Industria y Comercio

SUPERINTENDENCIA

Organizacion CSA Ltda

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
INVENTARIO

SOLICITUD

PATENTE DE INVENCION

21. **EXPEDIENTE No.** 00-25231

54. **TITULO** DERIVADOS DE ERITROMICINA B O SALES DE LOS MISMOS, QUE POSEEN ÓPTIMA ACTIVIDAD ANTIBACTERIANA.

51. **CLASIFICACION INTERNACIONAL** A61K 31/70 // 31/71

71. **SOLICITANTE** HOKURIKU SEIYAKU CO., LTD.

DOMICILIO KATSUYAMA-SHI, FUKUI, JAPON

74. **APODERADO** JIMENA ESCOBAR URIBE

22. **SANTAFE DE BOGOTA, D.C.** ABRIL 6 DEL 2000

(FORMA P 10)

Industria y Comercio
SUPERINTENDENCIA

SOLICITUD DE PATENTE DE INVENCIÓN

00 25231

SUPERINDUSTRIA Y COMERCIO
Radicacion : 00025231 00000000 Folios: 158
Fecha (AMD): 2000-04-06 17:04:12
Tramite : 002 PATENTES D 1 REGISTRO/ 411 PRESENTAC
Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

DATOS DEL SOLICITANTE

(71) Nombres y Apellidos o Razón Social: HOKURIKU SEIYAKU CO., LTD.

No. de Identificación:

Representante Legal (Persona Jurídica):

País de Domicilio: JAPÓN.

Departamento o Estado: FUKUI

Dirección:

Ciudad: KATSUYAMA-SHI Teléfono:

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Identificación:

Dirección: 1. KATSUYAMA-SHI, FUKUI, JAPÓN; 2. KATSUYAMA-SHI, FUKUI, JAPÓN; 3. KATSUYAMA-SHI, FUKUI, JAPÓN; 3. KATSUYAMA-SHI, FUKUI, JAPÓN;

Ciudad: 4. KATSUYAMA-SHI, FUKUI, JAPÓN; 5. KATSUYAMA-SHI, FUKUI, JAPÓN.

Teléfono:

DATOS DE LA PATENTE DE INVENCIÓN

(54) Título de la Invención: DERIVADOS DE ERITROMICINA B O SALES DE LOS MISMOS, QUE POSEEN ÓPTIMA ACTIVIDAD ANTIBACTERIANA.

PRIORIDAD REIVINDICADA SÍ [X] NO [ ] TIPO DE PRIORIDAD ANDINA [ ] UNIONISTA [X]

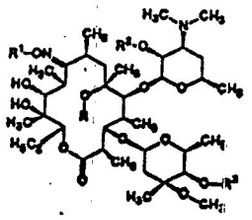
(33) País JAPÓN Fecha ABRIL 8, 1999 (31) No. Solicitud 100726/1999

Para publicar a los [X] 6 meses [ ] 12 meses [ ] 18 meses a partir de la fecha de la presente solicitud

(51) CLASIFICACIÓN INTERNACIONAL A 61 2331/P.

RESUMEN CON EL OBJETO Y LA FINALIDAD DE LA INVENCIÓN

La presente invención se refiere a un derivado de eritromicina novedoso representando por la fórmula general siguiente o una sal del mismo.



en donde R representa un átomo de hidrógeno o un grupo alquilo inferior; R^1 representa un un grupo alquilo, un grupo cicloalquilo, un grupo (cicloalquil) alquilo, un grupo aralquilo, o un grupo representando la fórmula $-(CH_2)_n$ -X-R^4 ; R^5 representa un átomo de hidrógeno o un grupo acetilo; R^3 representa un grupo acilo o un grupo representando la fórmula –C(=O) –Y-. R^5; R^4 representa un grupo alquilo, un grupo alcoxialquilo, un grupo alquiltioalquilo, un grupo aquilaminoalquilo, un grupo arilo, o un grupo aralquilo; R^5 representa un grupo alquilo, grupo arilo, o un grupo aralquilo ; n representa un entero de valor 1 a 6; X representa un átomo de oxígeno, átomo de azufre, o un grupo representado por –NZ-; Y representa átomo de oxígeno o grupo representado por –NH-; y Z representa átomo de hidrógeno o grupo alquilo. El derivado posee óptima actividad antibacteriana contra micobacterias rápidamente ácidas y es sumamente útil como agente antibacteriano.

ANEXOS DE LA SOLICITUD

Certificado de existencia y Representación Legal cuando el solicitante sea Persona Jurídica No.	X
Los poderes debidamente otorgados, o mención de su protocolización ante la SIC No.	X
Recibo de la tasa respectiva No. 20, 969	X
Recibo de la tasa por concepto de excedente de palabras en la publicación	
Si se reivindica prioridad Andina:	
Copia de la primera solicitud	
Traducción oficial	
Si se reivindica prioridad Unionista:	
Copia certificada de la primera solicitud: Nota: Debe presentarse dentro de los tres (3) meses, contados a partir de la fecha de cación de la solicitud posterior	
Traducción simple	
Capitulo descriptivo	X
Dibujos planos necesarios	
Capítulo reivindicatorio	X
Tarjeta archivo propiedad según formato	X
Tarjeta archivo temático según formato	X
Extracto para publicación según formato	X
Arte final 12 x 12 cms Y 6x6 cms	
Otros documentos	

SOLICITO LA CONCESIÓN DE LA PATENTE DE INVENCIÓN Y DECLARO QUE LA INVENCIÓN ES NUEVA Y DE MI PROPIEDAD

FIRMA

NOMBRE JIMENA ESCOBAR URIBE C.C. 39.779.452 de Usaquén. T.P. No. 68.228

2331

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Industria y Comercio

SUPERINTENDENCIA

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 20,969
FECHA : MARZO 30 DE 2000

SUPERINDUSTRIA Y COMERCIO
Radicacion : 00025231 00000000 Folios: 158
Fecha (AMD): 2000-04-06 17:04:12
Tramite : 002 PATENTES D 1 REGISTRO/ 411 PRESENTAC
Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

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

DEPOSITANTE	TIPO PAGO	BANCO	SUCURSAL	CUENTA	No. PAGO	Vr. PAGO
ESCOBAR URIBE ASOCIADOS	CONSIGNACION	BANCO POPULAR	BOGOTA	050-00024-9	2245618	10,800,000.00

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

IT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	1 TRAMITES DE SOL. DE PATENTE DE IN	608,000.00
		TOTAL : $	608,000.00

SON: SEISCIENTOS OCHO MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No. _____

Carrera 13 No. 27-00 Pisos 5 y 10
Conmutador: 334 12 21
Fax: 281 31 25
Santa Fe de Bogotá, D.C., Colombia

DERIVADOS DE ERITROMICINA

Campo de la invención

La presente invención se refiere a derivados novedosos de eritromicina B o sales de los mismos como agentes antibacterianos, que poseen óptima actividad antibacteriana especialmente contra micobacterias rápidamente ácidas atípicas que incluyen bacterias resistentes a múltiples drogas.

La presente invención también se refiere a medicamentos que comprenden a los mismos como un ingrediente activo.

Antecedentes técnicos

Las micobacterias rápidamente ácidas atípicas poseen baja sensibilidad a diversos agentes antibacterianos que incluyen agentes antituberculosis, y por esto, las enfermedades por micobacteriosis rápidamente ácidas atípicas son sumamente intratables. La rifampicina ("The Merck Index", 12° edición, 8382) y lo similar son conocidos como compuestos que pueden aplicarse a la enfermedad similar a las tratadas por los compuestos de la presente invención. Además, como derivados de macrólidos que poseen una estructura química similar a la de los compuestos de la presente invención, se encuentran la claritromicina ("The Merck Index", 12° edición, 2400), roxitromicina ("The Merck Index", 12° edición, 8433) como compuesto tipo 9-oxima y lo similar son conocidos como agentes antibacterianos. Además, la 4"-O-acetileritromicina A 9-(O-metiloxima) y lo similar fue notificada en la Publicación

de patente Japonesa no examinada (KOKAI) No. 63-107921/1988 por poseer una acción inhibitoria de la replicación virosa. Sin embargo, se ha sabido poco respecto a que estos derivados de macrólido posean actividad antibacteriana contra micobacterias rápidamente ácidas atípicas. La aplicación clínica de claritromicina solamente ha sido aprobada en los Estados Unidos y otros países que lo consideran como el agente más prometedor para tratamiento de micobacteriosis rápidamente ácida atípica entre los derivados de macrólido. Sin embargo, la actividad antibacteriana de la claritromicina tampoco es suficiente como un agente para tratamiento de micobacteriosis rápidamente ácida atípica. Por lo tanto, se ha buscado el desarrollo de agentes antibacterianos óptimos.

En años recientes, el aumento de infecciones oportunas ha llegado a ser un gran problema social. La causa del aumento de las infecciones oportunas puede incluir el aumento de anfitriones relacionados con mecanismo de biofilaxis degradados tales como pacientes infectados por el virus de inmunodeficiencia humana (HIV), pacientes de cáncer y diabetes, y personas de edad avanzada, incremento de bacterias resistentes a múltiples drogas cuyos ejemplos típicos son "Staphylococus aureus" resistente a Meticilina y lo similar, sustitución microbiana por esta bacteria de los pacientes y lo similar. Por estas causas, la quimioterapia de infecciones oportunistas se hace mas difícil.

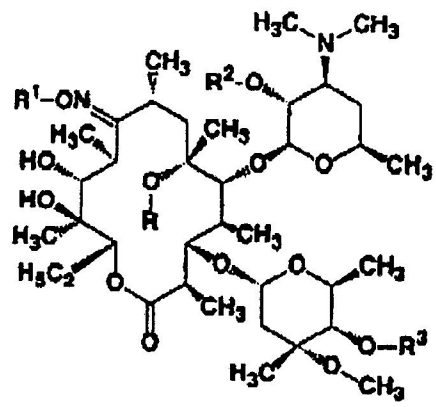
La micobacteriosis rápidamente ácida atípica es una de las infecciones oportunistas. En la micobacteriosis rápidamente ácida atípica, las bacterias causantes de la micobacteriosis rápidamente ácida atípica, proliferan lentamente, y aún cuando sea capturada por fagocitos, pueden sobrevivir dentro de las células por un período de tiempo prolongado. Por lo tanto, se requiere una quimioterapia prolongada para tratar infecciones por estas bacterias. En particular, entre las micobacterias rápidamente ácida atípicas, pocos agentes antibacterianos efectivos son disponibles contra "Mycobacterium avium" complejo (MAC), y consiguientemente, se ha estudiado también el tratamiento quirúrgico para esta infección en la actualidad. Además, aún la claritromicina mencionada precedentemente carece de selectividad respecto a la micobacteria rápidamente ácida atípica, y las MAC resistente a claritromicina son conocidas en la actualidad. Según se describió precedentemente, diversos problemas sobrevienen en la quimioterapia de micobacterias rápidamente ácida atípicas, por ejemplo, baja sensibilidad a agentes antibacterianos conocidos, y condiciones de elevada probabilidad de sustitución microbiana o emergencia de bacterias resistentes.

Descripción de la invención

Un objeto de la presente invención es el de proveer un compuesto que posea actividad selectiva y antibacterial excelente contra micobacterias rápidamente ácidas atípicas.

Los inventores de la presente invención realizaron afanosamente investigaciones para lograr el objeto mencionado precedentemente. Como resultado, encontraron que los derivados de eritromicina novedosos o sales de los mismos de acuerdo con la presente invención eran útiles como agentes antibacterianos, y los mismos poseen actividad antibacteriana excelente particularmente contra micobacterias rápidamente ácidas atípicas. La presente invención se realizó en base a estos hallazgos.

La presente invención de esta manera describe derivados de eritromicina novedosos representados por la fórmula general siguiente (I) o sales de los mismos:

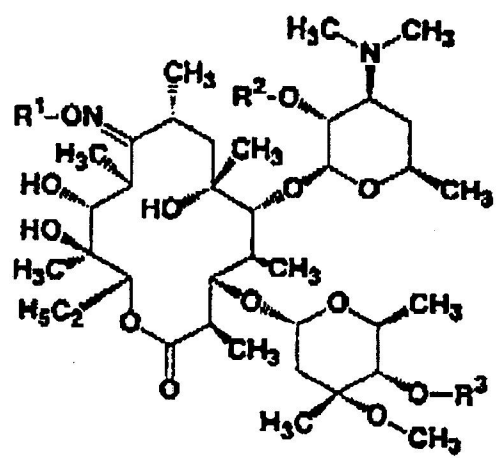


(I)

en donde R representa un átomo de hidrógeno o un grupo alquilo inferior; R^1 representa un grupo alquilo C_{5-12} que puede ser sustituido, un grupo cicloalquilo que puede ser sustituido, un grupo (cicloalquil)alquilo que puede ser sustituido, un grupo aralquilo que puede ser sustituido, o un grupo representado por

la fórmula $-(CH_2)_n-X-R^4$; R^2 representa un átomo de hidrógeno o un grupo acetilo; R^3 representa un grupo acilo que puede ser sustituido, o un grupo representado por la fórmula $-C(=O)-Y-R^5$; R^4 representa un grupo alquilo que puede ser sustituido, un grupo alcoxialquilo que puede ser sustituido, un grupo alquiltioalquilo que puede ser sustituido, un grupo alquilaminoalquilo que puede ser sustituido, un grupo arilo que puede ser sustituido o un grupo aralquilo que puede ser sustituido; R^5 representa un grupo alquilo que puede ser sustituido, un grupo arilo que puede ser sustituido, o un grupo aralquilo que puede ser sustituido; n representa un entero de valor 1 a 6; X representa un átomo de oxígeno, un átomo de azufre, o un grupo representado por -NZ-; Y representa un átomo de oxígeno o un grupo representado por -NH-; y Z representa un átomo de hidrógeno o un grupo alquilo que puede ser sustituido.

De acuerdo con el segundo aspecto de la presente invención, se proveen los compuestos representados por la fórmula general siguiente (II) o sales de los mismos:



(II)

en donde R^1, R^2, y R^3 poseen los mismos significados como los definidos precedentemente, que corresponden a los compuestos representados por la fórmula general (I) mencionada precedentemente en donde R es un átomo de hidrógeno.

De acuerdo con un tercer aspecto de la presente invención, se proveen los compuestos representados por las fórmulas generales (I) y (II) mencionadas precedentemente o sales de los mismos en donde R^2 es un átomo de hidrógeno.

De acuerdo con un aspecto adicional de la presente invención, se provee un medicamento que comprende un compuesto representado por la fórmula general (I) y (II) mencionada precedentemente o una sal fisiológicamente aceptable del mismo como un ingrediente activo.

Los medicamentos provistos por la presente invención pueden ser usados convenientemente como, por ejemplo, un agente antibacteriano, en particular, un agente para el tratamiento de micobacteriosis rápidamente ácida atípica.

La presente invención además provee una utilización de un compuesto representado por la fórmula general (I) y (II) mencionada precedentemente o una sal fisiológicamente aceptable del mismo para la fabricación del medicamento mencionado precedentemente; y un método para el tratamiento terapéutico de enfermedades infecciosas, en particular un método para el tratamiento terapéutico de micobacteriosis rápidamente ácida atípica que comprende la etapa de administrar a un mamífero que

incluye un ser humano una cantidad terapéuticamente efectiva de un compuesto representado por la fórmula general (I) y (II) mencionada precedentemente o una sal fisiológicamente aceptable del mismo.

Mejor modalidad para realizar la invención

Los derivados de eritromicina novedosos representados por la fórmula general (I) mencionada precedentemente según la presente invención se explicará específicamente más adelante. Es evidente para una persona experta que los compuestos representados por la fórmula general (II) mencionada precedentemente de la presente invención se encuentran dentro de los compuestos representados por la fórmula general (1) mencionada precedentemente. En la fórmula general (I) mencionada precedentemente de la presente invención, el grupo alquilo inferior representado por R puede ser, por ejemplo, grupo metilo, grupo etilo, grupo n-propilo, grupo n-butilo o lo similar. El grupo alquilo del grupo alquilo C_{5-12} opcionalmente sustituido representado por R^1 puede ser un grupo alquilo lineal o ramificado que posee 5 a 12 átomos de carbono, por ejemplo, grupo n-pentilo, grupo isopentilo, grupo neopentilo, grupo terc-pentilo, grupo n-hexilo, grupo 4-metilpentilo, grupo n-heptilo, grupo n-octilo, grupo n-nonilo, grupo n-decilo, grupo n-undecilo, n-dodecilo grupo y lo similar. El grupo cicloalquilo del grupo cicloalquilo opcionalmente sustituido

representado por R^1 puede ser un grupo cicloalquilo que posee 3 a 6 átomos de carbono, por ejemplo, grupo ciclopropilo, grupo ciclobutilo, grupo ciclopentilo, grupo ciclohexilo. El grupo (cicloalquil)alquilo del grupo (cicloalquil)alquilo opcionalmente sustituido representado por R^1 es un grupo que consiste de un grupo alquilo lineal o ramificado que posee 1 a 12 átomos de carbono sustituido con el grupo cicloalquilo mencionado precedentemente en cualquier posición. Los ejemplos incluyen, por ejemplo, grupo ciclopropilmetilo, grupo ciclobutilmetilo, grupo ciclopentilmetilo, grupo ciclohexilmetilo, grupo ciclopropiletilo, grupo ciclobutiletilo, grupo ciclopentiletilo, grupo ciclohexiletilo, grupo ciclohexilpropilo, grupo ciclohexilbutilo, grupo ciclohexilpentilo, grupo ciclohexilhexilo, grupo ciclohexilheptilo, grupo ciclohexiloctilo, grupo ciclohexilnonilo, grupo ciclohexildecilo, grupo ciclohexilundecilo, grupo ciclohexildodecilo y lo similar.

En la fórmula general (I) mencionada precedentemente de la presente invención, el grupo aralquilo del grupo aralquilo opcionalmente sustituido representado por R^1, R^4 o R^5 es un grupo que consiste de un grupo alquilo lineal o ramificado que posee 1 a 12 átomos de carbono sustituido con un grupo arilo en cualquier posición. Los ejemplos incluyen, por ejemplo, grupo bencilo, grupo naftilmetilo, grupo naftiletilo, grupo naftilpropilo, grupo piridilmetilo, grupo piridiletilo, grupo

piridilpropilo, grupo pirimidilmetilo, grupo pirimidiletilo, grupo pirimidilpropilo, grupo pirazinilmetilo, grupo piraziniletilo, grupo pirazinilpropilo, grupo furilmetilo, grupo furiletilo, grupo furilpropilo, grupo benzofuranilmetilo, grupo benzofuraniletilo, grupo benzofuranilpropilo, grupo tienilo, grupo tieniletilo, grupo tienilpropilo, grupo, benzo[b]tienilmetilo, grupo benzo[b]tieniletilo, grupo benzo[b]tienilpropilo, grupo pirrolilmetilo, grupo pirroliletilo, grupo pirrolilpropilo, grupo indolilmetilo, grupo indoliletilo, grupo indolilpropilo, grupo imidazolilmetilo, grupo imidazoliletilo, grupo imidazolilpropilo, grupo benzimidazolilmetilo, grupo benzimidazoliletilo, grupo benzimidazolilpropilo, grupo quinolilmetilo, grupo quinoliletilo, grupo quinolilpropilo, grupo isoquinolilmetilo, grupo isoquinoliletilo, grupo isoquinolilpropilo, grupo fenetilo, grupo fenilpropilo, grupo fenilbutilo, grupo fenilpentilo, grupo fenilhexilo, grupo fenilheptilo, grupo feniloctilo, grupo fenilnonilo, grupo fenildecilo, grupo fenilundecilo, grupo fenildodecilo y lo similar.

El grupo acilo del grupo acilo opcionalmente sustituido representado por R^3 puede ser un grupo formilo, o un grupo que consiste de un grupo alquilo, grupo cicloalquilo, grupo (cicloalquil)alquilo, grupo arilo o grupo aralquilo que es sustituido con un grupo carbonilo en cualquier posición. Los ejemplos incluyen, por ejemplo, grupo acetilo, grupo propionilo,

grupo butirilo, grupo isobutirilo, grupo n-valerilo, grupo isovalerilo, grupo pivaloilo, grupo n-hexanoilo, grupo n-heptanoilo, grupo n-octanoilo, grupo n-nonanoilo, grupo n-decanoilo, grupo n-undecanoilo, grupo n-dodecanoilo, grupo n-tridecanoilo, grupo ciclopropilcarbonilo, grupo ciclobutilcarbonilo, grupo ciclopentilcarbonilo, grupo ciclohexilcarbonilo, grupo ciclopropilacetilo, grupo ciclobutilacetilo, grupo ciclopentilacetilo, grupo ciclohexilacetilo, grupo ciclohexilpropionilo, grupo ciclohexilbutirilo, grupo ciclohexilpentanoilo, grupo ciclohexilhexanoilo, grupo ciclohexilheptanoilo, grupo ciclohexiloctanoilo, grupo ciclohexilnonanoilo, grupo ciclohexildecanoilo, grupo ciclohexilundecanoilo, grupo ciclohexildodecanoilo, grupo ciclohexiltridecanoilo, grupo benzoilo, grupo naftoilo, grupo nicotinoilo, grupo isonicotinoilo, grupo piridazolilcarbonilo, grupo pirazinilcarbonilo, grupo furoilo, grupo benzofuranilcarbonilo, grupo tenoilo, grupo benzo[b]tienilcarbonilo, grupo pirrolilcarbonilo, grupo indolilcarbonilo, grupo imidazolilcarbonilo, grupo benzimidazolilcarbonilo, grupo quinolilcarbonilo, grupo isoquinolilcarbonilo, grupo fenilacetilo, grupo naftilacetilo, grupo piridilacetilo, grupo furilacetilo, grupo tienilacetilo, grupo pirrolilacetilo, grupo fenilpropionilo, grupo fenilbutirilo, grupo fenilpentanoilo, grupo fenilhexanoilo, grupo fenilheptanoilo, grupo

feniloctanoilo, grupo fenilnonanoilo, grupo fenildecanoilo, grupo fenilundecanoilo, grupo fenildodecanoilo, grupo feniltridecanoilo, grupo α-metilfenilacetilo y lo similar.

El grupo alquilo del grupo alquilo opcionalmente sustituido representado por R^4, R^5 o Z puede ser un grupo alquilo lineal o ramificado que posee 1 a 12 átomos de carbono. Los ejemplos incluyen, por ejemplo, grupo metilo, grupo etilo, grupo n-propilo, grupo isopropilo, grupo n-butilo, grupo isobutilo, grupo sec-butilo, grupo terc-butilo, grupo n-pentilo, grupo isopentilo, grupo neopentilo, grupo terc-pentilo, grupo n-hexilo, grupo n-heptilo, grupo n-octilo, grupo n-nonilo, grupo n-decilo, grupo n-undecilo, grupo n-dodecilo y lo similar. El grupo alcoxialquilo del grupo alcoxialquilo opcionalmente sustituido representado por R^4 es un grupo que consiste de un grupo alquilo lineal o ramificado que posee 1 a 12 átomos de carbono sustituido con un grupo alcoxilo lineal o ramificado que posee 1 a 12 átomos de carbono en cualquier posición. Los ejemplos incluyen, por ejemplo, grupo metoximatilo, grupo etoximetilo, grupo n-propoximetilo, grupo isopropoximatilo, grupo n-butoximetilo, grupo isobutoximetilo, grupo sec-butoximetilo, grupo terc-butoximetilo, grupo n-pentiloximetilo, grupo isopentiloximetilo, grupo neopentiloximetilo, grupo terc-pentiloximetilo, grupo n-hexiloximetilo, grupo n-heptiloximetilo, grupo n-octiloximetilo, grupo n-noniloximetilo, grupo

n-deciloximetilo, grupo n-undeciloximetilo, grupo n-dodeciloximetilo, grupo metoxietilo, grupo metoxipropilo, metoxibutilo grupo, grupo metoxipentilo, grupo metoxihexilo, grupo metoxiheptilo, metoxioctilo grupo, grupo metoxinonilo, grupo metoxidecilo, grupo metoxiundecilo, grupo metoxidodecilo, grupo etoxietilo, n-hexiloxietilo grupo, grupo n-dodeciloxietilo, grupo n-propoxipropilo y lo similar. El grupo alquiltioalquilo opcionalmente sustituido del grupo alquiltioalquilo representado por R^4 es un grupo que consiste de un grupo alquilo lineal o ramificado que posee 1 a 12 átomos de carbono sustituido con un grupo alquiltio lineal o ramificado que posee 1 a 12 átomos de carbono en cualquier posición. Los ejemplos incluyen, por ejemplo, grupo metiltiometilo, grupo etiltiometilo, grupo n-propiltiometilo, grupo isopropiltiometilo, grupo n-butiltiometilo, grupo isobutiltiometilo, grupo sec-butiltiometilo, grupo terc-butiltiometilo, grupo n-pentiltiometilo, grupo isopentiltiometilo, grupo neopentiltiometilo, grupo terc-pentiltiometilo, grupo n-hexiltiometilo, grupo n-heptiltiometilo, grupo n-octiltiometilo, grupo n-noniltiometilo, n-deciltiometilo grupo, grupo n-undeciltiometilo, grupo n-dodeciltiometilo, grupo metiltioetilo, grupo metiltiopropilo, grupo, metiltiobutilo grupo metiltiopentilo, grupo metiltiohexilo, grupo metiltioheptilo, grupo metiltiooctilo, grupo metiltiononilo,

grupo metiltiodecilo, grupo metiltioundecilo, grupo metiltiododecilo y lo similar. El grupo alquilaminoalquilo del grupo alquilaminoalquilo opcionalmente sustituido representado por R^4 es un grupo que consiste de un grupo alquilo lineal o ramificado que posee 1 a 12 átomos de carbono sustituido con un grupo amino adicionalmente sustituido con uno o dos grupos alquilo lineal o ramificado que posee 1 a 12 átomos de carbono en cualquier posición. Los ejemplos incluyen, por ejemplo, grupo metilaminometilo, grupo etilaminometilo, grupo n-propilaminometilo, grupo isopropilaminometilo, grupo n-butilaminometilo, grupo isobutilaminometilo, grupo sec-butilaminometilo, grupo terc-butilaminometilo, grupo n-pentilaminometilo, grupo isopentilaminometilo, grupo neopentilaminometilo, grupo terc-pentilaminometilo, grupo n-hexilaminometilo, grupo n-heptilaminometilo, grupo n-octilaminometilo, grupo n-nonilaminometilo, grupo n-decilaminometilo, grupo n-undecilaminometilo, grupo n-dodecilaminometilo, grupo metilaminoetilo, grupo metilaminopropilo, grupo metilaminobutilo, grupo metilaminopentilo, grupo metilaminohexilo, grupo metilaminoheptilo, grupo metilaminooctilo, grupo metilaminononilo, grupo metilaminodecilo, grupo metilaminoundecilo, grupo metilaminododecilo, grupo dimetilaminometilo, grupo dimetilaminoetilo y lo similar.

En la fórmula general (I) mencionada precedentemente de la

presente invención, el grupo arilo del grupo arilo opcionalmente sustituido representado por R^4 o R^5 puede ser, por ejemplo, grupo fenilo, grupo naftilo, grupo piridilo, grupo pirimidilo, grupo pirazinilo, grupo furilo, grupo benzofuranilo, grupo tienilo, grupo benzo[b]tienilo, grupo pirrolilo, grupo indolilo, grupo imidazolilo, grupo bencimidazolilo, grupo quinolilo, grupo isoquinolilo y lo similar.

En la fórmula general (I) mencionada precedentemente de la presente invención, cuando un grupo funcional se define como "un grupo que puede ser sustituido", el sustituyente no está limitado en la medida que pueda existir sobre el grupo funcional. El número y tipo de sustituyente no está limitado particularmente. Cuando dos o más sustituyentes están presentes, los mismos pueden ser iguales o diferentes. La posición del sustituyente no está limitada tampoco. Los ejemplos de grupos sustituibles incluyen, por ejemplo, un grupo hidroxilo que puede ser protegido, un grupo alcoxilo, un grupo amino que puede ser sustituido, un grupo carbamoilo que puede ser sustituido, un átomo de halógeno, un grupo alquilo, grupo trifluorometilo, grupo acilo, un grupo cicloalquilo, grupo arilo, grupo ariloxilo, grupo ciano, grupo nitro, grupo guanidino, grupo amidino, grupo carboxilo, un grupo alcoxicarbonilo, un grupo ariloxicarbonilo, grupo aralquiloxicarbonilo y lo similar. El grupo protector del grupo hidroxilo puede ser cualquier grupo protector en la medida que

un grupo protegido sea sustancialmente inactivo en un sistema de reacción en el cual el grupo hidroxilo no debería estar incluído en la reacción, y el grupo protegido es fácilmente divisible bajo una condición segura para desprotección. Los ejemplos del grupo protector incluyen, por ejemplo, un grupo acilo, grupo trialquilsililo tal como grupo trimetilsililo y grupo trietilsililo, grupo bencilo y lo similar. El grupo alcoxilo puede ser un grupo alcoxilo lineal o ramificado, y los ejemplos incluyen, por ejemplo, grupo metoxilo, grupo etoxilo, grupo n-propoxilo, grupo isopropoxilo, grupo n-butoxilo, grupo isobutoxilo, grupo sec-butoxilo, grupo terc-butoxilo, grupo n-pentiloxilo, grupo isopentiloxilo, grupo neopentiloxilo, grupo terc-pentiloxilo, grupo n-hexiloxilo y lo similar. Los ejemplos del grupo amino que pueden ser sustituidos incluyen, por ejemplo, grupo amino, grupo metilamino, grupo etilamino, grupo n-propilamino, grupo isopropilamino, grupo n-butilamino, grupo isobutilamino, grupo sec-butilamino, grupo terc-butilamino, grupo n-pentilamino, grupo isopentilamino, grupo neopentilamino, grupo terc-pentilamino, grupo n-hexilamino, grupo dimetilamino, grupo dietilamino y lo similar. Los ejemplos del grupo carbamoilo que pueden ser sustituido incluyen; por ejemplo, grupo carbamoilo, grupo N-metilcarbamoilo, grupo N-etilcarbamoilo, grupo N-n-propilcarbamoilo, grupo N-isopropilcarbamoilo, grupo N-n-butilcarbamoilo, grupo N-isobutilcarbamoilo, grupo N-sec-butilcarbamoilo, grupo

N-terc-butilcarbamoilo, grupo N-n-pentilcarbamoilo, grupo N-isopentilcarbamoilo, grupo N-neopentilcarbamoilo, N-grupo terc-pentilcarbamoilo, grupo N-n-hexilcarbamoilo, grupo N,N-dimetilcarbamoilo, grupo N,N-dietilcarbamoilo y lo similar. Los ejemplos del átomo de halógeno incluye, por ejemplo, átomo de flúor, átomo de cloro, átomo de iodo o átomo de bromo. Los ejemplos del grupo ariloxilo incluyen, por ejemplo, grupo fenoxilo, grupo naftiloxilo, grupo piridiloxilo, grupo pirimidiloxilo, grupo piraziniloxilo, grupo benzofuraniloxilo, grupo tieniloxilo, grupo indoliloxilo, grupo benzimidazoliloxilo, grupo quinoliloxilo, grupo isoquinoliloxilo y lo similar. Los ejemplos del grupo alcoxicarbonilo incluyen, por ejemplo, grupo metoxicarbonilo, grupo etoxicarbonilo, grupo n-propoxicarbonilo, grupo isopropoxicarbonilo, grupo n-butoxicarbonilo, grupo isobutoxicarbonilo, grupo sec-butoxicarbonilo, grupo terc-butoxicarbonilo, grupo n-pentiloxicarbonilo, grupo isopentiloxicarbonilo, grupo neopentiloxicarbonilo, grupo terc-pentiloxicarbonilo, grupo n-hexiloxicarbonilo y lo similar. Los ejemplos del grupo ariloxicarbonilo incluyen, por ejemplo, grupo fenoxicarbonilo, grupo naftiloxicarbonilo, grupo piridiloxicarbonilo, grupo pirimidiloxicarbonilo, grupo benzofuraniloxicarbonilo, grupo tieniloxicarbonilo, grupo indoliloxicarbonilo, grupo bencimidazoliloxicarbonilo, grupo quinoliloxicarbonilo, grupo isoquinoliloxicarbonilo y lo similar. Los ejemplos del grupo

aralquiloxicarbonilo incluyen, por ejemplo, grupo benciloxicarbonilo, grupo naftilmetiloxicarbonilo, grupo piridilmetiloxicarbonilo, grupo furilmetiloxicarbonilo, grupo benzofuranilmetiloxicarbonilo, grupo teniloxicarbonilo, grupo indolilmetiloxicarbonilo, grupo imidazolilmetiloxicarbonilo, grupo bencimidazolilmetiloxicarbonilo, grupo quinolilmetiloxicarbonilo, grupo isoquinolilmetilo y lo similar.

Como ejemplos del grupo alquilo mencionados precedentemente, grupo acilo, grupo cicloalquilo y grupo arilo que puede ser sustituido, estos grupos ejemplificados precedentemente pueden ser utilizados.

En la descripción, la posición de sustitución o enlace sobre el "grupo arilo" del "grupo aralquilo", el "grupo acilo", el "grupo arilo", el "grupo ariloxilo", el "grupo ariloxicarbonilo" y el "grupo aralquiloxicarbonilo" puede estar en cualquier posición de manera tal que los elementos que constituyen el anillo en la posición son sustituibles o forman parte del enlace.

Los compuestos representados por la fórmula general (I) mencionada precedentemente de la presente invención poseen átomos de carbono asimétricos, y por lo tanto éstos pueden existir como estereoisómeros tales como isómeros ópticos, diastereoisómeros, e isómeros geométricos. Cualquiera de estos isómeros y cualquier mezcla de los mismos o racematos del mismo, y sales del mismo que también se encuentran dentro del alcance

de la presente invención.

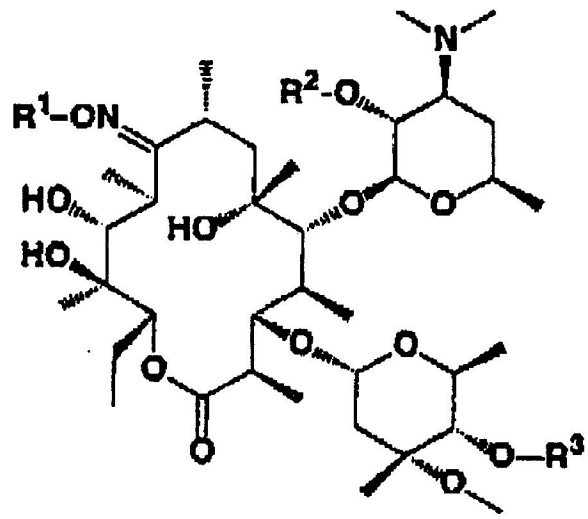
Los compuestos representados por la fórmula general (I) mencionada precedentemente de la presente invención pueden convertirse en sales, preferentemente las sales fisiológicamente aceptables, si se desea, y que las sales resultantes puedan también se convertidas en compuestos en forma libre. Los ejemplos de sales de los compuestos representados por la fórmula general (I) mencionada precedentemente de la presente invención incluyen, por ejemplo, sales ácidas de adición o sales alcalinas de adición. Los ejemplos de las sales ácidas de adición incluyen, por ejemplo, las sales ácidas minerales tales como hidrocloruros, hidrobromuros, nitratos, sulfatos, hidroioduros y fosfatos, sales ácidas orgánicas tales como acetatos, propionatos, butiratos, isobutiratos, formatos, valeratos, isovaleratos, pivalatos, trifluoroacetatos, acrilatos, maleatos, tartratos, citratos, oleatos, lauratos, estearatos, miristatos, succinatos, lactobionatos, glutaratos, sebacatos, gluconatos, benzoatos, metansulfonatos, etansulfonatos, 2-hidroxietansulfonato, bencensulfonatos, ftalatos, teregtalatos, cinnamatos, p-toluensulfonatos, laurilsulfatos, gluceptatos, malatos, malonatos, aspartatos, glutamatos, adipatos, oxalatos, nicotinatos, picratos, tiocianatos, undecanoatos, mandelatos, fumaratos, 10-canforsulfonatos, lactatos, 5-oxotetrahidrofuran-2-carboxilatos, 2-hidroxiglutaratos. Los ejemplos de las sales de adición

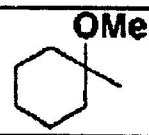
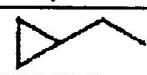
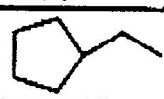
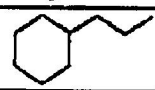
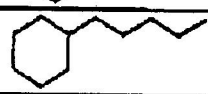
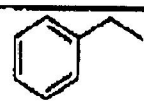
alcalinas incluyen, por ejemplo, las sales inorgánicas alcalinas tales como sal de sodio, sal de potasio, sales de calcio, sal de magnesio y sal de amonio, y la sales básicas orgánicas tales como sal etanolamina y sal N,N-dialquiletanolamina, y sales de las sustancias ópticamente activas de los mismos.

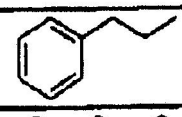
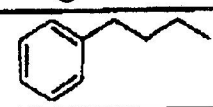
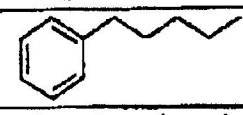
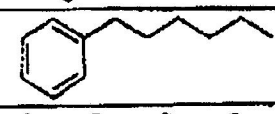
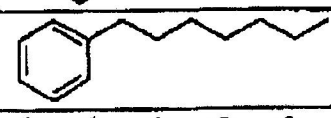
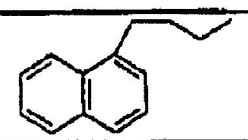
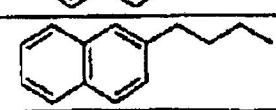
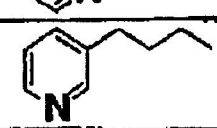
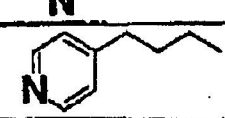
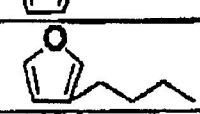
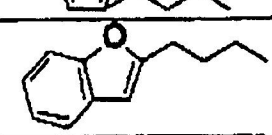
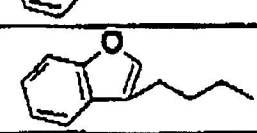
Los compuestos representados por la fórmula general (I) mencionada precedentemente o las sales del mismo según la presente invención pueden existir en las formas de cualquier cristal o cualquier solvato con agua o los solventes orgánicos que dependen de las condiciones de fabricación. Estos cristales, hidratos, solvatos, y mezclas de los mismos también altas dentro del alcance de la presente invención.

