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108
162

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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISIÓN DE NUEVAS CREACIONES
E. S. D.

Re: Expediente No. 00025231
Solicitud de Patente en nombre de
HOKURIKU SEIYAKU CO., LTD.

Yo, JIMENA ESCOBAR URIBE, identificada como aparece al pie de mi firma, domiciliada en Santafé de Bogotá, en la Carrera 11 A No. 94-76 Of. 305, obrando como apoderada de la sociedad HOKURIKU SEIYAKU CO., LTD., solicitante de la patente de la referencia, anexo al presente memorial el siguiente documento:

Copia certificada de la solicitud de Patente Número *100726/1999* presentada en *JAPON* el día *8 de abril de 1999*, con la debida traducción de lo pertinente, incluyendo el capítulo reivindicatorio.

Señor Superintendente,

JIMENA ESCOBAR URIBE
C.C.39.779.452 de usaquén
T.P. 68228
Cód. 5376

189
163

OFICINA DE PATENTES

GOBIERNO JAPONÉS

La presente es para certificar que lo anexado es una copia fiel de la siguiente solicitud que fue registrada en esta oficina.

Fecha de Solicitud : 8 de abril de 1999

Número de Solicitud : Sol. de patente No. (Hei) 11-100726

Solicitante(s) : Hokuriku Seiyaku Co., Ltd.

7 de abril de 2000

Takahiko KONDOH

Comisionado, Oficina de patentes

Certificación No. 2000-3024765

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[No. de referenica] P11001
[Fecha de registro] 8 de abril de 1999
[Destinatario] al Comisionado de Oficina de Patentes
[Clas. Pat. Internac.] CO7H 17/08
[Título de la Invención] Derivados de eritromicina
[No. Reivindicaciones] 6
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[Lista de Documentos Anexados]

[Denominación de documento]	Descripción	1
[Denominación de documento]	Resumen	1
[Prueba]	Requerida	

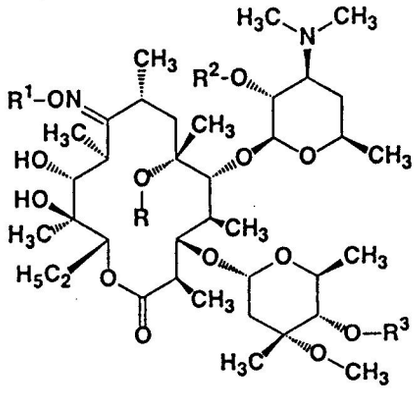
[Nombre de documento] DESCRIPCIÓN

[Título de la invención] Derivados de eritromicina

[Reivindicaciones]

[Reivindicación 1] Un derivado de eritromicina representado por la fórmula general siguiente o una sal del mismo:

[Fórmula 1]



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.

[Reivindicación 2] Un compuesto o sal del mismo de acuerdo con la reivindicación 1, caracterizado por que R^2 es un átomo de hidrógeno.

[Reivindicación 3] Un medicamento caracterizado por que comprende el compuesto o sal del mismo de acuerdo con cualquiera de las reivindicaciones 1 a 2 como ingrediente activo.

[Reivindicación 4] Un agente antibacteriano caracterizado por que comprende un compuesto o sal del mismo de acuerdo con cualquiera de las reivindicaciones 1 a 2 como ingrediente activo.

[Reivindicación 5] Un medicamento para el tratamiento de una micobacteriosis rápidamente ácida atípica caracterizado por que comprende un compuesto o sal del mismo de acuerdo con cualquiera de las reivindicaciones 1 a 2 como ingrediente activo.

194
168

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PATENT OFFICE
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別紙添付の書類に記載されている事項は下記の出願書類に記載されている事項と同一であることを証明する。

This is to certify that the annexed is a true copy of the following application as filed with this Office.

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Application Number: 平成１１年特許願第１００７２６号

出 願 人
Applicant (s): 北陸製薬株式会社

２０００年 ４月 ７日

特 許 庁 長 官
Commissioner,
Patent Office 近 藤 隆 彦

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195
169

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【発明の名称】　エリスロマイシン誘導体
【請求項の数】　5
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【電話番号】　0779-88-5111

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197 171

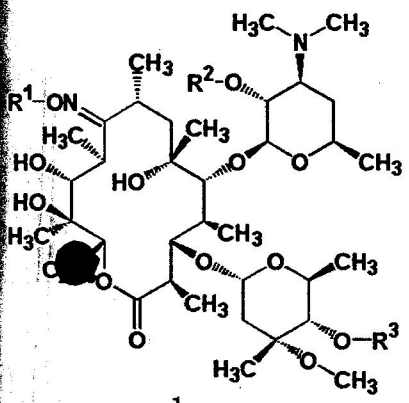
【書類名】　明細書

【発明の名称】　エリスロマイシン誘導体

【特許請求の範囲】

【請求項１】　次の一般式

【化１】



（式中、R^1は置換基を有してもよい炭素数５～１２のアルキル基，置換基を有してもよいシクロアルキル基，置換基を有してもよい（シクロアルキル）アルキル基，置換基を有してもよいアラルキル基又は式－（CH_2）$_n$－X－R^4で示される基を表し、R^2は水素原子又はアセチル基を表し、R^3は置換基を有してもよいアシル基又は式－C（＝O）－Y－R^5で示される基を表し、R^4は置換基を有してもよいアルキル基，置換基を有してもよいアルコキシアルキル基，置換基を有してもよいアルキルチオアルキル基，置換基を有してもよいアルキルアミノアル　基，置換基を有してもよいアリール基又は置換基を有してもよいアラルキル基を表し、R^5は置換基を有してもよいアルキル基，置換基を有してもよいアリール基又は置換基を有してもよいアラルキル基を表し、ｎは１～６の整数を表し、Ｘは酸素原子，硫黄原子又は－ＮＺ－で示される基を表し、Ｙは酸素原子又は－ＮＨ－基を表し、Ｚは水素原子又は置換基を有してもよいアルキル基を表す。）

で示されるエリスロマイシン誘導体又はその塩。

【請求項２】

R^2が水素原子である請求項１に記載の化合物又はその塩。

【請求項３】

請求項１～２のいずれか１項に記載の化合物又はその塩を有効成分とする医薬

198
172

【請求項４】

請求項１～２のいずれか１項に記載の化合物又はその塩を有効成分とする抗菌剤。

【請求項５】

請求項１～２のいずれか１項に記載の化合物又はその塩を有効成分とする非定型抗酸菌症治療剤。

【発明の詳細な説明】

【０００１】

【発明の属する技術分野】

本発明は、抗菌剤として、特に多剤耐性菌を含む非定型抗酸菌に対して抗菌作用を有する新規なエリスロマイシン誘導体又はその塩、並びにそれらを有効成分として含有する医薬品に関するものである。

【０００２】

【従来の技術】

非定型抗酸菌が抗結核剤を始めとする諸種抗菌剤に対して感受性が低いため、非定型抗酸菌症は極めて難治性疾患である。本発明の化合物と類似の適応を有する化合物としては、リファンピシン［rifampicin；ザ・メルク・インデックス（The Merck Index），12版，8382］等が、又、本発明の化合物と近似の化学構造を有するマクロライド誘導体としては、クラリスロマイシン（clarithromycin；The Merck Index，12版，2400），９位オキシム型化合物のロキシスロマイシン（roxithromycin；The Merck Index，12版，8433）等が抗菌剤として知られ、又特開昭６３－１０７９２１号公報に４”－Ｏ－アセチルエリスロマイシンＡ ９－（Ｏ－メチルオキシム）等がウイルス複製阻害作用を有することが報告されている。しかしながら、本発明に係る新規なエリスロマイシン誘導体が非定型抗酸菌に対して抗菌作用を有することはこれまで全く知られていない。一方マクロライド誘導体の中で現在最も優れた非定型抗酸菌症治療剤として有望視されているクラリスロマイシンが米国等においては臨床適応が承認されたが、このクラリスロマイシンの抗菌力でさえ十分とはいえず、より優れた抗菌剤の開発が課題とさ

199
173

れている。

【０００３】

【発明が解決しようとする課題】

近年、日和見感染症の増加が社会的に大きな問題となってきている。この日和見感染症の増加の原因としては、ヒト免疫不全ウイルス（HIV）感染者，がん患者，糖尿病患者や老人等の生体内防御機構の衰えた易感染者の増加，メチシリン耐性黄色ブドウ球菌（Methicillin-resistant Staphylococcus aureus）等に代表される多剤耐性菌の増加、あるいはこれらの菌による患者の菌交代症等が日和見感染症の化学療法をより困難なものにしている。これら問題となってきている日和見感染症の一つに非定型抗酸菌症が挙げられる。この感染症の起因菌である非定型抗酸菌は増殖速度が遅く、かつ貪食細胞に飽食されても該細胞中で長期間生存するため、この細菌による感染症には長期間の化学療法が必要である。特に、非定型抗酸菌の中でもMycobacterium avium complex（ＭＡＣ）に対しては有効な抗菌剤が乏しいため、現在この感染症の治療には外科療法も検討されている。又、先に記載したクラリスロマイシンでさえ、非定型抗酸菌症治療剤としての選択性に欠け、かつクラリスロマイシン耐性のＭＡＣも既に知られている。このように、非定型抗酸菌症の化学療法には、薬剤の感受性に乏しいこと、菌交代症あるいは耐性菌が出現しやすい環境下にあること等の種々の問題点がある。本発明の課題は非定型抗酸菌に選択的で、かつ優れた抗菌活性を有する化合物を提供することである。

【０００４】

【課題を解決するための手段】

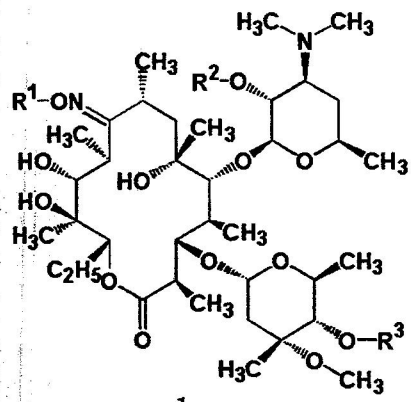
本発明者らは上記の課題を解決すべく鋭意研究してきた結果、本発明に係る新規なエリスロマイシン誘導体又はその塩が抗菌剤として有用であること、特に、非定型抗酸菌に対して優れた抗菌力を有する化合物であることを見い出し、本発明を完成させた。

【０００５】

即ち、本発明は次の一般式（Ｉ）

200
174

【化２】



(I)

(式中、R^1は置換基を有してもよい炭素数５～１２のアルキル基，置換基を有してもよいシクロアルキル基，置換基を有してもよい（シクロアルキル）アルキル基，置換基を有してもよいアラルキル基又は式－（CH_2）$_n$－X－R^4で示される基を表し、R^2は水素原子又はアセチル基を表し、R^3は置換基を有してもよいアシル基又は式－C（＝O）－Y－R^5で示される基を表し、R^4は置換基を有してもよいアルキル基，置換基を有してもよいアルコキシアルキル基，置換基を有してもよいアルキルチオアルキル基，置換基を有してもよいアルキルアミノアルキル基，置換基を有してもよいアリール基又は置換基を有してもよいアラルキル基を表し、R^5は置換基を有してもよいアルキル基，置換基を有してもよいアリール基又は置換基を有してもよいアラルキル基を表し、ｎは１～６の整数を表し、Ｘは酸素原子，硫黄原子又は－ＮＺ－で示される基を表し、Ｙは酸素原子又は　ＮＨ－基を表し、Ｚは水素原子又は置換基を有してもよいアルキル基を表す。）

