylamino, or C₁₋₆ alkyl;

R₁₆ is hydrogen, C₅₋₁₀heteroaryl, C₆₋₁₀aryl, said heteroaryl and aryl optionally substituted with 1-3 groups of R₇;

p represents 0-2 and

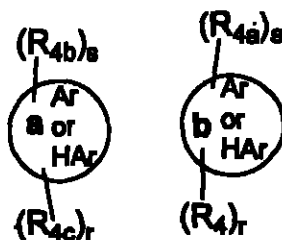
n represents 0.

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2. The compound according to claim 1 wherein Ar and HAr of



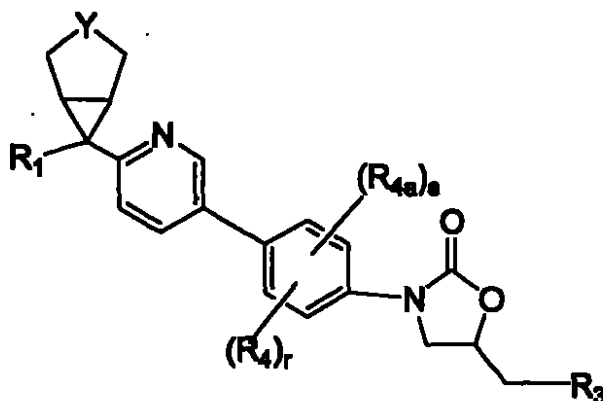
independently are phenyl, pyridyl, pyrimidinyl, or piperidinyl, and $r + s$ for a and b combined ≤ 3 .



3. The compound according to claim 2 wherein X is R_1
4. The compound according to claim 3 wherein Y is NR^* and R_1 is H, NR_5R_6 , CN, OH, or $CR_7R_8R_9$.
5. The compound according to claim 4 wherein R_1 is NR_5R_6 , or CN.
6. The compound according to claim 5 wherein R_3 is C5-10 heteroaryl, said heteroaryl optionally substituted with 1-3 groups of R_7

SUBSTITUTE

9. The compound according to claim 1 of the structural formula IV:



Formula IV

wherein R_4 , R_{4s} are as described and R_3 and $\textcircled{N}$ independently are 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, tetrazole, pyrazole, or imidazole, any of which may contain 1 to 3 substituents selected from R_7 .

10. A compound which is;

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole hydrochloride,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole hydrochloride,

SUBSTITUTE

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole hydrochloride,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole hydrochloride,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide hydrochloride,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide hydrochloride,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide hydrochloride,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide hydrochloride,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

SUBSTITUTE

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3,5-difluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3,5-difluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide hydrochloride,

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N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3,5-difluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3,5-difluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide hydrochloride,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]phenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]phenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole hydrochloride,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]phenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]phenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

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N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide S-oxide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide S,S-dioxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S-oxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S,S-dioxide,

4-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,4-triazole,

4-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,4-triazole,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-5-[(isoxazol-3-yl)oxy]methyloxazolidin-2-one,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-5-[(isoxazol-3-yl)oxy]methyloxazolidin-2-one,

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5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-5-[N-(t-butoxycarbonyl)-N-(isoxazol-3-yl)]aminomethyloxazolidin-2-one,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-5-[N-(isoxazol-3-yl)]aminomethyloxazolidin-2-one,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-5-[(isoxazol-3-yl)oxy]methyloxazolidin-2-one,

5(R)-5-[N-(t-butoxycarbonyl)-N-(isoxazol-3-yl)]aminomethyl-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]oxazolidin-2-one,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-5-[N-(isoxazol-3-yl)]aminomethyloxazolidin-2-one,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]propionamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]difluoroacetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]cyclopropanecarboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]propionamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]propionamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]cyclopropanecarboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]cyclopropanecarboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]difluoroacetamide,

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- N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]difluoroacetamide,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-methyl-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3,6-dicyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(1-t-butoxycarbonylaminocyclopropan-1-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(1-aminocyclopropan-1-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-[2-(phthalimid-2-yl)ethyl]-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-(2-aminoethyl)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-[2-(1,2,4-triazol-4-yl)ethyl]-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-bromoacetyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(morpholin-4-yl)acetyl-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