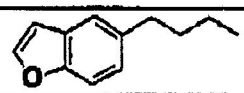
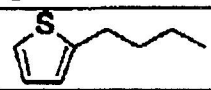
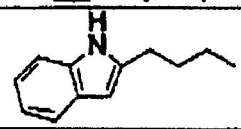
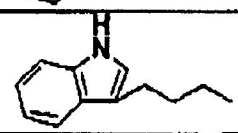
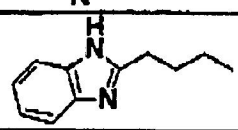
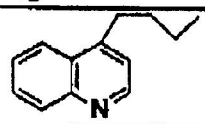
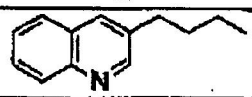
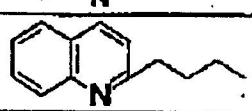
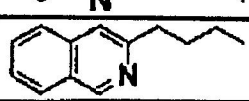
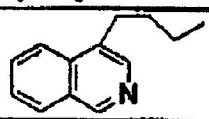
Los compuestos preferidos de la presente invención incluyen los compuestos enumerados más adelante. Sin embargo, la presente invención no está limitada a estos ejemplos. En las tablas, Me representa grupo metilo, Et representa grupo etilo, n-Pr representa grupo n-propilo, i-Pr representa grupo isopropilo, n-Bu representa grupo n-butilo, i-Bu representa grupo isobutilo, s-Bu representa grupo sec-butilo, t-Bu representa grupo terc-butilo, n-Pent representa grupo n-pentilo, i-Pent representa grupo isopentilo, neo-Pent representa grupo neopentilo, t-Pent representa grupo terc-pentilo, n-Hex representa grupo n-hexilo, n-Hept representa grupo n-heptilo, n-Oct representa grupo n-octilo, n-Non representa grupo n-nonilo, n-Dec representa grupo n-decilo, n-Undec representa

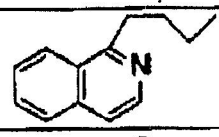
grupo n-undecilo, n-Dodec representa grupo n-dodecilo, y Ac representa grupo acetilo.

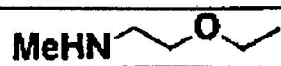
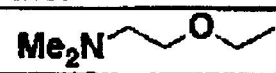
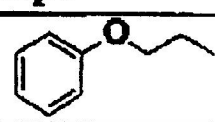
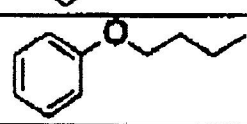
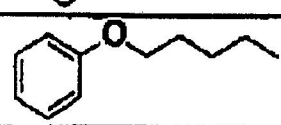
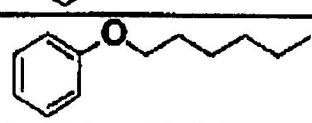
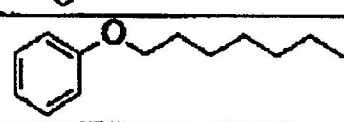
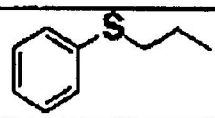
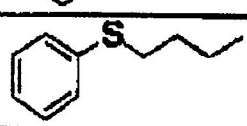
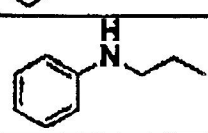


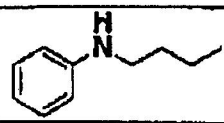
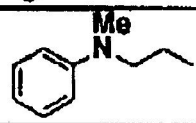
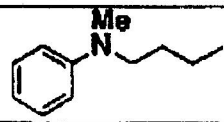
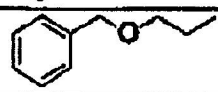
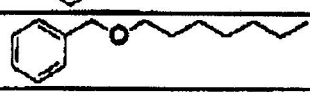
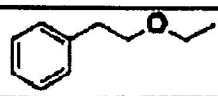
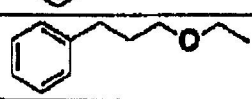
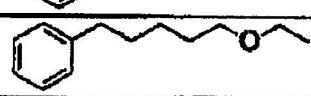
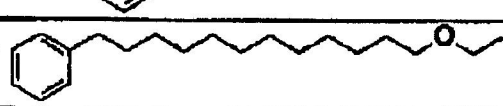
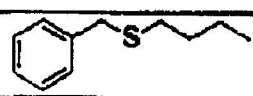
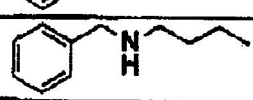
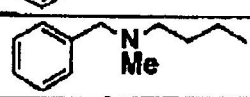
Compuesto No.	R^1	R^2	R^3
1	n-Pent	Ac	Ac
2	i-Pent	Ac	Ac
3	neo-Pent	Ac	Ac
4	t-Pent	Ac	Ac
5	n-Hex	Ac	Ac
6	n-Hept	Ac	Ac
7	n-Oct	Ac	Ac
8	n-Non	Ac	Ac
9	n-Dec	Ac	Ac
10	n-Undec	Ac	Ac
11	n-Dodec	Ac	Ac
12		Ac	Ac
13		Ac	Ac
14		Ac	Ac
15		Ac	Ac
16		Ac	Ac
17		Ac	Ac
18		Ac	Ac
19		Ac	Ac
20		Ac	Ac
21		Ac	Ac
22		Ac	Ac

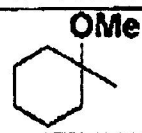
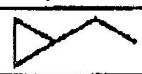
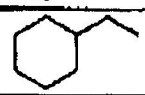
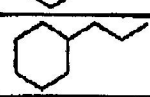
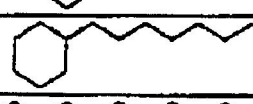
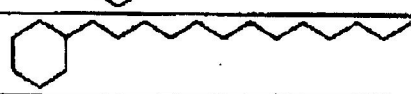
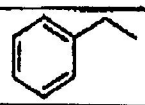
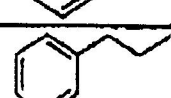
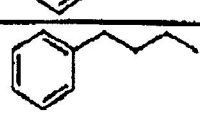
Compuesto No.	R^1	R^2	R^3
23		Ac	Ac
24		Ac	Ac
25		Ac	Ac
26		Ac	Ac
27		Ac	Ac
28		Ac	Ac
29		Ac	Ac
30		Ac	Ac
31		Ac	Ac
32		Ac	Ac
33		Ac	Ac
34		Ac	Ac
35		Ac	Ac
36		Ac	Ac
37		Ac	Ac

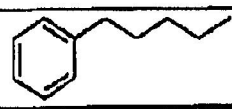
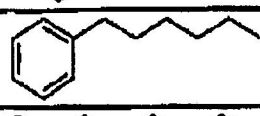
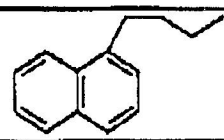
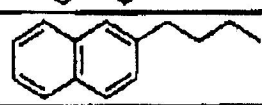
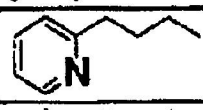
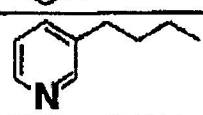
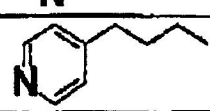
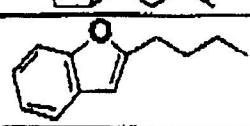
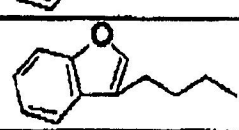
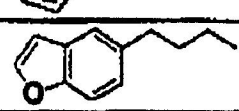
Compuesto No.	R¹	R²	R³
38		Ac	Ac
39		Ac	Ac
40		Ac	Ac
41		Ac	Ac
42		Ac	Ac
43		Ac	Ac
44		Ac	Ac
45		Ac	Ac
46		Ac	Ac
47		Ac	Ac
48		Ac	Ac
49		Ac	Ac
50		Ac	Ac
51		Ac	Ac

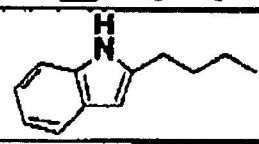
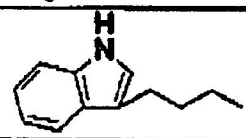
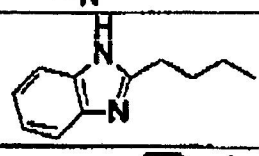
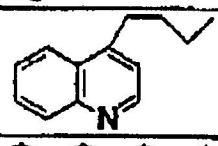
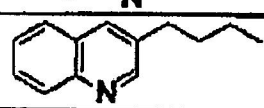
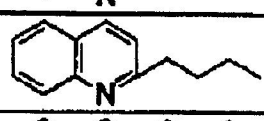
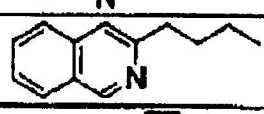
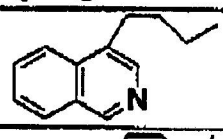
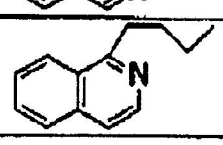
Compuesto No.	R^1	R^2	R^3
52		Ac	Ac
53	MeO	Ac	Ac
54	MeO	Ac	Ac
55	MeO	Ac	Ac
56	MeO	Ac	Ac
57	EtO	Ac	Ac
58	n-PrO	Ac	Ac
59	I-PrO	Ac	Ac
60	n-BuO	Ac	Ac
61	n-PentO	Ac	Ac
62	n-HexO	Ac	Ac
63	n-DodecO	Ac	Ac
64	MeS	Ac	Ac
65	MeHN	Ac	Ac
66	Me_2N	Ac	Ac
67	MeO	Ac	Ac
68	n-HexO	Ac	Ac
69	n-DodecO	Ac	Ac
70	MeO	Ac	Ac
71	MeO	Ac	Ac
72	MeO	Ac	Ac
73	MeO	Ac	Ac
74	MeO	Ac	Ac
75	MeS	Ac	Ac

Compuesto No.	R^1	R^2	R^3
76		Ac	Ac
77		Ac	Ac
78		Ac	Ac
79		Ac	Ac
80		Ac	Ac
81		Ac	Ac
82		Ac	Ac
83		Ac	Ac
84		Ac	Ac
85		Ac	Ac
86		Ac	Ac
87		Ac	Ac
88		Ac	Ac
89		Ac	Ac
90		Ac	Ac
91		Ac	Ac

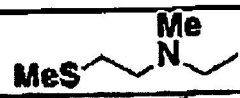
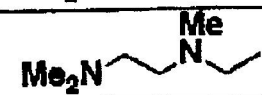
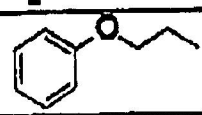
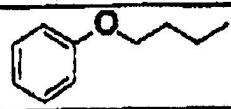
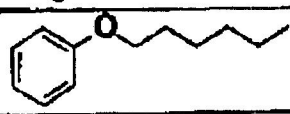
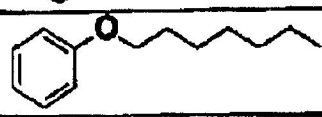
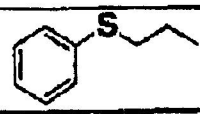
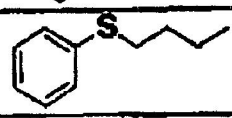
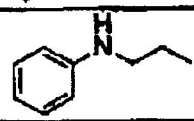
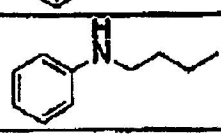
Compuesto No.	R^1	R^2	R^3
92		Ac	Ac
93		Ac	Ac
94		Ac	Ac
95		Ac	Ac
96		Ac	Ac
97		Ac	Ac
98		Ac	Ac
99		Ac	Ac
100		Ac	Ac
101		Ac	Ac
102		Ac	Ac
103		Ac	Ac
104		Ac	Ac
105		Ac	Ac
106		Ac	Ac
107	n-Pent	H	Ac
108	i-Pent	H	Ac
109	neo-Pent	H	Ac

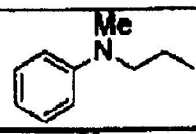
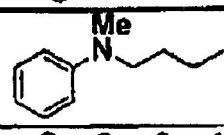
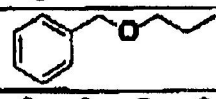
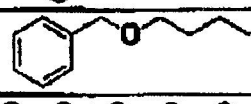
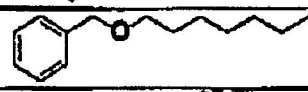
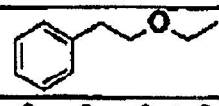
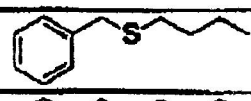
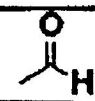
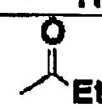
Compuesto No.	R^1	R^2	R^3
110	t-Pent	H	Ac
111	n-Hex	H	Ac
112	n-Hept	H	Ac
113	n-Oct	H	Ac
114	n-Non	H	Ac
115	n-Dec	H	Ac
116	n-Undec	H	Ac
117	n-Dodec	H	Ac
118		H	Ac
119		H	Ac
120		H	Ac
121		H	Ac
122		H	Ac
123		H	Ac
124		H	Ac
125		H	Ac
126		H	Ac
127		H	Ac
128		H	Ac
129		H	Ac
130		H	Ac

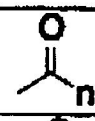
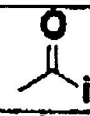
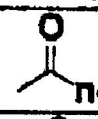
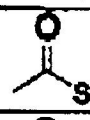
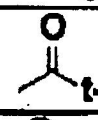
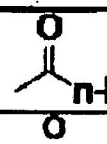
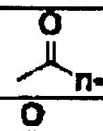
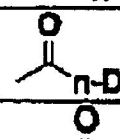
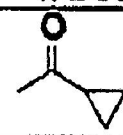
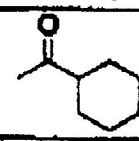
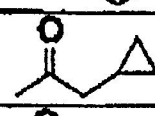
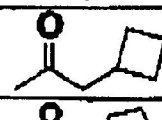
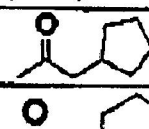
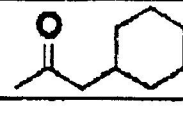
Compuesto No.	R^1	R^2	R^3
131		H	Ac
132		H	Ac
133		H	Ac
134		H	Ac
135		H	Ac
136		H	Ac
137		H	Ac
138		H	Ac
139		H	Ac
140		H	Ac
141		H	Ac
142		H	Ac
143		H	Ac
144		H	Ac
145		H	Ac

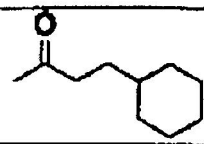
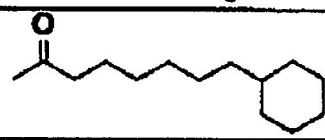
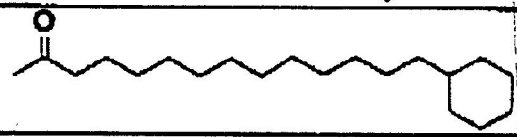
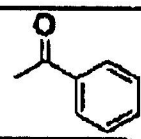
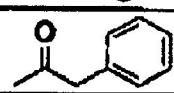
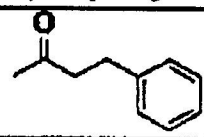
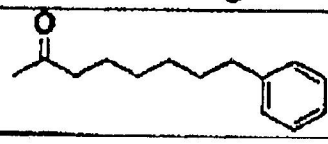
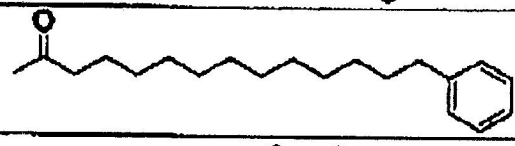
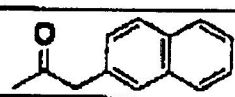
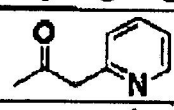
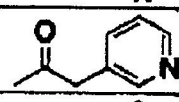
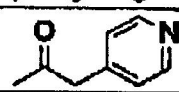
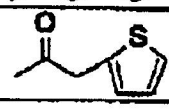
Compuesto No.	R^1	R^2	R^3
146		H	Ac
147		H	Ac
148		H	Ac
149		H	Ac
150		H	Ac
151		H	Ac
152		H	Ac
153		H	Ac
154		H	Ac
155		H	Ac
156		H	Ac
157		H	Ac
158		H	Ac

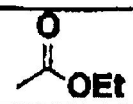
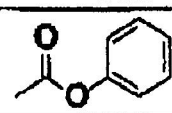
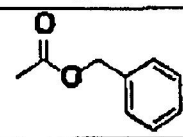
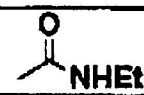
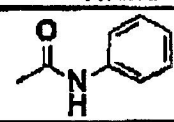
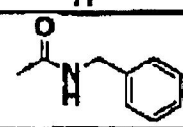
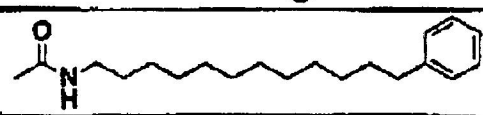
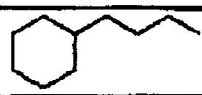
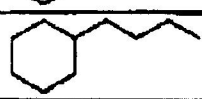
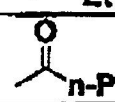
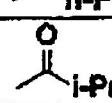
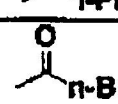
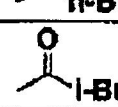
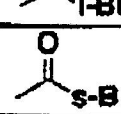
Compuesto No.	R^1	R^2	R^3
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160	MeO	H	Ac
161	MeO	H	Ac
162	MeO	H	Ac
163	EtO	H	Ac
164	n-PrO	H	Ac
165	i-PrO	H	Ac
166	n-BuO	H	Ac
167	n-PentO	H	Ac
168	n-HexO	H	Ac
169	n-DodecO	H	Ac
170	MeS	H	Ac
171	MeHN	H	Ac
172	Me_2N	H	Ac
173	MeO-O	H	Ac
174	n-HexO-O	H	Ac
175	n-DodecO-O	H	Ac
176	MeO-O	H	Ac
177	MeO-O	H	Ac
178	MeO-S	H	Ac
179	MeO-NH	H	Ac
180	MeO-NMe	H	Ac
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182	MeS-S	H	Ac
183	MeS-NH	H	Ac

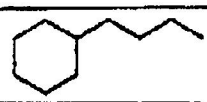
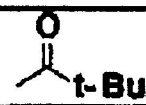
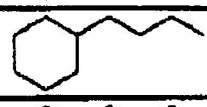
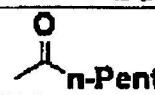
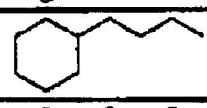
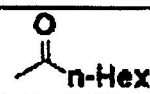
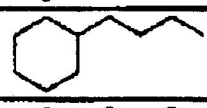
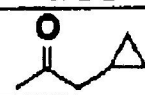
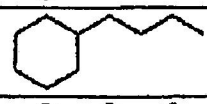
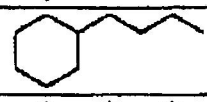
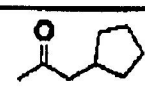
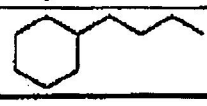
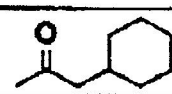
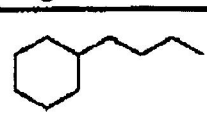
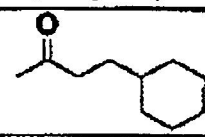
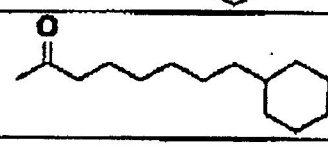
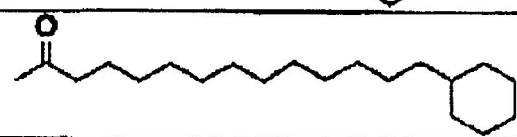
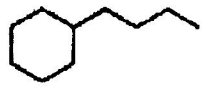
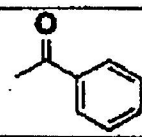
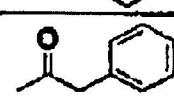
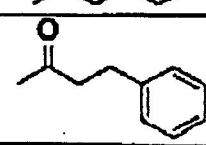
Compuesto No.	R^1	R^2	R^3
184		H	Ac
185		H	Ac
186		H	Ac
187		H	Ac
188		H	Ac
189		H	Ac
190		H	Ac
191		H	Ac
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193		H	Ac
194		H	Ac
195		H	Ac
196		H	Ac
197		H	Ac
198		H	Ac

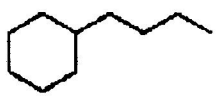
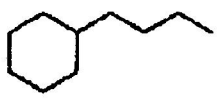
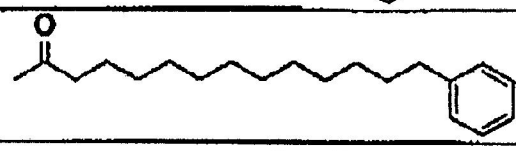
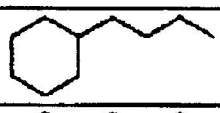
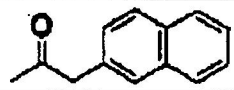
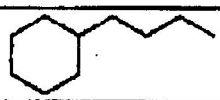
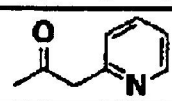
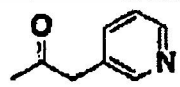
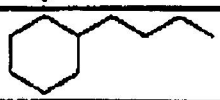
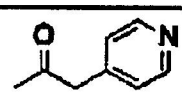
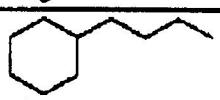
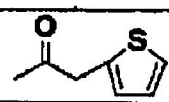
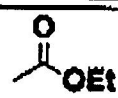
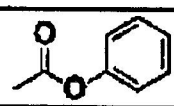
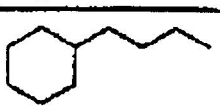
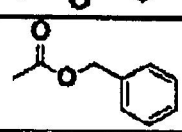
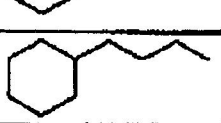
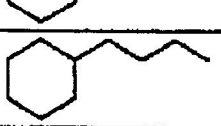
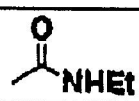
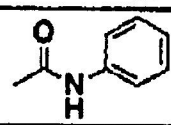
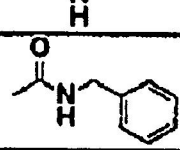
Compuesto No.	R^1	R^2	R^3
199		H	Ac
200		H	Ac
201		H	Ac
202		H	Ac
203		H	Ac
204		H	Ac
205		H	Ac
206		H	Ac
207		H	Ac
208		H	Ac
209		H	Ac
210		H	Ac
211		H	Ac
212		H	Ac
213	n-Oct	H	
214	n-Oct	H	

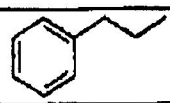
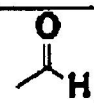
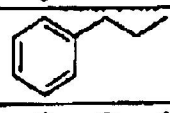
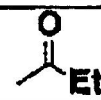
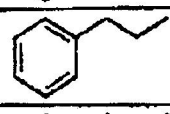
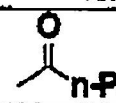
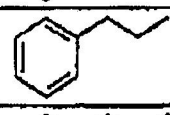
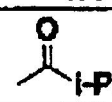
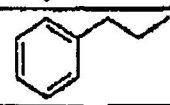
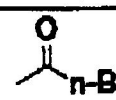
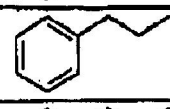
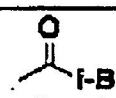
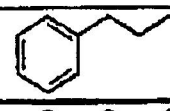
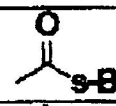
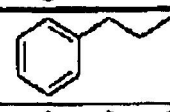
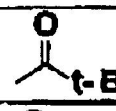
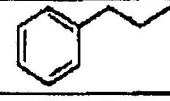
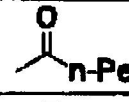
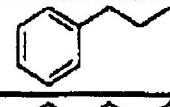
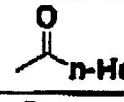
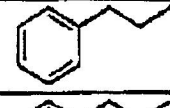
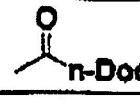
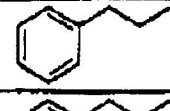
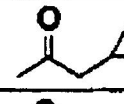
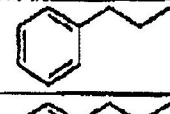
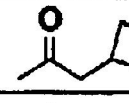
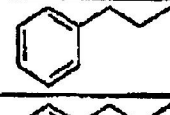
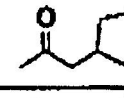
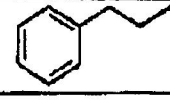
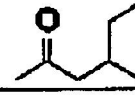
Compuesto No.	R^1	R^2	R^3
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216	n-Oct	H	
217	n-Oct	H	
218	n-Oct	H	
219	n-Oct	H	
220	n-Oct	H	
221	n-Oct	H	
222	n-Oct	H	
223	n-Oct	H	
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228	n-Oct	H	
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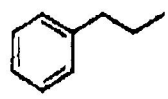
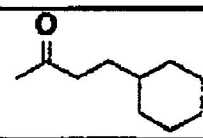
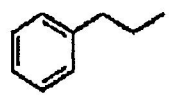
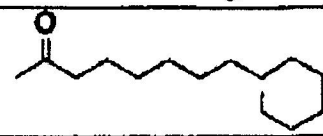
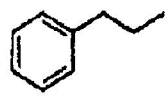
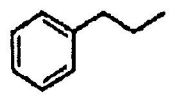
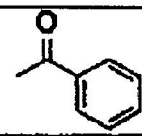
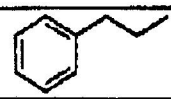
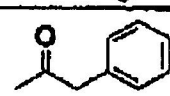
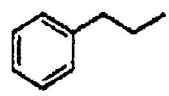
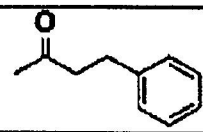
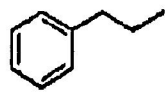
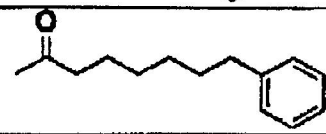
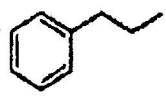
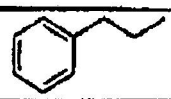
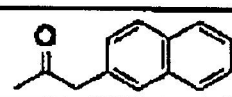
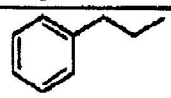
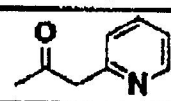
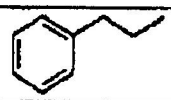
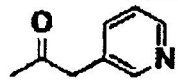
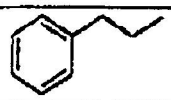
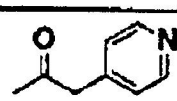
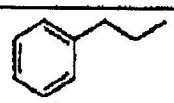
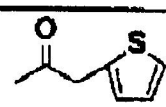
Compuesto No.	R^1	R^2	R^3
230	n-Oct	H	
231	n-Oct	H	
232	n-Oct	H	
233	n-Oct	H	
234	n-Oct	H	
235	n-Oct	H	
236	n-Oct	H	
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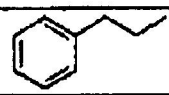
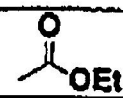
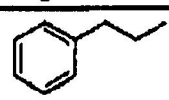
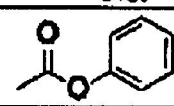
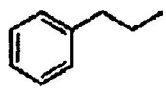
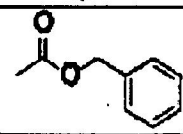
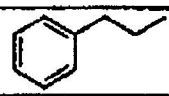
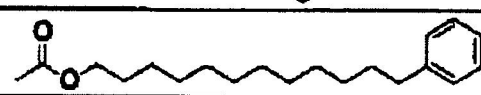
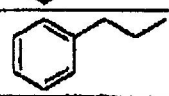
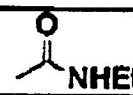
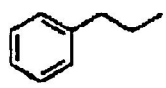
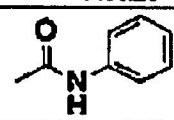
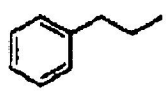
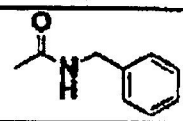
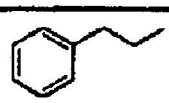
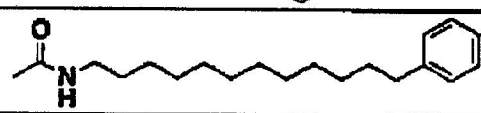
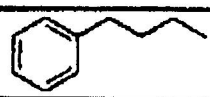
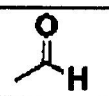
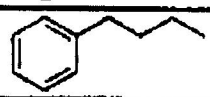
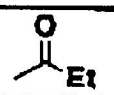
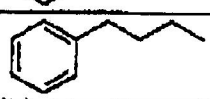
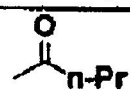
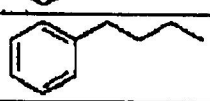
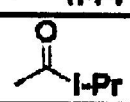
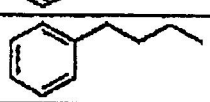
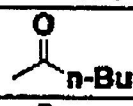
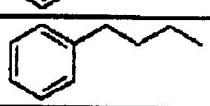
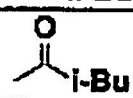
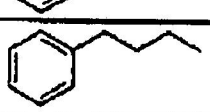
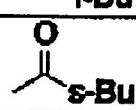
Compuesto No.	R^1	R^2	R^3
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244	n-Oct	H	
245	n-Oct	H	
246	n-Oct	H	
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248	n-Oct	H	
249	n-Oct	H	
250	n-Oct	H	
251		H	
252		H	
253		H	
254		H	
255		H	
256		H	
257		H	

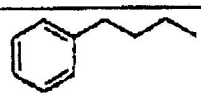
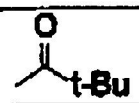
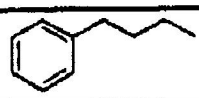
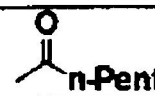
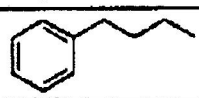
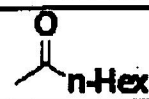
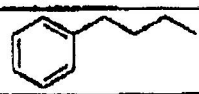
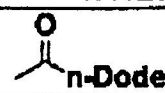
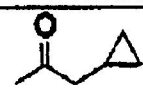
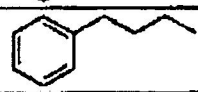
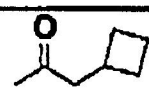
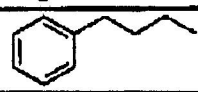
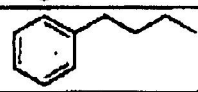
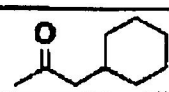
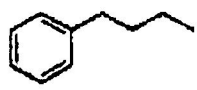
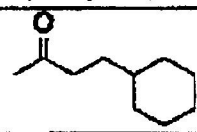
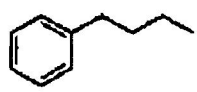
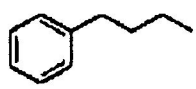
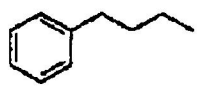
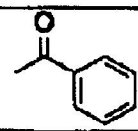
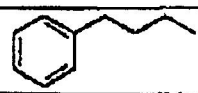
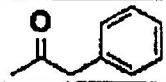
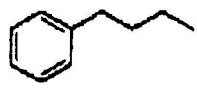
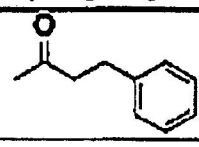
Compuesto No.	R^1	R^2	R^3
258		H	
259		H	
260		H	
261		H	
262		H	
263		H	
264		H	
265		H	
266		H	
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270		H	
271		H	

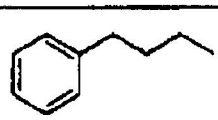
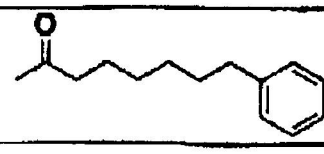
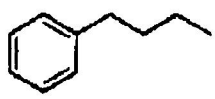
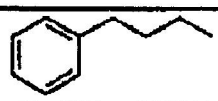
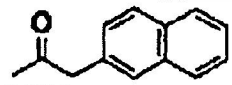
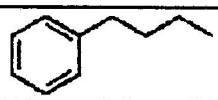
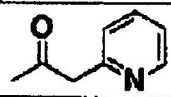
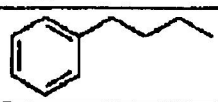
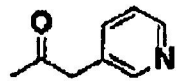
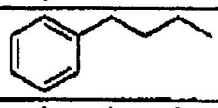
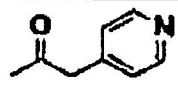
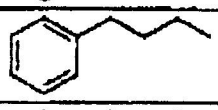
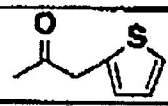
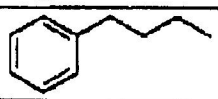
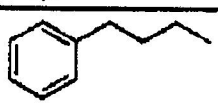
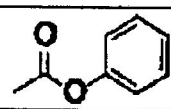
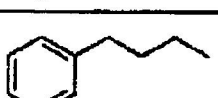
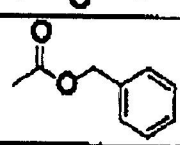
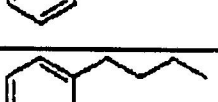
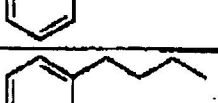
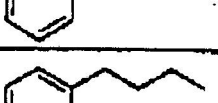
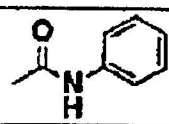
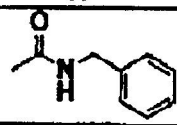
Compuesto No.	R^1	R^2	R^3
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274		H	
275		H	
276		H	
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285		H	

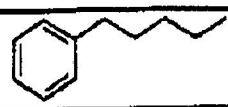
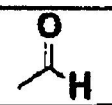
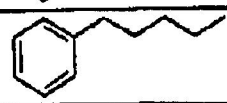
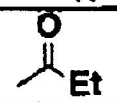
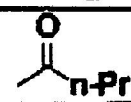
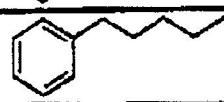
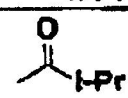
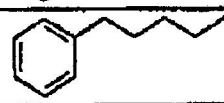
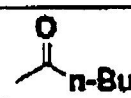
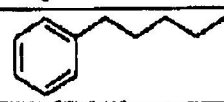
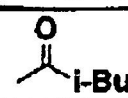
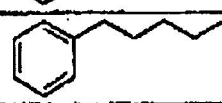
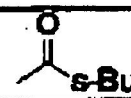
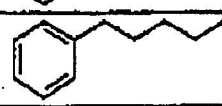
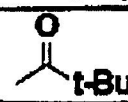
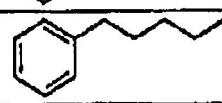
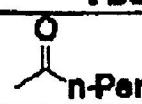
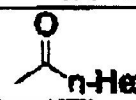
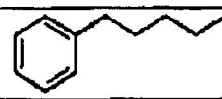
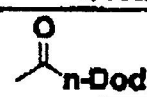
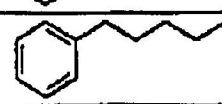
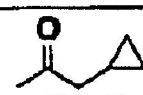
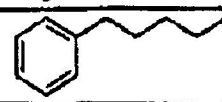
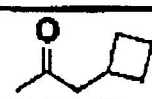
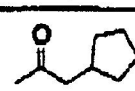
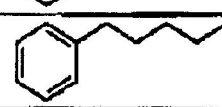
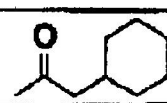
Compuesto No.	R^1	R^2	R^3
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287		H	
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300		H	