で示される新規なエリスロマイシン誘導体又はその塩に関するものである。

【０００６】

本発明の第二の態様によれば、前記一般式（Ｉ）で示される化合物中、R^2が水素原子である化合物又はその塩が提供される。

【０００７】

更に本発明の別の態様によれば、前記一般式（Ｉ）で示される化合物又はその塩を有効成分とする医薬が提供される。本発明により提供される医薬は、例えば、抗菌剤、特に非定型抗酸菌症治療剤として好適に用いることができる。

【０００８】

20T 175

【発明の実施の形態】

以下、本発明に係る前記一般式（Ｉ）で示される新規なエリスロマイシン誘導体について具体的に説明する。本発明の前記一般式（Ｉ）において、R^1で示される置換基を有してもよい炭素数５～１２のアルキル基のアルキル基は、直鎖状又は分枝鎖状のアルキル基を表し、例えば、n-ペンチル基，イソペンチル基，ネオペンチル基，tert-ペンチル基，n-ヘキシル基，n-ヘプチル基，n-オクチル基，n-ノニル基，n-デシル基，n-ウンデシル基，n-ドデシル基等が挙げられる。R^1で示される置換基を有してもよいシクロアルキル基のシクロアルキル基は、炭素数３～６のシクロアルキル基を表し、例えば、シクロプロピル基，シクロブチル基，シクロペンチル基，シクロヘキシル基が挙げられ、R^1で示される置換基を有してもよい（シクロアルキル）アルキル基の（シクロアルキル）アルキル基は、炭素数１～１２の直鎖状又は分枝鎖状のアルキル基にシクロアルキル基が任意の位置で置換した基を表し、例えば、シクロプロピルメチル基，シクロブチルメチル基，シクロペンチルメチル基，シクロヘキシルメチル基，シクロプロピルエチル基，シクロブチルエチル基，シクロペンチルエチル基，シクロヘキシルエチル基，シクロヘキシルプロピル基，シクロヘキシルブチル基，シクロヘキシルペンチル基，シクロヘキシルヘキシル基，シクロヘキシルヘプチル基，シクロヘキシルオクチル基，シクロヘキシルノニル基，シクロヘキシルデシル基，シクロヘ　ノルウンデシル基，シクロヘキシルドデシル基等が挙げられる。

【０００９】

本発明の前記一般式（Ｉ）において、R^1，R^4又はR^5で示される置換基を有してもよいアラルキル基のアラルキル基は、炭素数１～１２の直鎖状又は分枝鎖状のアルキル基にアリール基が任意の位置で置換した基を表し、例えば、ベンジル基，ナフチルメチル基，ピリジルメチル基，ピリミジルメチル基，ピラジニルメチル基，フリルメチル基，ベンゾフラニルメチル基，テニル基，ベンゾ［ｂ］チエニルメチル基，ピロリルメチル基，インドリルメチル基，イミダゾリルメチル基，ベンゾイミダゾリルメチル基，キノリルメチル基，イソキノリルメチル基，フェネチル基，フェニルプロピル基，フェニルブチル基，フェニルペンチル基，フェニルヘキシル基，フェニルヘプチル基，フェニルオクチル基，フェニルノ

202 176

ニル基，フェニルデシル基，フェニルウンデシル基，フェニルドデシル基等が挙げられる。

【００１０】

R^3で示される置換基を有してもよいアシル基のアシル基は、ホルミル基、あるいは炭素数１～１２のアルキル基，シクロアルキル基，（シクロアルキル）アルキル基，アリール基又はアラルキル基の任意の位置にカルボニル基が置換した基を表し、例えば、アセチル基，プロピオニル基，ブチリル基，イソブチリル基，n-バレリル基，イソバレリル基，ピバロイル基，n-ヘキサノイル基，n-ヘプタノイル基，n-オクタノイル基，n-ノナノイル基，n-デカノイル基，n-ウンデカノイル基，n-ドデカノイル基，n-トリデカノイル基，シクロプロピルカルボニル基，シクロブチルカルボニル基，シクロペンチルカルボニル基，シクロヘキシルカルボニル基，シクロプロピルアセチル基，シクロブチルアセチル基，シクロペンチルアセチル基，シクロヘキシルアセチル基，シクロヘキシルプロピオニル基，シクロヘキシルブチリル基，シクロヘキシルペンタノイル基，シクロヘキシルヘキサノイル基，シクロヘキシルヘプタノイル基，シクロヘキシルオクタノイル基，シクロヘキシルノナノイル基，シクロヘキシルデカノイル基，シクロヘキシルウンデカノイル基，シクロヘキシルドデカノイル基，シクロヘキシルトリデカノイル基，ベンゾイル基，ナフトイル基，ニコチノイル基，イソニコチノイル基，ピリダゾリルカルボニル基，ピラジニルカルボニル基，フリルカルボニル基，ベンゾフラニルカルボニル基，チエニルカルボニル基，ベンゾ［ｂ］チエニルカルボニル基，ピロリルカルボニル基，インドリルカルボニル基，イミダゾリルカルボニル基，ベンゾイミダゾリルカルボニル基，キノリルカルボニル基，イソキノリルカルボニル基，フェニルアセチル基，ナフチルアセチル基，ピリジルアセチル基，フリルアセチル基，チエニルアセチル基，ピロリルアセチル基，フェニルプロピオニル基，フェニルブチリル基，フェニルペンタノイル基，フェニルヘキサノイル基，フェニルヘプタノイル基，フェニルオクタノイル基，フェニルノナノイル基，フェニルデカノイル基，フェニルウンデカノイル基，フェニルドデカノイル基，フェニルトリデカノイル基，α－メチルフェニルアセチル基等が挙げられる。

203
177

【００１１】

R^4，R^5又はＺで示される置換基を有してもよいアルキル基のアルキル基は、炭素数１～１２の直鎖状又は分枝鎖状のアルキル基を表し、例えば、メチル基，エチル基，n-プロピル基，イソプロピル基，n-ブチル基，イソブチル基，sec-ブチル基，tert-ブチル基，n-ペンチル基，イソペンチル基，ネオペンチル基，tert-ペンチル基，n-ヘキシル基，n-ヘプチル基，n-オクチル基，n-ノニル基，n-デシル基，n-ウンデシル基，n-ドデシル基等が挙げられる。R^4で示される置換基を有してもよいアルコキシアルキル基のアルコキシアルキル基は、炭素数１～１２の直鎖状又は分枝鎖状のアルキル基に炭素数１～１２の直鎖状又は分枝鎖状のアルコキシ基が任意の位置で置換した基を表し、例えば、メトキシメチル基，エトキシメチル基，n-プロポキシメチル基，イソプロポキシメチル基，n-ブトキシメチル基，イソブトキシメチル基，sec-ブトキシメチル基，tert-ブトキシメチル基，n-ペンチルオキシメチル基，イソペンチルオキシメチル基，ネオペンチルオキシメチル基，tert-ペンチルオキシメチル基，n-ヘキシルオキシメチル基，n-ヘプチルオキシメチル基，n-オクチルオキシメチル基，n-ノニルオキシメチル基，n-デシルオキシメチル基，n-ウンデシルオキシメチル基，n-ドデシルオキシメチル基，メトキシエチル基，メトキシプロピル基，メトキシブチル基，メトキシペンチル基，メトキシヘキシル基，メトキシヘプチル基，メトキシオクチル基，　、キシノニル基，メトキシデシル基，メトキシウンデシル基，メトキシドデシル基等が挙げられ、R^4で示される置換基を有してもよいアルキルチオアルキル基のアルキルチオアルキル基は、炭素数１～１２の直鎖状又は分枝鎖状のアルキル基に炭素数１～１２の直鎖状又は分枝鎖状のアルキルチオ基が任意の位置で置換した基を表し、例えば、メチルチオメチル基，エチルチオメチル基，n-プロピルチオメチル基，イソプロピルチオメチル基，n-ブチルチオメチル基，イソブチルチオメチル基，sec-ブチルチオメチル基，tert-ブチルチオメチル基，n-ペンチルチオメチル基，イソペンチルチオメチル基，ネオペンチルチオメチル基，tert-ペンチルチオメチル基，n-ヘキシルチオメチル基，n-ヘプチルチオメチル基，n-オクチルチオメチル基，n-ノニルチオメチル基，n-デシルチオメチル基，n-ウンデシルチオメチル基，n-ドデシルチオメチル基，メチルチオエチル基，メ

チルチオプロピル基，メチルチオブチル基，メチルチオペンチル基，メチルチオヘキシル基，メチルチオヘプチル基，メチルチオオクチル基，メチルチオノニル基，メチルチオデシル基，メチルチオウンデシル基，メチルチオドデシル基等が挙げられ、R^4で示される置換基を有してもよいアルキルアミノアルキル基のアルキルアミノアルキル基は、炭素数１～１２の直鎖状又は分枝鎖状のアルキル基に、炭素数１～１２の直鎖状又は分枝鎖状のアルキル基が１個又は２個置換したアミノ基が任意の位置で置換した基を表し、例えば、メチルアミノメチル基，エチルアミノメチル基，n-プロピルアミノメチル基，イソプロピルアミノメチル基，n-ブチルアミノメチル基，イソブチルアミノメチル基，sec-ブチルアミノメチル基，tert-ブチルアミノメチル基，n-ペンチルアミノメチル基，イソペンチルアミノメチル基，ネオペンチルアミノメチル基，tert-ペンチルアミノメチル基，n-ヘキシルアミノメチル基，n-ヘプチルアミノメチル基，n-オクチルアミノメチル基，n-ノニルアミノメチル基，n-デシルアミノメチル基，n-ウンデシルアミノメチル基，n-ドデシルアミノメチル基，メチルアミノエチル基，メチルアミノプロピル基，メチルアミノブチル基，メチルアミノペンチル基，メチルアミノヘキシル基，メチルアミノヘプチル基，メチルアミノオクチル基，メチルアミノノニル基，メチルアミノデシル基，メチルアミノウンデシル基，メチルアミノドデシル基，ジメチルアミノメチル基，ジメチルアミノエチル基等が挙げられる。

【００１２】

本発明の前記一般式（Ｉ）において、R^4又はR^5で示される置換基を有してもよいアリール基のアリール基は、例えば、フェニル基，ナフチル基，ピリジル基，ピリミジル基，ピラジニル基，フリル基，ベンゾフラニル基，チエニル基，ベンゾ［ｂ］チエニル基，ピロリル基，インドリル基，イミダゾリル基，ベンゾイミダゾリル基，キノリル基，イソキノリル基等の単環又は多環の芳香環が挙げられる。

【００１３】

本発明の前記一般式（Ｉ）において、ある官能基について「置換基を有してもよい」という場合には、これらの基に置換可能な基ならばいかなるものでもよい。置換基の個数及び種類は特に限定されず、２個以上の置換基が存在する場合に