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1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(5-cyanopyridin-2-yl)-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(1,3-dihydroxypropan-2-yl)-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(2S)-1-t-butoxycarbonylpyrrolidin-2-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-[(2S)-pyrrolidin-2-yl)carbonyl]-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(2S,4R)-1-t-butoxycarbonyl-4-hydroxypyrrolidin-2-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole, 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-[(2S,4R)-4-hydroxypyrrolidin-2-yl)carbonyl]-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(2S,4S)-1-t-butoxycarbonyl-4-fluoropyrrolidin-2-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-[(2S,4S)-4-fluoropyrrolidin-2-yl)carbonyl]-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-(t-butoxycarbonyl)amino-3-azabicyclo

[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

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1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-amino-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole dihydrochloride,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-acetoxyacetyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-hydroxyacetyl-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-(2,2-dichlorocyclopropane)-1-carboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-(2,2-dichlorocyclopropane)-1-carboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-(2,2-dichlorocyclopropane)-1-carboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-(2,2-difluorocyclopropane)-1-carboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-(2,2-difluorocyclopropane)-1-carboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-(2,2-difluorocyclopropane)-1-carboxamide,

O-methyl-N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]

hexan-6-yl]-pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]carbamate,

O-methyl-N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]carbamate,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3,6-dicyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

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- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-methyl-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[3-fluoro-4-[2-[(1 α ,5 α ,6 β)-6-hydroxymethyl-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-4-fluoro-1,2,3-triazole,
- 1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-4-fluoro-1,2,3-triazole,
- 1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-4-fluoro-1,2,3-triazole,
- 1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-5-fluoro-1,2,3-triazole,
- 1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-5-fluoro-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-(4-t-butoxycarbonylpiperazin-1-yl)acetyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(piperazin-1-yl)acetyl-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole dihydrochloride,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-oxabicyclo[3.1.0]hexan-6-yl]thiophen-4-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
- 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(piperidin-1-yl)acetyl-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

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1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(pyrrolidin-1-yl)acetyl-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(4-dimethylaminopiperidin-1-yl)acetyl-3-azabicyclo

[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(2S)-1-t-butoxycarbonylpyrrolidin-2-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-[(2S)-pyrrolidin-2-yl)carbonyl]-3-azabicyclo[3.1.0]

hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-[(2S,4R)-4-hydroxypyrrolidin-2-yl)carbonyl]-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole hydrochloride,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(2S,4R)-1-t-butoxycarbonyl-4-hydroxypyrrolidin-2-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(2S,4S)-1-t-butoxycarbonyl-4-fluoropyrrolidin-2-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-[(2S,4S)-4-fluoropyrrolidin-2-yl)carbonyl]-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

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1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S-oxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S-oxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S,S-dioxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S,S-dioxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S-oxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S-oxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S,S-dioxide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-amino-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S,S-dioxide,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-5-[(isoxazol-3-yl)oxy]methyloxazolidin-2-one,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-5-[(isoxazol-3-yl)oxy]methyloxazolidin-2-one,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(4-methylpiperazin-1-yl)acetyl-3-azabicyclo[3.1.0]

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hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S-oxide,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S,S-dioxide,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S-oxide,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-thiabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole S,S-dioxide,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(1,3-diacetoxypropan-2-yl)-3-azabicyclo[3.1.0]
hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(3R,4S)-1-azabicyclo[2.2.1]heptan-3-yl]carbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(pyridin-2-yl)-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,
5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-5-[N-(t-butoxycarbonyl)-N-(isoxazol-3-yl)]aminomethyloxazolidin-2-one,
5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-5-[N-(isoxazol-3-yl)]aminomethyloxazolidin-2-one,
1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-(thiatriazol-2-yl)-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

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N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]thioacetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]thioacetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]isothiocyanate,

O-methyl-N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]

hexan-6-yl]-pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate,

O-methyl-N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate,

or their enantiomer, diastereomer, or pharmaceutically acceptable salt, hydrate or prodrug thereof.

11 A compound according to claim 10, which is

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

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1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3,5-difluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3,5-difluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]phenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide,

1-[5(R)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]phenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

4-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,4-triazole,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-5-[(isoxazol-3-yl)oxy]methyloxazolidin-2-one,

5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-5-[N-(isoxazol-3-yl)]aminomethyloxazolidin-2-one,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]cyclopropanecarboxamide,

N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]difluoroacetamide,

1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-[(1-Aminocyclopropan-1-yl)carbonyl]-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

or their enantiomer, diastereomer, or pharmaceutically acceptable salt, hydrate or prodrug thereof.

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