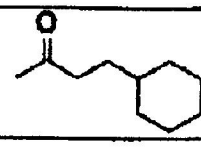
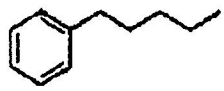
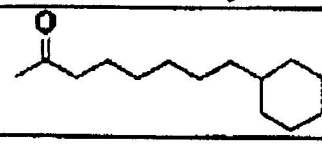
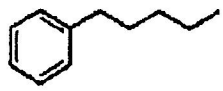
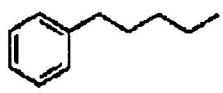
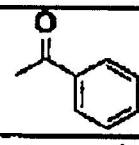
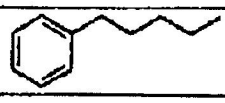
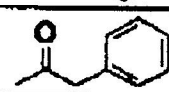
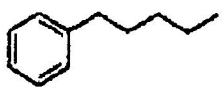
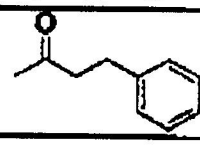
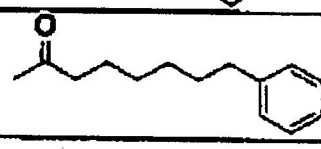
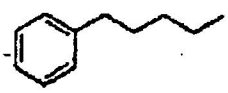
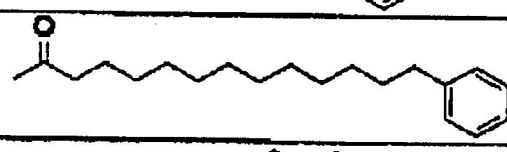
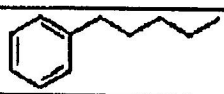
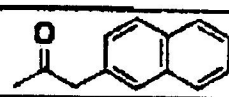
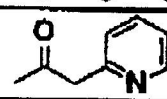
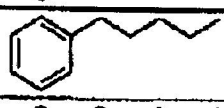
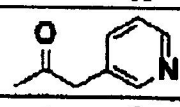
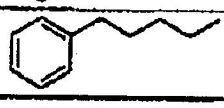
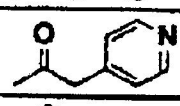
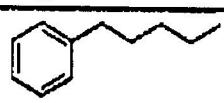
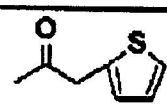
Compuesto No.	R^1	R^2	R^3
301		H	
302		H	
303		H	
304		H	
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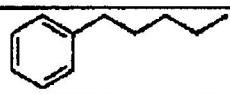
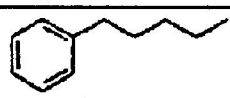
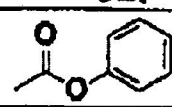
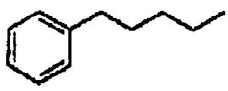
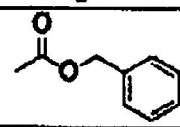
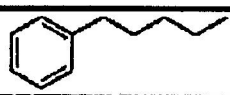
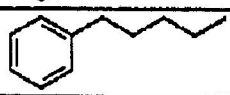
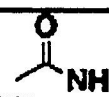
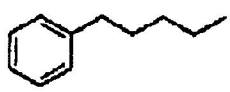
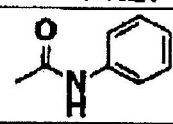
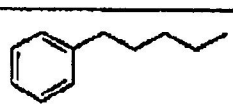
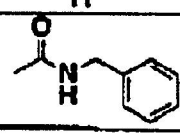
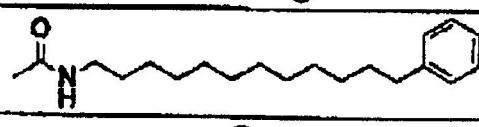
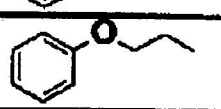
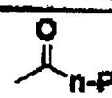
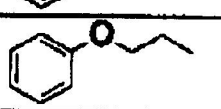
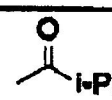
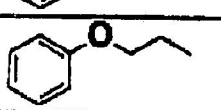
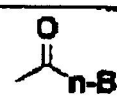
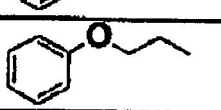
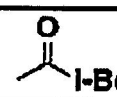
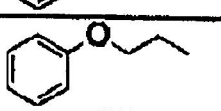
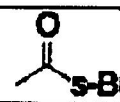
Compuesto No.	R^1	R^2	R^3
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315		H	
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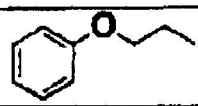
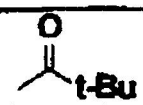
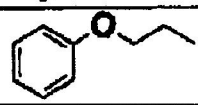
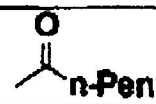
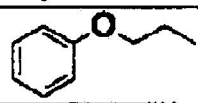
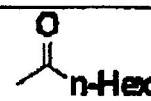
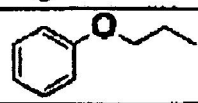
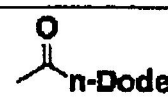
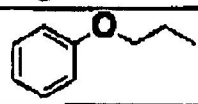
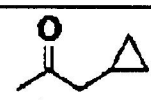
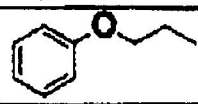
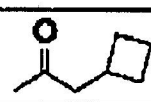
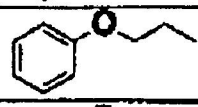
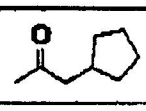
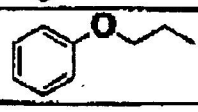
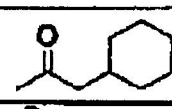
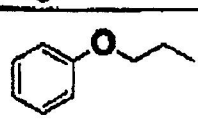
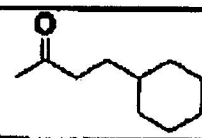
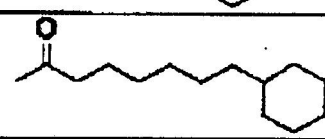
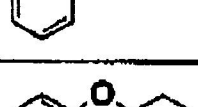
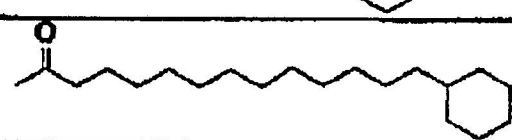
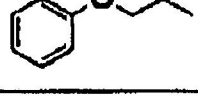
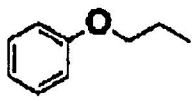
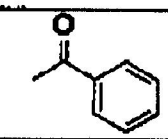
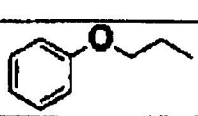
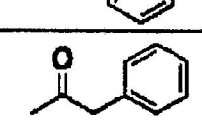
Compuesto No.	R^1	R^2	R^3
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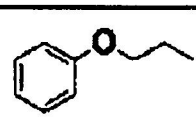
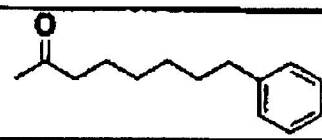
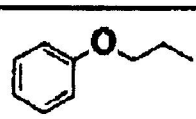
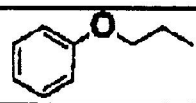
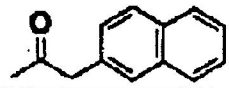
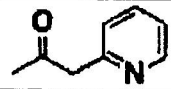
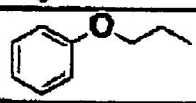
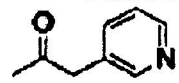
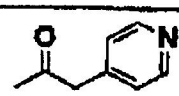
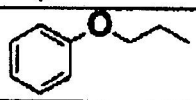
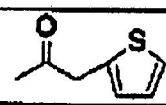
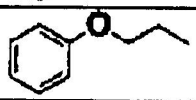
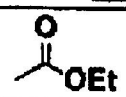
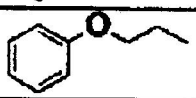
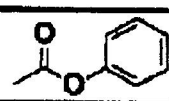
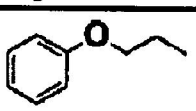
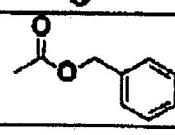
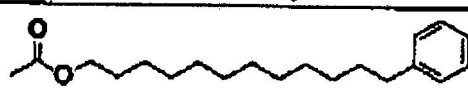
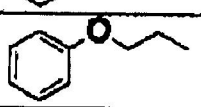
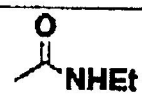
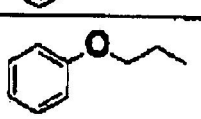
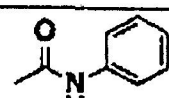
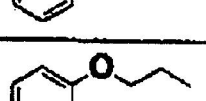
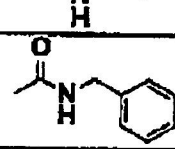
Compuesto No.	R^1	R^2	R^3
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347		H	
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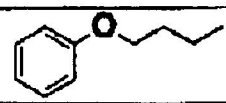
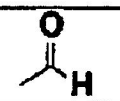
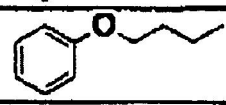
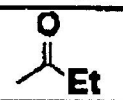
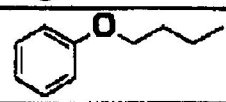
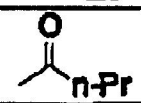
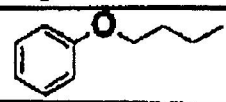
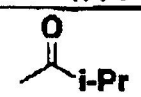
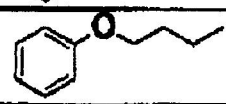
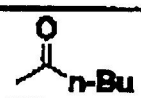
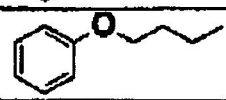
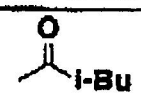
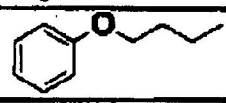
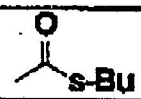
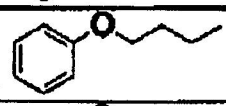
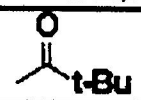
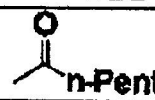
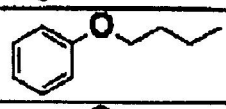
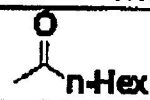
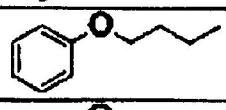
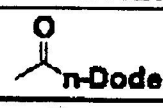
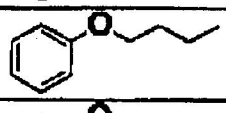
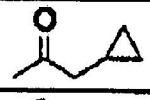
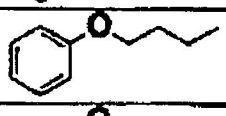
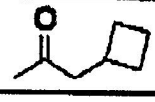
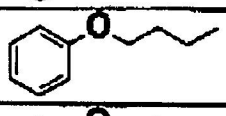
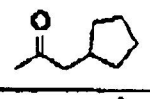
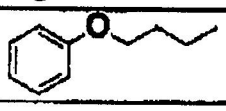
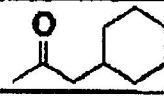
Compuesto No.	R^1	R^2	R^3
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359		H	
360		H	
361		H	
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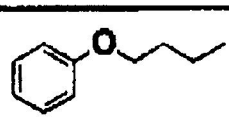
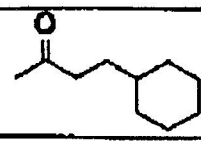
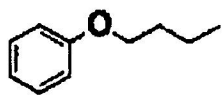
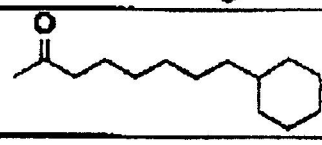
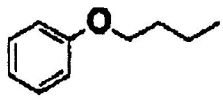
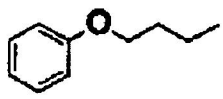
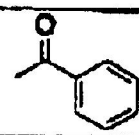
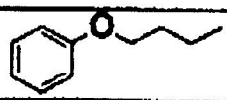
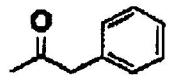
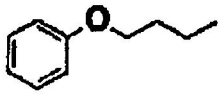
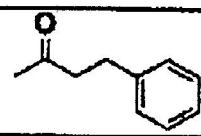
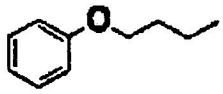
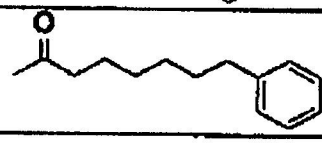
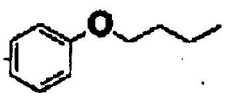
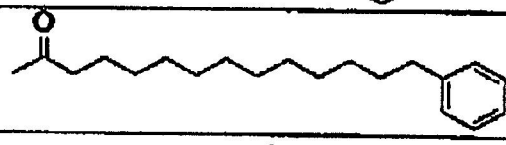
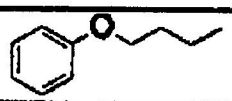
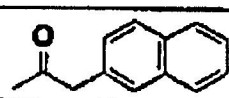
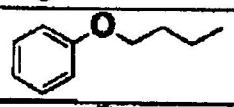
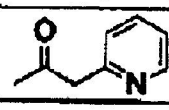
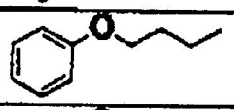
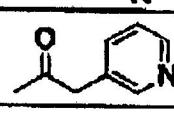
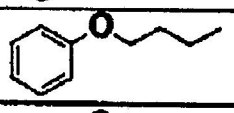
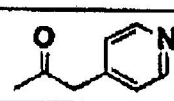
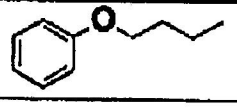
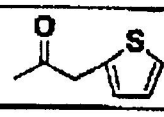
Compuesto No.	R^1	R^2	R^3
372		H	
373		H	
374		H	
375		H	
376		H	
377		H	
378		H	
379		H	
380		H	
381		H	
382		H	
383		H	
384		H	

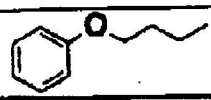
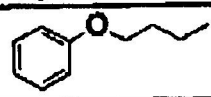
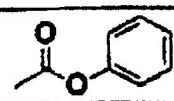
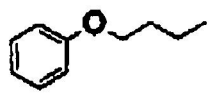
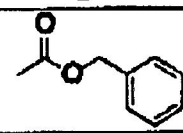
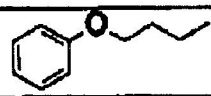
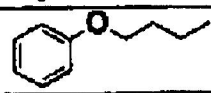
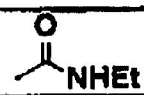
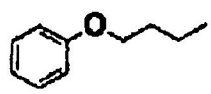
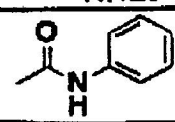
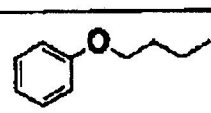
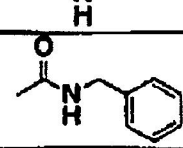
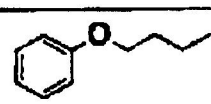
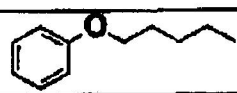
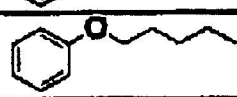
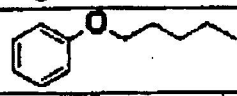
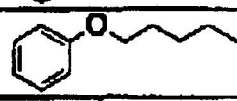
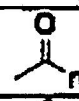
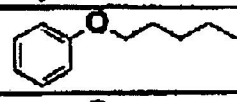
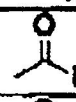
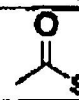
Compuesto No.	R^1	R^2	R^3
385		H	
386		H	
387		H	
388		H	
389		H	
390		H	
391		H	
392		H	
393		H	
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398		H	
399		H	

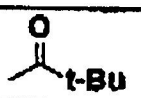
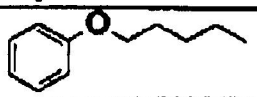
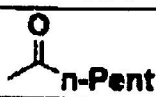
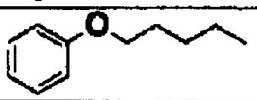
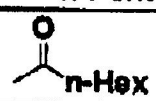
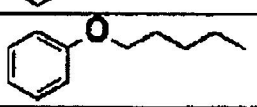
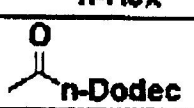
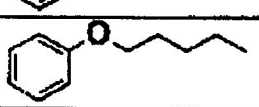
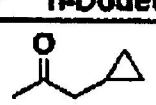
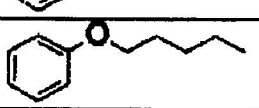
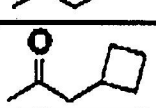
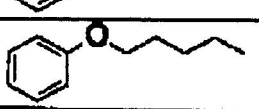
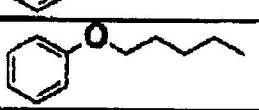
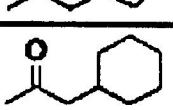
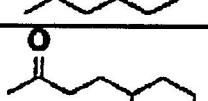
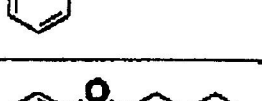
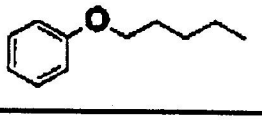
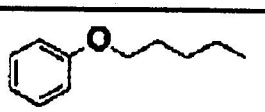
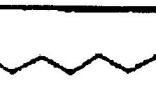
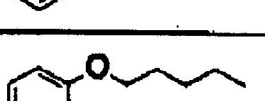
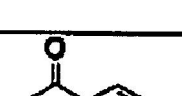
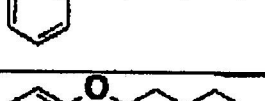
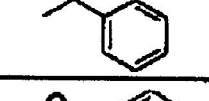
Compuesto No.	R^1	R^2	R^3
400		H	
401		H	
402		H	
403		H	
404		H	
405		H	
406		H	
407		H	
408		H	
409		H	
410		H	
411		H	
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413		H	

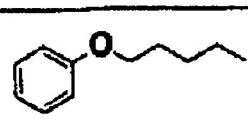
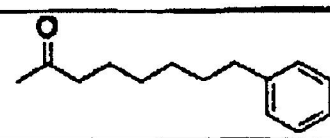
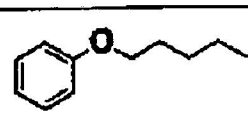
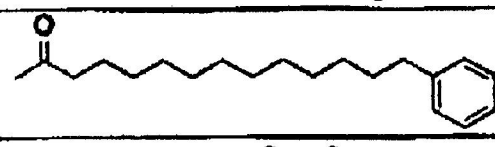
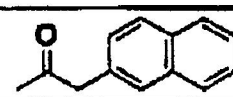
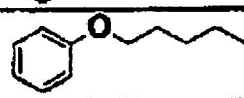
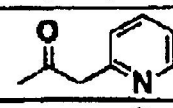
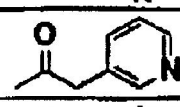
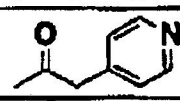
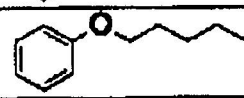
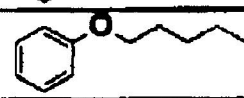
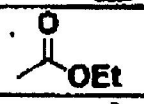
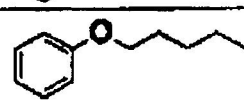
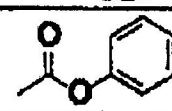
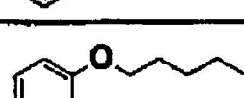
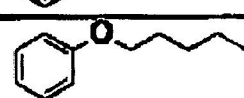
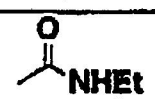
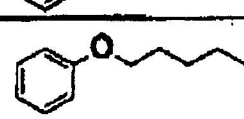
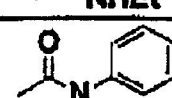
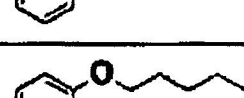
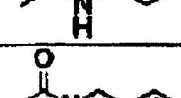
Compuesto No.	R^1	R^2	R^3
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416		H	
417		H	
418		H	
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420		H	
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427		H	

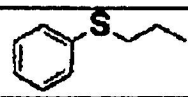
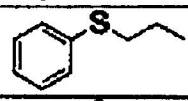
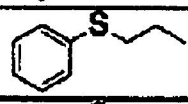
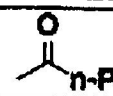
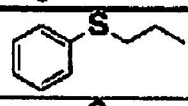
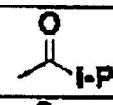
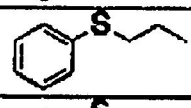
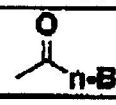
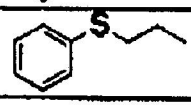
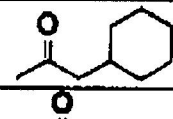
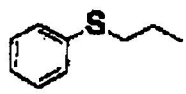
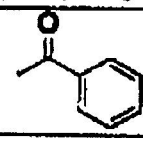
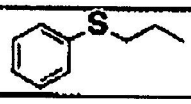
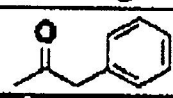
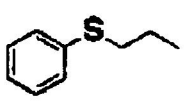
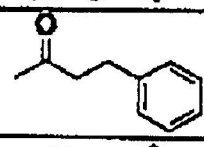
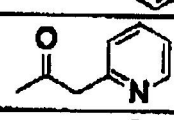
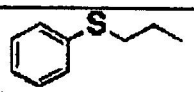
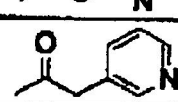
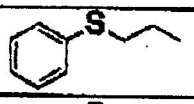
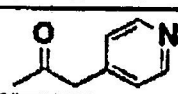
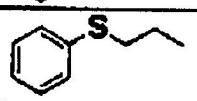
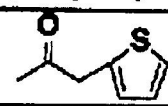
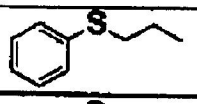
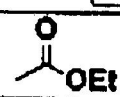
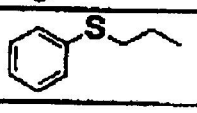
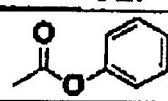
Compuesto No.	R^1	R^2	R^3
428		H	
429		H	
430		H	
431		H	
432		H	
433		H	
434		H	
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442		H	

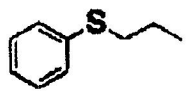
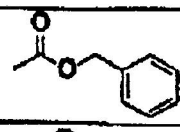
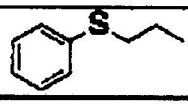
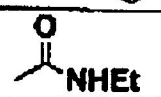
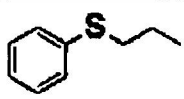
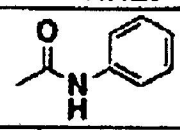
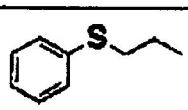
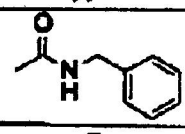
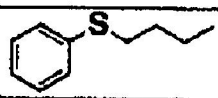
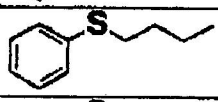
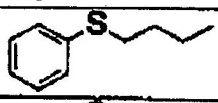
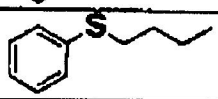
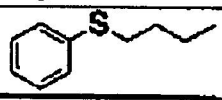
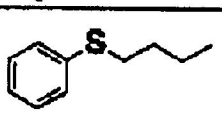
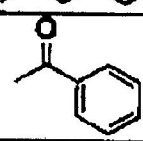
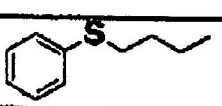
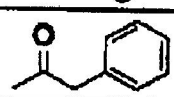
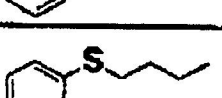
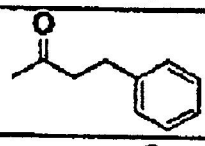
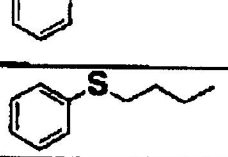
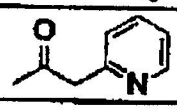
Compuesto No.	R^1	R^2	R^3
443		H	
444		H	
445		H	
446		H	
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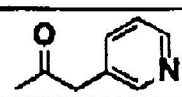
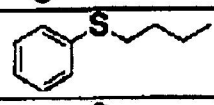
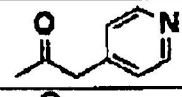
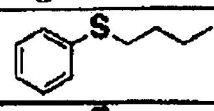
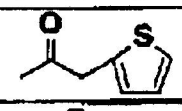
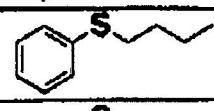
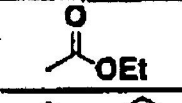
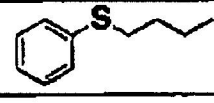
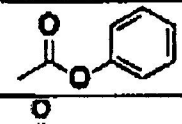
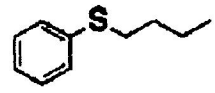
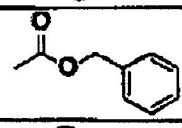
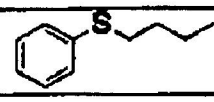
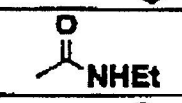
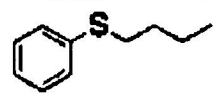
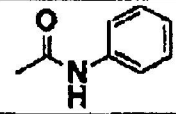
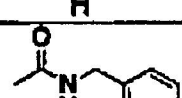
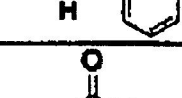
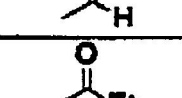
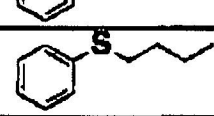
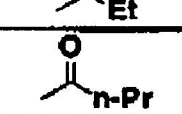
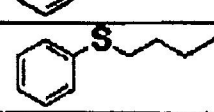
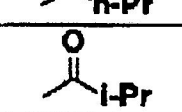
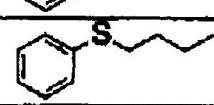
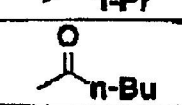
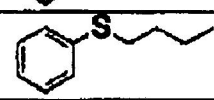
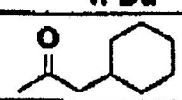
Compuesto No.	R^1	R^2	R^3
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457		H	
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460		H	
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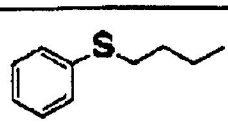
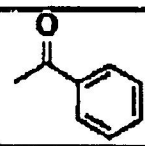
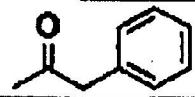
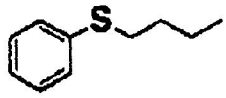
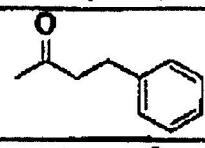
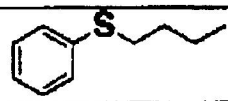
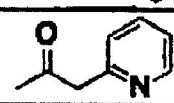
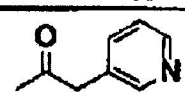
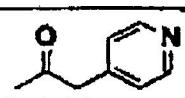
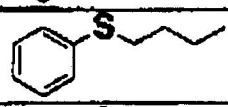
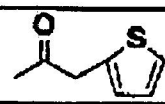
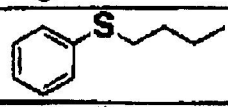
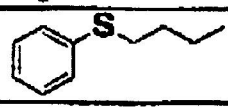
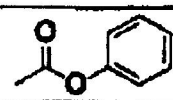
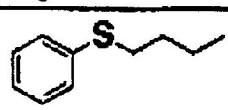
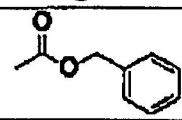
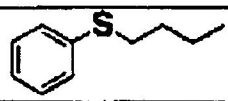
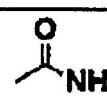
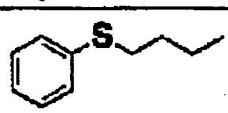
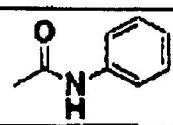
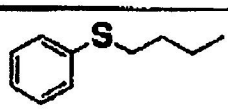
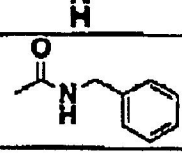
Compuesto No.	R^1	R^2	R^3
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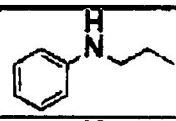
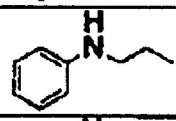
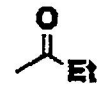
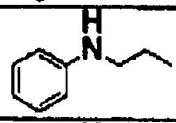
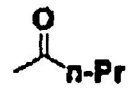
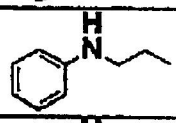
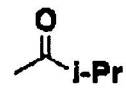
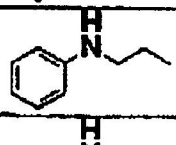
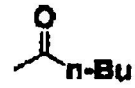
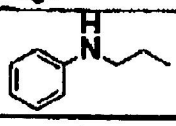
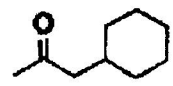
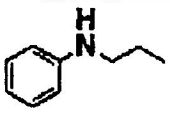
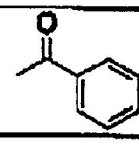
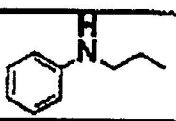
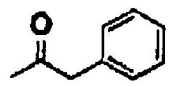
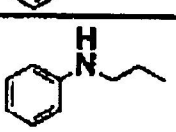
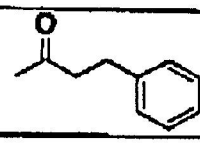
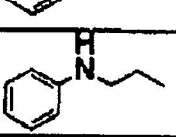
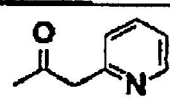
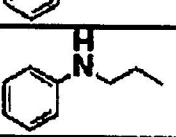
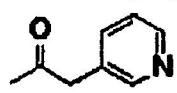
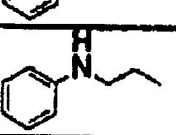
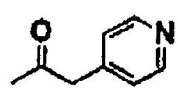
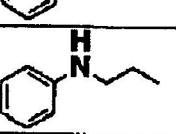
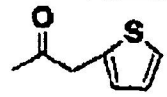
Compuesto No.	R^1	R^2	R^3
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489		H	
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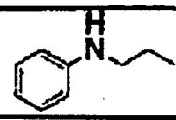
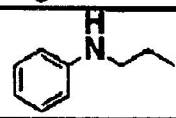
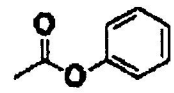
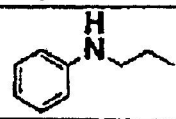
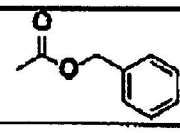
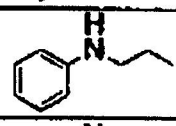
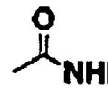
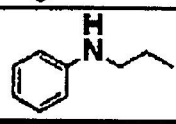
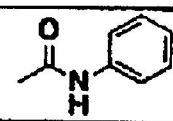
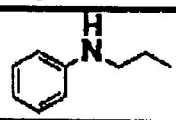
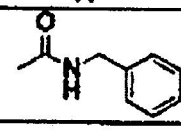
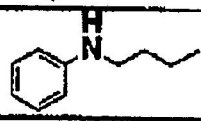
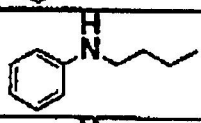
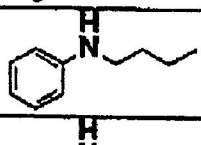
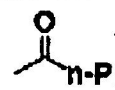
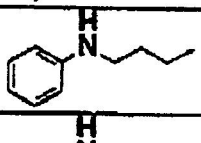
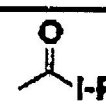
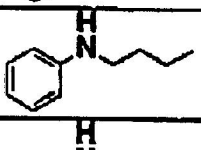
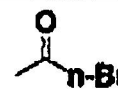
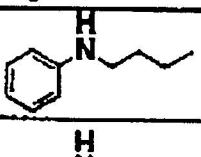
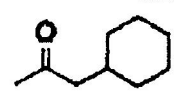
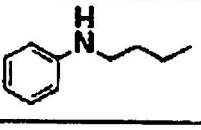
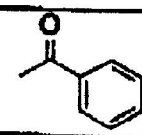
Compuesto No.	R^1	R^2	R^3
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500		H	
501		H	
502		H	
503		H	
504		H	
505		H	
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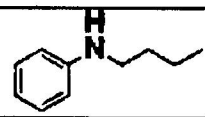
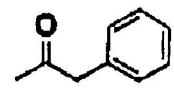
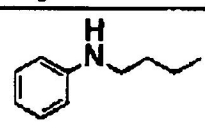
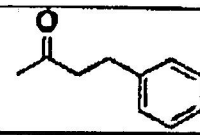
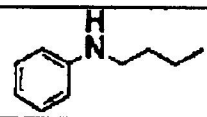
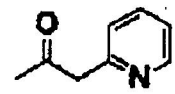
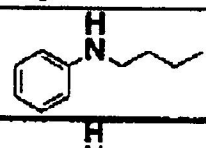
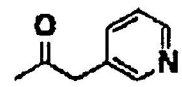
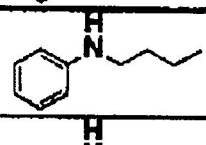
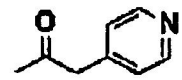
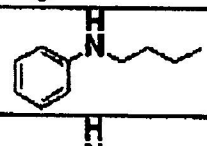
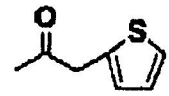
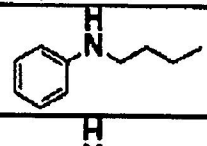
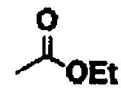
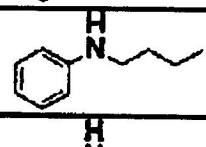
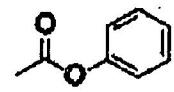
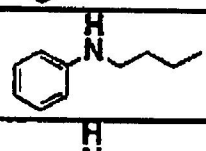
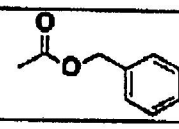
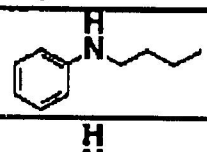
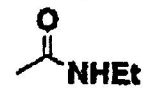
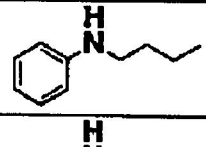
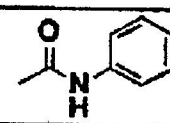
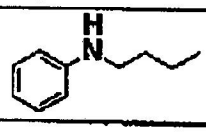
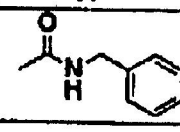
Compuesto No.	R^1	R^2	R^3
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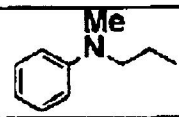
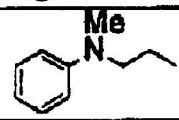
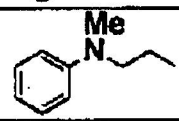
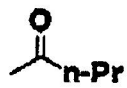
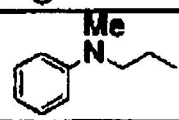
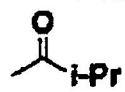
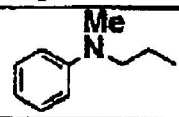
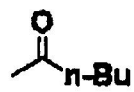
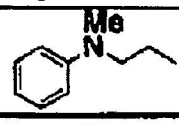
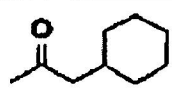
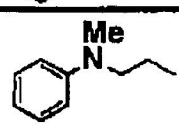
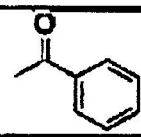
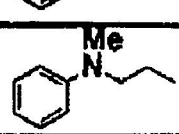
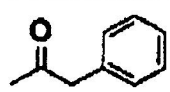
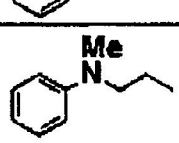
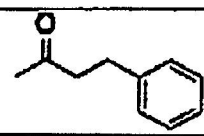
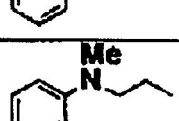
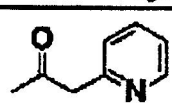
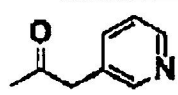
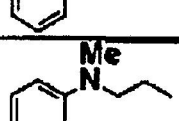
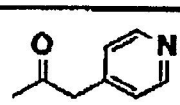
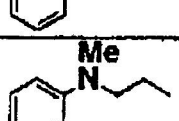
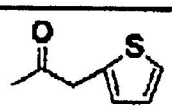
Compuesto No.	R^1	R^2	R^3
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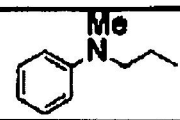
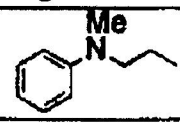
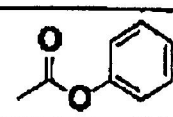
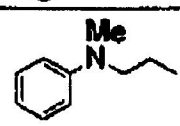
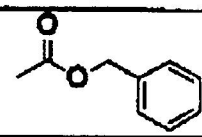
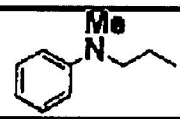
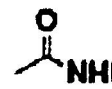
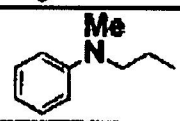
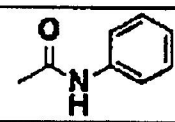
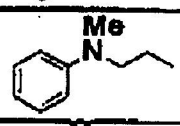
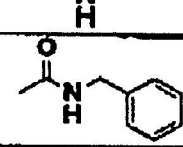
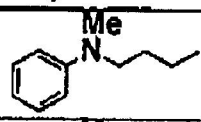
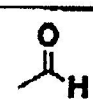
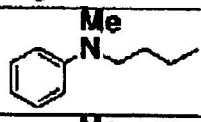
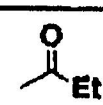
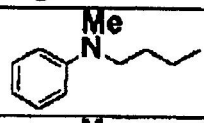
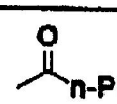
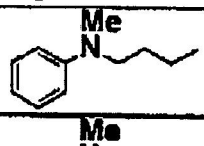
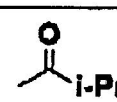
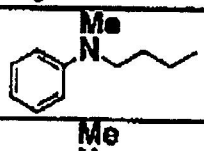
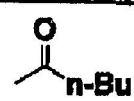
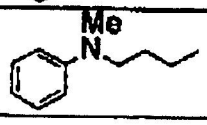
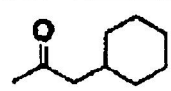
Compuesto No.	R^1	R^2	R^3
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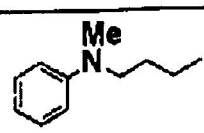
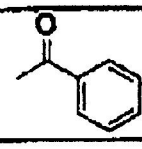
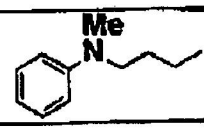
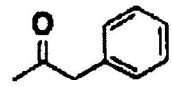
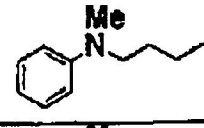
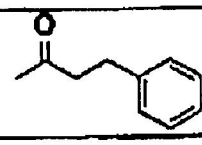
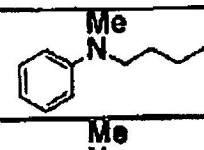
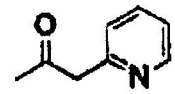
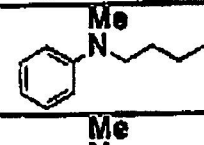
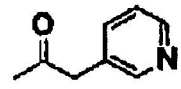
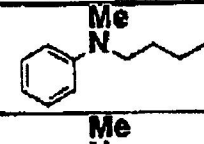
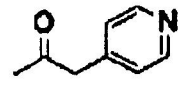
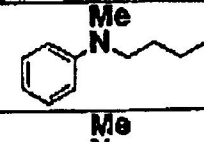
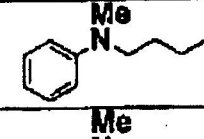
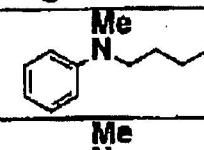
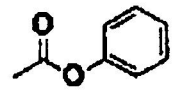
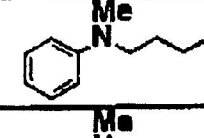
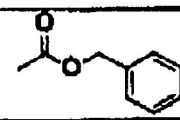
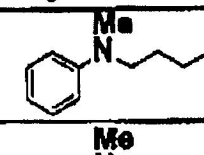
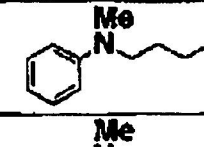
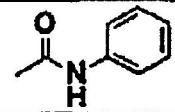
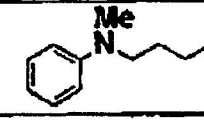
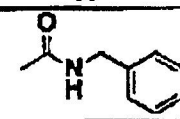
Compuesto No.	R^1	R^2	R^3
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557		H	
558		H	
559		H	
560		H	
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562		H	
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567		H	
568		H	

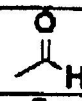
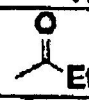
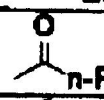
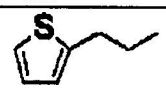
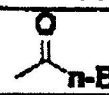
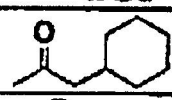
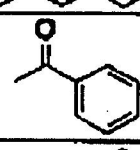
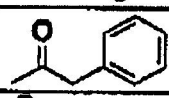
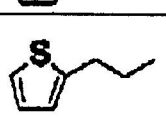
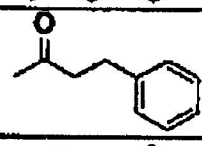
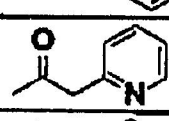
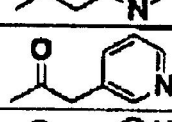
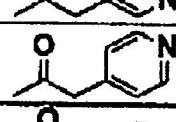
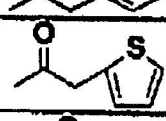
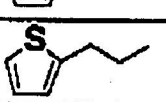
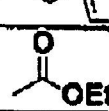
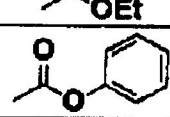
Compuesto No.	R^1	R^2	R^3
569		H	
570		H	
571		H	
572		H	
573		H	
574		H	
575		H	
576		H	
577		H	
578		H	
579		H	
580		H	
581		H	

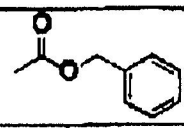
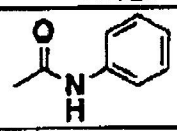
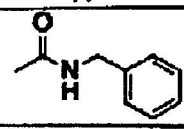
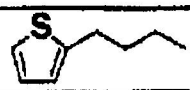
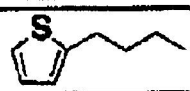
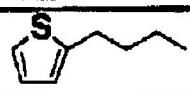
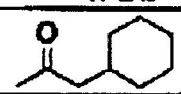
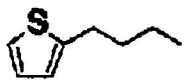
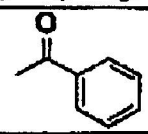
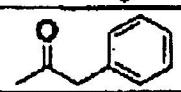
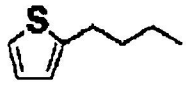
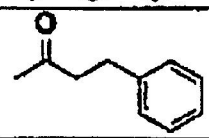
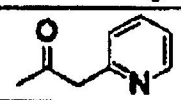
Compuesto No.	R^1	R^2	R^3
582		H	
583		H	
584		H	
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592		H	
593		H	