205
179

は、それらは同一でも異なっていてもよい。例えば、保護基を有してもよい水酸基，アルコキシ基，置換基を有してもよいアミノ基，置換基を有してもよいカルバモイル基，ハロゲン原子，アルキル基，トリフルオロメチル基，アシル基，シクロアルキル基，アリール基，アリールオキシ基，シアノ基，ニトロ基，グアニジノ基，アミジノ基，カルボキシル基，アルコキシカルボニル基，アリールオキシカルボニル基，アラルキルオキシカルボニル基等が挙げられる。水酸基の保護基としては、水酸基が反応に関与すべきでない系において実質的に不活性であって、かつ特定の脱保護反応の条件下で容易に開裂できるものならばいかなるものを用いてもよく、例えば、アシル基，トリアルキルシリル基，ベンジル基等が挙げられる。水酸基の保護基であるトリアルキルシリル基としては、例えば、トリメチルシリル基，トリエチルシリル基等が挙げられる。又、アルコキシ基は直鎖状又は分枝鎖状のアルコキシ基を表し、例えば、メトキシ基，エトキシ基，n-プロポキシ基，イソプロポキシ基，n-ブトキシ基，イソブトキシ基，sec-ブトキシ基，tert-ブトキシ基，n-ペンチルオキシ基，イソペンチルオキシ基，ネオペンチルオキシ基，tert-ペンチルオキシ基，n-ヘキシルオキシ基等が挙げられる。置換基を有してもよいアミノ基としては、例えば、アミノ基，メチルアミノ基，エチルアミノ基，n-プロピルアミノ基，イソプロピルアミノ基，n-ブチルアミノ基，イソブチルアミノ基，sec-ブチルアミノ基，tert-ブチルアミノ基，n-ペンチ　アミノ基，イソペンチルアミノ基，ネオペンチルアミノ基，tert-ペンチルアミノ基，n-ヘキシルアミノ基，ジメチルアミノ基，ジエチルアミノ基等が挙げられ、置換基を有してもよいカルバモイル基としては、例えば、カルバモイル基，Ｎ－メチルカルバモイル基，Ｎ－エチルカルバモイル基，Ｎ－n-プロピルカルバモイル基，Ｎ－イソプロピルカルバモイル基，Ｎ－n-ブチルカルバモイル基，Ｎ－イソブチルカルバモイル基，Ｎ－sec-ブチルカルバモイル基，Ｎ－tert-ブチルカルバモイル基，Ｎ－n-ペンチルカルバモイル基，Ｎ－イソペンチルカルバモイル基，Ｎ－ネオペンチルカルバモイル基，Ｎ－tert-ペンチルカルバモイル基，Ｎ－n-ヘキシルカルバモイル基，Ｎ，Ｎ－ジメチルカルバモイル基，Ｎ，Ｎ－ジエチルカルバモイル基等が、ハロゲン原子としては、例えば、フッ素原子，塩素原子，臭素原子又はヨウ素原子が挙げられ、アリールオキシ基としては、例

えば、フェノキシ基，ナフチルオキシ基，ピリジルオキシ基，ピリミジルオキシ基，ピラジニルオキシ基，ベンゾフラニルオキシ基，チエニルオキシ基，インドリルオキシ基，ベンゾイミダゾリルオキシ基，キノリルオキシ基，イソキノリルオキシ基等が挙げられる。アルコキシカルボニル基としては、例えば、メトキシカルボニル基，エトキシカルボニル基，n-プロポキシカルボニル基，イソプロポキシカルボニル基，n-ブトキシカルボニル基，イソブトキシカルボニル基，sec-ブトキシカルボニル基，tert-ブトキシカルボニル基，n-ペンチルオキシカルボニル基，イソペンチルオキシカルボニル基，ネオペンチルオキシカルボニル基，tert-ペンチルオキシカルボニル基，n-ヘキシルオキシカルボニル基等が挙げられ、アリールオキシカルボニル基としては、例えば、フェノキシカルボニル基，ナフチルオキシカルボニル基，ピリジルオキシカルボニル基，ピリミジルオキシカルボニル基，ベンゾフラニルオキシカルボニル基，チエニルオキシカルボニル基，インドリルオキシカルボニル基，ベンゾイミダゾリルオキシカルボニル基，キノリルオキシカルボニル基，イソキノリルオキシカルボニル基等が挙げられ、アラルキルオキシカルボニル基としては、例えば、ベンジルオキシカルボニル基，ナフチルメチルオキシカルボニル基，ピリジルメチルオキシカルボニル基，フリルメチルオキシカルボニル基，ベンゾフラニルメチルオキシカルボニル基，テニルオキシカルボニル基，インドリルメチルオキシカルボニル基，イミダゾリルメチルオキシカルボニル基，ベンゾイミダゾリルメチルオキシカルボニル基，キノリルメチルオキシカルボニル基，イソキノリルメチルオキシカルボニル基等が挙げられる。

又、上記の置換可能なアルキル基，アシル基，シクロアルキル基，アリール基は、例えば、前述に例記したような基が挙げられる。

【００１４】

本発明の前記一般式（Ｉ）で示される化合物には、光学異性体，ジアステレオ異性体，幾何異性体などの立体異性体が存在しうるが、これら異性体及びその混合物並びにそれらの塩も本発明の範囲に包含される。

【００１５】

本発明の前記一般式（Ｉ）で示される化合物は、所望により塩、好ましくは薬

理学的に許容しうる塩に変換することができ、又、生成した塩から遊離形態の化合物に変換することもできる。本発明の前記一般式（Ｉ）で示される化合物の塩としては、酸付加塩又はアルカリ付加塩が挙げられ、酸付加塩としては、例えば、塩酸塩，臭化水素酸塩，硝酸塩，硫酸塩，ヨウ化水素酸塩，燐酸塩等の鉱酸塩、酢酸塩，プロピオン酸塩，酪酸塩，ギ酸塩，トリフルオロ酢酸塩，マレイン酸塩，酒石酸塩，クエン酸塩，ステアリン酸塩，コハク酸塩，ラクトビオン酸塩，グルコン酸塩，安息香酸塩，メタンスルホン酸塩，エタンスルホン酸塩，２－ヒドロキシエタンスルホン酸塩，ベンゼンスルホン酸塩，p-トルエンスルホン酸塩，ラウリル硫酸塩，グルセプト酸塩，リンゴ酸塩，アスパラギン酸塩，グルタミン．　，アジピン酸塩，シュウ酸塩，ニコチン酸塩，ピクリン酸塩，チオシアン酸塩，ウンデカン酸塩，マンデル酸塩，フマル酸塩，１０－カンファースルホン酸塩，乳酸塩，５－オキソテトラヒドロフラン－２－カルボン酸塩，２－ヒドロキシグルタル酸塩等の有機酸塩が、アルカリ付加塩としては、例えば、ナトリウム塩，カリウム塩，カルシウム塩，マグネシウム塩，アンモニウム塩等の無機アルカリ塩、エタノールアミン塩，Ｎ，Ｎ－ジアルキルエタノールアミン塩等の有機塩基の塩が挙げられる。

【００１６】

本発明の前記一般式（Ｉ）で示される化合物又はその塩は、製造条件により任意の結晶形として、又、任意の水もしくは有機溶媒の溶媒和物として存在することができるが、これらの結晶形，水和物，溶媒和物及びそれらの混合物も本発明の範囲に包含される。

【００１７】

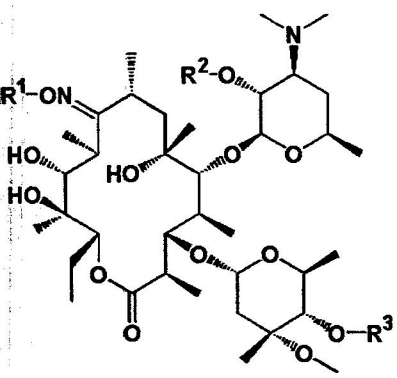
本発明の好ましい化合物としては、以下に記載する化合物が挙げられるが、本発明はこれらに限定されるものではない。尚、表中に示したMeはメチル基，Etはエチル基，n-Prはn-プロピル基，i-Prはイソプロピル基，n-Buはn-ブチル基，i-Buはイソブチル基，s-Buはsec-ブチル基，t-Buはtert-ブチル基，n-Pentはn-ペンチル基，i-Pentはイソペンチル基，neo-Pentはネオペンチル基，t-Pentはtert-ペンチル基，n-Hexはn-ヘキシル基，n-Heptはn-ヘプチル基，n-Octはn-オクチル基，n-Nonはn-ノニル基，n-Decはn-デシル基，n-Undecはn-ウンデシル基，n-D

208/32

odecはn-ドデシル基，Acはアセチル基を表す。

【００１８】

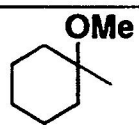
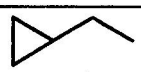
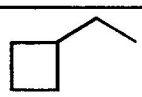
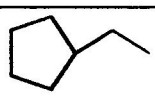
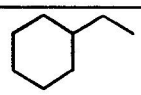
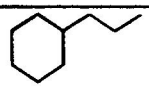
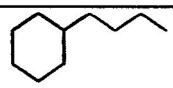
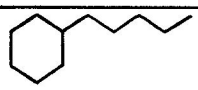
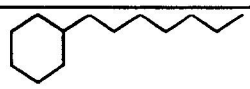
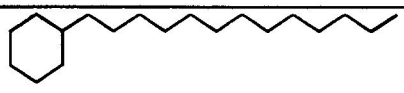
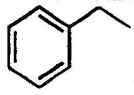
【化３】



【００１９】

209, 183

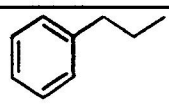
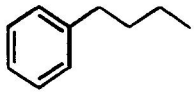
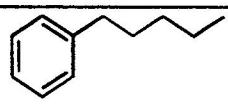
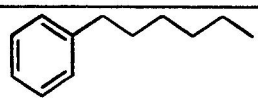
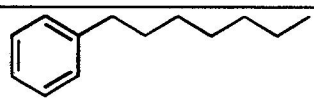
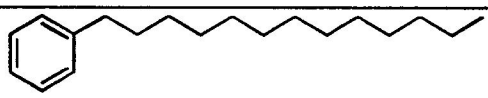
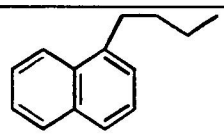
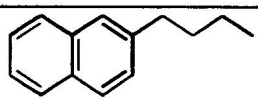
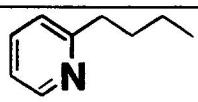
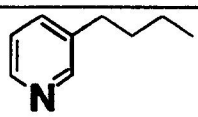
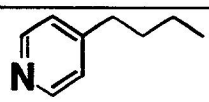
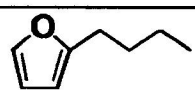
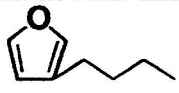
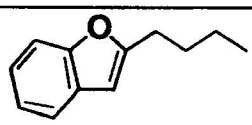
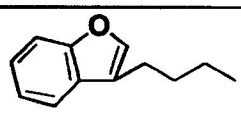
【表１】

化合物番号	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

【００２０】

210
134

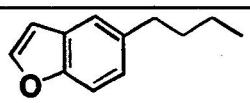
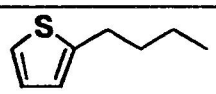
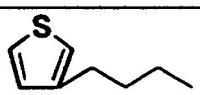
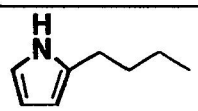
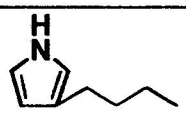
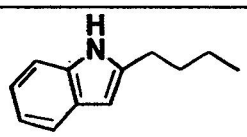
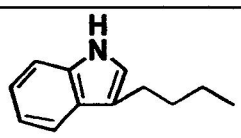
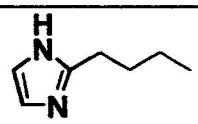
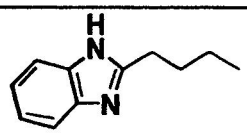
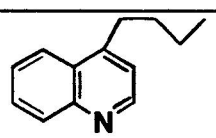
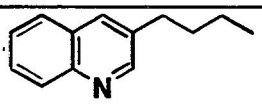
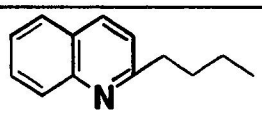
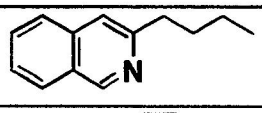
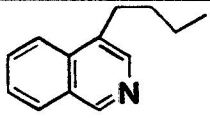
【表２】

化合物号	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
		Ac	Ac
33		Ac	Ac
34		Ac	Ac
35		Ac	Ac
36		Ac	Ac
37		Ac	Ac

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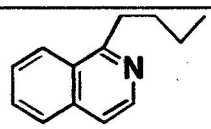
【００２１】