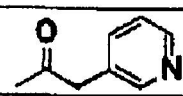
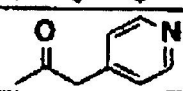
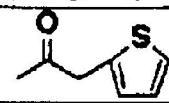
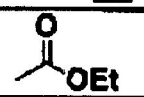
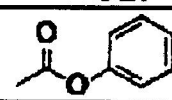
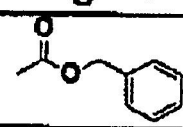
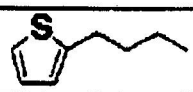
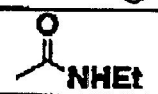
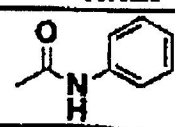
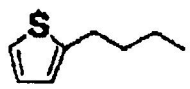
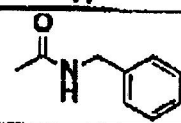
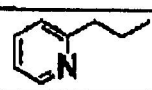
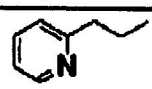
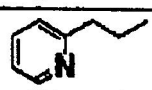
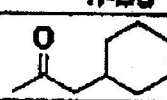
Compuesto No.	R^1	R^2	R^3
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595		H	
596		H	
597		H	
598		H	
599		H	
600		H	
601		H	
602		H	
603		H	
604		H	
605		H	
606		H	

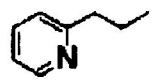
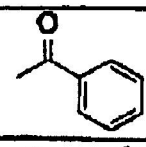
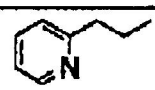
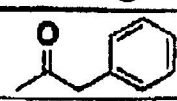
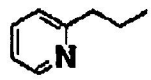
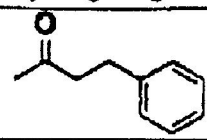
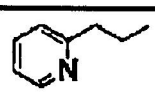
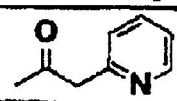
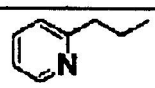
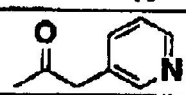
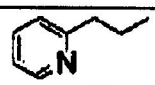
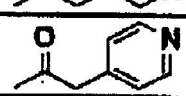
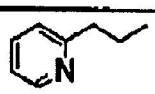
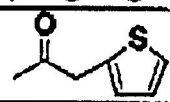
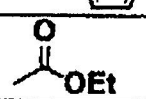
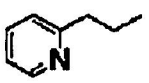
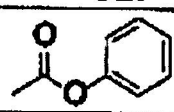
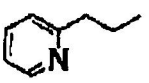
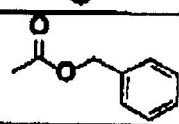
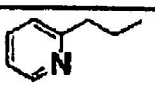
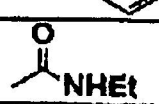
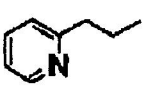
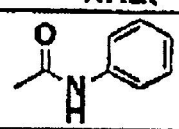
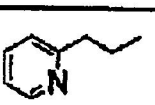
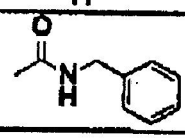
Compuesto No.	R^1	R^2	R^3
607		H	
608		H	
609		H	
610		H	
611		H	
612		H	
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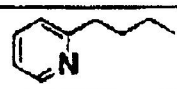
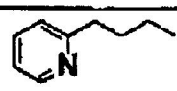
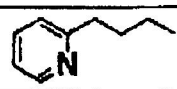
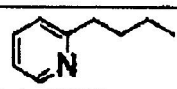
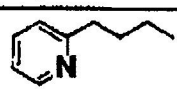
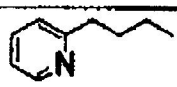
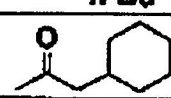
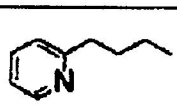
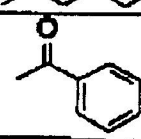
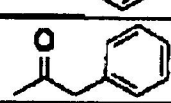
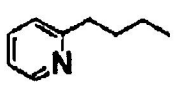
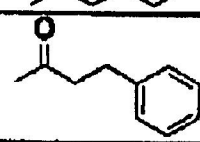
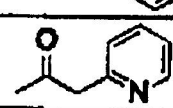
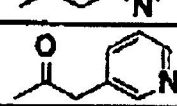
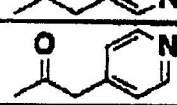
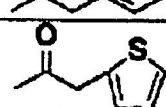
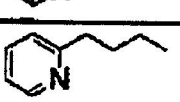
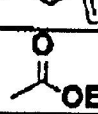
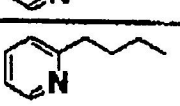
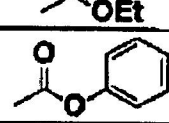
Compuesto No.	R¹	R²	R³
619		H	
620		H	
621		H	
622		H	
623		H	
624		H	
625		H	
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627		H	
628		H	
629		H	
630		H	
631		H	

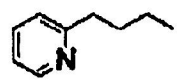
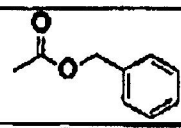
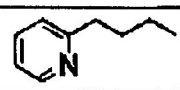
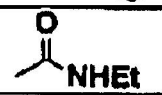
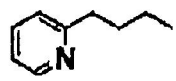
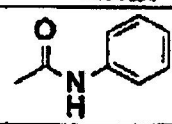
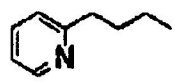
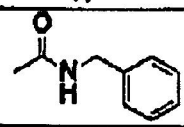
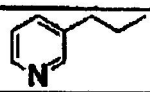
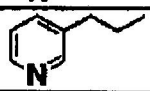
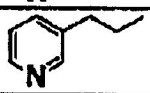
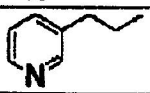
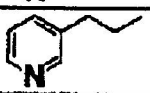
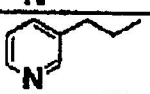
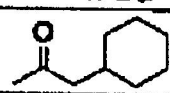
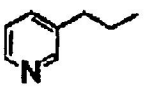
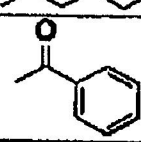
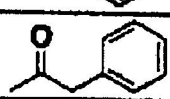
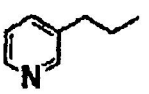
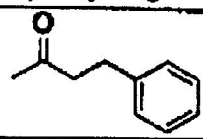
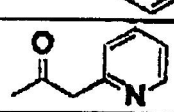
Compuesto No.	R^1	R^2	R^3
632		H	
633		H	
634		H	
635		H	
636		H	
637		H	
638		H	
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640		H	
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643		H	
644		H	
645		H	
646		H	

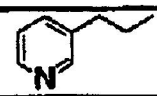
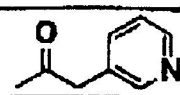
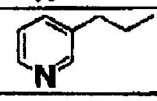
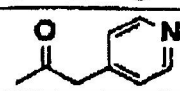
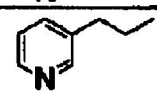
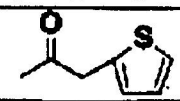
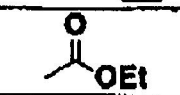
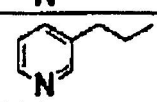
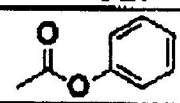
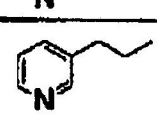
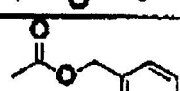
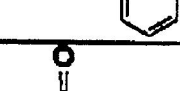
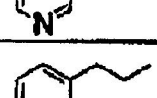
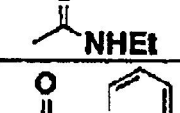
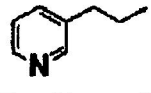
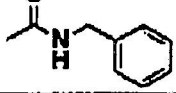
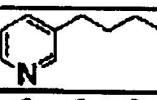
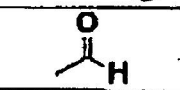
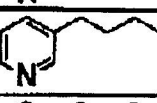
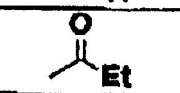
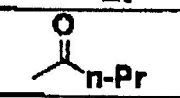
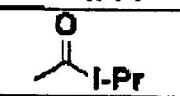
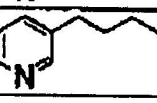
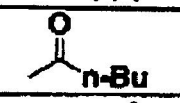
Compuesto No.	R^1	R^2	R^3
647		H	
648		H	
649		H	
650		H	
651		H	
652		H	
653		H	
654		H	
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657		H	
658		H	
659		H	
660		H	

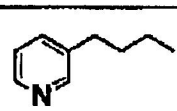
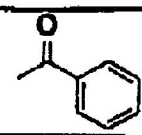
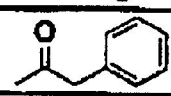
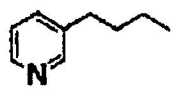
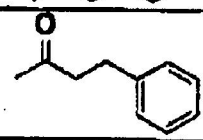
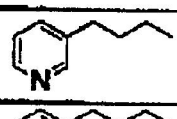
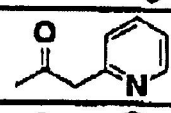
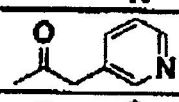
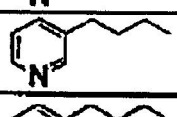
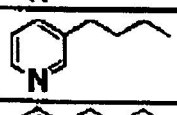
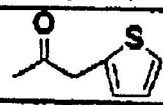
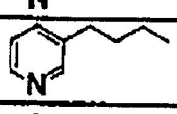
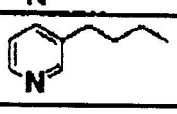
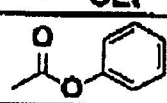
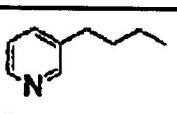
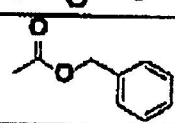
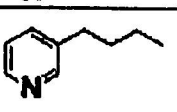
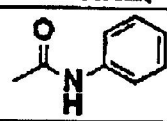
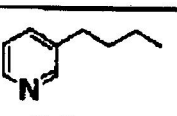
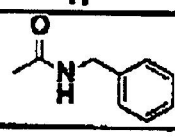
Compuesto No.	R^1	R^2	R^3
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662		H	
663		H	
664		H	
665		H	
666		H	
667		H	
668		H	
669		H	
670		H	
671		H	
672		H	
673		H	
674		H	
675		H	

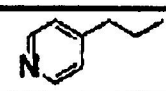
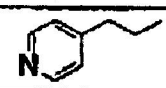
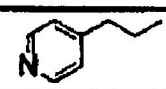
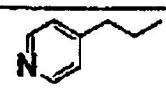
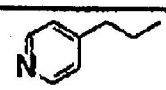
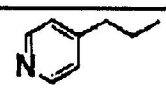
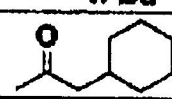
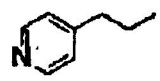
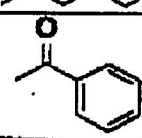
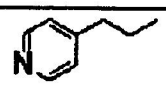
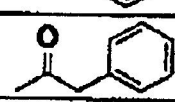
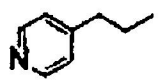
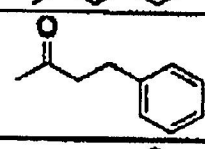
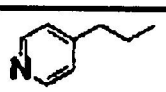
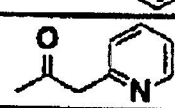
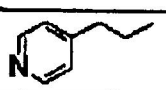
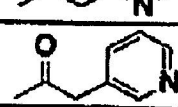
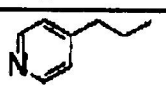
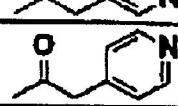
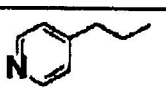
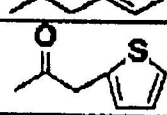
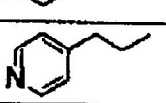
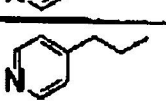
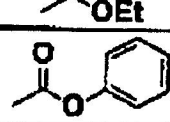
Compuesto No.	R^1	R^2	R^3
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677		H	
678		H	
679		H	
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682		H	
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684		H	
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686		H	
687		H	
688		H	

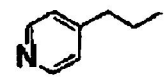
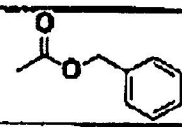
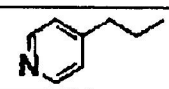
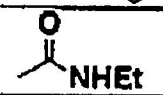
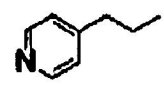
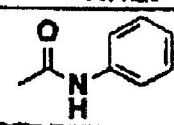
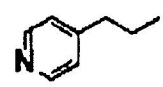
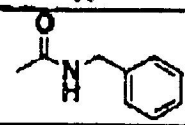
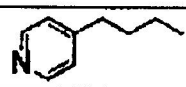
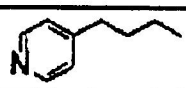
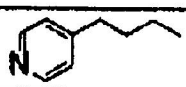
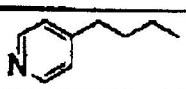
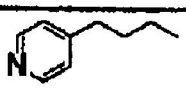
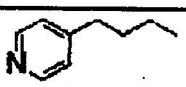
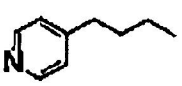
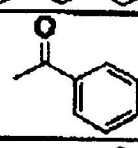
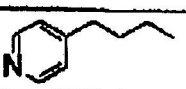
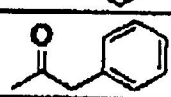
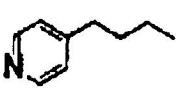
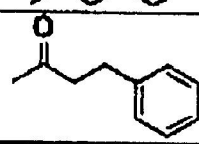
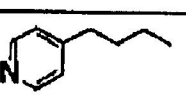
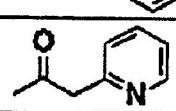
Compuesto No.	R^1	R^2	R^3
689		H	
690		H	
691		H	
692		H	
693		H	
694		H	
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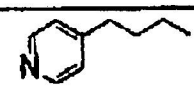
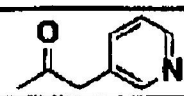
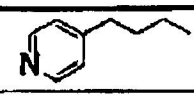
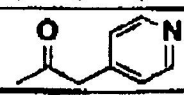
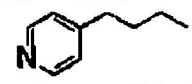
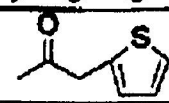
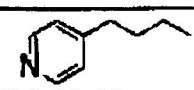
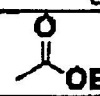
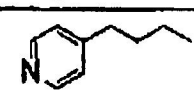
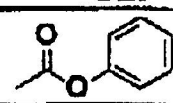
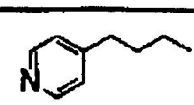
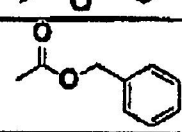
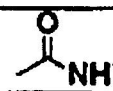
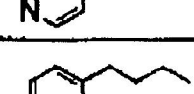
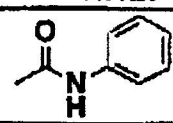
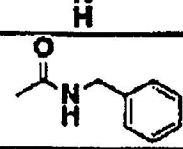
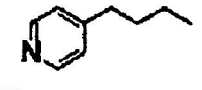
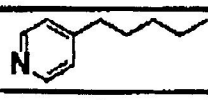
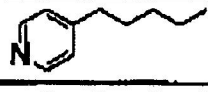
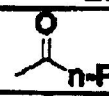
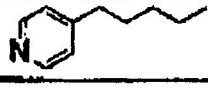
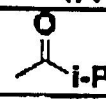
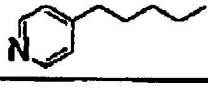
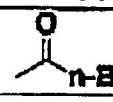
Compuesto No.	R^1	R^2	R^3
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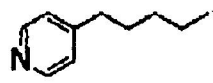
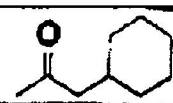
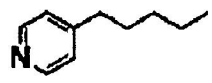
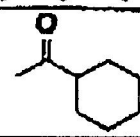
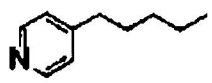
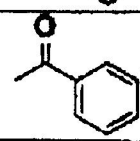
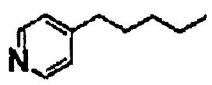
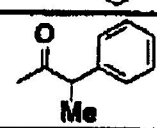
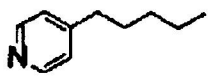
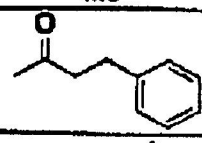
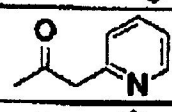
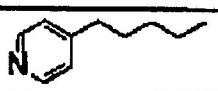
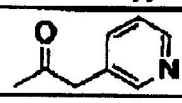
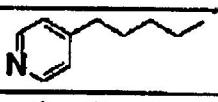
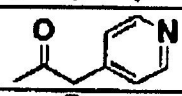
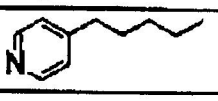
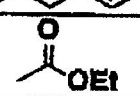
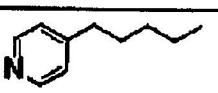
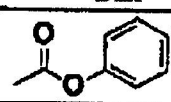
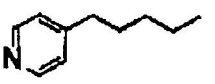
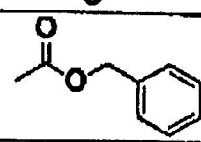
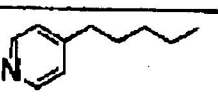
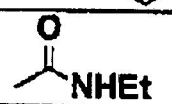
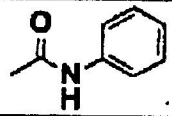
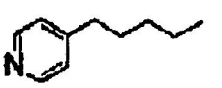
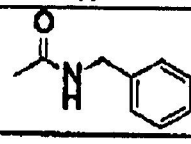
Compuesto No.	R^1	R^2	R^3
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720		H	
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722		H	
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724		H	
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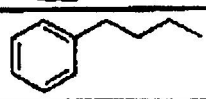
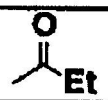
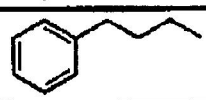
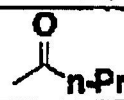
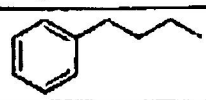
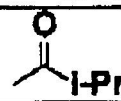
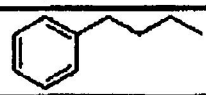
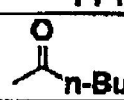
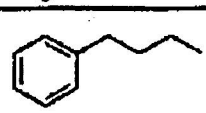
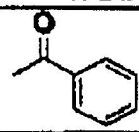
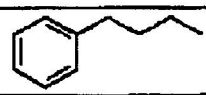
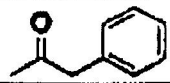
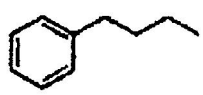
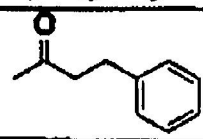
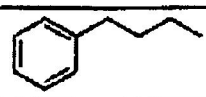
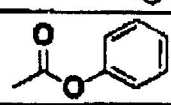
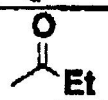
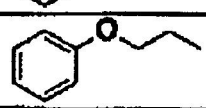
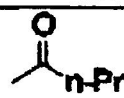
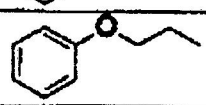
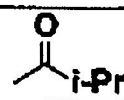
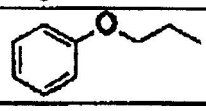
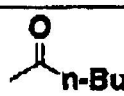
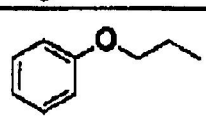
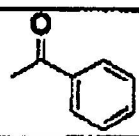
Compuesto No.	R^1	R^2	R^3
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734		H	
735		H	
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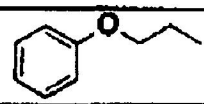
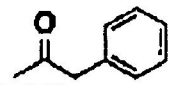
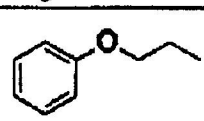
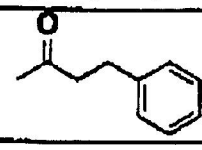
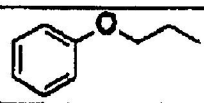
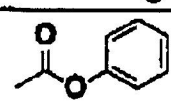
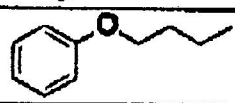
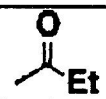
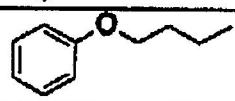
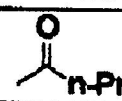
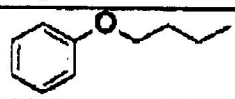
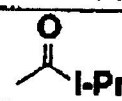
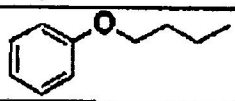
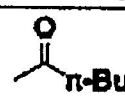
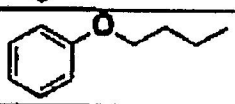
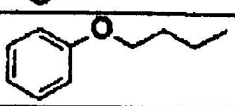
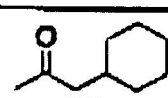
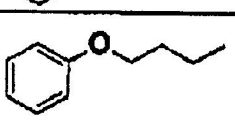
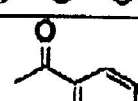
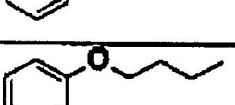
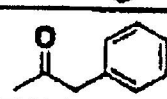
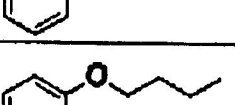
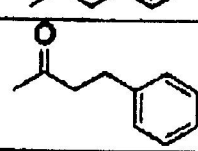
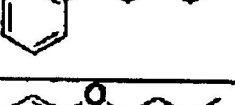
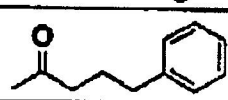
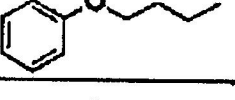
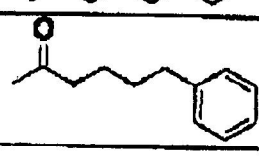
Compuesto No.	R^1	R^2	R^3
746		H	
747		H	
748		H	
749		H	
750		H	
751		H	
752		H	
753		H	
754		H	
755		H	
756		H	
757		H	
758		H	
759		H	
760		H	

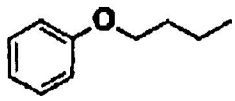
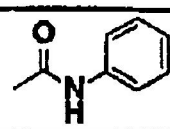
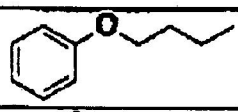
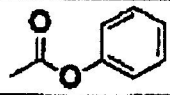
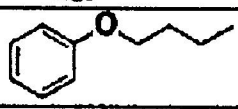
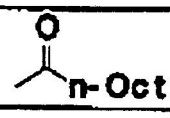
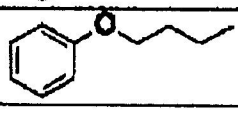
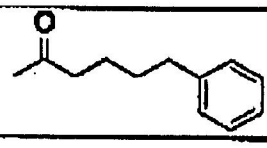
Compuesto No.	R^1	R^2	R^3
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762		H	
763		H	
764		H	
765		H	
766		H	
767		H	
768		H	
769		H	
770		H	
771		H	
772		H	
773		H	
774		H	

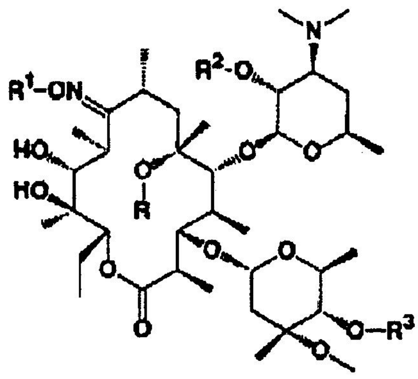
Compuesto No.	R^1	R^2	R^3
775		H	
776		H	
777		H	
778		H	
779		H	
780		H	
781		H	
782		H	
783		H	
784		H	
785		H	
786		H	
787		H	
788		H	

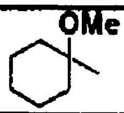
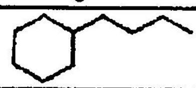
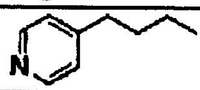
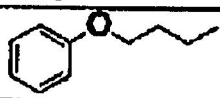
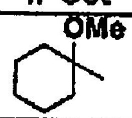
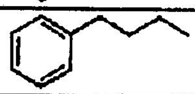
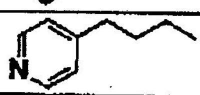
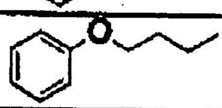
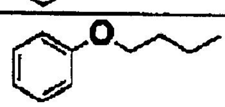
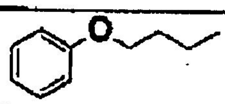
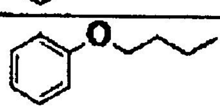
Compuesto No.	R^1	R^2	R^3
789		H	
790		H	
791		H	
792		H	
793		H	
794		H	
795		H	
796		H	
797		H	
798		H	
799		H	
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801		H	
802		H	

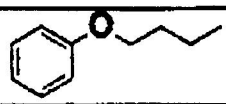
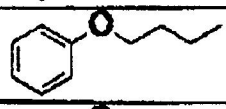
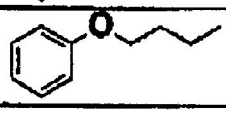
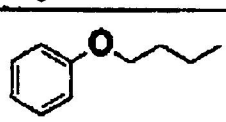
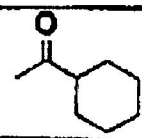
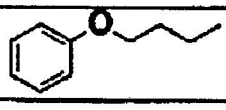
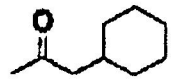
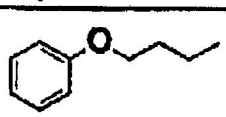
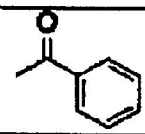
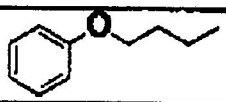
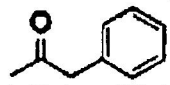
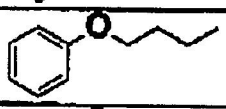
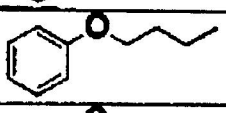
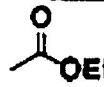
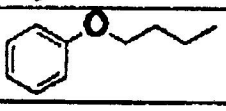
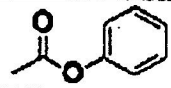
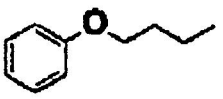
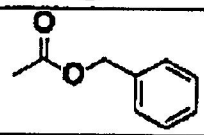
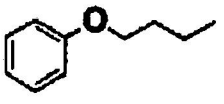
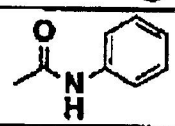
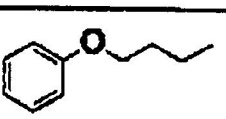
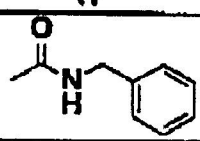
Compuesto No.	R^1	R^2	R^3
803		Ac	Ac
804		Ac	
805		Ac	
806		Ac	
807		Ac	
808		Ac	
809		Ac	
810		Ac	
811		Ac	
812		Ac	
813		Ac	
814		Ac	
815		Ac	
816		Ac	

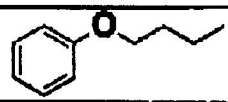
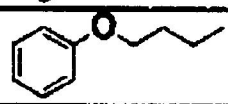
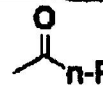
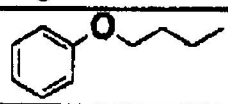
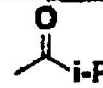
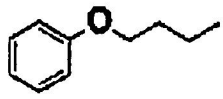
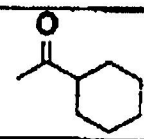
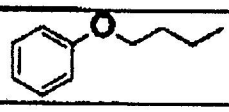
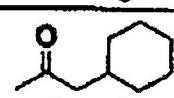
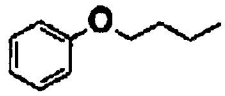
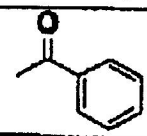
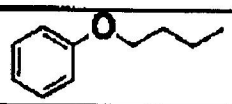
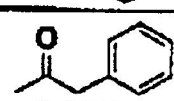
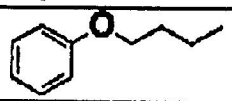
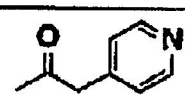
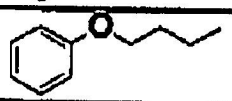
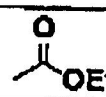
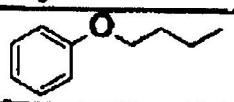
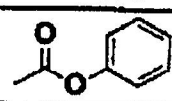
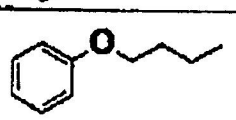
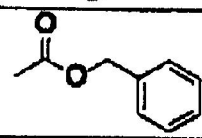
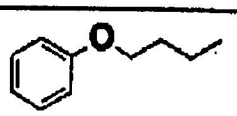
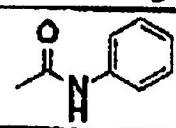
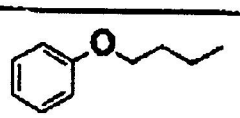
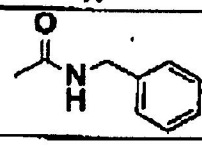
Compuesto No.	R^1	R^2	R^3
817		Ac	
818		Ac	
819		Ac	
820		Ac	
821		Ac	
822		Ac	
823		Ac	
824		Ac	
825		Ac	
826		Ac	
827		Ac	
828		Ac	
829		Ac	
830		Ac	

Compuesto No.	R^1	R^2	R^3
831		Ac	
832		Ac	
833		H	Ac
834		H	
835		H	
836		H	



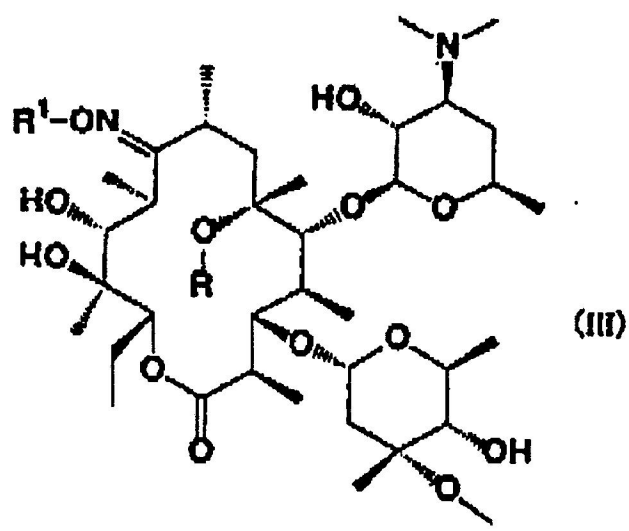
Compuesto No.	R¹	R²	R	R³
837	n-Oct	Ac	Me	Ac
838		Ac	Me	Ac
839		Ac	Me	Ac
840		Ac	Me	Ac
841		Ac	Me	Ac
842		Ac	Me	Ac
843	n-Oct	H	Me	Ac
844		H	Me	Ac
845		H	Me	Ac
846		H	Me	Ac
847		H	Me	Ac
848		H	Me	Ac
849		H	Et	Ac
850		H	n-Pr	Ac
851		H	n-Bu	Ac

Compuesto No.	R^1	R^2	R	R^3
852		Ac	Me	
853		Ac	Me	
854		Ac	Me	
855		Ac	Me	
856		Ac	Me	
857		Ac	Me	
858		Ac	Me	
859		Ac	Me	
860		Ac	Me	
861		Ac	Me	
862		Ac	Me	
863		Ac	Me	
864		Ac	Me	

Compuesto No.	R^1	R^2	R	R^3
865		H	Me	
866		H	Me	
867		H	Me	
868		H	Me	
869		H	Me	
870		H	Me	
871		H	Me	
872		H	Me	
873		H	Me	
874		H	Me	
875		H	Me	
876		H	Me	
877		H	Me	

Los derivados de eritromicina novedosos mencionados precedentemente representados por la fórmula general (I) de la presente invención pueden ser realizados mediante, por ejemplo, los métodos que se describen más adelante. Sin embargo, el método para preparar los compuestos de la presente invención no están limitados a estos métodos.

De acuerdo con la primera modalidad de realización del método para preparar los compuestos de la presente invención, los compuestos en donde ambos R^2 y R^3 son grupos acetilo que se encuentran dentro de los compuestos representados por la fórmula general (I) mencionada precedentemente pueden ser realizados al permitir que un compuesto representado por la fórmula general siguiente (III):



(III)

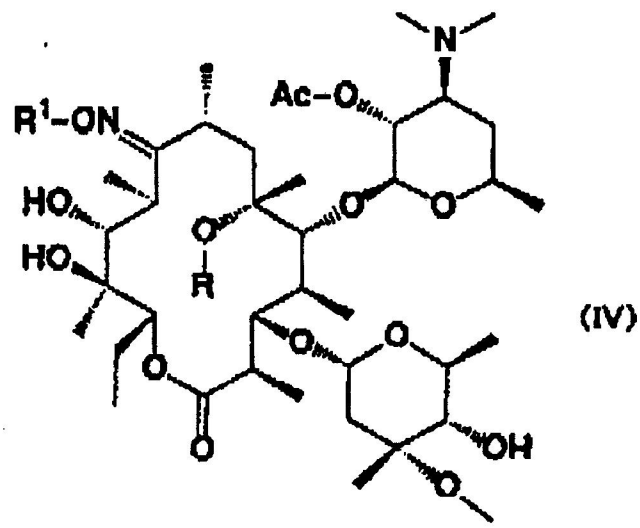
en donde R y R^1 poseen los mismos significados iguales a los definidos precedentemente, para hacerlos reaccionar con cloruro de acetilo o anhidrido acético en la presencia o ausencia de una

base sin solvente o en un solvente.

El ejemplo de la base usada en el método de preparación incluye, por ejemplo, las bases orgánicas tales como trietilamina, piridina, N,N-diisopropiletilamina, 4-dimetilaminopiridina, 1,8-diazabiciclo[5.4.0]-7-undeceno y 1,2,2,6,6-pentametilpiperidina, bases inorgánicas tales como carbonato de sodio, carbonato de potasio, carbonato hidrógeno de sodio y carbonato hidrógeno de potasio y lo similar. El solvente usado en el método de preparación puede ser cualquier solvente en tanto que el mismo sea inerte en la reacción y no inhiba la reacción. Los ejemplos de tal solvente pueden incluir, por ejemplo, solventes de hidrocarburos halogenados tales como diclorometano, 1,2-dicloroetano y cloroformo, solventes de hidrocarburos aromáticos tales como benceno y tolueno, solventes polares apróticos tales como acetona, acetonitrilo, N,N-dimetilformamida, N-metil-2-pirrolidona, dimetil sulfóxido, tetrametilen sulfolan, tetrametilen sulfóxido y triamida hexametilenfosfórica, solventes éster tales como etil acetato y metil acetato, solventes éter tales como tetrahidrofurano, dietil éter y 1,4-dioxano, solventes de base orgánica tal como piridina, picolina, lutidina y colidina, o mezclas de los mismos solventes. La reacción es realizada en una gama de temperatura desde bajo enfriamiento con hielo a 200°C.

De acuerdo con la segunda modalidad de realización del

método para preparar los compuestos de la presente invención, los compuestos en donde R^2 es grupo acetilo que se encuentra dentro de los compuestos representados por la fórmula general (I) mencionada precedentemente pueden ser realizados al permitir que un compuesto representado por la fórmula general siguiente (IV):



(IV)

en donde R y R^1 poseen los mismos significados definidos precedentemente, y Ac representa un grupo acetilo, pueda reaccionar con ácido fórmico en la presencia o ausencia de ácido perclórico sin solvente o en un solvente, o hacerlo reaccionar con un ácido anhidrido representado por la siguiente fórmula general (V):

$$R^6\text{-}C(=O)\text{-}OW \qquad (V)$$

en donde R^6 representa un átomo de hidrógeno, un grupo alquilo

que puede ser sustituido, un grupo cicloalquilo que puede ser sustituido, un grupo (cicloalquil)alquilo que puede ser sustituido, un grupo arilo que puede ser sustituido, o un grupo aralquilo que puede se sustituido, y W representa un residuo de anhidrido ácido, o que reaccione con un haluro ácido o derivado de carbonato halogenado representado por la fórmula general siguiente (VI):

$$R^7\text{-}C(=O)\text{-}Q \qquad (VI)$$

en donde R^7 representa un átomo de hidrógeno, un grupo alquilo que puede ser sustituido, un grupo cicloalquilo que puede ser sustituido, un grupo (cicloalquil)alquilo que puede ser sustituido, un grupo arilo que puede ser sustituido, un grupo aralquilo que puede ser sustituido, un grupo alcoxilo que puede ser sustituido, un grupo ariloxilo que puede ser sustituido, o un grupo aralquiloxilo que puede ser sustituido, y Q representa un átomo de halógeno, en la presencia o ausencia de una base sin solvente o en un solvente, o hacerlo reaccionar con un derivado isocianato representado por la fórmula general siguiente (VII):

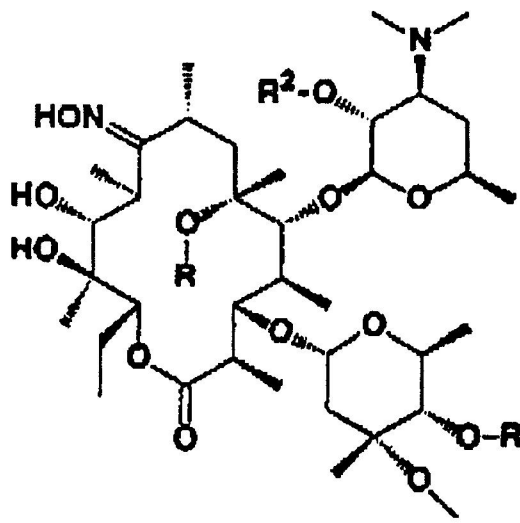
$$R^8\text{-}N{=}C\text{-}O \qquad (VII)$$

en donde R^8 representa grupo alquilo que puede ser sustituido,

un grupo arilo que puede ser sustituido o un grupo aralquilo que puede ser sustituido, en la presencia o ausencia de una base sin solvente o en un solvente.

El ejemplo de la base usado en el método para preparar incluye, por ejemplo, las bases orgánicas tales como trietilamina, piridina, N,N-diisopropiletilamina, 4-dimetilaminopiridina, 1,8-diazabiciclo[5.4.0]-7-undeceno y 1,2,2,6,6-pentametilpiperidina, bases inorgánicas tales como carbonato de sodio, carbonato de potasio, carbonato hidrógeno de sodio, carbonato hidrógeno de potasio. El solvente usado en el método para preparación puede ser cualquier solvente en la medida que el mismo sea inerte en la reacción y no inhiba la reacción. Los ejemplos de tales solventes incluyen, por ejemplo, solventes de hidrocarburos halogenados tales como diclorometano, 1,2-dicloroetano, y cloroformo, solventes de hidrocarburos aromáticos tales como benceno y tolueno, solventes polares apróticos tales como acetona, acetonitrilo, N,N-dimetilformamida, N-metil-2-pirrolidona, dimetil sulfóxido, tetrametilen sulfolan, tetrametilen sulfóxido, y triamida hexametilenfosfórica, los solventes éster tales como acetato de etilo y acetato de metilo, solventes éter tales como tetrahidrofurano, dietil éter y 1,4-dioxano, solventes de bases orgánicas tales como piridina, picolina, lutidina y colidina, o mezclas de los mismos solventes. La reacción se efectúa en una gama de temperatura de bajo enfriamiento con hielo a 200°C.

De acuerdo con la tercer modalidad de realización del método para preparar los compuestos de la presente invención, los compuestos representados por la fórmula general mencionada precedentemente (I) pueden ser realizados al permitir que un compuesto representado por la fórmula general siguiente (VIII):



(VIII)

en donde R, R^2 y R^3 posen los mismos significados definidos precedentemente, pueda reaccionar con un compuesto representado por la fórmula general siguiente (IX):

$$R^1\text{-}T \qquad (IX)$$

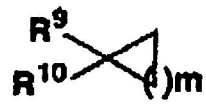
en donde R^1 posee el mismo significado como el definido precedentemente; y T representa un átomo de halógeno, grupo mesiloxilo, grupo tosiloxilo o grupo trifluorometilsulfoniloxilo, y ioduro de tetrabutilamonio en la presencia o ausencia de ioduro de sodio o una base sin solvente

o en un solvente.

El ejemplo de la base usada en el método de preparación incluye, por ejemplo, las bases orgánicas tales como trietil amina, N,N-diisopropiletilamina, 4-dimetilaminopiridina, 1,8-diazabiciclo[5.4.0]7-undeceno y 1,2,2,6,6-pentametilpiperidina, bases inorgánicas tales como carbonato de sodio, carbonato de potasio, carbonato hidrógeno de sodio, carbonato hidrógeno de potasio, hidruro de sodio, hidróxido de sodio e hidróxido de potasio. El solvente a ser usado puede ser cualquier solvente en la medida que el mismo sea inerte en la reacción y no inhiba la reacción. Los ejemplos del solvente incluyen, por ejemplo, los solventes de hidrocarburos halogenados tales como diclorometano, 1,2-dicloroetano y cloroformo, los solventes de hidrocarburos aromáticos tales como benceno y tolueno, solventes polares apróticos tales como acetona, acetonitrilo, N,N-dimetilformamida, N-metil-2-pirrolidona, dimetil sulfóxido, tetrametilen sulfolan, tetrametilen sulfóxido y triamida hexametilenfosfórica, solventes éster tales como etil acetato y metil acetato, solventes éter tales como tetrahidrofurano, dietil éter y 1,4-dioxano, solventes de bases orgánicas tales como piridina, picolina, lutidina y colidina o mezclas de los mismos solventes. La reacción se efectúa en una gama de temperatura desde bajo enfriamiento con hielo a 200°C.

De acuerdo con una cuarta modalidad de realización del

método para preparar los compuestos de la presente invención, los compuestos en donde R^1 es un grupo cicloalquilo sustituido con un grupo alcoxilo que se encuentra dentro de los compuestos representados por la fórmula general mencionada precedentemente (I) puede ser realizado al permitir que un compuesto representado por la fórmula general mencionada precedentemente (VIII), pueda reaccionar con un compuesto cicloalquilo representado por la fórmula general siguiente (X):



(X)

en donde R^9 y R^{10} independientemente representan un grupo alcoxilo, y m representa un entero desde 1 hasta 4, o haciéndolo reaccionar con un compuesto cicloalqueno representado por la siguiente fórmula general (XI):



(XI)

en donde R^{11} representa un grupo alcoxilo, y k representa un entero desde 1 hasta 4, en la presencia o ausencia de un catalizador ácido sin solvente o en un solvente.

El ejemplo del ácido usado en el método de preparación incluye, por ejemplo, hidrocloruro de piridina, trifluoroacetato

de piridina, p-toluenesulfonato de piridina y lo similar. El solvente a ser usado puede ser cualquier solvente en tanto el mismo sea inerte en la reacción y no inhiba la reacción. Los ejemplos del solvente incluyen, por ejemplo, solventes de hidrocarburo halogenado tales como diclorometano, 1,2-dicloroetano y cloroformo, solventes de hidrocarburos aromáticos tales como benceno y tolueno, solventes polares apróticos tales como acetona, acetonitrilo, N,N-dimetilformamida, N-metil-2-pirrolidona, dimetil sulfóxido, tetrametilen sulfolan, tetrametilen sulfóxido y triamida hexametilenefosfofórica, solventes éster tales como etil acetato y metil acetato, solventes éter tales como tetrahidrofurano, dietil éter y 1,4-dioxano o mezclas de los mismos solventes. La reacción se efectúa a una gama de temperatura desde bajo enfriamiento con hielo hasta 200°C.

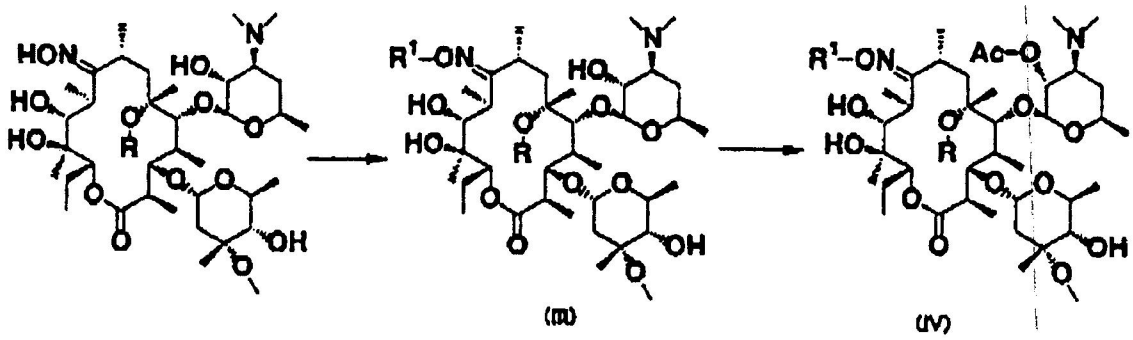
De acuerdo con la quinta modalidad de realización del método para preparar los compuestos de la presente invención, cuyos compuestos en donde R^2 es un átomo de hidrógeno que se encuentra dentro de los compuestos representados por la fórmula general (I) mencionada precedentemente que pueden ser realizados por hidrolización de un compuesto representado por la fórmula general (I) mencionada precedentemente en donde R^2 es grupo acetilo sin un solvente o en un solvente en la presencia o ausencia de un ácido o una base.

Los ejemplos del ácido utilizado en el método para preparar

incluyen, por ejemplo, ácido clorhídrico, ácido sulfúrico y lo similar. Los ejemplos de la base a ser usada incluyen, por ejemplo, carbonato hidrógeno de sodio, carbonato de sodio, hidróxido de sodio, hidróxido de litio, hidróxido de bario, metóxido de sodio, etóxido de sodio, terc-butóxido de sodio, terc-butóxido de potasio y lo similar. Aunque estos ácidos o alcalinos pueden utilizarse como una solución acuosa, los mismos también pueden ser utilizados como una solución en un solventes alcohólico tal como metanol, etanol, n-propanol, isopropil alcohol, n-butanol, sec-butil alcohol y terc-butil alcohol, solventes polares apróticos tales como acetona, acetonitrilo, N,N-dimetiiformamida, dimetil sulfóxido, tetrametileno sulfolan, tetrametilen sulfóxido y triamida hexametilenfosfórica, solventes de éter tales como tetrahidrofurano y 1,4-dioxano, solventes conteniendo agua que comprenden cualquiera de estos solventes y lo similar. La reacción se desempeña en una gama de temperatura desde bajo enfriamiento con hielo a 200°C.

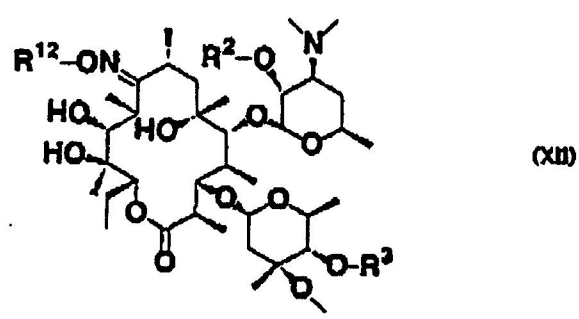
Algunos de los compuestos representados por las fórmulas generales (III), (IV) y (VIII), mencionadas precedentemente que pueden ser utilizadas como los materiales de partida de los métodos para preparar los compuestos de la presente invención, son actualmente compuestos conocidos que se describen en la publicación de patente Japonesa no examinada (KOKAI) Nos. 56-100799/1981, 63-107921/1988, 3-204893/1991 y lo similar. Los mismos pueden ser realizados, por ejemplo, como explicado más

adelante. Los detalles de la preparación de compuestos novedosos se indicará como ejemplos de referencia.



(En las fórmulas, R y R^1 poseen los mismos significados como los definidos precedentemente, y Ac representa un grupo acetilo.)

Como compuestos que se relacionan con los compuestos de la presente invención representados por la fórmula general (I) mencionada precedentemente, los compuestos novedosos representados por la fórmula general (XII) siguiente:



en donde R^2 y R^3 poseen los mismos significados como los definidos precedentemente y R^{12} representa un grupo alquilo C_{2-4} que puede ser sustituido, puede ser realizado de acuerdo con los

métodos de preparación mencionados precedentemente para los compuestos de la presente invención. Los detalles de las preparaciones de estos compuestos también se describirán como ejemplos de referencia.

El medicamento que comprende por lo menos uno de los derivados de eritromicina novedosos representados por la fórmula general (I) mencionada precedentemente o sales de los mismos como un ingrediente activo se administran normalmente como preparaciones para administración oral tales como cápsulas, tabletas, gránulos desintegrables, gránulos, polvo y jarabes, o preparaciones tales como inyecciones, supositorios, gota para los ojos, pomadas oftalmológicas, gotas para los oídos, gotas nasales y preparaciones dermatológicas. Estas preparaciones pueden ser fabricadas en una manera convencional por mezcla con los aditivos fisiológica y farmacéuticamente aceptables. Para preparaciones para su administración oral y supositorios, aditivos tales como, por ejemplo, excipientes tales como lactosa, sacarosa, D-mannitol, almidón de maíz y celulosa cristalina; agentes de desintegración tales como carboximetilcelulosa, carboximetilcelulosa de calcio, almidón parcialmente pregelatinizado, croscaramelosa de sodio y crospovidona; aglomerantes tales como hidroxipropilcelulosa, hidroxipropilmetilcelulosa y polivinilpirrolidona; los lubricantes tales como estearato de magnesio, talco, aceite endurecido, dimetilpolisiloxano, dióxido de silicio hidratado,

dióxido de silicio coloidal y cera carnauba; agentes de recubrimiento tales como hidroxipropilmetilcelulosa, sacarosa y óxido de titanio; plastificadores tales como trietil citrato, polietilen glicol y ésteres de ácidos grasos de glicerina; bases tales como polietilen glicol y grasa dura y lo similar pueden ser usados. Para inyecciones, gotas para los ojos y gotas para los oídos, aditivos tales como, por ejemplo, agentes de disolución y auxiliares de disolución que pueden constituir las preparaciones o preparaciones acuosas a ser disueltas con la utilización de elementos tales como agua destilada para inyección, solución fisiológica salina y propilén glicol; los modificadores de pH tales como ácidos y bases inorgánicas u orgánicas, agentes isotónicos tales como cloruro de sodio, glucosa y glicerina, estabilizadores y lo similar pueden usarse. Para pomadas oftálmológicas y preparaciones dermatológicas, aditivos apropiados para las pomadas, cremas y apósitos tales como parafina blanca blanda, macrogol, glicerina, parafina líquida y paño de algodón pueden usarse.

Cuando el medicamento que comprende el compuesto de la presente invención como un ingrediente activo se administra al paciente, las dosis pueden variar dependiendo de los síntomas del paciente. La dosis para un adulto puede generalmente ser de 10 a 2000 mg por día para administración oral, o de 1 a 1000 mg por día para la administración parenteral, cuyas dosis diarias pueden administrarse en una vez, o varias veces como

divididas en partes. Es deseable que las dosis sean convenientemente aumentadas o disminuidas dependiendo del propósito de administración, es decir, tratamiento terapéutico o preventivo, región de infección y tipo de bacterias patógenas, edad y síntomas de un paciente y lo similar.

EJEMPLOS

La presente invención será adicionalmente explicada con referencia a los siguientes ejemplos y ejemplos de referencia. Sin embargo, la presente invención no está limitada a estos ejemplos. En las tablas siguientes, Me representa grupo metilo, Et representa grupo etilo, n-Pr representa grupo n-propilo, i-Pr representa grupo isopropilo, n-Bu representa grupo n-butilo, n-Hex representa grupo n-hexilo, n-Oct representa grupo n-octilo, n-Dodec representa grupo n-dodecilo, y Ac representa grupo acetilo. Las descripciones entre paréntesis en las propiedades fisicoquímicas indican solventes de recristalización.

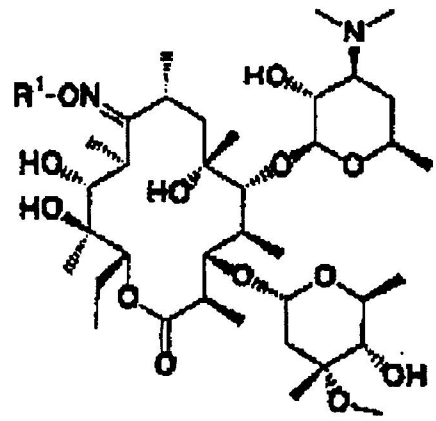
Ejemplo de referencia 1: Eritromicina A 9-[O-(3-fenoxipropil)oxima]

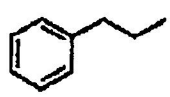
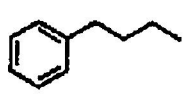
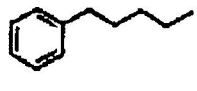
El hidróxido de potasio en polvo (0,43 g) se agregó a una mezcla de 4,00 g de eritromicina A 9-oxima, 0,10 g de ioduro de tetrabutilamonio, 0,12 g de sodio ioduro y 1,30 ml de bromuro 3-fenoxipropilo en 40 ml de tetrahidrofurano a la temperatura

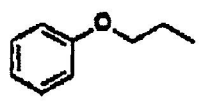
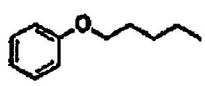
ambiente con agitación, y la mezcla se agitó a la temperatura ambiente por 16,5 horas. La mezcla de reacción se concentró bajo presión reducida, y luego el residuo restante se hizo alcalino con la solución acuosa saturada de carbonato hidrógeno de sodio, y la mezcla se extrajo con acetato de etilo. El extracto se lavó consecutivamente con agua y solución salina saturada, se secó sobre sulfato de sodio, y el solvente se extrajo bajo presión reducida. Al residuo se agrega el dietil éter y diisopropil éter para solidificación para dar 2,80 g de un sólido incoloro.

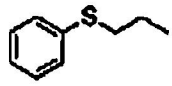
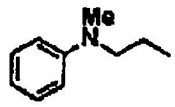
espectro de RMN δ ($CDCl_3$) ppm: 0,85 (3H; t; J=7,5Hz); 1,02 (3H; d; J=6,5Hz); 1,08-1,80 (31H; m); 1,87-2,05 (2H; m); 2,08-2,18 (2H; m); 2,21 (1H; d; J=10,5Hz); 2,29 (6H; 3); 2,33-2,48 (1H; m); 2,36 (1H; d; J=15,5Hz); 2,63-2,72 (1H; m); 2,85-2,96 (1H; m); 3,02 (1H; t; J=10Hz); 3,10 (1H; s); 8,22 (1H; dd; J=10; 7,5Hz); 3,32 (3H; s); 3,41 (1H; s); 3,44-8,58 (1H; m); 3,57 (1H; d; J=7,5Hz); 3,64-3,76 (2H; m); 3,96-4,10 (4H; m); 4,16-4,27 (2H; m); 4,38 (1H; s); 4,42 (1H; d; J=7,5Hz); 4,92 (1H; d; J=5Hz); 5,12 (1H; dd; J=11; 2Hz); 6,84-6,96 (3H; m); 7,21-7,32 (2H; m)

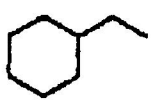
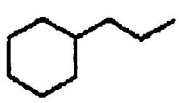
Los compuestos del Ejemplo de referencia 2 a 18 se obtuvieron de la misma manera como la que se describió en el Ejemplo de referencia 1.

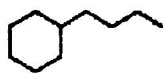


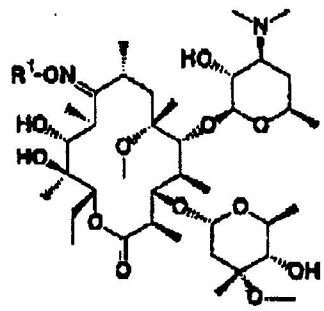
Ejemplo de referencia	R^1	Descripción y propiedades físicas
2		sólido amorfo marrón amarillento pálido espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.98-1.70(34H,m),1.88-2.00(2H,m),2.20(1H,d,J=10.5Hz),2.28(6H,s),2.37(1H,d,J=16Hz),2.37-2.46(1H,m),2.60-2.69(1H,m),2.85-2.99(3H,m),3.03(1H,t,J=10Hz),3.12(1H,s),3.20(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.37(1H,s),3.42-3.53(2H,m),3.54-3.63(1H,m),3.71(1H,s),3.95-4.05(2H,m),4.28(2H,t,J=6.5Hz),4.39(1H,d,J=7.5Hz),4.46(1H,s),4.93(1H,d,J=5Hz),5.14(1H,dd,J=11,2.5Hz),7.17-7.34(5H,m)
3		sólido incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),1.04-1.70(33H,m),1.78(1H,brs),1.87-2.08(4H,m),2.21(1H,d,J=10.5Hz),2.28(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.48(1H,m),2.62-2.73(3H,m),2.86-2.95(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.41(1H,brs),3.44-3.53(1H,m),3.59(1H,d,J=8Hz),3.67-3.78(2H,m),3.97-4.09(4H,m),4.41(1H,s),4.43(1H,d,J=7.5Hz),4.92(1H,d,J=5Hz),5.11(1H,dd,J=11,2.5Hz),7.13-7.32(5H,m)
4		sólido incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.98-1.76(38H,m),1.87-2.04(2H,m),2.21(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.46(1H,m),2.60-2.68(3H,m),2.86-2.95(1H,m),3.03(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10,7Hz),3.32(3H,s),3.41(1H,brs),3.45-3.53(1H,m),3.58(1H,d,J=7.5Hz),3.63-3.73(2H,m),3.97-4.07(4H,m),4.42(1H,s),4.43(1H,d,J=7.5Hz),4.92(1H,d,J=5Hz),5.11(1H,dd,J=11,2Hz),7.14-7.20(3H,m),7.24-7.30(2H,m)

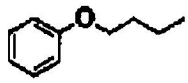
Ejemplo de referencia	R^1	Descripción y propiedades físicas
5		sólido incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95-1.81(40H,m),1.87-2.04(2H,m),2.21(1H,d,J=10Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.40-2.48(1H,m),2.59-2.68(3H,m),2.86-2.94(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10,7.5Hz),3.32(3H,s),3.41(1H,brs),3.45-3.53(1H,m),3.59(1H,d,J=7.5Hz),3.64-3.72(2H,m),3.97-4.07(4H,m),4.43(1H,d,J=7.5Hz),4.44(1H,s),4.91(1H,d,J=5Hz),5.11(1H,dd,J=11,2.5Hz),7.13-7.20(3H,m),7.23-7.30(2H,m)
6		sólido amorfo marrón pálido espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.97-1.70(34H,m),1.87-2.01(2H,m),2.20(1H,d,J=10.5Hz),2.28(6H,s),2.37(1H,d,J=15.5Hz),2.37-2.46(1H,m),2.61-2.70(1H,m),2.86-2.96(1H,m),3.03(1H,t,J=10Hz),3.08-3.25(4H,m),3.32(3H,s),3.40(1H,s),3.43-3.54(2H,m),3.61-3.70(1H,m),3.72(1H,s),3.96-4.06(2H,m),4.28(2H,t,J=6.5Hz),4.36-4.42(2H,m),4.93(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.85(1H,d,J=2.5Hz),6.94(1H,dd,J=5,3.5Hz),7.15(1H,dd,J=5,1Hz)
7		sólido amorfo amarillo pálido espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.97-1.75(33H,m),1.86-2.03(3H,m),2.22(1H,d,J=10.5Hz),2.28(6H,s),2.34(1H,d,J=14.5Hz),2.38-2.47(1H,m),2.64-2.74(1H,m),2.83-2.93(1H,m),3.00(1H,t,J=10Hz),3.09(1H,s),3.21(1H,dd,J=10,7.5Hz),3.30(3H,s),3.37(1H,brs),3.42-3.57(2H,m),3.66-3.80(2H,m),3.87-4.04(2H,m),4.08-4.24(2H,m),4.33-4.44(4H,m),4.77(1H,d,J=4.5Hz),5.10(1H,dd,J=11,2.5Hz),6.84-6.99(3H,m),7.22-7.33(2H,m)
8		sólido incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.96-2.05(40H,m),2.21(1H,d,J=10.5Hz),2.25-2.40(1H,m),2.32(6H,s),2.40-2.55(1H,m),2.60-2.70(1H,m),2.85-2.95(1H,m),3.02(1H,t,J=9.5Hz),3.10(1H,s),3.20-3.27(1H,m),3.32(3H,s),3.40(1H,s),3.45-3.55(1H,m),3.59(1H,d,J=7.5Hz),3.65-3.75(2H,m),3.95-4.15(6H,m),4.41(1H,s),4.43(1H,d,J=7.5Hz),4.90(1H,d,J=5Hz),5.11(1H,dd,J=11,2Hz),6.90-7.00(3H,m),7.20-7.30(2H,m)

Ejemplo de referencia	R^1	Descripción y propiedades físicas
9		sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.98-1.70(33H,m),1.85-2.05(3H,m),2.20(1H,d,J=10.5Hz),2.29(6H,s),2.35(1H,d,J=15.5Hz),2.40-2.48(1H,m),2.65-2.72(1H,m),2.85-2.93(1H,m),3.01(1H,t,J=10Hz),3.08(1H,s),3.09-3.18(2H,m),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.39(1H,brs),3.45-3.53(1H,m),3.59(1H,d,J=3.5Hz),3.65-3.75(2H,m),3.98-4.07(2H,m),4.14-4.25(3H,m),4.42(1H,d,J=6.5Hz),4.89(1H,d,J=5Hz),5.11(1H,dd,J=11,2.5Hz),7.18-7.42(5H,m)
10		sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.92-1.70(33H,m),1.80-2.01(3H,m),2.21(1H,d,J=10Hz),2.28(6H,s),2.36(1H,d,J=14.5Hz),2.37-2.45(1H,m),2.60-2.70(1H,m),2.85-2.98(1H,m),2.95(3H,s),3.02(1H,t,J=10Hz),3.09(1H,s),3.21(1H,dd,J=10,7.5Hz),3.32(3H,s),3.39(1H,brs),3.43-3.58(4H,m),3.60-3.75(2H,m),3.95-4.05(2H,m),4.17-4.23(2H,m),4.35(1H,s),4.41(1H,d,J=6.5Hz),4.90(1H,d,J=4.5Hz),5.11(1H,dd,J=11,2Hz),6.68-6.77(3H,m),7.20-7.30(2H,m)
11	n-Bu	sólido incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,t,J=7.5Hz),0.95(3H,d,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.07-1.80(32H,m),1.85-2.07(2H,m),2.20(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.40-2.50(1H,m),2.60-2.70(1H,m),2.85-2.95(1H,m),3.02(1H,t,J=9.5Hz),3.10(1H,s),3.20(1H,dd,J=10.7.5Hz),3.32(3H,s),3.40(1H,brs),3.45-3.55(1H,m),3.59(1H,d,J=7.5Hz),3.61-3.75(2H,m),3.98-4.08(4H,m),4.43(1H,d,J=7.5Hz),4.45(1H,s),4.92(1H,d,J=4.5Hz),5.11(1H,dd,J=11,2.5Hz)
12	n-Hex	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.89(3H,t,J=7Hz),1.00-1.80(42H,m),1.87-2.05(2H,m),2.21(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.48(1H,m),2.60-2.70(1H,m),2.85-2.96(1H,m),3.02(1H,t,J=10Hz),3.11(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.39(1H,s),3.45-3.54(1H,m),3.59(1H,d,J=7.5Hz),3.64-3.75(2H,m),3.95-4.10(4H,m),4.43(1H,d,J=6.5Hz),4.46(1H,s),4.92(1H,d,J=5Hz),5.12(1H,dd,J=11.5,2Hz)

Ejemplo de referencia	R^1	Descripción y propiedades físicas
13	n-Oct	sólido incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=7Hz),0.98-1.80(56H,m),1.85-2.05(2H,m),2.22(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.40-2.50(1H,m),2.62-2.70(1H,m),2.87-2.97(1H,m),3.02(1H,t,J=10Hz),3.11(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.39(1H,brs),3.45-3.57(1H,m),3.59(1H,d,J=7.5Hz),3.61-3.75(2H,m),3.97-4.08(4H,m),4.43(1H,d,J=7.5Hz),4.46(1H,s),4.92(1H,d,J=4.5Hz),5.12(1H,dd,J=11.5,2Hz)
14	n-Dodec	sólido incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=7Hz),1.00-1.70(54H,m),1.85-2.10(2H,m),2.21(1H,d,J=10Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.50(1H,m),2.60-2.70(1H,m),2.85-2.95(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.38(1H,brs),3.45-3.55(1H,m),3.59(1H,d,J=7.5Hz),3.65-3.75(2H,m),3.95-4.08(4H,m),4.43(1H,d,J=7.5Hz),4.45(1H,s),4.92(1H,d,J=5Hz),5.08-5.15(1H,m)
15		sólido incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.92-1.80(45H,m),1.87-2.04(2H,m),2.21(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=14.5Hz),2.39-2.47(1H,m),2.62-2.68(1H,m),2.86-2.95(1H,m),3.02(1H,t,J=10Hz),3.11(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.39(1H,brs),3.45-3.54(1H,m),3.59(1H,d,J=8Hz),3.65-3.75(2H,m),3.77-3.87(2H,m),3.98-4.07(2H,m),4.43(1H,d,J=7.5Hz),4.46(1H,s),4.92(1H,d,J=4.5Hz),5.11(1H,dd,J=11,2Hz)
16		sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88-1.78(47H,m),1.86-2.06(2H,m),2.20(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=14.5Hz),2.38-2.47(1H,m),2.62-2.69(1H,m),2.86-2.96(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10,7.5Hz),3.32(3H,s),3.40(1H,s),3.44-3.54(1H,m),3.59(1H,d,J=7.5Hz),3.64-3.74(2H,m),3.96-4.09(4H,m),4.43(1H,d,J=7.5Hz),4.45(1H,s),4.91(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz)

Ejemplo de referencia	R^1	Descripción y propiedades físicas
17		sólido amorfo marrón pálido espectro de RMN δ ($CDCl_3$)ppm:0.80-1.81(49H,m),0.84(3H,t,J=7.5Hz),1.86-2.06(2H,m),2.21(1H,d,J=10.5Hz),2.29(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.48(1H,m),2.60-2.70(1H,m),2.85-2.96(1H,m),3.02(1H,t,J=9.5Hz),3.11(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.32(3H,s),3.40(1H,s),3.44-3.54(1H,m),3.59(1H,d,J=7.5Hz),3.63-3.75(2H,m),3.92-4.09(4H,m),4.43(1H,d,J=7.5Hz),4.46(1H,s),4.92(1H,d,J=4.5Hz),5.12(1H,dd,J=11,2.5Hz)

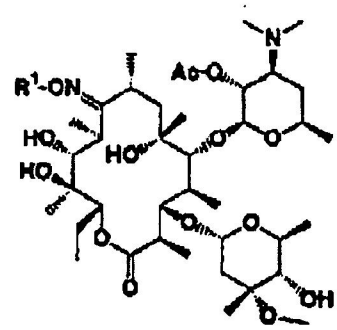


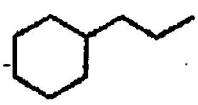
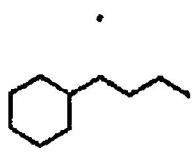
Ejemplo de referencia	R^1	Descripción y propiedades físicas
18		sólido incoloro (i-Pr_2O-n-Heptano) m.p. 105-107°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.97 (3H,d,J=7.5Hz),1.02-1.75(30H,m),1.87-2.00(2H,m),2.07-2.25(3H,m),2.30(6H,s),2.36(1H,d,J=15.5Hz),2.39-2.49(1H,m),2.51-2.59(1H,m),2.82-2.92(1H,m),3.02(1H,t,J=9.5Hz),3.05(3H,s),3.15-3.25(2H,m),3.32(3H,s),3.37(1H,brs),3.43-3.53(1H,m),3.59-3.80(4H,m),3.95-4.24(5H,m),4.43(1H,d,J=7.5Hz),4.59(1H,s),4.93(1H,d,J=4.5Hz),5.11(1H,dd,J=11.5,2Hz),6.86-6.94(3H,m),7.22-7.29(2H,m)

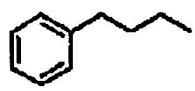
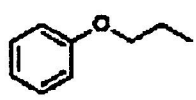
Ejemplo de referencia 19: 2'-O-Acetileritromicina A 9-[O'(3-fenoxipropil)oxima]

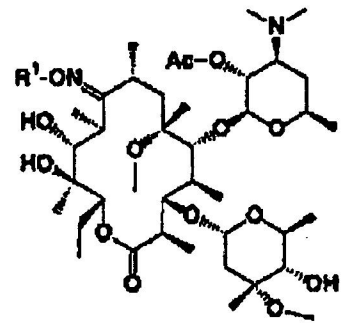
A una solución de 8,00 g de eritromicina A 9-[O-(3-fenoxipropil)oxima] en 80 ml de acetona, se agregó 1,00 ml anhidrido acético por gotas a temperatura ambiente con agitación, y la mezcla se agitó a temperatura ambiente por 6 horas. La mezcla de reacción se concentró bajo presión reducida. Al residuo resultante se agregó diisopropil éter, y luego la mezcla se calentó y fue filtrada bajo calefacción. El filtrado se enfrió, y los cristales precipitados fueron recobrados por filtración obteniendo 6,00 g de sólido incoloro. El sólido fue recristalizado desde una mezcla de acetona y diisopropil éter para dar un sólido incoloro con un punto de fusión de 99-101°C. Espectro de RMN δ ($CDCl_3$) ppm: 0,84 (3H; t; J=7,5Hz); 0,93 (3H; d; J=7,5Hz); 1,03 (3H; d; J=7,5Hz); 1,10-1,80 (28H; m); 1,87-1,98 (2H; m); 2,02-2,20 (3H; m); 2,06 (3H; s); 2,28 (6H; s); 2,35 (1H; d; J=15,5Hz); 2,55-2,69 (2H; m); 2,83-2,93 (1H; m); 8,04 (1H; t; J=9,5Hz); 3,11 (1H; s); 3,35 (3H; 5); 3,43-3,55 (2H; m); 3,61-3,73 (2H; m); 3,90-4,08 (4H; m); 4,15-4,26 (2H; m); 4,89 (1H; s); 4,57 (1H; d; J=8Hz); 4,70-4,81 (1H; m); 4,92 (1H; d; J=5Hz); 5,11 (1H; d; J=9Hz); 6,84-6,96 (3H; m); 7,22-7,31 (2H; m)

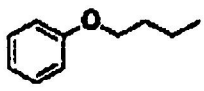
Los compuestos del Ejemplo de referencia 20 a 25 se obtuvieron de la misma manera como la que se describió en el Ejemplo de referencia 19.



Ejemplo de referencia	R¹	Descripción y propiedades físicas
20		sólido incoloro (Acetona) p.f. 109-112°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.89-1.83(45H,m),1.85-2.00(2H,m),2.05(3H,s),2.17(1H,d,J=10Hz),2.28(6H,s),2.35(1H,d,J=14.5Hz),2.56-2.69(2H,m),2.83-2.94(1H,m),3.05(1H,t,J=10Hz),3.13(1H,s),3.36(3H,s),3.43-3.57(2H,m),3.60-3.73(2H,m),3.75-3.88(2H,m),3.92-4.05(2H,m),4.48(1H,s),4.58(1H,d,J=7.5Hz),4.76(1H,dd,J=11,7.5Hz),4.93(1H,d,J=5Hz),5.12(1H,dd,J=10.5,2Hz)
21		sólido incoloro (Acetona-i-Pr_2O) p.f. 108.5-110.5°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.87-1.83(41H,m),0.93(3H,d,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.87-2.00(2H,m),2.05(3H,s),2.17(1H,d,J=10Hz),2.28(6H,s),2.35(1H,d,J=14.5Hz),2.56-2.70(2H,m),2.84-2.93(1H,m),3.05(1H,t,J=9.5Hz),3.13(1H,s),3.36(3H,s),3.45-3.57(2H,m),3.60-3.72(2H,m),3.92-4.10(4H,m),4.47(1H,s),4.58(1H,d,J=7.5Hz),4.76(1H,dd,J=10.5,8Hz),4.92(1H,d,J=5Hz),5.12(1H,d,J=9Hz)
22		sólido incoloro (Acetona) p.f. 122-125°C espectro de RMN δ ($CDCl_3$)ppm:0.78-1.82(49H,m),0.84(3H,t,J=7.5Hz),1.86-1.99(2H,m),2.06(3H,brs),2.17(1H,d,J=10Hz),2.28(6H,brs),2.35(1H,d,J=15.5Hz),2.53-2.68(2H,m),2.82-2.93(1H,m),3.04(1H,t,J=9.5Hz),3.12(1H,s),3.36(3H,s),3.43-3.58(2H,m),3.59-3.72(2H,m),3.90-4.03(4H,m),4.46(1H,s),4.58(1H,d,J=7.5Hz),4.70-4.85(1H,m),4.92(1H,d,J=4.5Hz),5.12(1H,dd,J=11,2.5Hz)

Ejemplo de Referencia	R^1	Descripción y propiedades físicas
23		sólido incoloro (Et_2O-i-Pr_2O) p.f. 112-114.5°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=8Hz),1.04(3H,d,J=6.5Hz),1.08-1.82(28H,m),1.87-2.03(4H,m),2.07(3H,brs),2.17(1H,d,J=10Hz),2.20-2.40(7H,m),2.60-2.75(4H,m),2.83-2.94(1H,m),3.05(1H,t,J=9.5Hz),3.11(1H,s),3.35(3H,s),3.42-3.77(4H,m),3.90-4.10(4H,m),4.41(1H,s),4.54-4.64(1H,m),4.70-4.86(1H,m),4.92(1H,d,J=5Hz),5.12(1H,d,J=9Hz),7.16-7.32(5H,m)
24		sólido incoloro (n-Heptano) p.f. 109-111.5°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.91(3H,d,J=6Hz),1.01(3H,d,J=7.5Hz),1.09-1.75(27H,m),1.86-1.98(3H,m),2.04(3H,s),2.17(1H,d,J=10Hz),2.25(6H,s),2.33(1H,d,J=15.5Hz),2.54-2.63(1H,m),2.64-2.70(1H,m),2.80-2.89(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.33(3H,s),3.40-3.52(2H,m),3.65-3.77(2H,m),3.86(1H,d,J=10Hz),3.90-4.00(1H,m),4.09-4.22(2H,m),4.33-4.43(3H,m),4.52(1H,d,J=7.5Hz),4.73(1H,dd,J=10.5,7.5Hz),4.78(1H,d,J=4.5Hz),5.11(1H,d,J=9Hz),6.89-6.99(3H,m),7.22-7.33(2H,m)



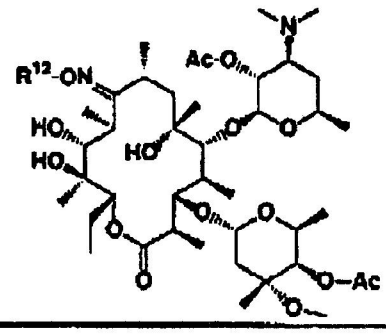
Ejemplo de referencia	R¹	Descripción y propiedades físicas
25		sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.89(3H,d,J=6Hz),0.97(3H,d,J=7.5Hz),1.07-1.78(27H,m),1.82-2.40(12H,m),2.05(3H,brs),2.49-2.69(2H,m),2.80-2.90(1H,m),2.96-3.09(1H,m),3.01(3H,s),3.24(1H,s),3.36(3H,s),3.42-3.78(5H,m),3.92-4.23(5H,m),4.57(1H,d,J=7.5Hz),4.62(1H,s),4.70-4.80(1H,m),4.93(1H,d,J=4.5Hz),5.11(1H,dd,J=11,2.5Hz),6.86-6.95(3H,m),7.22-7.30(2H,m)

Ejemplo de referencia 26: 2',4"-O-Diacetileritromicina A 9-(O-etiloxima)

A una solución de 0,50 g de eritromicina A 9-(O-etiloxima) en 5 ml de piridina, se agregó por gotas 0,61 ml de anhidrido acético y la mezcla se agitó a la temperatura ambiente por 64 horas. La mezcla de reacción se agregó agua, y la mezcla se hizo alcalina con la solución acuosa saturada de carbonato hidrógeno sodio y se extrajo con dietil éter. El extracto se lavó consecutivamente con agua y la solución salina saturada, se secó sobre sulfato de sodio, y el solvente se extrajo bajo presión reducida. El residuo fue purificado por cromatografía de columna (gel de sílice, acetato de etilo) para dar 0,27 g de un sólido amorfo incoloro.

Espectro de RMN δ ($CDCl_3$) ppm: 0,84 (3H; t; J=7,5Hz); 0,95 (3H; d; J=8Hz); 1,04 (3H; d; J=6,5Hz); 1,08-1,78 (30H; m); 1,80-2,00 (3H; m); 2,05 (3H; s); 2,10 (3H; s); 2,30 (6H; s); 2,41 (1H; d; J=14,5Hz); 2,60-2,80 (2H; m); 2,84-2,95 (1H; m); 3,12 (1H; s); 3,34 (3H; s); 8,50 (1H; d; J=6,5Hz); 3,59-3,80 (3H; m); 3,96 (111; d; J=10Hz); 4,06 (2H; q; J=7Hz); 4,25-4,36 (1H; m); 4,46 (1H; s); 4,64-4,84 (3H; m); 4,99 (1H; d; J=5Hz); 5,13 (1H; dd; J=11; 2Hz)

Los compuestos de Ejemplo de referencia 27 y 28 se obtuvieron de la misma manera como la que se describió en el Ejemplo de referencia 26.