【表３】

化合物号	R^1	R^2	R^3
38		Ac	Ac
39		Ac	Ac
40		Ac	Ac
		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

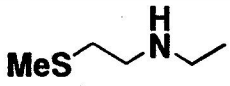
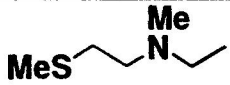
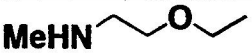
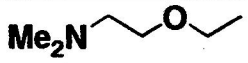
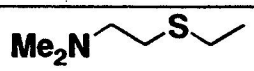
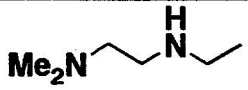
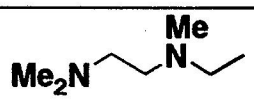
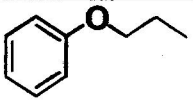
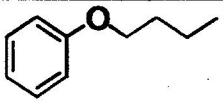
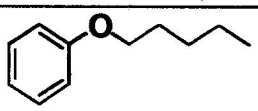
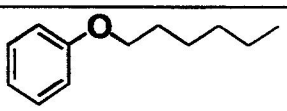
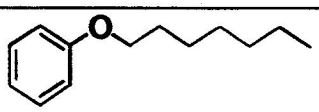
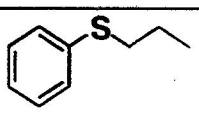
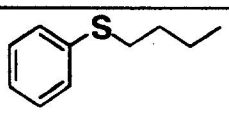
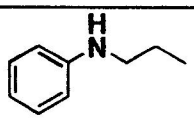
【００２２】

【表４】

化合物号	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
	MeO–O	Ac	Ac
68	n-HexO–O	Ac	Ac
69	n-DodecO–O	Ac	Ac
70	MeO–O	Ac	Ac
71	MeO–O	Ac	Ac
72	MeO–S	Ac	Ac
73	MeO–NH	Ac	Ac
74	MeO–NMe	Ac	Ac
75	MeS–O	Ac	Ac

【００２３】

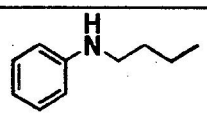
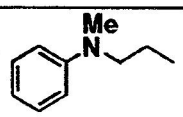
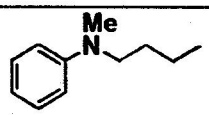
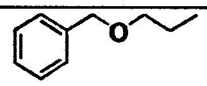
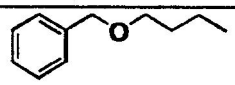
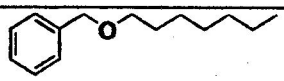
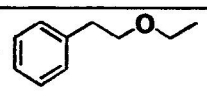
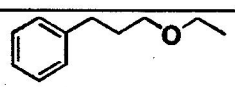
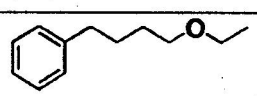
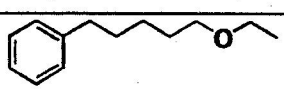
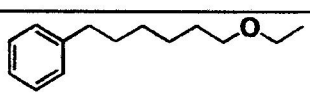
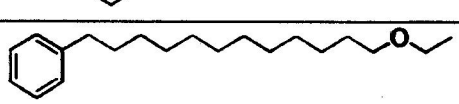
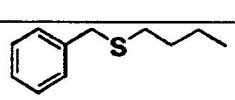
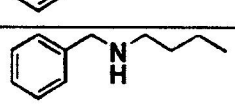
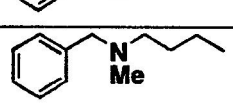
【表５】

化合物番号	R'	R^2	R^3
76		Ac	Ac
77		Ac	Ac
78		Ac	Ac
79		Ac	Ac
		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

214, 188

【００２４】

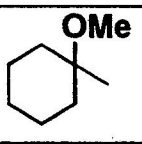
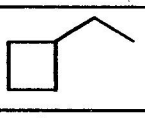
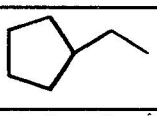
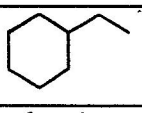
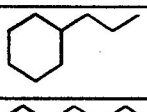
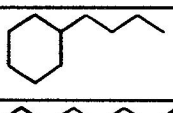
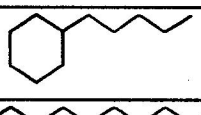
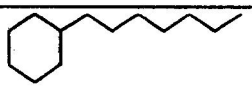
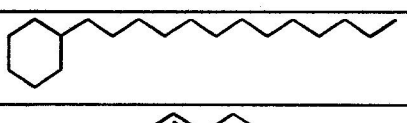
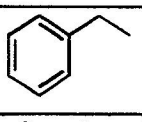
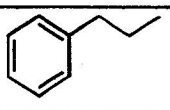
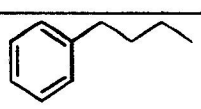
【表６】

化合物番号	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

【００２５】

215 189

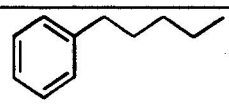
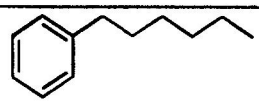
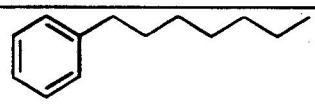
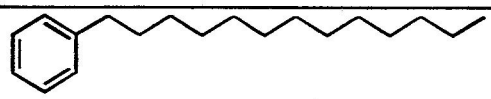
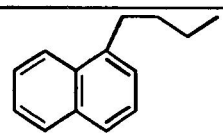
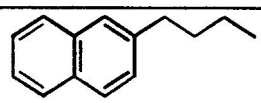
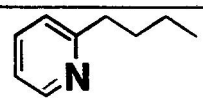
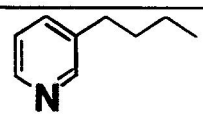
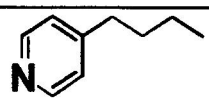
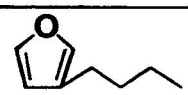
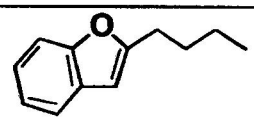
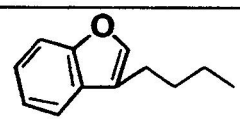
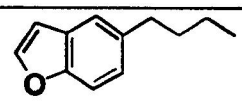
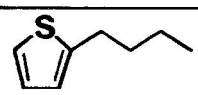
【表７】

化合物番号	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

【００２６】

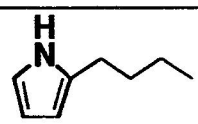
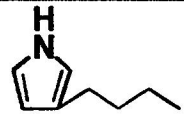
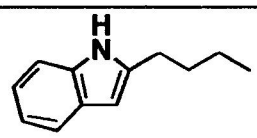
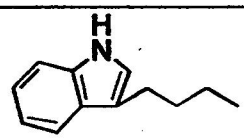
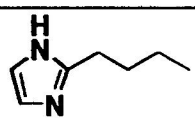
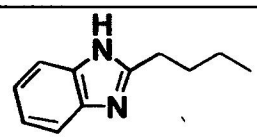
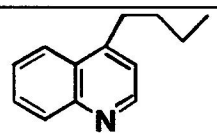
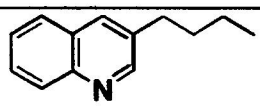
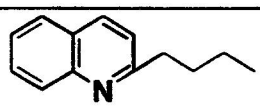
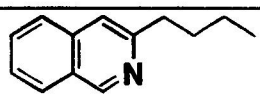
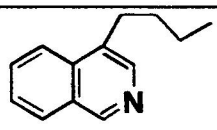
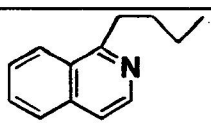
2/6/90

【表８】

化合物番号	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

【００２７】

【表９】

化合物号	R^1	R^2	R^3
146		H	Ac
147		H	Ac
148		H	Ac
		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

【００２８】

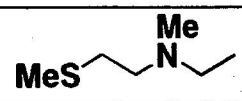
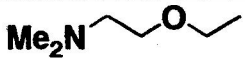
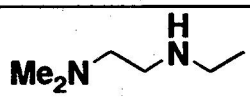
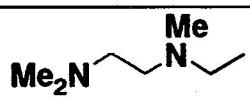
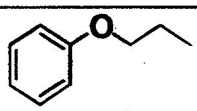
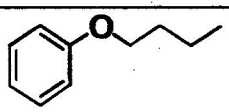
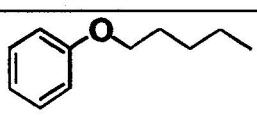
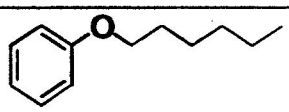
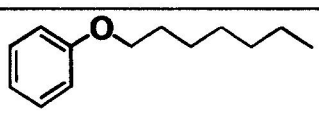
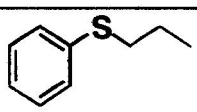
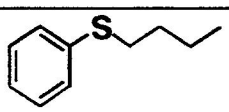
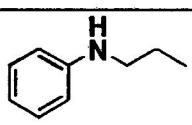
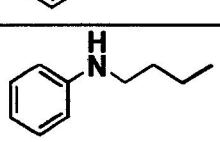
218/92

【表１０】

化合物番号	R¹	R²	R³
159	MeO	H	Ac
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-CH₂-CH₂-O	H	Ac
174	n-HexO-CH₂-CH₂-O	H	Ac
175	n-DodecO-CH₂-CH₂-O	H	Ac
176	MeO-(CH₂)₄-O-(CH₂)₄	H	Ac
177	MeO-(CH₂)₁₀-O	H	Ac
178	MeO-CH₂-CH₂-S	H	Ac
179	MeO-CH₂-CH₂-NH	H	Ac
180	MeO-CH₂-CH₂-NMe	H	Ac
181	MeS-CH₂-CH₂-O	H	Ac
182	MeS-CH₂-CH₂-S	H	Ac
183	MeS-CH₂-CH₂-NH	H	Ac

【００２９】

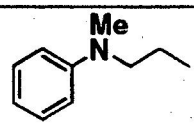
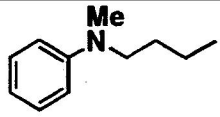
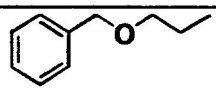
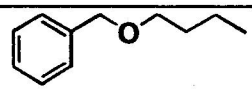
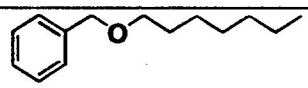
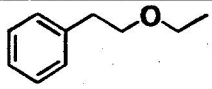
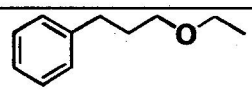
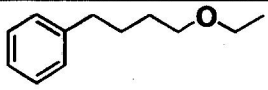
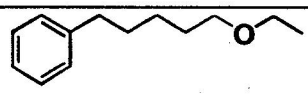
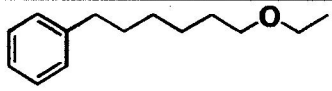
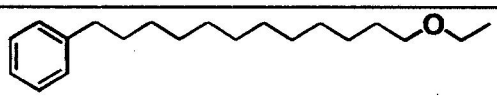
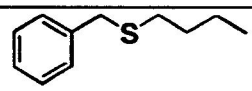
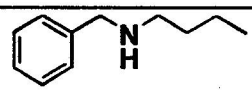
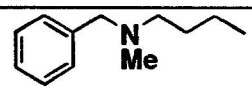
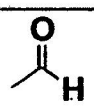
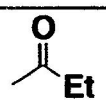
【表１１】

化合物番号	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
192		H	Ac
193		H	Ac
[redacted]4		H	Ac
195		H	Ac
196		H	Ac
197		H	Ac
198		H	Ac