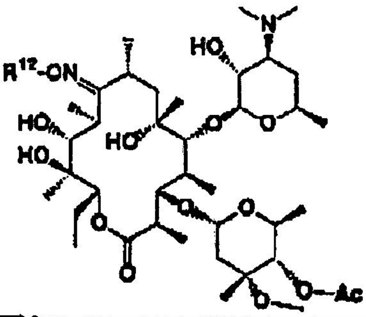
Ejemplo de referencia	R^{12}	Descripción y propiedades físicas
27	n-Pr	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.90-1.75(38H,m),1.83(1H,s),1.88-2.00(2H,m),2.05(3H,brs),2.10(3H,s),2.29(6H,brs),2.41(1H,d,J=14.5Hz),2.60-2.80(2H,m),2.86-2.95(1H,m),3.12(1H,s),3.34(3H,s),3.50(1H,d,J=6.5Hz),3.60-3.80(3H,m),3.90-4.00(3H,m),4.27-4.35(1H,m),4.46(1H,s),4.65-4.82(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz)
28	n-Bu	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.87-1.75(40H,m),1.83(1H,brs),1.87-2.00(2H,m),2.04(3H,brs),2.10(3H,s),2.23(6H,brs),2.39(1H,d,J=15.5Hz),2.60-2.80(2H,m),2.85-2.95(1H,m),3.12(1H,s),3.34(3H,s),3.51(1H,d,J=6.5Hz),3.60-3.80(3H,m),3.92-4.03(3H,m),4.25-4.35(1H,m),4.46(1H,s),4.65-4.85(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)

Ejemplo de referencia 29: 4"-O-Acetileritromicina A 9-(O-etiloxima)

Una solución de 0,15 g de 2',4"-O-diacetileritromicina A 9-(O-etiloxima) en 3 ml de metanol se agitó a la temperatura ambiente por 64 horas. La mezcla de reacción fue concentrada bajo presión reducida para dar 0,10 g de un sólido amorfo marrón pálido.

Espectro de RMN δ ($CDCl_3$) ppm: 0,84 (3H; t; J=7,5Hz); 0,97-2,07 (39H; m); 2,11 (3H; s); 2,31 (6H; s); 2,42 (1H; d; J=14,5Hz); 2,50-2,80 (1H; m); 2,63-2,70 (1H; m); 2,87-2,97 (1H; m); 3,10 (1H; s); 3,22 (1H; dd; J=10,5; 7,5Hz); 3,31 (3H; s); 3,47 (1H; s); 3,59 (1H; d; 3=6,5Hz); 3,62-3,80 (3H; m); 4,00-4,11 (3H; m); 4,30-4,41 (1H; m); 4,46 (1H; s); 4,57 (1H; d; J=7,5Hz); 4,68 (1H; d; J=10Hz); 4,99 (1H; d; J=5Hz); 5,13 (1H; dd; J=11; 2Hz)

Los compuestos del Ejemplo de referencia 30 y 31 se obtuvieron en la misma manera como la que se describió en el Ejemplo de referencia 29.



Ejemplo de referencia	R^{12}	Descripción y propiedades físicas
30	n-Pr	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.94(3H,t,J=7.5Hz),0.97-1.76(35H,m),1.80-2.08(3H,m),2.11(3H,s),2.21-2.47(7H,m),2.50-2.70(2H,m),2.89-2.96(1H,m),3.10(1H,s),3.20-3.35(1H,m),3.31(3H,s),3.43(1H,brs),3.59(1H,d,J=7.5Hz),3.61-3.80(3H,m),3.90-4.00(2H,m),4.03(1H,d,J=8.5Hz),4.30-4.40(1H,m),4.45(1H,s),4.57(1H,d,J=6.5Hz),4.68(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)
31	n-Bu	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,t,J=7.5Hz),1.02(3H,d,J=7.5Hz),1.05-1.75(34H,m),1.84(1H,s),1.86-1.98(1H,m),1.99-2.07(1H,m),2.11(3H,s),2.32(6H,s),2.41(1H,d,J=15.5Hz),2.60-2.70(2H,m),2.88-2.96(1H,m),3.10(1H,s),3.18-3.27(1H,m),3.31(3H,s),3.44(1H,brs),3.59(1H,d,J=6.5Hz),3.61-3.80(3H,m),3.95-4.05(3H,m),4.28-4.40(1H,m),4.45(1H,s),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5.5Hz),5.13(1H,dd,J=11,2Hz)

Ejemplo 1: 2',4"-O-Diacetileritromicina A 9-(O-(3'-fenoxipropil)oxima]

Método a)

A una solución de 0,50 g de eritromicina A 9-[O-(3-fenoxipropil)oxima] en 2,8 ml de piridina, se agregó 0,53 ml de anhidrido acético por gotas, y la mezcla fue agitada a la temperatura ambiente por 29 horas. A la mezcla de reacción se agregó agua, y la mezcla se hizo alcalina con la solución acuosa saturada de carbonato hidrógeno de sodio y fue extraída con dietil éter. El extracto fue lavado consecutivamente con agua y solución salina saturada, se secó sobre sulfato de sodio, y el solvente se extrajo bajo presión reducida. El residuo fue purificado por cromatografía de columna (gel de sílice, acetato de etilo) para dar 0,21 g de un sólido amorfo incoloro.

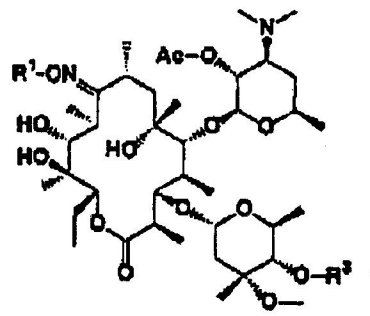
Espectro de RMN δ ($CDCl_3$) ppm: 0,84 (3H; t; J=7,5Hz); 0,95 (3H; d; J=7,5Hz); 1,03 (3H; d; J=7,5Hz); 1,06-1,83 (28H; m); 1,87-2,18 (4H; m); 2,05 (3H; s); 2,10 (3H; s); 2,29 (6H; brs); 2,40 (1H; d; J=14,5Hz); 2,61-2,80 (2H; m); 2,83-2,95 (1H; m); 3,10 (1H; s); 3,34 (1H; s); 3,49 (1H; d; J=6HZ); 3,60-3,80 (3H; m); 3,95 (1H; d; J=10Hz); 4,00-4,08 (2H; m); 4,13-4,34 (3H; m); 4,37 (1H; s); 4,62-4,82 (3H; m); 4,98 (1H; d; J=5Hz); 5,13 (1H; dd; J=11; 2,5Hz); 6,86-6,96 (3H; m); 7,22-7,30 (2H; m)

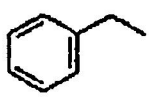
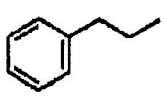
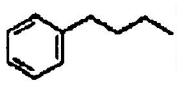
Método b)

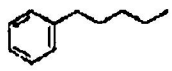
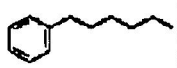
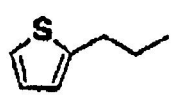
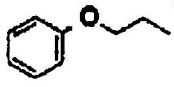
A una solución de 25,0 g de 2'-O-acetileritromicina A 9'-[O-(3-fenoxipropil)-oxima] en 250 ml de piridina, 9,50 ml de

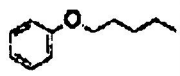
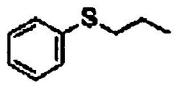
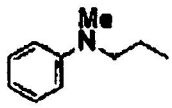
cloruro de acetilo se agregó por gotas bajo enfriamiento con hielo, y la mezcla de reacción se agitó a la misma temperatura por 4 horas y luego a la temperatura ambiente por 2 horas. La mezcla de reacción se vertió en hielo con agua, y la mezcla se hizo alcalina con la solución acuosa saturada de carbonato hidrógeno de sodio y fue extraída con dietil éter. El extracto fue lavado consecutivamente con agua y la solución salina saturada, se secó sobre sulfato de sodio, y el solvente se extrajo bajo presión reducida para dar un sólido amorfo incoloro. Los TLC (valor Rf) y el espectro de RMN del sólido resultante eran idénticos al sólido obtenido en el Método a.

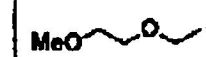
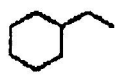
Los compuestos de los Ejemplos 2 a 52 se obtuvieron de la misma manera como la que se describió en el Ejemplo 1.

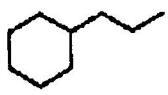
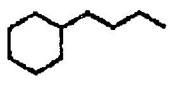
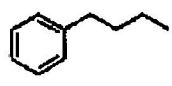
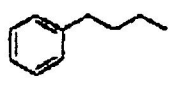
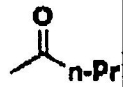


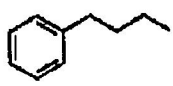
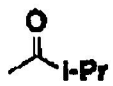
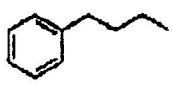
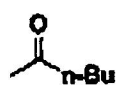
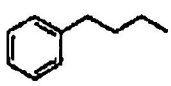
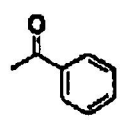
Ejemplo	R^1	R^3	Descripción y propiedades físicas
2		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.94(3H,d,J=7.5Hz),1.00(3H,d,J=7.5Hz),1.05-1.75(28H,m),1.88-1.99(2H,m),2.04(3H,s),2.10(3H,s),2.28(6H,s),2.41(1H,d,J=15.5Hz),2.60-2.79(2H,m),2.83-2.94(1H,m),3.12(1H,s),3.34(3H,s),3.45(1H,d,J=6.5Hz),3.60-3.80(3H,m),3.93(1H,d,J=8Hz),4.24-4.34(1H,m),4.38(1H,s),4.63-4.72(2H,m),4.76(1H,dd,J=10.5,7.5Hz),4.99(1H,d,J=5Hz),5.03(1H,d,J=12Hz),5.06(1H,d,J=12Hz),5.13(1H,dd,J=11,2Hz),7.27-7.39(5H,m)
3		Ac	sólido amorfo (i-Pr_2O) p.f. 189-190°C Análisis para $C_{48}H_{80}N_2O_{15}$ Calc. % : C,62.80;H,8.60;N,2.99 Encon. % : C,62.56;H,8.72;N,2.95
4		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=7.5Hz),1.04(3H,d,J=7.5Hz),1.07-1.77(27H,m),1.84(1H,brs),1.87-2.13(4H,m),2.06(3H,brs),2.09(3H,s),2.30(6H,brs),2.40(1H,d,J=15.5Hz),2.60-2.82(4H,m),2.83-2.95(1H,m),3.10(1H,s),3.34(3H,s),3.51(1H,d,J=6Hz),3.60-3.80(3H,m),3.97(1H,d,J=8Hz),3.99-4.09(2H,m),4.22-4.36(1H,m),4.40(1H,s),4.60-4.85(3H,m),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),7.14-7.21(3H,m),7.22-7.31(2H,m)

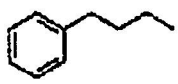
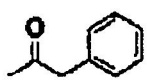
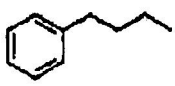
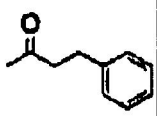
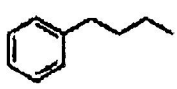
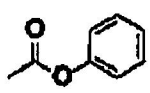
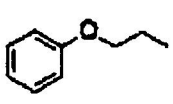
Ejemplo	R^1	R^2	Descripción y propiedades físicas
6		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=8Hz),1.02(3H,d,J=6.5Hz),1.05-1.76(31H,m),1.80(1H,brs),1.88-2.00(2H,m),2.05(3H,s),2.10(3H,s),2.29(6H,s),2.40(1H,d,J=14.5Hz),2.58-2.80(4H,m),2.85-2.95(1H,m),3.11(1H,s),3.34(3H,s),3.49(1H,d,J=6.5Hz),3.58-3.80(3H,m),3.95(1H,d,J=10Hz),3.98-4.09(2H,m),4.25-4.37(1H,m),4.43(1H,s),4.62-4.82(3H,m),4.98(1H,d,J=5.5Hz),5.13(1H,dd,J=11,2Hz),7.13-7.20(3H,m),7.22-7.30(2H,m)
6		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=8Hz),1.01(3H,d,J=7.5Hz),1.07-1.75(33H,m),1.82(1H,brs),1.87-2.01(2H,m),2.06(3H,brs),2.10(3H,s),2.29(6H,brs),2.40(1H,d,J=15.5Hz),2.56-2.82(4H,m),2.84-2.95(1H,m),3.11(1H,s),3.34(3H,s),3.51(1H,d,J=6.5Hz),3.58-3.82(3H,m),3.92-4.03(3H,m),4.25-4.36(1H,m),4.44(1H,s),4.63-4.86(3H,m),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),7.10-7.32(5H,m)
7		Ac	sólido amorfo marrón pálido espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.94(3H,d,J=8Hz),1.01(3H,d,J=7.5Hz),1.06-1.75(28H,m),1.87-1.98(2H,m),2.04(3H,s),2.10(3H,s),2.28(6H,s),2.41(1H,d,J=15.5Hz),2.60-2.80(2H,m),2.84-2.95(1H,m),3.08-3.23(3H,m),3.34(3H,s),3.44(1H,d,J=6Hz),3.59-3.77(3H,m),3.95(1H,d,J=8Hz),4.20-4.35(3H,m),4.41(1H,s),4.62-4.71(2H,m),4.76(1H,dd,J=10.5,7.5Hz),4.99(1H,d,J=5Hz),5.14(1H,dd,J=11,2Hz),6.81-6.86(1H,m),6.91-6.96(1H,m),7.10-7.16(1H,m)
8		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),0.97-1.72(30H,m),1.87-2.07(3H,m),2.03(3H,s),2.10(3H,s),2.27(6H,s),2.38(1H,d,J=15.5Hz),2.63-2.76(2H,m),2.82-2.93(1H,m),3.10(1H,s),3.32(3H,s),3.44(1H,d,J=6.5Hz),3.63-3.77(3H,m),3.86(1H,d,J=10Hz),4.06-4.30(3H,m),4.34-4.43(3H,m),4.60-4.69(2H,m),4.75(1H,dd,J=10.5,7.5Hz),4.85(1H,d,J=5Hz),5.12(1H,dd,J=11,2.5Hz),6.89-6.99(3H,m),7.20-7.33(2H,m)

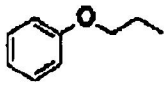
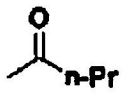
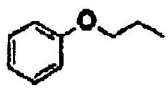
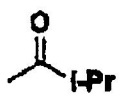
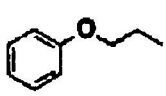
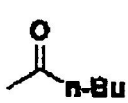
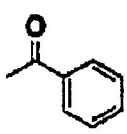
Ejemplo	R^1	R^3	Descripción y propiedades físicas
9		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=7Hz),1.03(3H,d,J=6.5Hz),1.06-2.00(34H,m),2.05(3H,s),2.10(3H,s),2.30(6H,s),2.40(1H,d,J=14.5Hz),2.60-2.80(2H,m),2.84-2.95(1H,m),3.11(1H,s),3.34(3H,s),3.50(1H,d,J=6.5Hz),3.60-3.80(3H,m),3.90-4.02(3H,m),4.03-4.12(2H,m),4.25-4.35(1H,m),4.42(1H,s),4.63-4.82(3H,m),4.93-5.00(1H,m),5.13(1H,d,J=11Hz),6.85-6.95(3H,m),7.22-7.30(2H,m)
10		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.05-1.80(28H,m),1.85-2.10(2H,m),2.05(3H,s),2.09(3H,s),2.29(6H,brs),2.40(1H,d,J=15.5Hz),2.60-2.80(2H,m),2.85-2.95(1H,m),3.05-3.20(3H,m),3.33(3H,s),3.50(1H,d,J=6.5Hz),3.62-3.79(3H,m),3.96(1H,d,J=10Hz),4.10-4.35(4H,m),4.63-4.82(3H,m),4.95(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),7.17-7.22(1H,m),7.27-7.33(2H,m),7.35-7.41(2H,m)
11		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.94(3H,d,J=7.5Hz),0.98(3H,d,J=6.5Hz),1.02-1.80(28H,m),1.85-1.99(2H,m),2.05(3H,brs),2.10(3H,s),2.29(6H,brs),2.40(1H,d,J=15.5Hz),2.61-2.80(2H,m),2.85-2.97(1H,m),2.94(3H,s),3.10(1H,s),3.33(3H,s),3.45-3.80(6H,m),3.94(1H,d,J=8.5Hz),4.20(2H,t,J=5.5Hz),4.22-4.36(2H,m),4.63-4.81(3H,m),4.97(1H,d,J=5Hz),5.13(1H,d,J=8.5Hz),6.67-6.76(3H,m),7.16-7.28(2H,m)
12	n-Hex	Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.87(3H,t,J=6.5Hz),0.95(3H,d,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.05-1.78(35H,m),1.84(1H,brs),1.87-2.00(2H,m),2.05(3H,s),2.10(3H,s),2.29(6H,brs),2.40(1H,d,J=15.5Hz),2.60-2.80(2H,m),2.85-2.95(1H,m),3.12(1H,s),3.34(3H,s),3.51(1H,d,J=6Hz),3.60-3.80(3H,m),3.93-4.02(3H,m),4.25-4.35(1H,m),4.46(1H,s),4.65-4.72(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz)

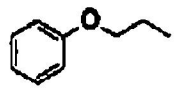
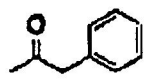
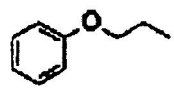
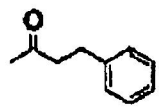
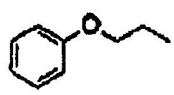
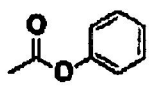
Ejemplo	R^1	R^3	Descripción y propiedades físicas
13	n-Oct	Ac	sólido amorfo amarillo pálido espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=7Hz),0.95(3H,d,J=7.5Hz),1.03(3H,d,J=7.5Hz),1.05-1.78(39H,m),1.83(1H,s),1.87-2.00(2H,m),2.06(3H,brs),2.10(3H,s),2.29(6H,brs),2.40(1H,d,J=15.5Hz),2.60-2.80(2H,m),2.85-2.95(1H,m),3.11(1H,s),3.34(3H,s),3.51(1H,d,J=6Hz),3.60-3.80(3H,m),3.92-4.02(3H,m),4.25-4.35(1H,m),4.46(1H,s),4.65-4.82(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)
14	n-Dodec	Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=7Hz),0.95(3H,d,J=6Hz),1.03(3H,d,J=6.5Hz),1.05-1.78(47H,m),1.83(1H,brs),1.87-2.00(2H,m),2.05(3H,s),2.10(3H,s),2.29(6H,brs),2.40(1H,d,J=14.5Hz),2.60-2.80(2H,m),2.85-2.95(1H,m),3.12(1H,s),3.34(3H,s),3.51(1H,d,J=6Hz),3.60-3.80(3H,m),3.93-4.02(3H,m),4.25-4.35(1H,m),4.46(1H,s),4.65-4.81(3H,m),4.99(1H,d,J=5.5Hz),5.13(1H,dd,J=11,2.5Hz)
15		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=6Hz),1.04(3H,d,J=7.5Hz),1.08-1.75(27H,m),1.87-2.02(2H,m),2.05(3H,s),2.10(3H,s),2.28(6H,s),2.36(1H,s),2.41(1H,d,J=15.5Hz),2.62-2.77(2H,m),2.85-2.95(1H,m),3.15(1H,s),3.34(3H,s),3.40(3H,s),3.47(1H,d,J=6.5Hz),3.53-3.59(2H,m),3.67-3.80(5H,m),3.95(1H,d,J=6.5Hz),4.28-4.38(2H,m),4.64-4.70(2H,m),4.77(1H,dd,J=10.5,7.5Hz),4.95(1H,d,J=5.5Hz),5.12(1H,dd,J=11,2.5Hz),5.16(2H,s)
16		Ac	sólido marr. pál. (i-Pr_2O) p.f. 250.5-252.5°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.89-1.78(45H,m),1.82(1H,brs),1.88-2.01(2H,m),2.05(3H,brs),2.10(3H,s),2.29(6H,brs),2.40(1H,d,J=15.5Hz),2.59-2.80(2H,m),2.85-2.95(1H,m),3.12(1H,s),3.34(3H,s),3.51(1H,d,J=6.5Hz),3.57-3.88(5H,m),3.97(1H,d,J=10Hz),4.25-4.35(1H,m),4.46(1H,s),4.63-4.85(2H,m),4.99(1H,d,J=5Hz),5.13(1H,d,J=9Hz)

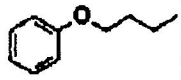
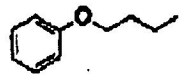
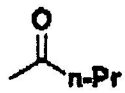
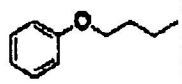
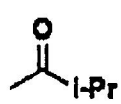
Ejemplo	R^1	R^3	Descripción y propiedades físicas
17		Ac	sólido incoloro (Acetona-i-Pr_2O) p.f. 222-225°C espectro de RMN δ ($CDCl_3$)ppm:0.80-1.75(40H,m),0.84(3H,t,J=7.5Hz),0.95(3H,d,J=8Hz),1.03(3H,d,J=6.5Hz),1.82(1H,brs),1.87-2.01(2H,m),2.05(3H,brs),2.10(3H,s),2.29(6H,brs),2.40(1H,d,J=14.5Hz),2.59-2.80(2H,m),2.85-2.97(1H,m),3.11(1H,s),3.34(3H,s),3.51(1H,d,J=6.5Hz),3.58-3.81(3H,m),3.97(1H,d,J=10Hz),4.04(2H,t,J=6.5Hz),4.24-4.36(1H,m),4.45(1H,s),4.62-4.86(3H,m),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz)
18		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.78-1.78(42H,m),0.84(3H,t,J=7.5Hz),0.95(3H,d,J=8Hz),1.03(3H,d,J=7.5Hz),1.83(1H,brs),1.87-2.01(2H,m),2.05(3H,s),2.10(3H,s),2.29(6H,s),2.41(1H,d,J=15.5Hz),2.60-2.80(2H,m),2.85-2.95(1H,m),3.11(1H,s),3.34(3H,s),3.51(1H,d,J=6.5Hz),3.58-3.81(3H,m),3.89-4.03(3H,m),4.24-4.36(1H,m),4.46(1H,s),4.63-4.82(3H,m),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)
19			sólido amorfo amarillo pálido espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=7.5Hz),1.00-1.78(33H,m),1.84(1H,brs),1.87-2.02(4H,m),2.06(3H,brs),2.20-2.51(9H,m),2.60-2.95(5H,m),3.11(1H,s),3.34(3H,s),3.51(1H,d,J=6.5Hz),3.58-3.83(3H,m),3.95(1H,d,J=10Hz),3.98-4.09(2H,m),4.23-4.35(1H,m),4.41(1H,s),4.62-4.90(3H,m),4.98(1H,d,J=5Hz),5.13(1H,d,J=9Hz),7.13-7.21(3H,m),7.22-7.31(2H,m)
20			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.90-1.77(38H,m),1.83(1H,brs),1.88-2.02(4H,m),2.06(3H,brs),2.20-2.44(9H,m),2.60-2.83(4H,m),2.85-2.95(1H,m),3.11(1H,s),3.34(3H,s),3.50(1H,d,J=6Hz),3.60-3.80(3H,m),3.95(1H,d,J=8.5Hz),4.03(2H,t,J=6Hz),4.25-4.35(1H,m),4.41(1H,s),4.65-4.85(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),7.15-7.21(3H,m),7.23-7.31(2H,m)

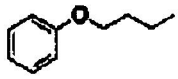
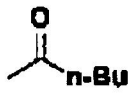
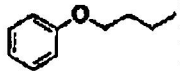
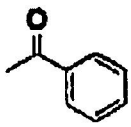
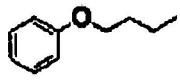
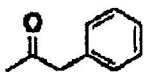
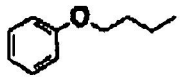
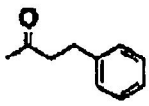
Ejemplo	R^1	R^3	Descripción y propiedades físicas
21			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.96(3H,d,J=8Hz),1.00-1.75(37H,m),1.83(1H,brs),1.87-2.01(4H,m),2.05(3H,brs),2.28(6H,brs),2.39(1H,d,J=14.5Hz),2.50-2.60(1H,m),2.62-2.77(3H,m),2.85-2.95(1H,m),3.11(1H,s),3.34(3H,s),3.50(1H,d,J=6Hz),3.60-3.80(3H,m),3.94(1H,d,J=8.5Hz),3.98-4.08(2H,m),4.27-4.38(1H,m),4.41(1H,s),4.68(1H,d,J=10Hz),4.70-4.83(2H,m),5.00(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),7.15-7.21(3H,m),7.24-7.31(2H,m)
22			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88-2.01(45H,m),2.06(3H,s),2.20-2.47(9H,m),2.57-2.98(5H,m),3.11(1H,s),3.34(3H,s),3.51(1H,d,J=6Hz),3.58-3.83(3H,m),3.96(1H,d,J=10Hz),3.89-4.08(2H,m),4.25-4.35(1H,m),4.41(1H,s),4.63-4.87(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),7.15-7.22(3H,m),7.23-7.31(2H,m)
23			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.89(3H,d,J=5.5Hz),0.98(3H,d,J=8Hz),1.04(3H,d,J=6.5Hz),1.08-1.79(24H,m),1.84(1H,brs),1.88-2.04(4H,m),2.07(3H,s),2.44(6H,brs),2.45(1H,d,J=14.5Hz),2.60-2.73(3H,m),2.86-3.03(2H,m),3.12(1H,brs),3.47(3H,s),3.52(1H,d,J=6Hz),3.59-3.87(3H,m),3.95(1H,d,J=8.5Hz),3.98-4.08(2H,m),4.35-4.50(2H,m),4.80-4.90(2H,m),4.92(1H,d,J=9Hz),5.06(1H,d,J=5Hz),5.15(1H,dd,J=11,2.5Hz),7.13-7.31(4H,m),7.38-7.60(3H,m),7.97-8.03(2H,m),8.07(1H,d,J=6.5Hz)

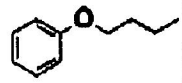
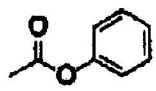
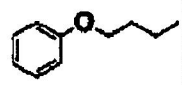
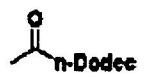
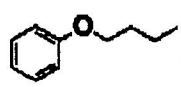
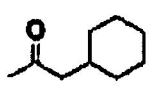
Ejemplo	R^1	R^3	Descripción y propiedades físicas
24			sólido amorfo amarillo pálido espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88-1.79(33H,m),1.83(1H,brs),1.87-2.10(7H,m),2.22-2.48(7H,m),2.59-2.72(3H,m),2.75-2.95(2H,m),3.11(1H,brs),3.30(3H,s),3.50(1H,d,J=6Hz),3.55-3.83(5H,m),3.94(1H,d,J=8.5Hz),3.98-4.08(2H,m),4.25-4.36(1H,m),4.41(1H,s),4.68(1H,d,J=10Hz),4.73(1H,d,J=7.5Hz),4.75-4.85(1H,m),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),7.14-7.36(10H,m)
25			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.94(3H,d,J=6.5Hz),0.97-1.78(30H,m),1.83(1H,brs),1.88-2.01(4H,m),2.05(3H,brs),2.30(6H,brs),2.38(1H,d,J=15.5Hz),2.55-3.00(9H,m),3.11(1H,brs),3.31(3H,s),3.49(1H,d,J=6.5Hz),3.59-3.80(3H,m),3.94(1H,d,J=10Hz),3.98-4.08(2H,m),4.21-4.33(1H,m),4.41(1H,s),4.63-4.86(3H,m),4.98(1H,d,J=5.5Hz),5.13(1H,dd,J=11,2Hz),7.16-7.31(10H,m)
26			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.97(3H,d,J=8Hz),1.05(3H,d,J=6.5Hz),1.10-1.80(27H,m),1.87(1H,brs),1.88-2.03(4H,m),2.06(3H,brs),2.28(6H,brs),2.44(1H,d,J=14.5Hz),2.60-2.83(4H,m),2.86-2.97(1H,m),3.11(1H,s),3.39(3H,s),3.54(1H,d,J=6.5Hz),3.60-3.85(3H,m),3.95-4.09(3H,m),4.35-4.47(2H,m),4.53(1H,d,J=10Hz),4.71(1H,d,J=7.5Hz),4.73-4.83(1H,m),5.01(1H,d,J=5Hz),5.14(1H,dd,J=10.5,2Hz),7.12-7.32(8H,m),7.36-7.44(2H,m)
27			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),0.96-1.80(33H,m),1.87-2.10(3H,m),2.05(3H,s),2.20-2.50(9H,m),2.62-2.93(3H,m),3.10(1H,s),3.32(3H,s),3.43(1H,d,J=6.5Hz),3.65-3.75(3H,m),3.86(1H,d,J=8.5Hz),4.07-4.20(2H,m),4.22-4.30(1H,m),4.33-4.41(3H,m),4.60-4.80(3H,m),4.86(1H,d,J=5Hz),5.12(1H,dd,J=11,2.5Hz),6.90-6.96(3H,m),7.22-7.30(2H,m)

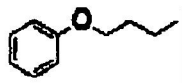
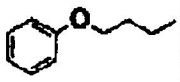
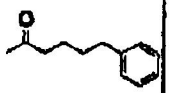
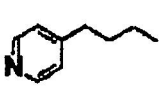
Ejemplo	R^1	R^3	Descripción y propiedades físicas
28			sólido amrofo amarillo pálido espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.90-2.10(41H,m),2.05(3H,s),2.20-2.50(9H,m),2.61-2.92(3H,m),3.10(1H,s),3.32(3H,s),3.43(1H,d,J=6.5Hz),3.65-3.75(3H,m),3.85(1H,d,J=8.5Hz),4.08-4.20(2H,m),4.22-4.30(1H,m),4.34-4.40(3H,m),4.63-4.70(2H,m),4.72-4.82(1H,m),4.86(1H,d,J=5Hz),5.12(1H,dd,J=11,2.5Hz),6.90-6.96(3H,m),7.24-7.30(2H,m)
29			sólido amrofo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),0.95-1.75(37H,m),1.85-2.10(3H,m),2.04(3H,s),2.27(6H,brs),2.37(1H,d,J=14.5Hz),2.50-2.60(1H,m),2.62-2.80(2H,m),2.83-2.93(1H,m),3.10(1H,s),3.32(3H,s),3.42(1H,d,J=6.5Hz),3.65-3.75(3H,m),3.84(1H,d,J=9Hz),4.08-4.20(2H,m),4.22-4.33(1H,m),4.34-4.44(2H,m),4.64-4.82(3H,m),4.87(1H,d,J=5Hz),5.13(1H,d,J=9Hz),6.90-6.98(3H,m),7.22-7.30(2H,m)
30			sólido amrofo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.87-1.85(40H,m),1.87-2.10(3H,m),2.04(3H,brs),2.20-2.45(3H,m),2.27(6H,brs),2.63-2.93(3H,m),3.10(1H,s),3.32(3H,s),3.43(1H,d,J=6.5Hz),3.63-3.75(3H,m),3.85(1H,d,J=9Hz),4.07-4.20(2H,m),4.21-4.30(1H,m),4.33-4.40(3H,m),4.62-4.82(3H,m),4.86(1H,d,J=5Hz),5.13(1H,d,J=9Hz),6.90-7.00(3H,m),7.20-7.30(2H,m)
31			placas am. pálido (AcOEt) p.f. 114.5-119.5°C Análisis para $C_{54}H_{82}N_2O_{16}\cdot 1/4H_2O$ Calc. % : C,63.60;H,8.15;N,2.75 Encon. % : C,63.31;H,8.33;N,2.81

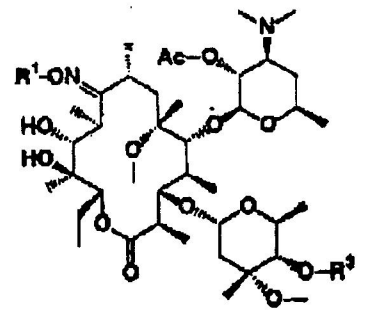
Ejemplo	R^1	R^3	Descripción y propiedades físicas
32			sólido amorfo amarillo pálido espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88-1.75(34H,m),1.85-2.10(3H,m),2.05(3H,brs),2.29(6H,brs),2.35(1H,d,J=15.5Hz),2.60-2.92(3H,m),3.10(1H,s),3.29(3H,s),3.42(1H,d,J=6.5Hz),3.57-3.80(5H,m),3.84(1H,d,J=9Hz),4.08-4.20(2H,m),4.22-4.35(1H,m),4.37(2H,t,J=4.5Hz),4.60-4.83(3H,m),4.85(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz),6.88-6.97(3H,m),7.20-7.40(7H,m)
33			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88-1.80(34H,m),1.87-2.00(2H,m),2.03(3H,brs),2.25(6H,brs),2.36(1H,d,J=15.5Hz),2.55-2.80(4H,m),2.82-3.00(3H,m),3.09(1H,s),3.29(3H,s),3.42(1H,d,J=6.5Hz),3.62-3.75(3H,m),3.84(1H,d,J=10.5Hz),4.07-4.28(3H,m),4.33-4.40(3H,m),4.60-4.88(4H,m),5.12(1H,dd,J=11,2Hz),6.89-7.00(3H,m),7.10-7.35(7H,m)
34			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.95(3H,d,J=7.5Hz),1.02(3H,d,J=7.5Hz),1.08-1.80(28H,m),1.88-2.00(2H,m),2.05(3H,brs),2.27(6H,brs),2.42(1H,d,J=15.5Hz),2.62-2.81(2H,m),2.84-2.95(1H,m),3.11(1H,s),3.37(3H,s),3.46(1H,d,J=6.5Hz),3.64-3.80(3H,m),3.89(1H,d,J=9Hz),4.08-4.21(2H,m),4.29-4.44(4H,m),4.51(1H,d,J=10Hz),4.66(1H,d,J=7.5Hz),4.70-4.81(1H,m),4.89(1H,d,J=5Hz),5.13(1H,dd,J=11.5,2Hz),6.90-6.97(3H,m),7.16(2H,d,J=8Hz),7.22-7.31(3H,m),7.40(2H,t,J=8Hz)

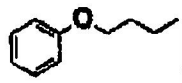
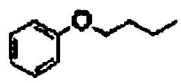
Ejemplo	R^1	R^3	Descripción y propiedades físicas
35			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.07-1.83(31H,m),1.87-2.20(4H,m),2.05(3H,s),2.21-2.46(3H,m),2.29(6H,s),2.60-2.80(2H,m),2.84-2.95(1H,m),3.11(1H,s),3.34(3H,s),3.48(1H,d,J=8Hz),3.60-3.82(3H,m),3.95(1H,d,J=9Hz),4.00-4.10(2H,m),4.14-4.35(3H,m),4.38(1H,s),4.63-4.84(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.87-6.96(3H,m),7.23-7.31(2H,m)
36			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.90-1.84(39H,m),1.87-2.00(2H,m),2.01-2.20(2H,m),2.05(3H,s),2.21-2.44(9H,m),2.60-2.81(2H,m),2.85-2.95(1H,m),3.10(1H,s),3.34(3H,s),3.48(1H,d,J=6.5Hz),3.60-3.80(3H,m),3.94(1H,d,J=10Hz),4.00-4.08(2H,m),4.15-4.35(3H,m),4.37(1H,s),4.63-4.84(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),6.87-6.96(3H,m),7.22-7.30(2H,m)
37			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=8Hz),1.03(3H,d,J=6.5Hz),1.06-1.82(34H,m),1.87-2.20(4H,m),2.05(3H,s),2.28(6H,brs),2.39(1H,d,J=15.5Hz),2.50-2.61(1H,m),2.62-2.78(2H,m),2.85-2.94(1H,m),3.11(1H,s),3.34(3H,s),3.47(1H,d,J=6.5Hz),3.60-3.80(3H,m),3.93(1H,d,J=8.5Hz),4.00-4.08(2H,m),4.15-4.25(2H,m),4.27-4.40(2H,m),4.68(1H,d,J=10Hz),4.70-4.83(2H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.86-6.96(3H,m),7.22-7.30(2H,m)

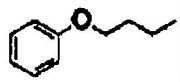
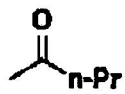
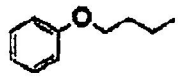
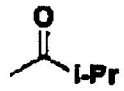
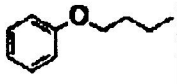
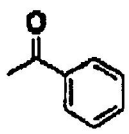
Ejemplo	R^1	R^3	Descripción y propiedades físicas
38			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.89-1.82(41H,m),1.88-2.19(4H,m),2.05(3H,s),2.22-2.45(9H,m),2.58-2.80(2H,m),2.85-2.94(1H,m),3.10(1H,s),3.34(3H,s),3.48(1H,d,J=6.5Hz),3.60-3.81(3H,m),3.94(1H,d,J=10Hz),4.00-4.08(2H,m),4.15-4.35(3H,m),4.37(1H,s),4.63-4.85(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11.5,2Hz),6.86-6.96(3H,m),7.23-7.30(2H,m)
39			prismas incoloros (i-Pr_2O) p.f. 102-104°C Análisis para: $C_{39}H_{64}N_2O_{10}$ Calc. % : C,64.18;H,8.23;N,2.72 Encon. % : C,64.17;H,8.40;N,2.62
40			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88-1.80(34H,m),1.87-2.18(7H,m),2.20-2.41(7H,m),2.60-2.95(3H,m),3.10(1H,s),3.30(3H,s),3.48(1H,d,J=6.5Hz),3.57-3.81(5H,m),3.93(1H,d,J=9Hz),4.05(2H,t,J=6Hz),4.15-4.23(2H,m),4.26-4.40(2H,m),4.63-4.84(3H,m),4.98(1H,d,J=5Hz),5.13(1H,d,J=9Hz),6.87-6.96(3H,m),7.20-7.37(7H,m)
41			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.94(3H,d,J=7.5Hz),0.98-1.83(31H,m),1.88-2.19(4H,m),2.04(3H,s),2.27(6H,s),2.38(1H,d,J=15.5Hz),2.56-2.81(4H,m),2.83-3.02(3H,m),3.10(1H,s),3.34(3H,s),3.47(1H,d,J=6.5Hz),3.58-3.79(3H,m),3.93(1H,d,J=8.5Hz),4.05(2H,t,J=6Hz),4.14-4.33(3H,m),4.37(1H,s),4.62-4.83(3H,m),4.97(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),6.86-6.96(3H,m),7.14-7.32(7H,m)