【００３０】

220
194

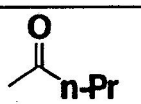
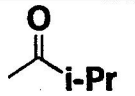
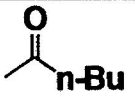
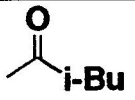
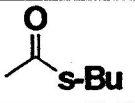
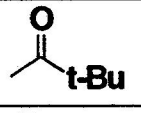
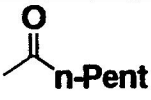
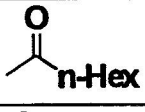
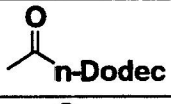
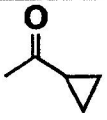
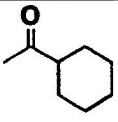
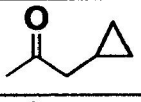
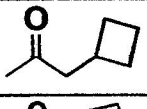
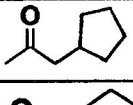
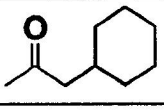
【表１２】

化合物番号	R¹	R²	R³
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	

【００３１】

22t 195

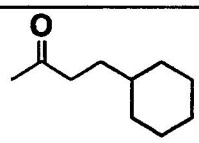
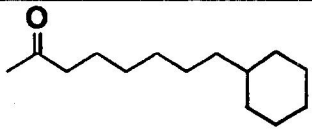
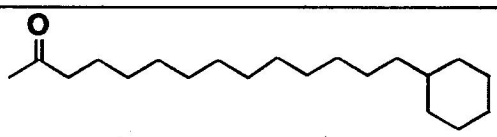
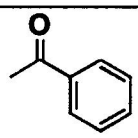
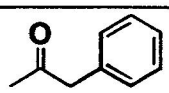
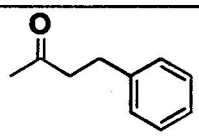
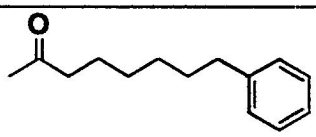
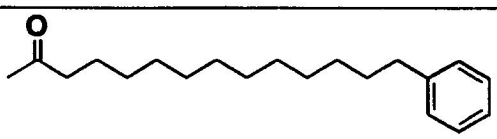
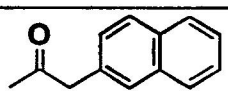
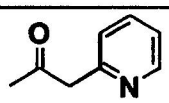
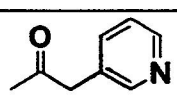
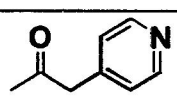
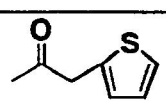
【表１３】

化合物号	R^1	R^2	R^3
215	n-Oct	H	
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	
224	n-Oct	H	
225	n-Oct	H	
226	n-Oct	H	
227	n-Oct	H	
228	n-Oct	H	
229	n-Oct	H	

【００３２】

222 196

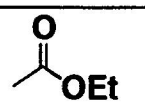
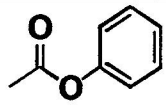
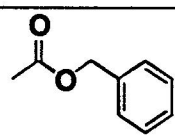
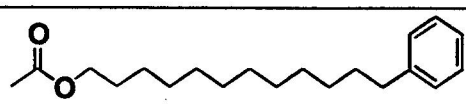
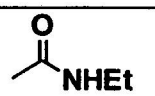
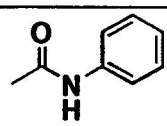
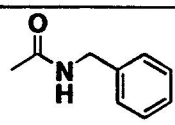
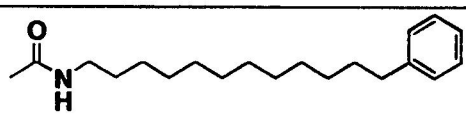
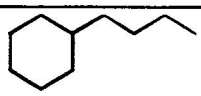
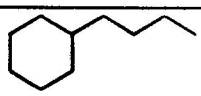
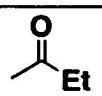
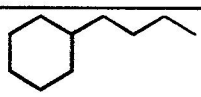
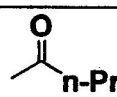
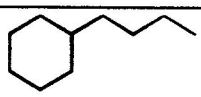
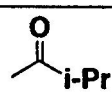
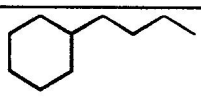
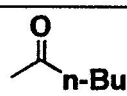
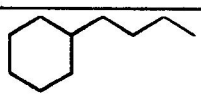
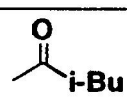
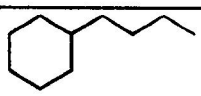
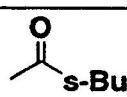
【表１４】

化合物	R¹	R²	R³
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	
237	n-Oct	H	
238	n-Oct	H	
239	n-Oct	H	
240	n-Oct	H	
241	n-Oct	H	
242	n-Oct	H	

【００３３】

225
197

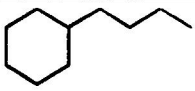
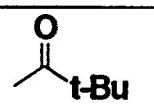
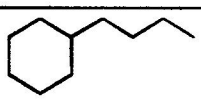
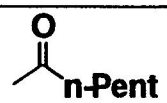
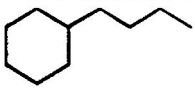
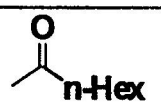
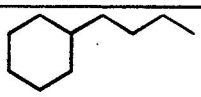
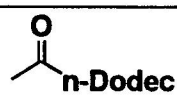
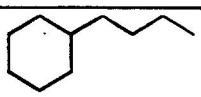
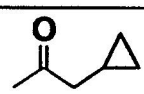
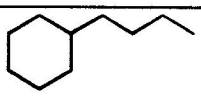
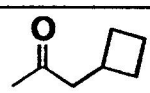
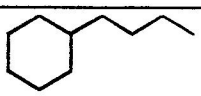
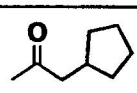
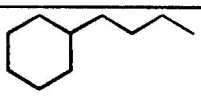
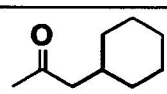
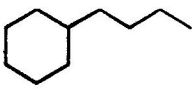
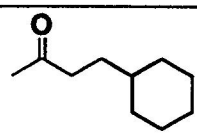
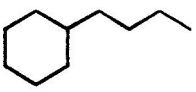
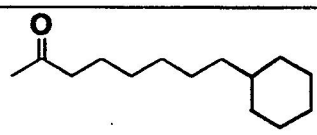
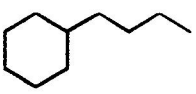
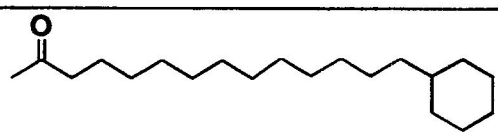
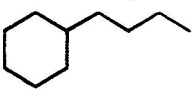
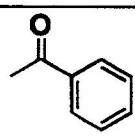
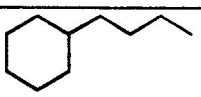
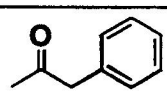
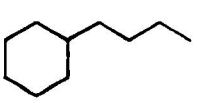
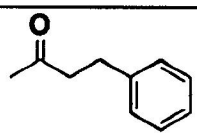
【表１５】

化合番号	R^1	R^2	R^3
243	n-Oct	H	
244	n-Oct	H	
245	n-Oct	H	
	n-Oct	H	
247	n-Oct	H	
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	

【００３４】

224
198

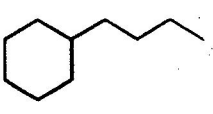
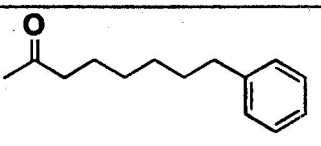
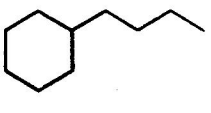
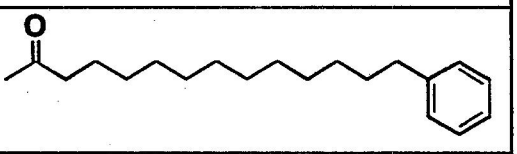
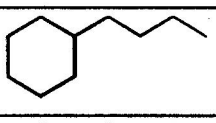
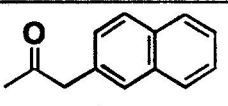
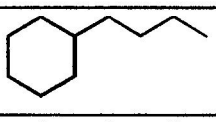
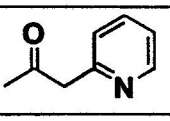
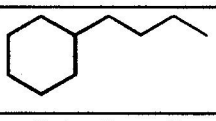
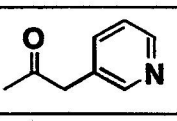
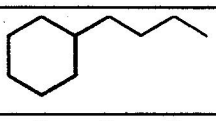
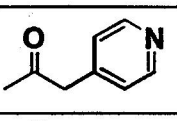
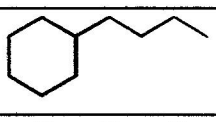
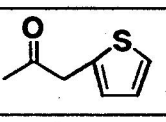
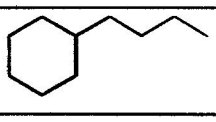
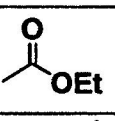
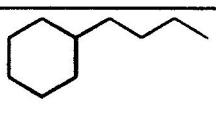
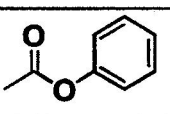
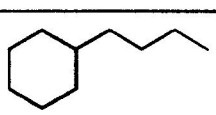
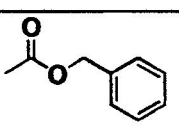
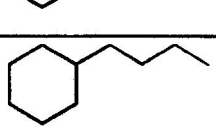
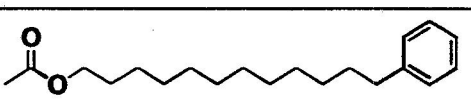
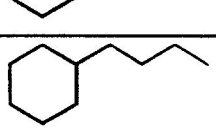
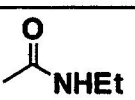
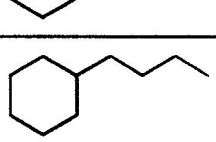
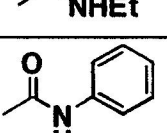
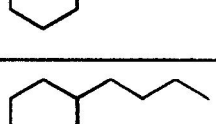
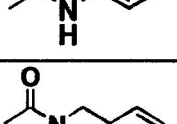
【表１６】

化合物号	R^1	R^2	R^3
258		H	
259		H	
260		H	
261		H	
262		H	
263		H	
264		H	
265		H	
266		H	
[redacted]		H	
268		H	
269		H	
270		H	
271		H	

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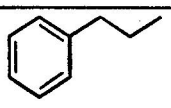
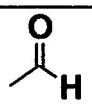
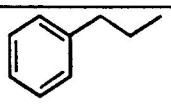
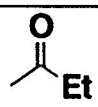
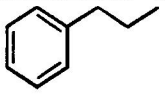
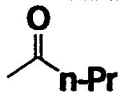
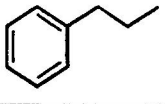
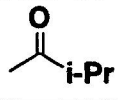
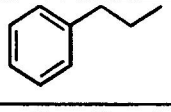
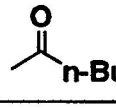
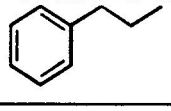
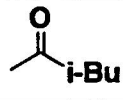
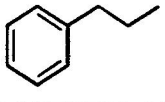
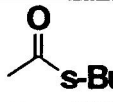
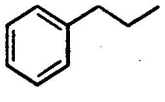
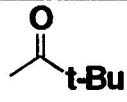
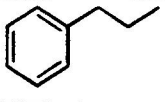
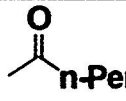
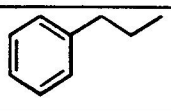
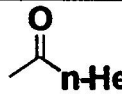
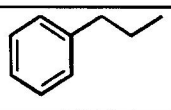
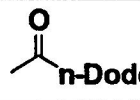
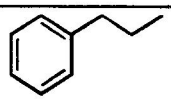
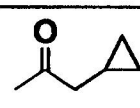
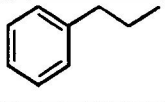
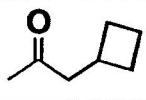
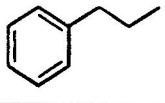
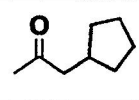
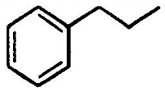
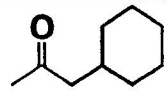
225
199

【表１７】

化合物号	R^1	R^2	R^3
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273		H	
274		H	
275		H	
276		H	
277		H	
278		H	
279		H	
280		H	
281		H	
282		H	
283		H	
284		H	
285		H	

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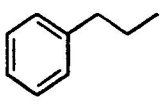
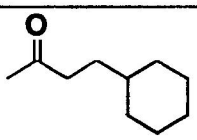
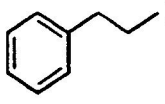
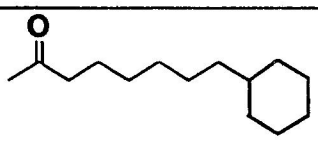
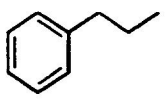
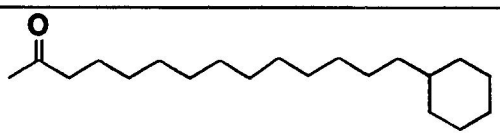
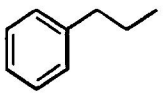
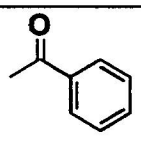
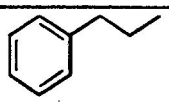
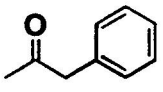
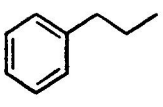
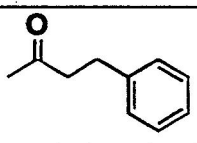
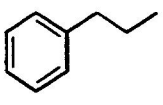
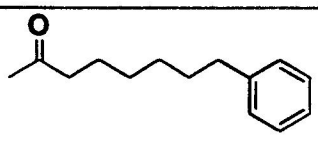
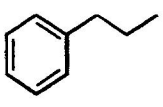
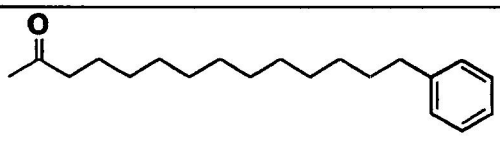
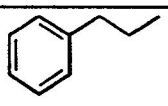
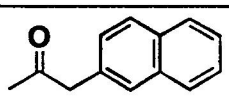
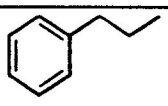
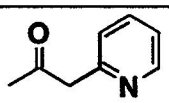
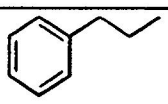
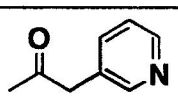
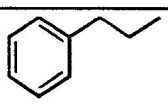
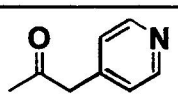
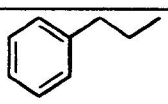
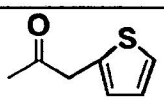
【表１８】

化合物番号	R^1	R^2	R^3
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287		H	
288		H	
289		H	
290		H	
291		H	
292		H	
293		H	
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300		H	

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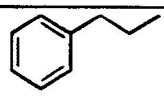
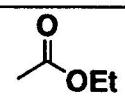
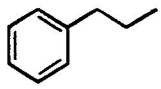
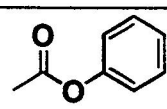
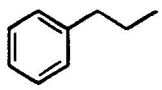
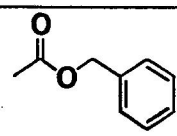
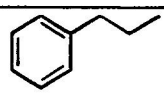
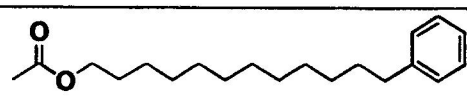
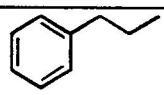
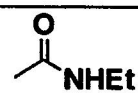
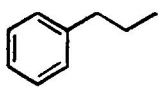
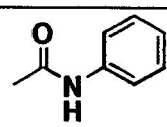
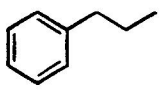
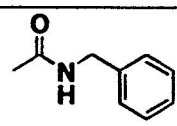
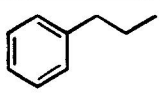
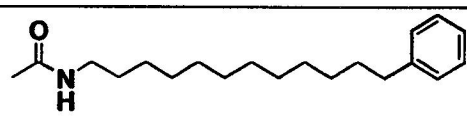
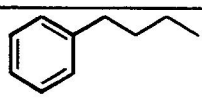
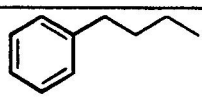
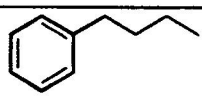
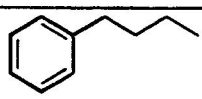
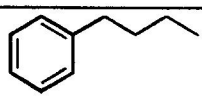
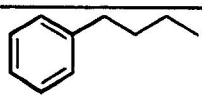
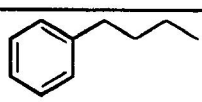
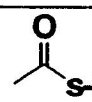
201 227

【表１９】

化合物番号	R^1	R^2	R^3
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302		H	
303		H	
304		H	
305		H	
306		H	
307		H	
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309		H	
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311		H	
312		H	
313		H	

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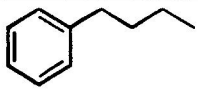
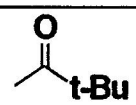
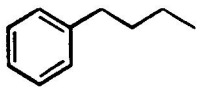
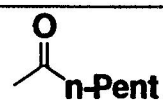
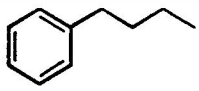
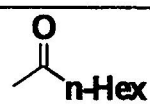
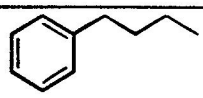
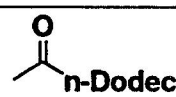
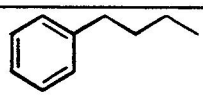
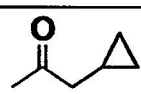
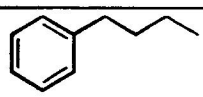
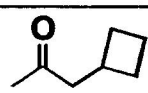
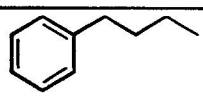
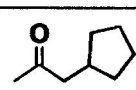
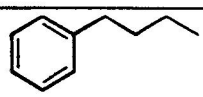
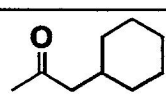
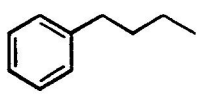
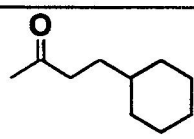
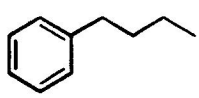
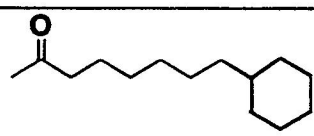
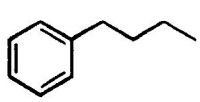
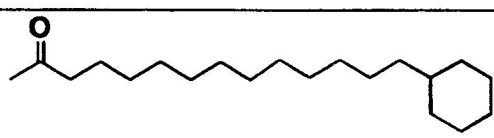
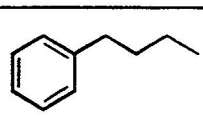
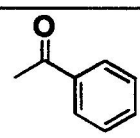
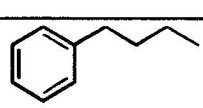
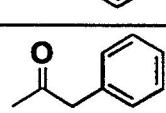
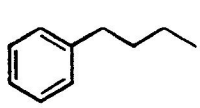
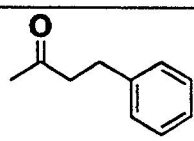
【表２０】

化合物番号	R^1	R^2	R^3
314		H	
315		H	
316		H	
317		H	
318		H	
319		H	
320		H	
321		H	
322		H	
323		H	
324		H	
325		H	
326		H	
327		H	
328		H	

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229,03

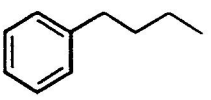
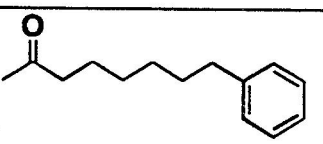
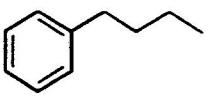
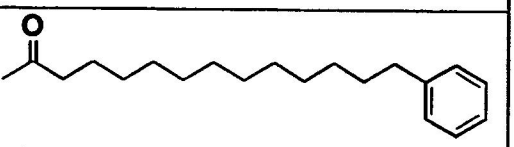
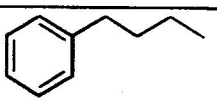
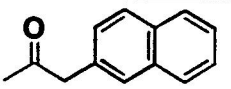
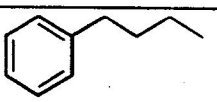
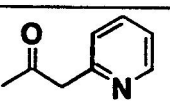
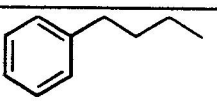
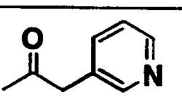
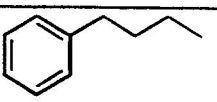
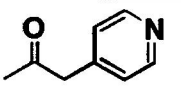
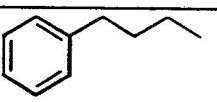
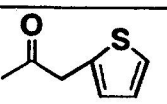
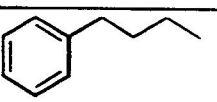
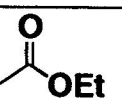
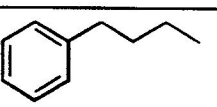
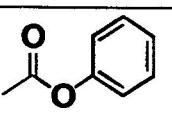
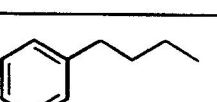
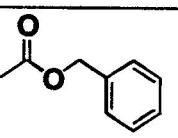
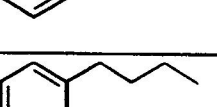
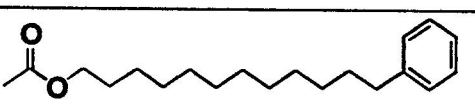
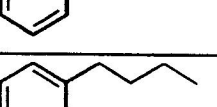
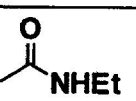
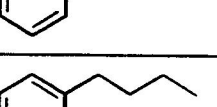
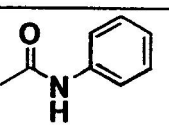
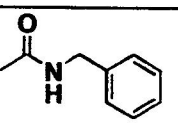
【表２１】

化合物番号	R^1	R^2	R^3
329		H	
330		H	
331		H	
332		H	
333		H	
334		H	
335		H	
336		H	
337		H	
338		H	
339		H	
340		H	
341		H	
342		H	