Ejemplo	R^1	R^3	Descripción y propiedades físicas
42			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.97(3H,d,J=7.5Hz),1.04(3H,d,J=7.5Hz),1.07-1.84(28H,m),1.89-2.19(7H,m),2.28(6H,brs),2.45(1H,d,J=15.5Hz),2.62-2.83(2H,m),2.86-2.97(1H,m),3.11(1H,s),3.39(3H,s),3.51(1H,d,J=6Hz),3.61-3.85(3H,m),3.98(1H,d,J=8.5Hz),4.01-4.08(2H,m),4.16-4.25(2H,m),4.34-4.45(2H,m),4.53(1H,d,J=10Hz),4.66-4.83(2H,m),5.00(1H,d,J=5Hz),5.14(1H,dd,J=11,2Hz),6.88-6.96(3H,m),7.14-7.18(2H,m),7.22-7.30(3H,m),7.36-7.42(2H,m)
43			aceite incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=7Hz),0.95(3H,d,J=7.5Hz),1.03(3H,d,J=6.5Hz),1.05-1.85(48H,m),1.88-2.00(2H,m),2.05(3H,s),2.06-2.18(2H,m),2.25-2.43(2H,m),2.28(6H,s),2.40(1H,d,J=15.5Hz),2.62-2.76(2H,m),2.86-2.94(1H,m),3.11(1H,s),3.34(3H,s),3.48(1H,d,J=6Hz),3.61-3.80(2H,m),3.65(1H,s),3.94(1H,d,J=10Hz),4.00-4.07(2H,m),4.15-4.34(3H,m),4.38(1H,s),4.68(1H,d,J=10Hz),4.70(1H,d,J=8Hz),4.77(1H,dd,J=10.5,8Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.88-6.98(3H,m),7.22-7.30(2H,m)
44			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.90-2.00(41H,m),0.95(3H,d,J=7.5Hz),1.03(3H,d,J=6.5Hz),2.04(3H,s),2.06-2.32(4H,m),2.28(6H,s),2.40(1H,d,J=15.5Hz),2.62-2.77(2H,m),2.86-2.95(1H,m),3.11(1H,s),3.33(3H,s),3.48(1H,d,J=6.5Hz),3.60-3.80(2H,m),3.65(1H,s),3.94(1H,d,J=10Hz),4.00-4.08(2H,m),4.15-4.35(3H,m),4.38(1H,s),4.68(1H,d,J=10Hz),4.71(1H,d,J=7.5Hz),4.77(1H,dd,J=10.5,7.5Hz),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11.5,2Hz),6.83-6.97(3H,m),7.20-7.30(2H,m)

Ejemplo	R^1	R^3	Descripción y propiedades físicas
45			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.94(3H,d,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.05-1.85(28H,m),1.88-2.02(4H,m),2.04(3H,s),2.05-2.18(2H,m),2.20-2.43(2H,m),2.25(6H,s),2.40(1H,d,J=15.5Hz),2.60-2.72(4H,m),2.86-2.94(1H,m),3.11(1H,s),3.33(3H,s),3.47(1H,d,J=6.5Hz),3.60-3.72(2H,m),3.65(1H,s),3.94(1H,d,J=10Hz),4.02-4.08(2H,m),4.16-4.33(3H,m),4.38(1H,s),4.67(1H,d,J=8Hz),4.70(1H,d,J=10.5Hz),4.75(1H,dd,J=10.5,7.5Hz),4.98(1H,d,J=5Hz),5.10-5.15(1H,m),6.85-6.97(3H,m),7.05-7.36(7H,m)
46			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.94(3H,d,J=7.5Hz),1.00-1.85(32H,m),1.02(3H,d,J=6.5Hz),1.88-2.00(2H,m),2.04(3H,s),2.05-2.18(2H,m),2.23-2.43(2H,m),2.27(6H,s),2.40(1H,d,J=14.5Hz),2.55-2.76(4H,m),2.87-2.94(1H,m),3.11(1H,s),3.33(3H,s),3.48(1H,d,J=6Hz),3.60-3.77(2H,m),3.65(1H,s),3.94(1H,d,J=9Hz),4.02-4.08(2H,m),4.15-4.34(3H,m),4.38(1H,s),4.66(1H,d,J=10Hz),4.69(1H,d,J=8Hz),4.76(1H,dd,J=10.5,8Hz),4.98(1H,d,J=5Hz),5.05-5.15(1H,m),6.88-6.97(3H,m),7.05-7.33(7H,m)
47		Ac	sólido amorfo amarillo pálido espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.96(3H,d,J=7.5Hz),1.05(3H,d,J=6.5Hz),1.08-1.76(27H,m),1.80-2.01(4H,m),1.85(1H,brs),2.05(3H,s),2.10(3H,s),2.28(6H,s),2.41(1H,d,J=15.5Hz),2.62-2.77(4H,m),2.84-2.95(1H,m),3.09(1H,s),3.34(3H,s),3.51(1H,d,J=6.5Hz),3.60-3.80(2H,m),3.64(1H,s),3.96(1H,d,J=8.5Hz),3.99-4.10(2H,m),4.26-4.40(1H,m),4.35(1H,s),4.67(1H,d,J=10Hz),4.69(1H,d,J=7.5Hz),4.77(1H,dd,J=10.5,8Hz),4.98(1H,d,J=5Hz),5.12(1H,dd,J=11,2.5Hz),7.13(2H,d,J=6Hz),8.50(2H,d,J=6Hz)



Ejemplo	R^1	R^2	Descripción y propiedades físicas
48		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.91(3H,d,J=7.5Hz),0.97(3H,d,J=6.5Hz),1.02-1.77(27H,m),1.83-2.22(4H,m),2.04(3H,brs),2.11(3H,s),2.29(6H,brs),2.40(1H,d,J=14.5Hz),2.48-2.58(1H,m),2.65-2.80(1H,m),2.82-2.93(1H,m),3.01(3H,s),3.24(1H,s),3.34(3H,s),3.55(1H,d,J=6Hz),3.58-3.80(4H,m),4.00-4.35(5H,m),4.59-4.81(4H,m),4.99(1H,d,J=5Hz),5.12(1H,dd,J=11.5,2Hz),6.85-6.94(3H,m),7.22-7.28(2H,m)
49			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.91(3H,d,J=7.5Hz),0.97(3H,d,J=7.5Hz),1.03-1.80(30H,m),1.84-2.00(2H,m),2.04(3H,s),2.07-2.49(5H,m),2.29(6H,brs),2.50-2.58(1H,m),2.64-2.80(1H,m),2.82-2.92(1H,m),3.00(3H,s),3.24(1H,s),3.34(3H,s),3.55(1H,d,J=6Hz),3.58-3.80(4H,m),4.00-4.35(5H,m),4.63(1H,s),4.64-4.80(3H,m),4.99(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz),6.84-6.94(3H,m),7.21-7.29(2H,m)

Ejemplo	R^1	R^3	Descripción y propiedades físicas
50			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.87-1.75(38H,m),1.85-2.44(16H,m),2.50-2.58(1H,m),2.65-2.80(1H,m),2.82-2.92(1H,m),3.00(3H,s),3.24(1H,s),3.34(3H,s),3.55(1H,d,J=6Hz),3.58-3.80(4H,m),4.00-4.35(5H,m),4.62(1H,s),4.64-4.81(3H,m),5.00(1H,d,J=5Hz),5.12(1H,dd,J=11,2.5Hz),6.84-6.94(3H,m),7.20-7.28(2H,m)
51			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.91(3H,d,J=7.5Hz),0.97(3H,d,J=6.5Hz),1.02-1.75(33H,m),1.85-2.22(4H,m),2.04(3H,brs),2.28(6H,brs),2.39(1H,d,J=15.5Hz),2.50-2.60(2H,m),2.65-2.78(1H,m),2.83-2.94(1H,m),3.00(3H,s),3.24(1H,s),3.35(3H,s),3.55(1H,d,J=6Hz),3.58-3.80(4H,m),4.00-4.22(4H,m),4.25-4.38(1H,m),4.62(1H,s),4.67(1H,d,J=10Hz),4.69-4.81(2H,m),5.00(1H,d,J=5Hz),5.12(1H,dd,J=11,2.5Hz),6.85-6.94(3H,m),7.22-7.28(2H,m)
52			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.87(3H,d,J=6Hz),0.94(3H,d,J=7.5Hz),0.96(3H,d,J=6.5Hz),1.02-1.77(24H,m),1.87-2.21(4H,m),2.06(3H,brs),2.34(6H,s),2.46(1H,d,J=15.5Hz),2.50-2.58(1H,m),2.78-2.96(2H,m),3.00(3H,s),3.25(1H,s),3.42(3H,s),3.52-3.83(5H,m),4.00-4.22(4H,m),4.35-4.49(1H,m),4.53(1H,s),4.72-4.88(2H,m),4.91(1H,d,J=10Hz),5.06(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.83-6.93(3H,m),7.20-7.28(2H,m),7.40-7.50(2H,m),7.59(1H,t,J=7.5Hz),8.01(2H,d,J=7.5Hz)

Ejemplo 53: 2'-O-Acetil-4"-O-fenilamino carbonileritromicina A 9-[O-(3-fenoxi-propil)oxima]

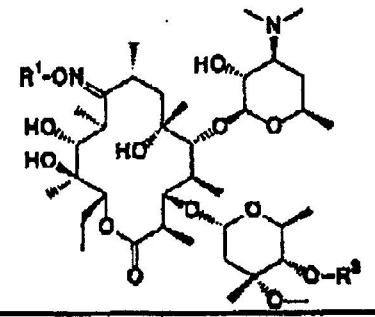
A una solución de 1,20 g de 2'-O-acetileritromicina A 9-[O-(3-fenoxipropil)oxima] en 6 ml de piridina, 0,63 ml de fenil isocianato era agregado por gotas y la mezcla se agitó a la temperatura ambiente por 25 horas. A la mezcla de reacción se agregó agua, y la mezcla se hizo alcalina con solución acuosa saturada de carbonato hidrógeno de sodio y se extrajo con dietil éter. El extracto se lavó consecutivamente con agua y solución salina saturada, fue secada sobre sulfato de sodio, y el solvente se extrajo bajo presión reducida. El residuo era purificado por cromatografía de columna (gel de sílice, acetato de etilo) y fue purificada nuevamente por cromatografía de columna (gel de sílice, n-heptano:acetona:trietilamina = 7:3:0,15) para dar 0,95 g de un sólido amorfo incoloro.
Espectro de RMN δ ($CDCl_3$) ppm: 0,85 (3H; t; J=7,5Hz); 0,95 (3H; d; J=7,5Hz); 1,03 (3H; d; J=6,5Hz); 1,07-1,86 (28H; m); 1,88-2,00 (2H; m); 2;02-2,19 (2H; m); 2,08 (3H; s); 2,34 (6H; s); 2,44 (1H; d; J=15,5Hz); 2,61-2,69 (1H; m); 2,72-2,94 (2H; m); 3,11 (1H; s); 3,37 (3H; s); 3,52 (1H; d; J=6,5Hz); 3,60-3,75 (3H; m); 3,99 (1H; d; J=9Hz); 4,01-4,08 (2H; m); 4,16-4,25 (2H; m); 4,28-4,36 (1H; m); 4,38 (1H; s); 4,59-4,69 (2H; m); 4,73-4,83 (1H; m); 4,97 (1H; d; J=5Hz); 5,13 (1H; dd; J=11; 2Hz); 6,73 (1H; brs); 6;87-6,95 (3H; m); 7,09 (1H; t; J=7,5Hz); 7,23-7,29 (2H; m); 7,32 (2H; t; J=5Hz); 7,40 (2H; d; J=8Hz)

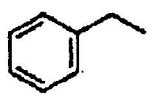
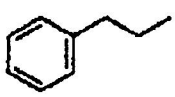
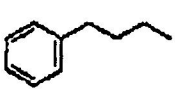
Ejemplo 54: 4"-O-Acetileritromicina A 9-[O-(3-fenoxipropil)oxima]

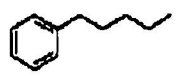
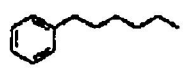
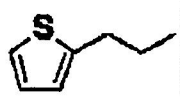
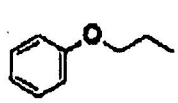
Una solución de 0,13 g de 2',4"-O-diacetil eritromicina A 9-[O-(3-fenoxipropil)-oxima) en 10 ml de metanol se agitó a la temperatura ambiente por 4 días. La mezcla de reacción se concentró bajo presión reducida para dar 0,12 g de un sólido incoloro. El sólido fue recristalizado desde una mezcla de metanol y agua para dar prismas incoloros que poseen un punto de fusión de 127-130°C.

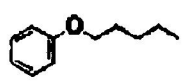
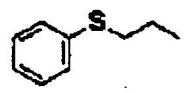
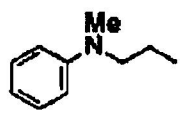
Espectro de RMN δ ($CDCl_3$) ppm: 0,85 (3H; t; J=7,5Hz); 0,97-1,83 (35H; m); 1,86-2,18 (4H; m); 2,10 (3H; 5); 2,20-2,48 (7H; m); 2,50-2,71 (2H; m); 2,87-2,98 (1H; m); 3,09 (1H; s); 3,18-3,34 (1H; m); 3,31 (3H; s); 3,57 (1H; d; J=6,5Hz); 3,62-3,80 (3H; m); 3,97-4,09 (3H; m); 4,16-4,25 (2H; m); 4,27-4,40 (2H; m); 4,57 (1H; d; J=7,5Hz); 4,68 (1H; d; J=10Hz); 4,98 (1H; d; J=5Hz); 5,13 (1H; d; J=8,5Hz); 6,86-6,96 (3H; m); 7,21-7,30 (2H; m)

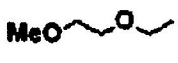
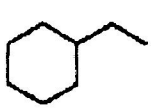
Los compuestos de los Ejemplos 55 a 108 se obtuvieron en la misma manera como la que se describió en el Ejemplo 54.

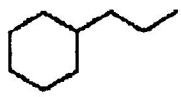
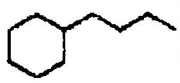
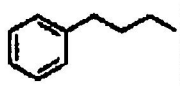
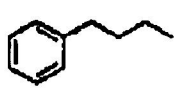


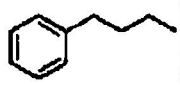
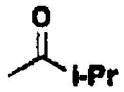
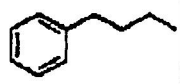
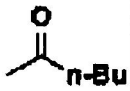
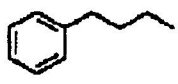
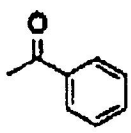
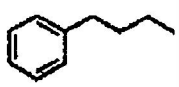
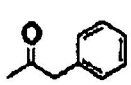
Ejemplo	R^1	R^3	Descripción y propiedades físicas
55		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.99(3H,d,J=6.5Hz),1.03-1.75(31H,m),1.86-2.04(2H,m),2.10(3H,s),2.32(6H,s),2.42(1H,d,J=15.5Hz),2.50-2.70(2H,m),2.86-2.98(1H,m),3.10(1H,s),3.15-3.25(1H,m),3.31(3H,s),3.43(1H,brs),3.54(1H,d,J=6.5Hz),3.62-3.78(3H,m),3.99(1H,d,J=10Hz),4.27-4.40(2H,m),4.54(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.04(1H,d,J=12Hz),5.07(1H,d,J=12Hz),5.13(1H,dd,J=11,2.5Hz),7.27-7.39(5H,m)
56		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.98(3H,d,J=6.5Hz),1.03-1.75(32H,m),1.87-2.04(2H,m),2.11(3H,s),2.22-2.68(9H,m),2.84-3.02(3H,m),3.11(1H,s),3.17-3.29(1H,m),3.31(3H,s),3.50(1H,d,J=6.5Hz),3.52-3.62(1H,m),3.65-3.79(2H,m),4.00(1H,d,J=9Hz),4.22-4.37(3H,m),4.45(1H,s),4.55(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.11-5.19(1H,m),7.17-7.23(3H,m),7.24-7.32(2H,m)
57		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.98-1.77(33H,m),1.80-2.14(5H,m),2.10(3H,s),2.33(6H,s),2.41(1H,d,J=15.5Hz),2.51-2.75(4H,m),2.87-2.98(1H,m),3.08(1H,s),3.18-3.28(1H,m),3.31(3H,s),3.43(1H,s),3.59(1H,d,J=6.5Hz),3.62-3.70(3H,m),3.98-4.09(3H,m),4.27-4.42(2H,m),4.57(1H,d,J=7.5Hz),4.67(1H,d,J=9.5Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),7.14-7.21(3H,m),7.22-7.31(2H,m)

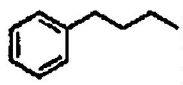
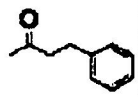
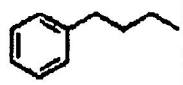
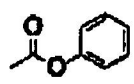
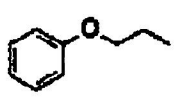
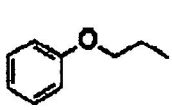
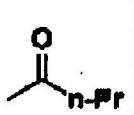
Ejemplo	R^1	R^3	Descripción y propiedades físicas
58		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.97-1.85(38H,m),1.87-2.08(2H,m),2.11(3H,s),2.22-2.45(7H,m),2.53-2.70(4H,m),2.87-2.99(1H,m),3.09(1H,s),3.18-3.29(1H,m),3.31(3H,s),3.44(1H,brs),3.58(1H,d,J=7.5Hz),3.60-3.81(3H,m),3.92-4.09(3H,m),4.28-4.39(1H,m),4.42(1H,s),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),7.13-7.20(3H,m),7.22-7.30(2H,m)
59		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),1.01(3H,d,J=7.5Hz),1.05-1.75(36H,m),1.83(1H,brs),1.87-2.07(2H,m),2.10(3H,s),2.32(6H,s),2.41(1H,d,J=14.5Hz),2.50-2.72(4H,m),2.88-2.98(1H,m),3.09(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.31(3H,s),3.45(1H,brs),3.59(1H,d,J=7.5Hz),3.63-3.80(3H,m),3.96-4.07(3H,m),4.30-4.40(1H,m),4.43(1H,s),4.57(1H,d,J=7.5Hz),4.67(1H,d,J=10Hz),4.97(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),7.12-7.33(5H,m)
60		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),1.01(3H,d,J=6.5Hz),1.05-1.80(32H,m),1.87-2.06(2H,m),2.11(3H,s),2.27-2.45(7H,m),2.53-2.70(2H,m),2.88-2.98(1H,m),3.06-3.26(4H,m),3.31(3H,s),3.52(1H,d,J=7.5Hz),3.60-3.80(3H,m),4.01(1H,d,J=8.5Hz),4.22-4.42(4H,m),4.55(1H,d,J=6.5Hz),4.69(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.14(1H,dd,J=11.5,2Hz),6.82-6.87(1H,m),6.94(1H,dd,J=5,3.5Hz),7.10-7.16(1H,m)
61		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),1.01(3H,d,J=7.5Hz),1.05-1.73(31H,m),1.86-2.06(3H,m),2.10(3H,s),2.29(6H,s),2.39(1H,d,J=14.5Hz),2.49-2.57(1H,m),2.65-2.73(1H,m),2.86-2.94(1H,m),3.08(1H,s),3.19(1H,dd,J=10,7.5Hz),3.30(3H,s),3.52(1H,d,J=7.5Hz),3.65-3.80(3H,m),3.92(1H,d,J=8.5Hz),4.08-4.22(2H,m),4.26-4.35(1H,m),4.36-4.42(3H,m),4.51(1H,d,J=7.5Hz),4.66(1H,d,J=10Hz),4.83(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz),6.89-6.97(3H,m),7.22-7.32(2H,m)

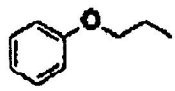
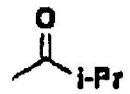
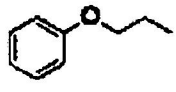
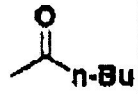
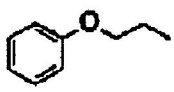
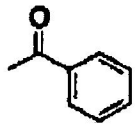
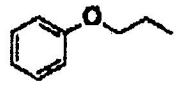
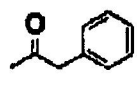
Ejemplo	R^1	R^3	Descripción y propiedades físicas
62		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.98-1.74(34H,m),1.77-2.08(6H,m),2.10(3H,s),2.24-2.44(7H,m),2.50-2.71(2H,m),2.87-2.99(1H,m),3.09(1H,s),3.18-3.28(1H,m),3.31(3H,s),3.43(1H,brs),3.58(1H,d,J=7.5Hz),3.61-3.80(3H,m),3.90-4.16(5H,m),4.28-4.38(1H,m),4.41(1H,s),4.57(1H,d,J=6.5Hz),4.67(1H,d,J=10Hz),4.96(1H,d,J=5Hz),5.13(1H,d,J=9Hz),6.85-6.95(3H,m),7.21-7.30(2H,m)
63		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.05-1.80(31H,m),1.88-2.07(2H,m),2.10(3H,s),2.24-2.47(7H,m),2.50-2.72(2H,m),2.88-2.96(1H,m),3.05-3.20(3H,m),3.21-3.29(1H,m),3.31(3H,s),3.42(1H,brs),3.59(1H,d,J=7.5Hz),3.65-3.80(3H,m),4.03(1H,d,J=9Hz),4.10-4.25(3H,m),4.28-4.37(1H,m),4.56(1H,d,J=7.5Hz),4.66(1H,d,J=10Hz),4.95(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),7.18-7.23(1H,m),7.27-7.33(2H,m),7.36-7.41(2H,m)
64		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.97(3H,d,J=6.5Hz),1.00-1.80(30H,m),1.85-2.05(3H,m),2.10(3H,s),2.25-2.45(7H,m),2.50-2.70(2H,m),2.88-3.00(1H,m),2.94(3H,s),3.08(1H,s),3.18-3.27(1H,m),3.31(3H,s),3.43(1H,brs),3.51-3.59(3H,m),3.60-3.77(3H,m),4.01(1H,d,J=10Hz),4.16-4.24(2H,m),4.27-4.37(2H,m),4.56(1H,d,J=6.5Hz),4.68(1H,d,J=10Hz),4.96(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),6.66-6.78(3H,m),7.15-7.30(2H,m)
65	*n*-Hex	Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.89(3H,t,J=7Hz),0.97-1.75(41H,m),1.80-2.08(3H,m),2.10(3H,s),2.33(6H,s),2.41(1H,d,J=15.5Hz),2.50-2.70(2H,m),2.87-2.97(1H,m),3.10(1H,s),3.18-3.25(1H,m),3.31(3H,s),3.44(1H,brs),3.48(1H,d,J=7.5Hz),3.61-3.80(3H,m),3.95-4.06(3H,m),4.28-4.40(1H,m),4.44(1H,s),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz)

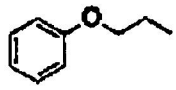
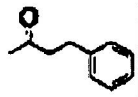
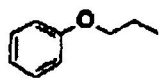
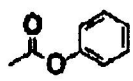
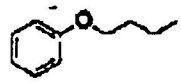
Ejemplo	R^1	R^3	Descripción y propiedades físicas
66	n-Oct	Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=6.5Hz),0.97-1.76(45H,m),1.80-2.08(3H,m),2.11(3H,s),2.23-2.45(7H,m),2.50-2.70(2H,m),2.88-2.97(1H,m),3.10(1H,s),3.20-3.30(1H,m),3.31(3H,s),3.42(1H,brs),3.59(1H,d,J=7.5Hz),3.62-3.80(3H,m),3.95-4.06(3H,m),4.27-4.40(1H,m),4.45(1H,s),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)
67	n-Dodec	Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=6.5Hz),0.97-1.78(53H,m),1.80-2.08(3H,m),2.10(3H,s),2.23-2.45(7H,m),2.50-2.70(2H,m),2.89-2.98(1H,m),3.10(1H,s),3.19-3.27(1H,m),3.31(3H,s),3.44(1H,s),3.59(1H,d,J=7.5Hz),3.62-3.80(3H,m),3.95-4.05(3H,m),4.30-4.40(1H,m),4.45(1H,s),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=9.5Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz)
68		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),1.00-1.70(34H,m),1.86-1.98(1H,m),2.00-2.08(1H,m),2.10(3H,s),2.30(6H,s),2.36(1H,s),2.41(1H,d,J=15.5Hz),2.50-2.58(1H,m),2.65-2.73(1H,m),2.88-2.97(1H,m),3.13(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.31(3H,s),3.41(3H,s),3.51-3.60(3H,m),3.67-3.83(5H,m),4.00(1H,d,J=8.5Hz),4.30-4.41(2H,m),4.55(1H,d,J=7.5Hz),4.67(1H,d,J=10Hz),4.94(1H,d,J=5Hz),5.11(1H,dd,J=11,2Hz),5.16(1H,d,J=8Hz),5.18(1H,d,J=8Hz)
69		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.80-2.08(47H,m),0.84(3H,t,J=7.5Hz),2.11(3H,s),2.25-2.40(7H,m),2.50-2.70(2H,m),2.86-2.98(1H,m),3.10(1H,s),3.15-3.52(2H,m),3.31(3H,s),3.54-3.90(6H,m),4.03(1H,d,J=8.5Hz),4.28-4.40(1H,m),4.46(1H,s),4.57(1H,d,J=6.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)

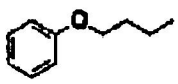
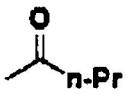
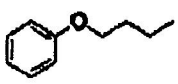
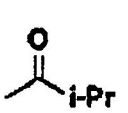
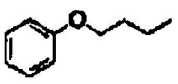
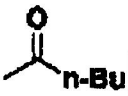
Ejemplo	R^1	R^3	Descripción y propiedades físicas:
70		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88-2.13(50H,m),2.11(3H,s),2.27-2.44(7H,m),2.52-2.70(2H,m),2.87-2.98(1H,m),3.10(1H,s),3.18-3.36(1H,m),3.31(3H,s),3.44(1H,brs),3.59(1H,d,J=7.5Hz),3.62-3.80(3H,m),3.96-4.10(2H,m),4.29-4.39(1H,m),4.45(1H,s),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)
71		Ac	sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.78-1.77(49H,m),0.84(3H,t,J=7.5Hz),1.79-2.14(3H,m),2.11(3H,s),2.25-2.45(7H,m),2.50-2.70(2H,m),2.85-2.99(1H,m),3.09(1H,s),3.17-3.38(1H,m),3.31(3H,s),3.54-3.83(4H,m),3.92-4.08(3H,m),4.26-4.40(1H,m),4.44(1H,s),4.58(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.08-5.19(1H,m)
72			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.97-2.08(41H,m),2.25-2.50(3H,m),2.38(6H,s),2.59-2.98(6H,m),3.09(1H,brs),3.21-3.38(1H,m),3.31(3H,s),3.59(1H,d,J=7.5Hz),3.62-3.82(3H,m),3.96-4.08(3H,m),4.27-4.45(2H,m),4.59(1H,d,J=6.5Hz),4.69(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11.5.2Hz),7.14-7.31(5H,m)
73			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.97(3H,t,J=7.5Hz),1.04(3H,d,J=7.5Hz),1.07-1.75(32H,m),1.80-2.08(5H,m),2.24-2.45(9H,m),2.56-2.78(5H,m),2.88-2.98(1H,m),3.09(1H,brs),3.20-3.28(1H,m),3.31(3H,s),3.59(1H,d,J=6.5Hz),3.63-3.80(3H,m),3.97-4.09(3H,m),4.28-4.45(2H,m),4.59(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),7.15-7.21(3H,m),7.24-7.31(2H,m)

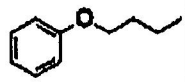
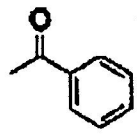
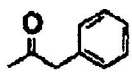
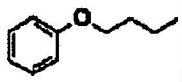
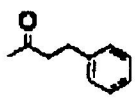
Ejemplo	R^1	R^3	Descripción y propiedades físicas
74			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.94-2.10(44H,m),2.32(6H,s),2.40(1H,d,J=15.5Hz),2.48-2.75(5H,m),2.87-2.99(1H,m),3.09(1H,s),3.18-3.28(1H,m),3.31(3H,s),3.44(1H,s),3.58(1H,d,J=6.5Hz),3.61-3.80(3H,m),3.92-4.01(3H,m),4.28-4.45(2H,m),4.61(1H,d,J=7.5Hz),4.67(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.07-5.19(1H,m),7.14-7.22(3H,m),7.23-7.32(2H,m)
75			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.92(3H,t,J=7.5Hz),0.98-1.77(37H,m),1.83(1H,brs),1.87-2.07(4H,m),2.23-2.46(9H,m),2.51-2.75(5H,m),2.86-2.99(1H,m),3.09(1H,brs),3.19-3.29(1H,m),3.31(3H,s),3.59(1H,d,J=7.5Hz),3.62-3.83(3H,m),3.95-4.10(3H,m),4.28-4.45(2H,m),4.59(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.08-5.17(1H,m),7.15-7.21(3H,m),7.24-7.31(2H,m)
76			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.86(3H,t,J=7.5Hz),0.90(3H,d,J=6Hz),1.03(3H,d,J=7.5Hz),1.08-2.12(33H,m),2.46(1H,d,J=15.5Hz),2.51(6H,s),2.61-2.73(3H,m),2.78-3.00(2H,m),3.11(1H,brs),3.34(1H,dd,J=10,7.5Hz),3.39(3H,s),3.60(1H,d,J=6.5Hz),3.62-3.75(2H,m),3.77-3.88(1H,m),3.95-4.08(3H,m),4.32-4.50(2H,m),4.73(1H,d,J=7.5Hz),4.91(1H,d,J=9Hz),5.06(1H,d,J=5Hz),5.15(1H,dd,J=11,2Hz),7.12-7.31(4H,m),7.38-7.60(3H,m),7.96-8.12(3H,m)
77			sólido amorfo amarillo pálido espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.92-2.09(38H,m),2.30-2.50(7H,m),2.58-2.98(6H,m),3.09(1H,s),3.20-3.35(1H,m),3.28(3H,s),3.50-3.83(6H,m),3.95-4.09(3H,m),4.28-4.42(2H,m),4.61(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.97(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),7.12-7.38(10H,m)

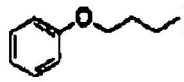
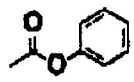
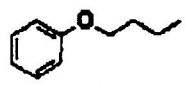
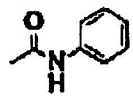
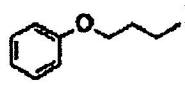
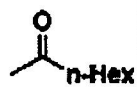
Ejemplo	R^1	R^2	Descripción y propiedades físicas
78			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.95-1.80(33H,m),1.84(1H,brs),1.88-2.07(4 H,m),2.30-2.49(7H,m),2.56-2.80(6H,m),2.88-3.0 1(3H,m),3.03-3.36(3H,m),3.29(3H,s),3.57(1H,d,J =6.5Hz),3.60-3.79(3H,m),3.96-4.09(3H,m),4.25-4.35(1H,m),4.40(1H,s),4.58(1H,d,J=7.5Hz),4.69(1 H,d,J=10Hz),4.97(1H,d,J=5Hz),5.13(1H,dd,J=11, 2Hz),7.13-7.32(10H,m)
79			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),0.99-1.80(34H,m),1.82-2.10(5H,m),2.32(6H, s),2.45(1H,d,J=15.5Hz),2.53-2.75(4H,m),2.90-3. 00(1H,m),3.09(1H,s),3.19-3.29(1H,m),3.36(3H,s), 3.62(1H,d,J=7.5Hz),3.63-3.85(3H,m),3.99-4.10(3 H,m),4.47-4.49(2H,m),4.53(1H,d,J=10Hz),4.58(1 H,d,J=7.5Hz),5.00(1H,d,J=4.5Hz),5.14(1H,dd,J=1 1,2Hz),7.12-7.32(8H,m),7.36-7.42(2H,m)
80			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.95-1.75(37H,m),1.85-2.10(3H,m),2.20-2.4 7(9H,m),2.50-2.71(2H,m),2.85-2.93(1H,m),3.08(1 H,s),3.17-3.27(1H,m),3.29(3H,s),3.40(1H,brs),3.5 1(1H,d,J=7.5Hz),3.65-3.80(3H,m),3.91(1H,d,J=9 Hz),4.07-4.20(2H,m),4.25-4.43(3H,m),4.54(1H,d, J=7.5Hz),4.67(1H,d,J=10Hz),4.84(1H,d,J=5Hz),5. 12(1H,dd,J=11,2Hz),6.90-6.98(3H,m),7.24-7.31 (2H,m)
81			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.90-1.80(39H,m),1.85-2.07(3H,m),2.20-2.4 5(9H,m),2.50-2.75(2H,m),2.85-2.95(1H,m),3.09(1 H,brs),3.17-3.35(1H,m),3.29(3H,s),3.51(1H,d,J= 7.5Hz),3.65-3.80(3H,m),3.90(1H,d,J=9Hz),4.07-4.21(2H,m),4.24-4.41(4H,m),4.54(1H,d,J=7.5Hz), 4.67(1H,d,J=5.5Hz),4.85(1H,d,J=5Hz),5.12(1H,d d,J=11,2.5Hz),6.90-6.96(3H,m),7.24-7.30(2H,m)

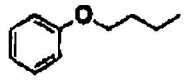
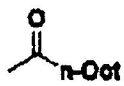
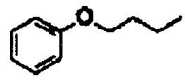
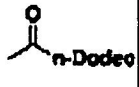
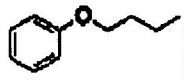
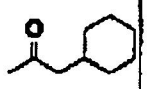
Ejemplo	R^1	R^3	Descripción y propiedades físicas
82			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.90-1.80(40H,m),1.87-2.10(3H,m),2.20-2.45(7H,m),2.50-2.75(3H,m),2.85-2.95(1H,m),3.09(1H,s),3.15-3.35(1H,m),3.29(3H,s),3.50(1H,d,J=6.5 Hz),3.65-3.77(3H,m),3.89(1H,d,J=9Hz),4.07-4.20 (2H,m),4.25-4.43(4H,m),4.57(1H,d,J=6.5Hz),4.66 (1H,d,J=10Hz),4.86(1H,d,J=5Hz),5.08-5.16(1H, m),6.90-6.96(3H,m),7.22-7.30(2H,m)
83			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.92(3H,t,J=7.5Hz),0.97-1.80(39H,m),1.85-2.10(2H,m),2.20-2.47(9H,m),2.50-2.72(2H,m),2.85-2.95(1H,m),3.08(1H,s),3.16-3.35(1H,m),3.29(3H,s),3.51(1H,d,J=6.5Hz),3.65-3.80(3H,m),3.89(1H,d,J=10Hz),4.07-4.20(2H,m),4.33-4.42(4H,m),4.50-4.60(1H,m),4.67(1H,d,J=10.5Hz),4.84(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz),6.89-7.00(3H,m),7.20-7.30(2H,m)
84			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.80-1.80(37H, m),1.89-2.10(3H,m),2.25-2.50(7H,m),2.55-2.81 (2H,m),2.87-2.97(1H,m),3.10(1H,s),3.20-3.30(1H,m),3.36(3H,s),3.51(1H,d,J=7.5Hz),3.65-3.80(3H,m),3.86(1H,d,J=10Hz),4.07-4.20(2H,m),4.30-4.50(4H,m),4.67(1H,d,J=6.5Hz),4.90(1H,d,J=10Hz), 4.95(1H,d,J=5Hz),5.14(1H,dd,J=11,1Hz),6.80-7.00(3H,m),7.20-7.35(2H,m),7.38-7.50(2H,m),7.52-7.62(1H,m),7.90-8.10(2H,m)
85			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.90-1.85(35H,m),1.86-2.10(2H,m),2.20-2.50(7H,m),2.52-2.72(2H,m),2.84-2.95(1H,m),3.09(1H,s),3.15-3.35(1H,m),3.26(3H,s),3.50(1H,d,J=6.5 Hz),3.55-3.80(5H,m),3.88(1H,d,J=10Hz),4.07-4.22(2H,m),4.23-4.43(4H,m),4.56(1H,d,J=7.5Hz),4.67(1H,d,J=10Hz),4.83(1H,d,J=5Hz),5.12(1H,dd,J=10.5,2Hz),6.90-7.00(3H,m),7.20-7.40(7H,m)

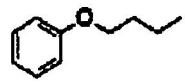
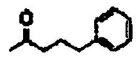
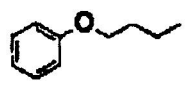
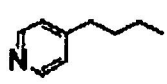
Ejemplo	R^1	R^3	Descripción y propiedades físicas
86			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.90-1.70(35H,m),1.87-2.10(2H,m),2.20-2.4 5(7H,m),2.50-2.80(4H,m),2.85-2.92(1H,m),2.97(2 H,t,J=7.5Hz),3.08(1H,s),3.20-3.30(1H,m),3.26(3 H,s),3.50(1H,d,J=6.5Hz),3.63-3.76(3H,m),3.85-3. 92(1H,m),4.06-4.30(3H,m),4.35-4.40(3H,m),4.50 -4.56(1H,m),4.67(1H,d,J=10Hz),4.83(1H,d,J=5.5 Hz),5.12(1H,dd,J=11,2Hz),6.90-7.00(3H,m),7.15- 7.30(7H,m)
87			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),1.01(3H,d,J=7.5Hz),1.06-1.78(31H,m),1.87- 2.10(3H,m),2.31(6H,s),2.43(1H,d,J=15.5Hz),2.51- 2.63(1H,m),2.65-2.74(1H,m),2.86-2.98(1H,m),3.0 9(1H,s),3.16-3.26(1H,m),3.35(3H,s),3.54(1H,d,J= 7.5Hz),3.67-3.82(3H,m),3.95(1H,d,J=10Hz),4.07- 4.22(2H,m),4.31-4.45(4H,m),4.51(1H,d,J=10Hz), 4.53(1H,d,J=7.5Hz),4.87(1H,d,J=5Hz),5.13(1H,d d,J=11,2Hz),6.90-6.98(3H,m),7.16(2H,d,J=7.5H z),7.21-7.32(3H,m),7.39(2H,t,J=8Hz)
88			sólido amorfo incoloro espectro de RMNδ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),1.02(3H,d,J=6.5Hz),1.06-1.84(34H,m),1.87- 2.20(4H,m),2.23-2.47(9H,m),2.50-2.72(2H,m),2.8 7-2.99(1H,m),3.09(1H,s),3.17-3.28(1H,m),3.31(3 H,s),3.44(1H,brs),3.57(1H,d,J=7.5Hz),3.62-3.80 (3H,m),3.97-4.10(3H,m),4.15-4.27(2H,m),4.29-4. 40(2H,m),4.58(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz), 4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.87-6.9 6(3H,m),7.23-7.31(2H,m)