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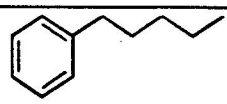
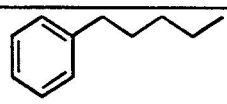
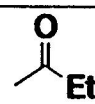
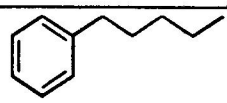
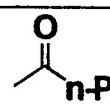
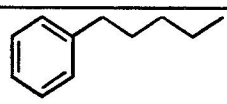
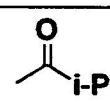
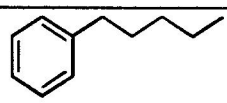
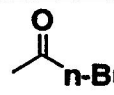
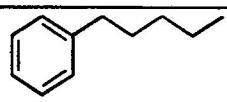
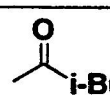
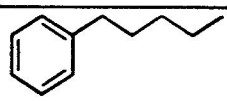
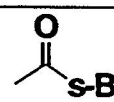
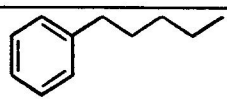
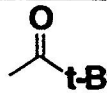
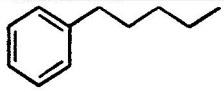
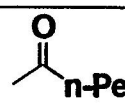
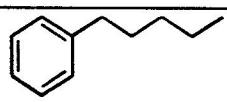
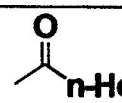
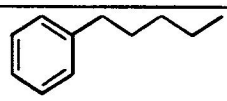
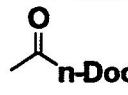
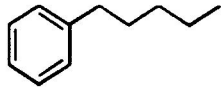
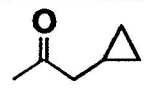
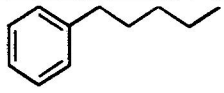
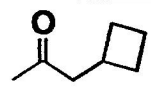
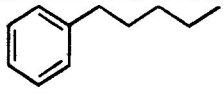
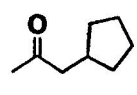
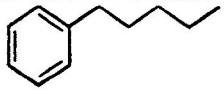
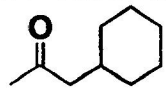
230 204

【表２２】

化合物番号	R^1	R^2	R^3
343		H	
344		H	
345		H	
346		H	
347		H	
348		H	
349		H	
350		H	
351		H	
352		H	
353		H	
354		H	
355		H	
356		H	

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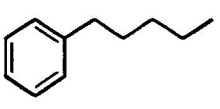
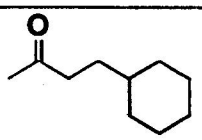
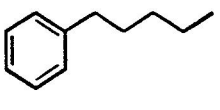
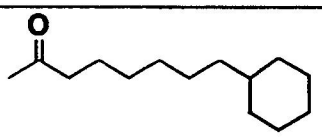
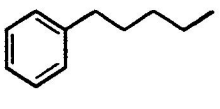
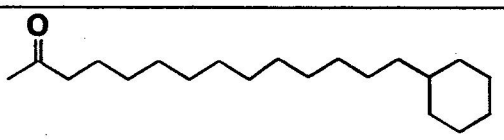
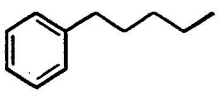
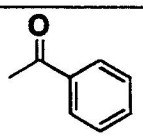
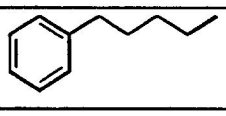
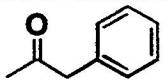
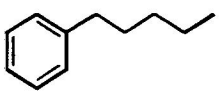
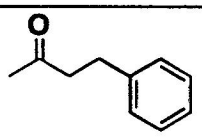
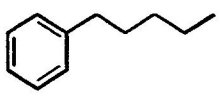
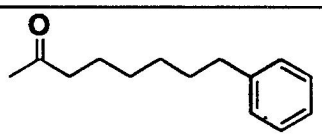
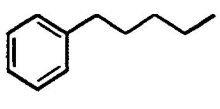
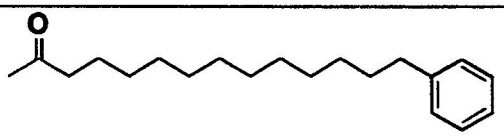
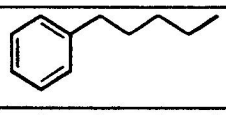
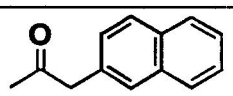
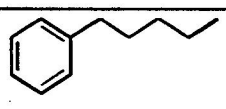
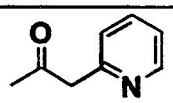
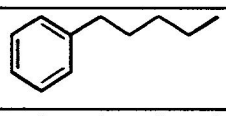
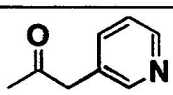
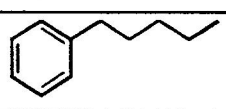
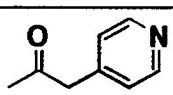
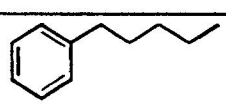
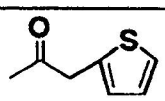
【表２３】

化合物番号	R^1	R^2	R^3
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358		H	
359		H	
360		H	
361		H	
362		H	
363		H	
364		H	
365		H	
366		H	
367		H	
368		H	
369		H	
370		H	
371		H	

【００４２】

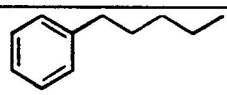
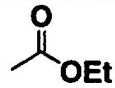
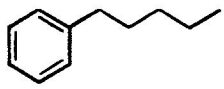
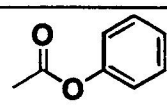
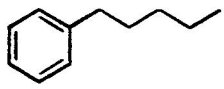
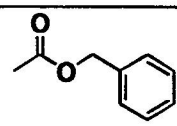
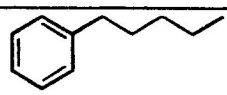
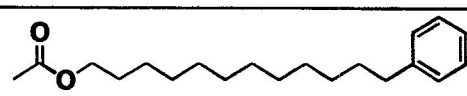
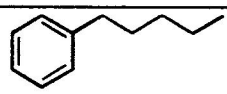
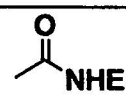
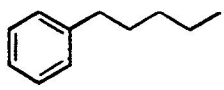
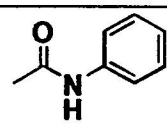
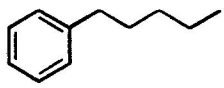
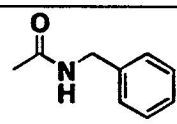
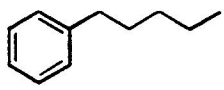
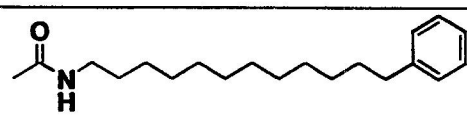
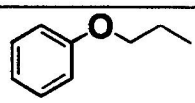
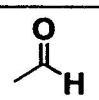
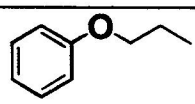
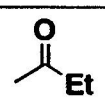
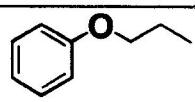
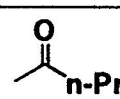
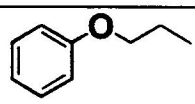
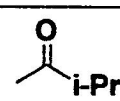
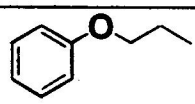
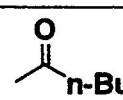
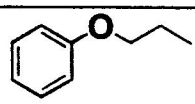
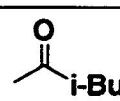
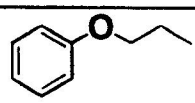
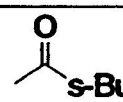
232/206

【表２４】

化合物番号	R^1	R^2	R^3
372		H	
373		H	
374		H	
375		H	
376		H	
377		H	
378		H	
379		H	
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381		H	
382		H	
383		H	
384		H	

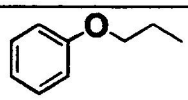
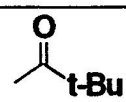
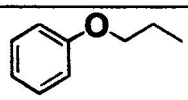
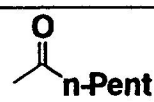
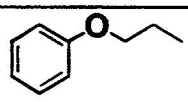
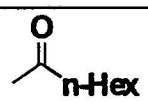
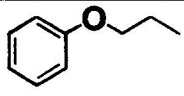
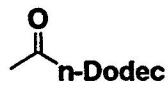
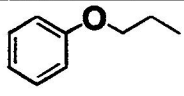
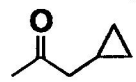
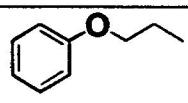
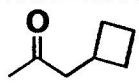
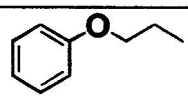
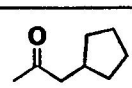
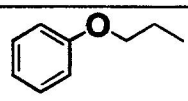
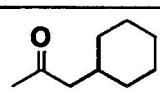
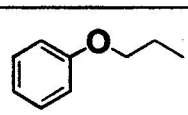
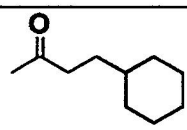
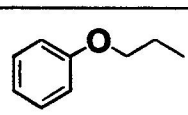
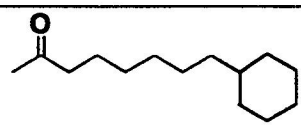
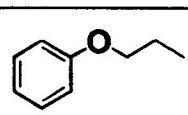
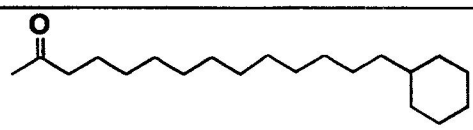
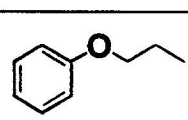
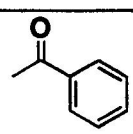
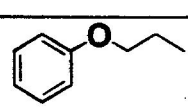
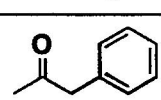
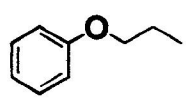
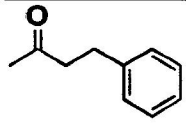
【００４３】

【表２５】

化合物番号	R^1	R^2	R^3
385		H	
386		H	
387		H	
388		H	
389		H	
390		H	
391		H	
392		H	
393		H	
394		H	
395		H	
396		H	
397		H	
398		H	
399		H	

【００４４】

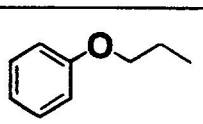
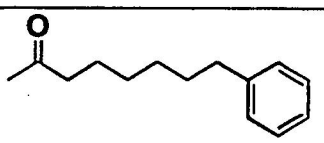
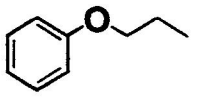
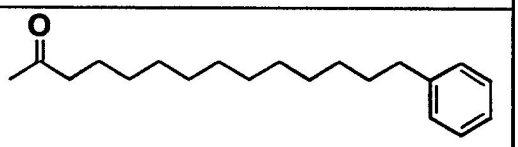
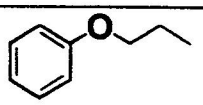
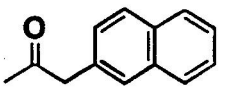
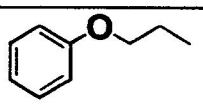
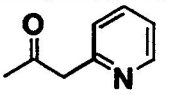
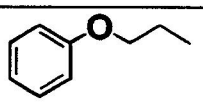
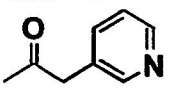
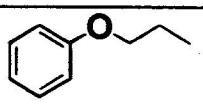
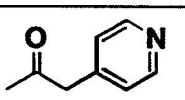
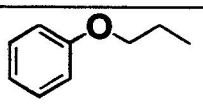
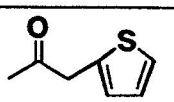
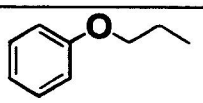
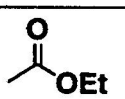
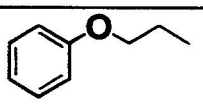
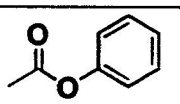
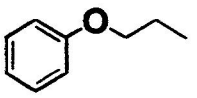
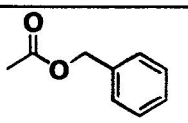
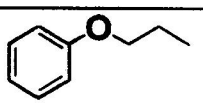
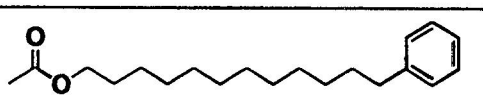
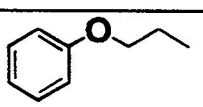
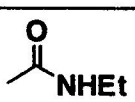
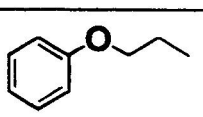
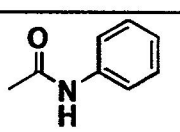
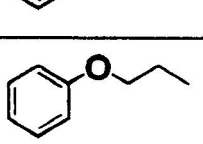
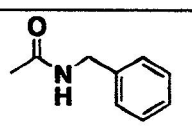
【表２６】