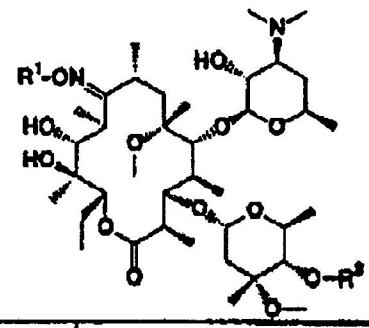
Ejemplo	R^1	R^3	Descripción y propiedades físicas
89			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),0.97(3H,t,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.05-1.83(33H,m),1.87-2.20(4H,m),2.23-2.45(9H,m),2.51-2.72(2H,m),2.87-2.98(1H,m),3.09(1H,s),3.17-3.27(1H,m),3.31(3H,s),3.45(1H,brs),3.48(1H,d,J=7.5Hz),3.62-3.80(3H,m),3.96-4.10(3H,m),4.15-4.27(2H,m),4.29-4.40(2H,m),4.58(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.87-6.96(3H,m),7.22-7.30(2H,m)
90			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),1.02(3H,d,J=7.5Hz),1.05-1.82(37H,m),1.87-2.19(4H,m),2.32(6H,brs),2.40(1H,d,J=14.5Hz),2.50-2.71(3H,m),2.87-2.98(1H,m),3.09(1H,s),3.17-3.28(1H,m),3.31(3H,s),3.43(1H,brs),3.56(1H,d,J=6.5Hz),3.62-3.80(3H,m),3.99(1H,d,J=8.5Hz),4.01-4.09(2H,m),4.15-4.26(2H,m),4.30-4.41(2H,m),4.61(1H,d,J=8.5Hz),4.67(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),6.87-6.96(3H,m),7.22-7.31(2H,m)
91			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),0.92(3H,t,J=7.5Hz),1.02(3H,d,J=7.5Hz),1.06-1.82(35H,m),1.87-2.20(4H,m),2.23-2.46(9H,m),2.50-2.72(2H,m),2.88-2.99(1H,m),3.09(1H,s),3.17-3.35(1H,m),3.31(3H,s),3.42(1H,brs),3.57(1H,d,J=6.5Hz),3.62-3.81(3H,m),3.96-4.10(3H,m),4.15-4.26(2H,m),4.28-4.39(2H,m),4.59(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.06-5.17(1H,m),6.86-6.96(3H,m),7.22-7.31(2H,m)

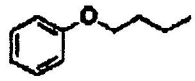
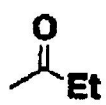
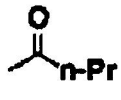
Ejemplo	R^1	R^3	Descripción y propiedades físicas
92			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.86(3H,t,J=7.5 Hz),0.89(3H,d,J=6Hz),1.02(3H,d,J=6.5Hz),1.06-1.84(28H,m),1.88-1.98(1H,m),2.00-2.19(3H,m),2.35-2.53(1H,m),2.45(6H,s),2.61-3.04(4H,m),3.10(1H,brs),3.25-3.35(1H,m),3.38(3H,s),3.57(1H,d,J=6.5Hz),3.64-3.74(2H,m),3.76-3.85(1H,m),3.98(1H,d,J=8.5Hz),4.00-4.08(2H,m),4.14-4.26(2H,m),4.32-4.50(2H,m),4.72(1H,d,J=7.5Hz),4.91(1H,d,J=10Hz),5.06(1H,d,J=5Hz),5.14(1H,dd,J=11.5,2Hz),6.85-6.95(3H,m),7.20-7.30(2H,m),7.46(2H,t,J=7.5Hz),7.57(1H,t,J=7.5Hz),7.95-8.04(2H,m)
93			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.93-1.85(35H,m),1.87-2.19(4H,m),2.27-2.45(7H,m),2.55-2.71(2H,m),2.88-2.97(1H,m),3.09(1H,s),3.20-3.32(1H,m),3.28(3H,s),3.56(1H,d,J=7.5 Hz),3.58-3.80(5H,m),3.99(1H,d,J=9Hz),4.01-4.09(2H,m),4.16-4.25(2H,m),4.30-4.40(2H,m),4.60(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.97(1H,d,J=5Hz),5.09-5.16(1H,m),6.87-6.96(3H,m),7.21-7.36(7H,m)
94			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.95-1.80(36H,m),1.87-2.19(4H,m),2.23-2.45(7H,m),2.52-2.77(4H,m),2.87-3.00(3H,m),3.08(1H,s),3.28(3H,s),3.55(1H,d,J=6.5Hz),3.63-3.79(3H,m),3.99(1H,d,J=9Hz),4.01-4.08(2H,m),4.17-4.38(4H,m),4.57(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.97(1H,d,J=5Hz),5.09-5.16(1H,m),6.87-6.96(3H,m),7.16-7.31(7H,m)

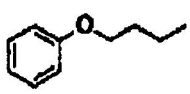
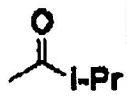
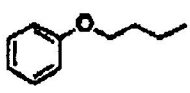
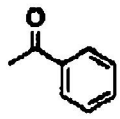
Ejemplo	R^1	R^3	Descripción y propiedades físicas
95			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),1.03(3H,d,J=6.5Hz),1.08-1.85(32H,m),1.87-1.98(1H,m),1.99-2.19(3H,m),2.34(6H,brs),2.45(1H,d,J=15.5Hz),2.55-2.72(2H,m),2.90-3.00(1H,m),3.09(1H,s),3.19-3.30(1H,m),3.36(3H,s),3.60(1H,d,J=7.5Hz),3.65-3.85(3H,m),4.00-4.10(3H,m),4.22(2H,t,J=6Hz),4.37(1H,s),4.39-4.48(1H,m),4.53(1H,d,J=10Hz),4.58(1H,d,J=7.5Hz),5.00(1H,d,J=5Hz),5.13(1H,dd,J=11.2Hz),6.88-6.96(3H,m),7.16(2H,d,J=8Hz),7.22-7.30(3H,m),7.39(2H,t,J=8Hz)
96			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),1.02(3H,d,J=6.5Hz),1.08-1.83(31H,m),1.87-2.19(4H,m),2.36(6H,s),2.45(1H,d,J=15.5Hz),2.57-2.72(2H,m),2.87-2.98(1H,m),3.10(1H,s),3.19-3.30(1H,m),3.35(3H,s),3.40(1H,brs),3.59(1H,d,J=8Hz),3.61-3.75(3H,m),4.00-4.10(3H,m),4.17-4.27(2H,m),4.31-4.41(2H,m),4.49(1H,d,J=6.5Hz),4.65(1H,d,J=10Hz),4.96(1H,d,J=5Hz),5.13(1H,d,J=11Hz),6.86-6.96(4H,m),7.08(1H,t,J=7.5Hz),7.22-7.30(2H,m),7.32(2H,t,J=8Hz),7.41(2H,d,J=7.5Hz)
97			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.82-0.93(3H,m),0.85(3H,t,J=7.5Hz),0.89(3H,t,J=6.5Hz),1.02(3H,d,J=6.5Hz),1.06-1.72(36H,m),1.78(1H,brs),1.87-2.20(4H,m),2.25-2.45(2H,m),2.35(6H,s),2.41(1H,d,J=14.5Hz),2.60-2.72(2H,m),2.88-2.98(1H,m),3.17(1H,brs),3.25(1H,dd,J=10.5,7.5Hz),3.31(3H,s),3.57(1H,d,J=7.5Hz),3.63-3.80(2H,m),3.68(1H,s),3.98-4.08(2H,m),4.01(1H,d,J=10Hz),4.18-4.26(2H,m),4.30-4.43(1H,m),4.37(1H,brs),4.58(1H,d,J=7.5Hz),4.69(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),6.88-6.96(3H,m),7.23-7.30(2H,m)

Ejemplo	R^1	R^2	Descripción y propiedades físicas
98			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.80-0.92(6H,m),1.02(3H,d,J=7.5Hz),1.05-1.70(42H,m),1.77(1H,brs),1.87-2.19(4H,m),2.23-2.44(2H,m),2.29(6H,s),2.41(1H,d,J=15.5Hz),2.49-2.58(1H,m),2.63-2.72(1H,m),2.89-2.98(1H,m),3.09(1H,s),3.21(1H,dd,J=10.5,7.5Hz),3.31(3H,s),3.47(1H,brs),3.57(1H,d,J=7.5Hz),3.63-3.77(2H,m),3.68(1H,s),3.98-4.07(2H,m),4.01(1H,d,J=10Hz),4.15-4.25(2H,m),4.28-4.40(1H,m),4.37(1H,s),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.12(1H,dd,J=10.5,2Hz),6.88-6.97(3H,m),7.20-7.30(2H,m)
99			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.88(3H,t,J=6.5Hz),1.02(3H,d,J=6.5Hz),1.05-1.83(51H,m),1.77(1H,brs),1.87-2.20(4H,m),2.27-2.45(2H,m),2.33(6H,s),2.41(1H,d,J=14.5Hz),2.55-2.70(2H,m),2.88-3.18(2H,m),3.23(1H,dd,J=10.5,7.5Hz),3.31(3H,s),3.57(1H,d,J=6.5Hz),3.62-3.80(2H,m),3.68(1H,s),3.97-4.10(2H,m),4.01(1H,d,J=8.5Hz),4.15-4.25(2H,m),4.29-4.44(1H,m),4.37(1H,brs),4.57(1H,d,J=6.5Hz),4.69(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz),6.85-6.97(3H,m),7.20-7.30(2H,m)
100			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.90-2.34(49H,m),1.02(3H,d,J=6.5Hz),2.30(6H,s),2.40(1H,d,J=15.5Hz),2.50-2.60(1H,m),2.63-2.70(1H,m),2.87-2.97(1H,m),3.09(1H,brs),3.21(1H,dd,J=10.5,7.5Hz),3.30(3H,s),3.57(1H,d,J=6.5Hz),3.82-3.80(2H,m),3.68(1H,s),3.97-4.10(2H,m),4.01(1H,d,J=8.5Hz),4.15-4.25(2H,m),4.27-4.43(1H,m),4.37(1H,s),4.58(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.12(1H,dd,J=11.5,2Hz),6.82-6.95(3H,m),7.20-7.30(2H,m)

Ejemplo	R^1	R^3	Descripción y propiedades físicas
101			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5 Hz),0.95-1.68(31H,m),1.02(3H,d,J=6.5Hz),1.77(1 H,brs),1.88-2.43(8H,m),2.28(6H,s),2.41(1H,d,J=1 5.5Hz),2.48-2.59(1H,m),2.62-2.73(3H,m),2.87-2. 98(1H,m),3.09(1H,brs),3.20(1H,dd,J=10,7.5Hz),3. 30(3H,s),3.55(1H,d,J=7.5Hz),3.60-3.75(2H,m),3.6 8(1H,s),3.97-4.10(2H,m),4.01(1H,d,J=10Hz),4.15 -4.25(2H,m),4.27-4.40(1H,m),4.37(1H,s),4.54(1 H,d,J=7.5Hz),4.70(1H,d,J=10Hz),4.98(1H,d,J=5H z),5.12(1H,dd,J=11,2Hz),6.83-6.98(3H,m),7.10-7.38(7H,m)
102			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),1.02(3H,d,J=6.5Hz),1.10-1.83(36H,m),1.87-2.20(4H,m),2.23-2.70(6H,m),2.30(6H,s),2.41(1H, d,J=15.5Hz),2.88-2.98(1H,m),3.09(1H,brs),3.22(1 H,dd,J=10.5,7.5Hz),3.30(3H,s),3.56(1H,d,J=6.5H z),3.60-3.80(2H,m),3.68(1H,s),3.95-4.10(2H,m), 4.01(1H,d,J=9Hz),4.15-4.25(2H,m),4.27-4.40(1 H,m),4.37(1H,s),4.56(1H,d,J=7.5Hz),4.68(1H,d,J= 9Hz),4.98(1H,d,J=5Hz),5.12(1H,dd,J=11,2.5Hz), 6.85-6.97(3H,m),7.05-7.38(7H,m)
103		Ac	sólido amorfo marrón pálido espectro de RMN δ ($CDCl_3$)ppm:0.85(3H,t,J=7.5 Hz),1.04(3H,d,J=6.5Hz),1.08-2.07(35H,m),2.10(3 H,s),2.30(6H,s),2.41(1H,d,J=15.5Hz),2.50-2.58(1 H,m),2.64-2.73(3H,m),2.88-2.98(1H,m),3.07(1H,b rs),3.21(1H,dd,J=10.5,7.5Hz),3.31(3H,s),3.48(1H, brs),3.55-3.80(2H,m),3.60(1H,d,J=7.5Hz),3.67(1 H,s),3.97-4.10(3H,m),4.29-4.40(1H,m),4.33(1H, s),4.56(1H,d,J=7.5Hz),4.67(1H,d,J=10Hz),4.97(1 H,d,J=5Hz),5.12(1H,dd,J=11,2Hz),7.13(2H,d,J=6 Hz),8.50(2H,d,J=6Hz)



Ejemplo	R^1	R^3	Descripción y propiedades físicas
104		Ac	sólido incoloro (MeOH) p.f. 210-214°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.96(3H,d,J=6.5Hz),1.03-1.80(30H,m),1.88-2.00(2H,m),2.06-2.23(2H,m),2.11(3H,s),2.32(6H,s),2.41(1H,d,J=15.5Hz),2.50-2.62(2H,m),2.85-2.95(1H,m),3.05(3H,s),3.20(1H,dd,J=10,7.5Hz),3.23(1H,s),3.31(3H,s),3.39(1H,brs),3.57-3.80(5H,m),4.00-4.23(4H,m),4.28-4.38(1H,m),4.57(1H,d,J=7.5Hz),4.62(1H,s),4.66(1H,d,J=10Hz),4.99(1H,d,J=4.5Hz),5.12(1H,dd,J=11,2Hz),6.85-6.94(3H,m),7.22-7.29(2H,m)
105			sólido incoloro (MeOH) p.f. 198-199°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.96(3H,d,J=6.5Hz),1.01-1.80(33H,m),1.88-2.00(2H,m),2.06-2.65(13H,m),2.85-2.96(1H,m),3.04(3H,s),3.14-3.28(2H,m),3.31(3H,s),3.37(1H,brs),3.55-3.82(5H,m),4.00-4.23(4H,m),4.26-4.40(1H,m),4.59(1H,d,J=6.5Hz),4.61(1H,s),4.68(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz),6.85-6.94(3H,m),7.21-7.30(2H,m)
106			sólido incoloro (MeOH) p.f. 174-176°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.90-1.75(38H,m),1.88-2.00(2H,m),2.07-2.22(2H,m),2.24-2.45(9H,m),2.50-2.65(2H,m),2.85-2.96(1H,m),3.04(3H,s),3.15-3.26(2H,m),3.31(3H,s),3.39(1H,brs),3.56-3.80(5H,m),4.00-4.24(4H,m),4.28-4.38(1H,m),4.59(1H,d,J=7.5Hz),4.62(1H,s),4.68(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.12(1H,dd,J=11,2Hz),6.85-6.94(3H,m),7.22-7.29(2H,m)

Ejemplo	R^1	R^2	Descripción y propiedades físicas
107			sólido incoloro (MeOH) p.f. 188.5-190.5°C espectro de RMN δ ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.96(3H,d,J=6.5Hz),1.01-1.75(36H,m),1.88-2.00(2H,m),2.07-2.22(2H,m),2.31(6H,s),2.40(1H,d,J=15.5Hz),2.48-2.64(3H,m),2.86-2.96(1H,m),3.04(3H,s),3.15-3.25(2H,m),3.31(3H,s),3.39(1H,brs),3.57-3.80(5H,m),4.00-4.23(4H,m),4.30-4.40(1H,m),4.58-4.64(2H,m),4.66(1H,d,J=10Hz),5.00(1H,d,J=5Hz),5.12(1H,dd,J=11.5,2Hz),6.86-6.94(3H,m),7.22-7.29(2H,m)
108			sólido amorfo incoloro espectro de RMN δ ($CDCl_3$)ppm:0.80-1.75(37H,m),1.88-2.02(2H,m),2.06-2.21(2H,m),2.25-2.78(9H,m),2.89-2.99(1H,m),3.04(3H,s),3.17-3.30(2H,m),3.38(3H,s),3.55-3.84(5H,m),4.00-4.23(4H,m),4.39-4.51(1H,m),4.61(1H,s),4.72(1H,d,J=7.5Hz),4.90(1H,d,J=10Hz),5.06(1H,d,J=5Hz),5.13(1H,dd,J=11,2.5Hz),6.83-6.93(3H,m),7.20-7.29(2H,m),7.46(2H,t,J=7.5Hz),7.59(1H,t,J=7.5Hz),8.01(2H,d,J=7.5Hz)

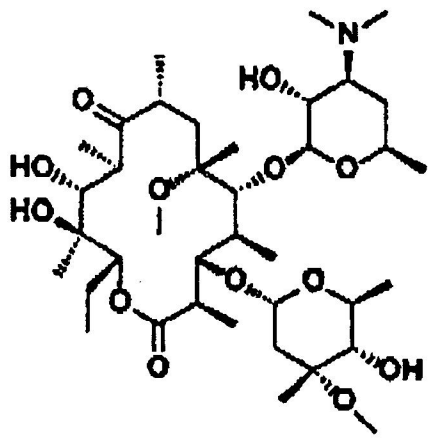
Ejemplo 109: 4"-O-Acetileritromicina A 9-[O-(n-octil)oxima]

Una porción de 0,50 g del sólido amorfo incoloro obtenido en el Ejemplo 66 era cristalizado desde metanol para dar 0,35 g de cristales incoloros posee un punto de fusión de 157,5-160°C. El espectro de RMN del compuesto obtenido era idéntico al del compuesto que se obtuvo en el Ejemplo 66.

Ejemplo 110: 4"-O-Acetileritromicina A 9-[O-(3-ciclohexilpropil)oxima]

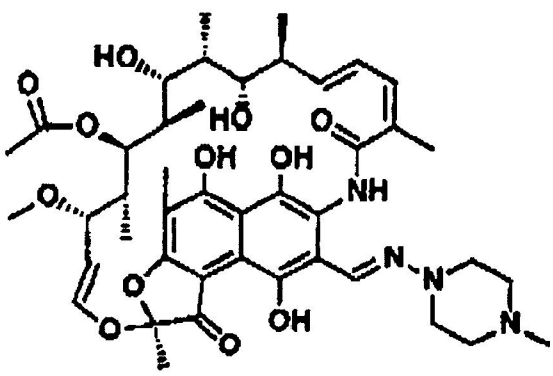
Una porción de 0,90 g del sólido amorfo incoloro obtenido en el Ejemplo 71 era cristalizado desde n-heptano para dar 0,47 g de cristales incoloros que poseen un punto de fusión de 130-132.5°C. El espectro de RMN del compuesto obtenido era idéntico al del compuesto que se obtuvo en el Ejemplo 71.

A fin de evaluar la óptima eficacia de los compuestos de presente la invención, sus espectros antibacterianos contra micobacterias rápidamente ácidas atípicas fueron medidas. La Claritromicina, rifampicina, y 4"-O-acetileritromicina A 9-(O-metiloxima) [un compuesto descripto en la publicación de patente Japonesa no examinada (KOKAI) No.63-107921/1988] se usaron como los compuestos de referencia. Ac en la fórmula representa grupo acetilo.



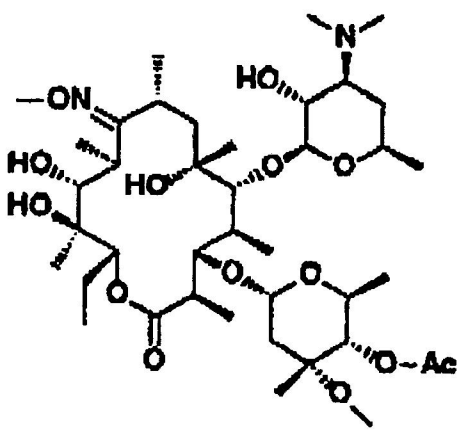
Compuesto de referencia 1

(claritromicina)



Compuesto de referencia 2

(rifampicina)



Compuesto de referencia 3

Actividad antibacteriana contra micobacterias rápidamente ácidas atípicas

Las actividades antibacterianas (concentraciones inhibitorioas mínimas) contra aislados clínicos de micobacteria rápidamente ácidas atípicas eran medidas por el método de dilución en agar según el método convencional de la Sociedad de Quimoterapia de Japón. Aproximadamente 5 μl de suspensión bacteriológica (ajustada a 10^6 CFU/ml) se marcaron con las placas 7H11 de agar que contienen los compuestos de prueba. Las concentraciones inhibitorias mínimas eran determinadas por crecimiento o ningún crecimiento de las bacterias después de la incubación a 37°C por 7 días. Los resultados se ilustran en la tabla siguiente. Los compuestos de la presente invención poseían actividad antibacteriana óptima respecto a los compuestos de referencia contra las micobacterias rápidamente ácidas atípicas que incluyen cepas resistentes a claritromicina (M. avium 20692 y otras bacterias).

Los nombres de las bacterias en la tabla son los que se indica a continuación:

Mycobacterium avium (M. avium)

Mycobacterium intracellulare (M. intracellulare)

Actividad antibacteriana (concentración inhibitoria mínima μg/ml)

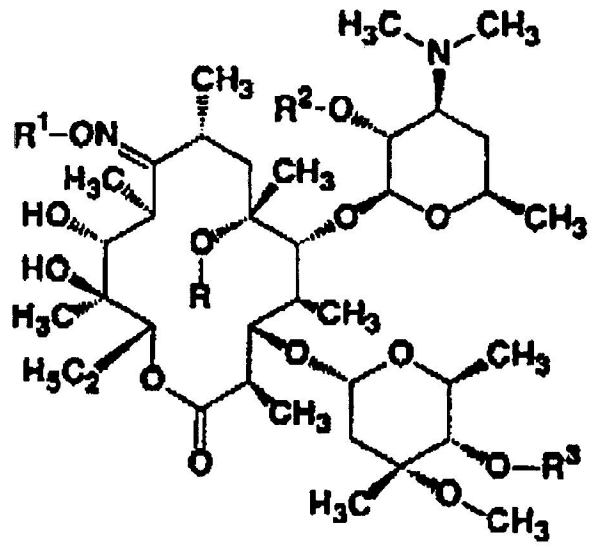
Cepa	Ejemplo				Compuesto de referencia		
	1	54	66	71	1	2	3
M. avium 20034	3,13	3,13	1,56	1,56	3,13	12,5	>50
M. avium 20045	1,56	1,56	1,56	3,13	1,56	3,13	12,5
M. avium 20092	0,78	0,78	1,56	3,13	>50	50	>50
M. avium 20096	0,78	0,78	1,56	1,56	>50	3,13	>50
M. intracellulare 20066	0,78	0,78	1,56	1,56	3,13	3,13	12,5
M. intracellulare 20067	0,39	0,78	1,56	1,56	1,56	1,56	6,25
M. intracellulare 20073	0,78	0,78	1,56	1,56	1,56	3,13	12,5
M. intracellulare 20075	0,78	0,78	1,56	1,56	3,13	3,13	12,5

Aplicabilidad Industrial

Los derivados de eritromicina novedosos y sales de los mismos poseen óptima actividad antibacteriana contra micobacterias rápidamente ácidas atípicas incluyendo bacterias resistentes múltiples drogas y son útiles como agentes antibacterianos.

REIVINDICACIONES

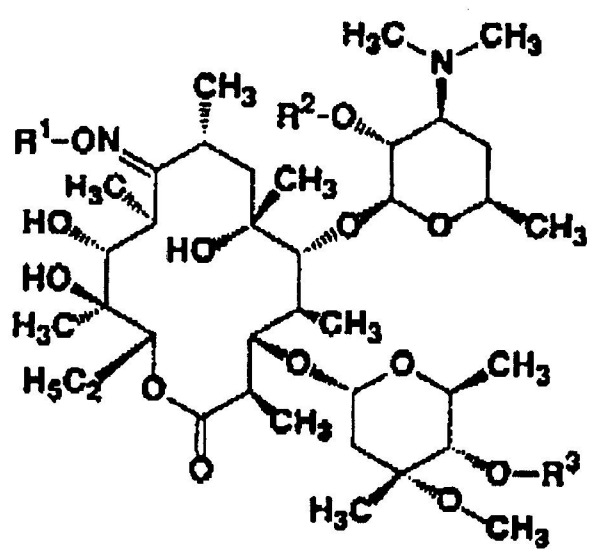
1. Un derivado eritromicina caracterizado por que está representado por la fórmula general siguiente o una sal del mismo:



en donde R representa un átomo de hidrógeno o un grupo alquilo inferior; R^1 representa un grupo alquilo C_{5-12} que puede ser sustituido, un grupo cicloalquilo que puede sustituídose, un grupo (cicloalquil)alquilo que puede ser sustituido, un grupo aralquilo que puede ser sustituido, o un grupo representado por la fórmula $-(CH_2)_n-X-R^4$; R^2 representa un átomo de hidrógeno o un grupo acetilo; R^3 representa un grupo acilo que puede ser sustituido, o un grupo representado por la fórmula $-C(=O)-Y-R^5$; R^4 representa un grupo alquilo que puede ser sustituido, un grupo alcoxialquilo que puede ser sustituido, un grupo alquiltioalquilo que puede ser sustituido, un grupo

alquilaminoalquilo que puede ser sustituido, un grupo arilo que puede ser sustituido o un grupo aralquilo que puede ser sustituido; R^5 representa un grupo alquilo que puede ser sustituido, un grupo arilo que puede ser sustituido, o un grupo aralquilo que puede ser sustituido; n representa un entero de valor 1 a 6; X representa un átomo de oxígeno, un átomo de azufre, o un grupo representado por -NZ-; Y representa un átomo de oxígeno o un grupo representado por -NH-; y Z representa un átomo de hidrógeno o un grupo alquilo que puede ser sustituido.

2. Un derivado de eritromicina representado por la fórmula general siguiente o una sal del mismo:



en donde R^1 representa un grupo alquilo C_{5-12} que puede ser sustituido, un grupo cicloalquilo que puede ser sustituido, un grupo (cicloalquil)alquilo que puede ser sustituido, un grupo aralquilo que puede ser sustituido, o un grupo representado por

la fórmula $-(CH_2)_n-X-R^4$; R^2 representa un átomo de hidrógeno o un grupo acetilo; R^3 representa un grupo acilo que puede ser sustituido, o un grupo representado por la fórmula $-C(=O)-Y-R^5$; R^4 representa un grupo alquilo que puede ser sustituido, un grupo alcoxialquilo que puede ser sustituido, un grupo alquiltioalquilo que puede ser sustituido, un grupo alquilaminoalquilo que puede ser sustituido, un grupo arilo que puede ser sustituido, o un grupo aralquilo que puede ser sustituido; R^5 representa un grupo alquilo que puede ser sustituido, un grupo arilo que puede ser sustituido, o un grupo aralquilo que puede ser sustituido; n representa un entero de valor 1 a 6; X representa un átomo de oxígeno, un átomo de azufre, o un grupo representado por -NZ-; Y representa un átomo de oxígeno o un grupo representado por -NH-; y Z representa un átomo de hidrógeno o un grupo alquilo que puede ser sustituido.

3. Un compuesto o sal del mismo de acuerdo con cualquiera de las reivindicaciones 1 ó 2, caracterizado por que R^2 es un átomo de hidrógeno.

4. Un medicamento caracterizado por que comprende el compuesto o sal fisiológicamente aceptable del mismo de acuerdo con cualquiera de las reivindicaciones 1 a 3 como ingrediente activo.

5. Un agente antibacteriano caracterizado por que comprende el compuesto o sal fisiológicamente aceptable del mismo de acuerdo con cualquiera de las reivindicaciones 1 a 3

como ingrediente activo.

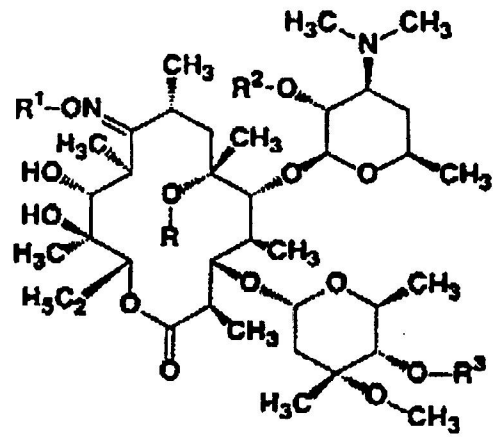
6. Un medicamento para el tratamiento de una micobacteriosis rápidamente ácida atípica caracterizado por que comprende el compuesto o sal fisiológicamente aceptable del mismo de acuerdo con cualquiera de las reivindicaciones 1 a 3 como ingrediente activo.

7. Una utilización del compuesto o sal fisiológicamente aceptable del mismo de acuerdo con cualquiera de reivindicaciones 1 a 3 caracterizado por que se aplica para la fabricación del medicamento de acuerdo con la reivindicación 4.

8. Un método para tratamiento de una enfermedad infecciosa caracterizado por que comprende la etapa de administrar una cantidad terapéuticamente efectiva del compuesto o sal fisiológicamente aceptable del mismo de acuerdo con cualquiera de las reivindicaciones 1 a 3 a un mamífero que incluye un ser humano.

RESUMEN

Un derivado de eritromicina novedoso representado por la fórmula general siguiente o una sal del mismo.



en donde R representa un átomo de hidrógeno o un grupo alquilo inferior; R^1 representa un grupo alquilo, un grupo cicloalquilo, un grupo (cicloalquil)alquilo, un grupo aralquilo, o un grupo representado por la fórmula $-(CH_2)_n-X-R^4$; R^5 representa un átomo de hidrógeno o un grupo acetilo; R^3 representa un grupo acilo o un grupo representado por la fórmula $-C(=O)-Y-R^5$; R^4 representa un grupo alquilo, un grupo alcoxialquilo, un grupo alquiltioalquilo, un grupo alquilaminoalquilo, un grupo arilo, o un grupo aralquilo; R^5 representa un grupo alquilo, grupo arilo, o grupo aralquilo; n representa un entero de valor 1 a 6; X representa un átomo de oxígeno, átomo de azufre, o grupo representado por -NZ-; Y representa átomo de oxígeno o grupo representado por -NH-; y Z representa átomo de hidrógeno o grupo alquilo. El derivado posee óptima actividad antibacteriana contra micobacterias rápidamente ácidas atípicas y es sumamente útil como agente antibacteriano.

EXTRACTO PARA PUBLICACIÓN
SOLICITUD DE PATENTE DE INVENCIÓN

DELEGATURA DE PROPIEDAD INDUSTRIAL

(21) No. SOLICITUD: 00-25231

(22) FECHA DE PRESENTACIÓN: 00-04-06

(30) PRIORIDAD: SÍ
(31) No. DE SOLICITUD: 100726/1999

(32) FECHA DE PRESENTACIÓN: Abril 8, 1999

(33) PAÍS: JAPÓN

(51) INT. CL.: A 61 K 31/70 // 31/71

(71) SOLICITANTE: HOKURIKU SEIYAKU CO., LTD. domiciliada en Katsuyama-Shi, Fukui, Japón.

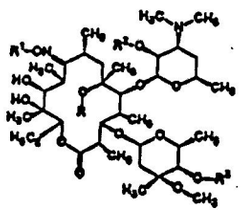
(72) INVENTORES: HIDEO KATO (Katsuyama-Shi, Fukui, Japón); NORIYUKI KADO (Katsuyama-Shi, Fukui, Japón); TOSHIHIKO YOSHIDA (Katsuyama-Shi, Fukui, Japón); AKEMI NISHIMOTO (Katsuyama-Shi, Fukui, japón); KEN NARITA (Katsuyama-Shi, Fukui Japón).

(74) APODERADO: JIMENA ESCOBAR URIBE

(54) TÍTULO: DERIVADOS DE ERITROMICINA B O SALES DE LOS MISMOS, QUE POSEEN ÓPTIMA ACTIVIDAD ANTIBACTERIANA.

(57) RESUMEN:

La presente invención se refiere a un derivado de eritromicina novedoso representando por la fórmula general siguiente o una sal del mismo.



en donde R representa un átomo de hidrógeno o un grupo alquilo inferior; R^1 representa un un grupo alquilo, un grupo cicloalquilo, un grupo (cicloalquil) alquilo, un grupo aralquilo, o un grupo representando la fórmula $-(CH_2)_n-X-R^4$; R^5 representa un átomo de hidrógeno o un grupo acetilo; R^3 representa un grupo acilo o un grupo representando la fórmula $-C(=O)-Y-R^5$; R^4 representa un grupo alquilo, un grupo alcoxialquilo, un grupo alquiltioalquilo, un grupo aquilaminoalquilo, un grupo arilo, o un grupo aralquilo; R^5 representa un grupo alquilo, grupo arilo, o un grupo aralquilo ; n representa un entero de valor 1 a 6; X representa un átomo de oxígeno, átomo de azufre, o un grupo representado por –NZ-; Y representa átomo de oxígeno o grupo representado por –NH-; y Z representa átomo de hidrógeno o grupo alquilo. El derivado posee óptima actividad antibacteriana contra micobacterias rápidamente ácidas atípicas y es sumamente útil como agente antibacteriano.

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REQUISITOS MÍNIMOS PARA ADMISIÓN/TRÁMITE

SUPERINDUSTRIA Y COMERCIO
Radicacion : 00025231 00000000 Folios: 158
Fecha (AMD): 2000-04-06 17:04:12
Tramite : 002 PATENTES D 1 REGISTRO/ 411 PRESENTAC
Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

Patente de Invención ☑ **Modelo de Utilidad** ☐

☑	Nombre e identificación del solicitante — 1	☐
☑	Nombre e identificación del inventor — 1	☐
☑	Título o nombre de la invención — 1	☐
☐	Descripción — 5	☐
☐	Reivindicaciones — 151	☐
☐	Resumen — 1	☐
☑	Comprobante de pago — 2	☐

COMPLETA ✓ INCOMPLETA

Diseño Industrial ☐

☐	Nombre e identificación del solicitante
☐	Nombre e identificación del inventor o diseñador
☐	Indicación del género de productos para los cuales debe utilizarse
☐	Representación gráfica
☐	Comprobante de pago

COMPLETA INCOMPLETA

FIRMA RESPONSABLE

Fecha_____

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

158 160

2000-04-07 430

DIVISION DE NUEVAS CREACIONES
PRIMER EXAMEN DE FORMA

EXPEDIENTE 00-025231
Practicado el examen jurídico de acuerdo con lo establecido en el art. 21 de la Decisión 344 se determinó que esta solicitud de **Patente de Invención:**

SI [ ] **NO [x]** **NO APLICABLE [ ]** Presentó los poderes necesarios en forma correcta (Decisión 344, art. 14-a).

SI [ ] **NO [x]** **NO APLICABLE [ ]** Acreditó, en forma correcta, la existencia y representación de la persona jurídica solicitante (art. 14-c de la Decisión 344; literal g) del art. 4 del Decreto 117/94).

SI [x] **NO [ ]** **NO APLICABLE [ ]** Presentó, en forma correcta el comprobante de pago por la tasa de presentación establecida (art. 13-f de la Decisión 344 y la Resolución 02 del 4 de enero del 2000).

SI [x] **NO [ ]** **EXTEMPORANEAMENTE [ ]** Reivindicó prioridad, dentro del plazo y de acuerdo con lo previsto en el Artículo 4 del Convenio de Paris.

SI [ ] **NO [x]** **NO APLICABLE [ ]** Presentó copia, certificada su conformidad por la Administración que recibió la solicitud de la cual reivindica prioridad, al momento de radicar la solicitud nacional.

SI [ ] **NO [x]** **NO APLICABLE [ ]** Presentó la traducción del capítulo reivindicatorio de la solicitud de la cual se reivindica prioridad (Art. 4, D-3 Convenio de París; Concepto: 5 de enero de 1999 S.I.C.).

SI [ ] **NO [x]** **CUMPLE LOS REQUISITOS JURIDICOS**

OBSERVACIONES JURIDICAS CONVENIO DE PARIS: En todo caso, deberá presentar copia certificada su conformidad por la Administración que recibió la solicitud de la cual reivindica prioridad, **en cualquier momento dentro del plazo de tres (3) meses**, contados a partir de la fecha de depósito de la solicitud posterior. La omisión de esta formalidad implicará la perdida del derecho de prioridad.

En consecuencia, se conceptúa que esta solicitud:

SI [ ] **NO [x]** Se ajusta a los aspectos **FORMALES** indicados en la Decisión 344 y por tanto,

SI [ ] **NO [x]** Se recomienda **PUBLICAR** el extracto correspondiente.

Santafé de Bogotá, D.C.,7 de abril del 2000.

EXAMINADOR JURIDICO: Código 202002

Carrera 13 Nº 27-00 Pisos 5° y 10°
Conmutador 334 1221-2-3-4
Fax 281 3125
Santafé de Bogotá, D.C. - Colombia

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DIVISIÓN DE NUEVAS CREACIONES
1º EXAMEN DE FORMA

CONCEPTO TÉCNICO Nº 897

EXPEDIENTE Nº 0-25231 IPC A61K 31/70 // 31/71

}

Debe tenerse en cuenta que cuando en el capítulo reivindicatorio se establece que determinado grupo es inferior, debe especificarse claramente el número de carbonos que se tienen en cuenta para clasificar dicho grupo como tal. Esto con el fin de poder precisar y delimitar el alcance de la invención; ya que por ejemplo, cuando en la reivindicación 1 se establece: "un grupo alquilo inferior", no se conoce específicamente el número de carbonos que tiene el grupo alquilo.

En la reivindicación 1 se debe revisar y corregir el término "sustituídose"

Debe tenerse en cuenta que cuando en le capítulo reivindicatorio se establece que determinado compuesto puede ser sustituido, debe especificarse claramente los sustituyentes que pueden utilizarse. Esto con el fin de poder precisar el alcance de la invención; ya que por ejemplo, cuando en la reivindicación 1 se establece: "un grupo alquilo C_{5-12} que puede ser sustituido", no puede precisarse claramente los sustituyentes correspondientes al grupo al cual se hace referencia.

Debe tenerse en cuenta que el uso como tal no es patentable en Colombia. Por este motivo, la reivindicación 7 debe corregirse de forma tal que no se reivindique la utilización del compuesto de la invención, sino el compuesto en si.

Al corregir las reivindicaciones, debe anexarse un nuevo capítulo reivindicatorio.

Adjuntar el arte final de la figura característica (fórmula I) en tamaño 6 cm X 6 cm y 12 cm X 12 cm.

Santa Fe de Bogotá D.C., 14 de junio de 2000

Examinador Técnico de Patentes
Q.F. Cód. 202010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

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2000-07-06
430

EXPEDIENTE 00-025231

AUTO 1023

LA JEFE DE LA DIVISION DE NUEVAS CREACIONES

DISPONE

ARTICULO PRIMERO: Reconocer personería al doctor xxxxxxxxx, como apoderado del solicitante, en los términos y para los efectos del poder conferido

ARTICULO SEGUNDO: Conforme lo establece el artículo 22 de la Decisión 344 de la Comisión del Acuerdo de Cartagena, requiérese al solicitante, para que dentro del plazo de treinta (30) días hábiles siguientes a la fecha de notificación del auto, presente respuesta a las observaciones o complemente los antecedentes señalados en el examen de forma.

ARTICULO TERCERO: Notificar por estado el presente auto, advirtiendo que contra el no procede recurso alguno en la vía gubernativa.

NOTIFIQUESE Y CUMPLASE
Dado en Santafé de Bogotá D.C., a los 04 JUL 2000

LA JEFE DE LA DIVISION DE NUEVAS CREACIONES,

ALIX CARMENZA CESPEDES DE VERGEL

NOTIFICADO POR ESTADO

Santafé de Bogotá D.C. 06 JUL 2000 notificado por anotación en estado de esta misma fecha.

VENCIMIENTO NOTIFICACION ESTADO

SOLICITO PRORROGA TERMINO SI____ NO____

VENCIMIENTO TERMINO PRORROGA

Carrera 13 N° 27-00 Pisos 5° y 10°
Conmutador 334 1221-2-3-4
Fax 281 3125
Santafé de Bogotá, D.C. - Colombia