化合物番号	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	
412		H	
413		H	

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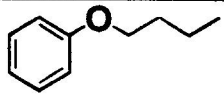
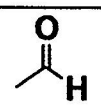
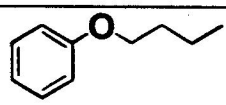
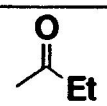
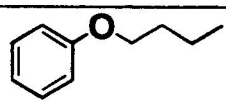
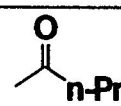
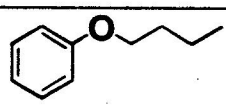
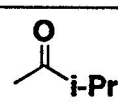
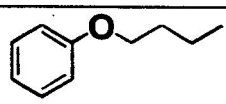
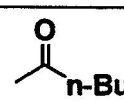
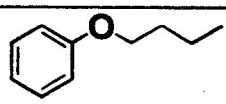
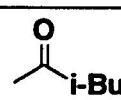
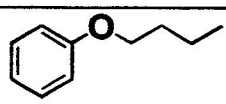
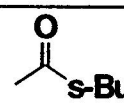
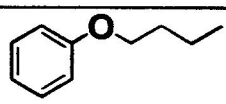
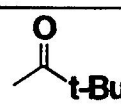
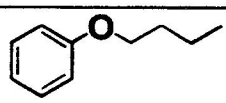
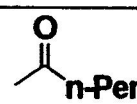
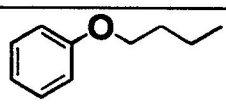
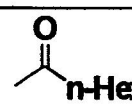
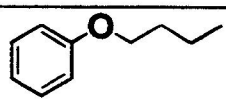
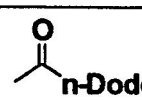
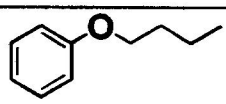
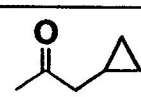
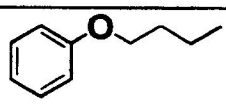
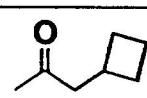
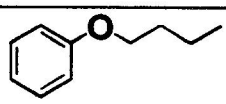
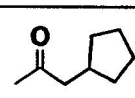
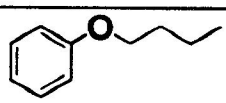
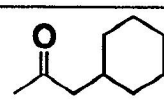
235 29

【表２７】

化合物番号	R^1	R^2	R^3
414		H	
415		H	
416		H	
417		H	
418		H	
419		H	
420		H	
421		H	
422		H	
423		H	
424		H	
425		H	
426		H	
427		H	

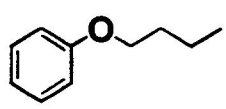
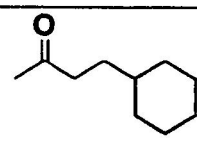
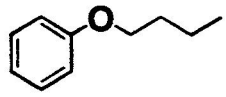
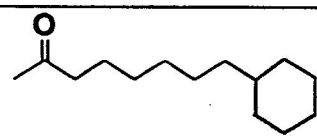
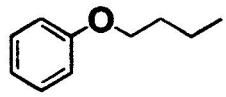
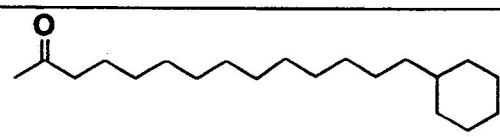
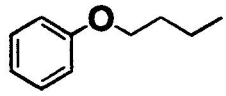
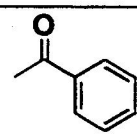
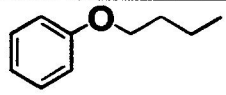
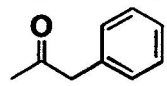
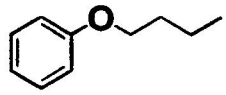
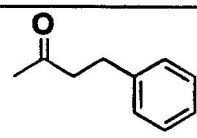
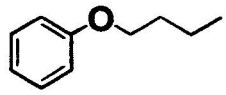
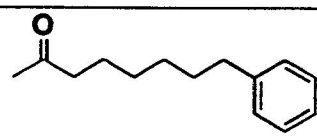
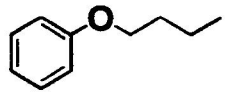
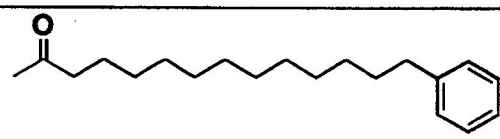
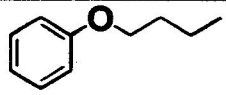
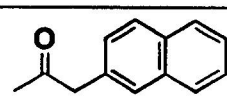
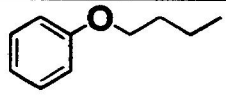
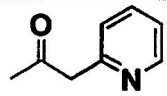
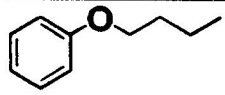
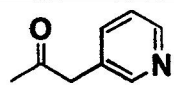
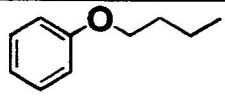
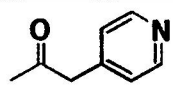
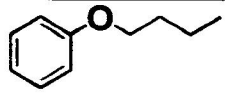
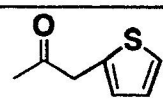
【００４６】

【表２８】

化合物番号	R^1	R^2	R^3
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429		H	
430		H	
431		H	
432		H	
433		H	
434		H	
435		H	
436		H	
437		H	
438		H	
439		H	
440		H	
441		H	
442		H	

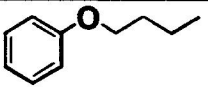
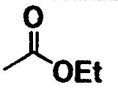
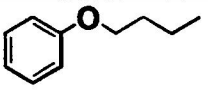
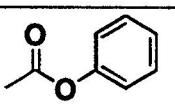
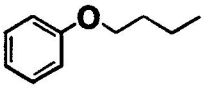
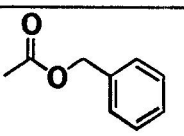
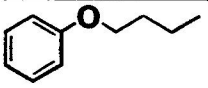
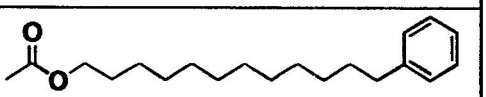
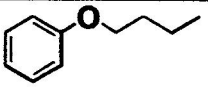
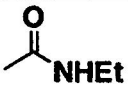
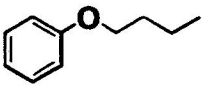
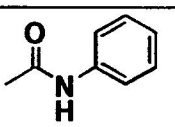
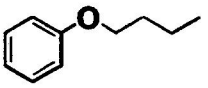
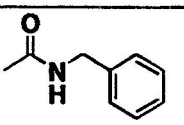
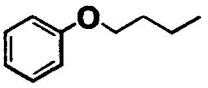
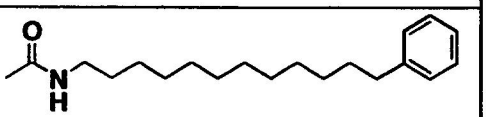
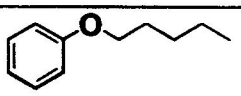
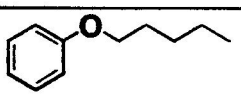
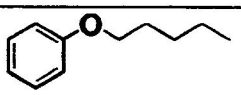
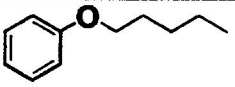
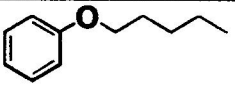
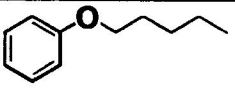
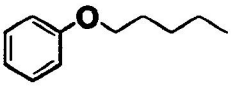
【００４７】

【表２９】

化合物番号	R^1	R^2	R^3
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444		H	
445		H	
446		H	
447		H	
448		H	
449		H	
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453		H	
454		H	
455		H	

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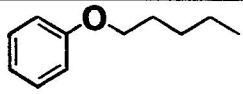
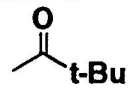
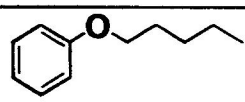
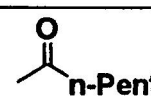
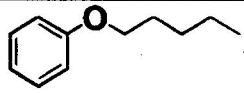
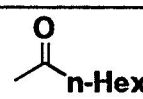
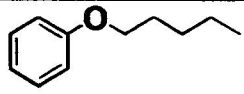
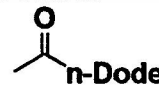
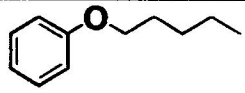
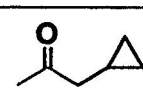
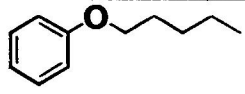
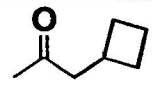
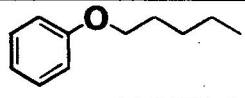
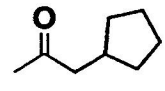
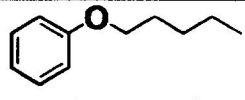
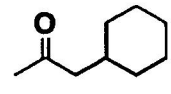
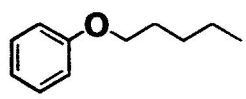
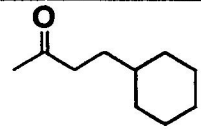
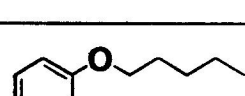
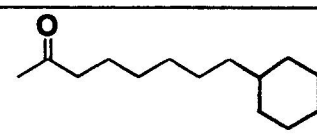
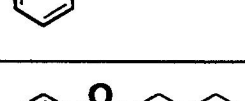
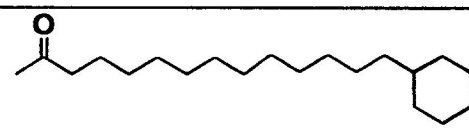
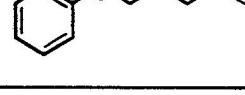
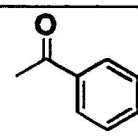
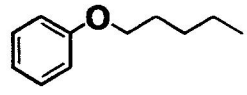
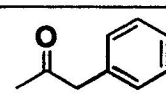
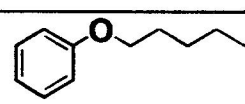
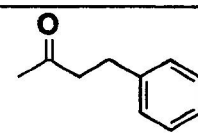
【表３０】

化合物番号	R^1	R^2	R^3
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457		H	
458		H	
459		H	
460		H	
461		H	
462		H	
463		H	
464		H	
465		H	
466		H	
467		H	
468		H	
469		H	
470		H	

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239
213

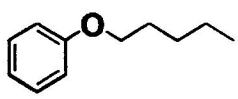
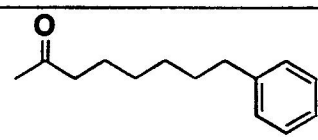
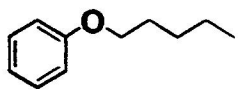
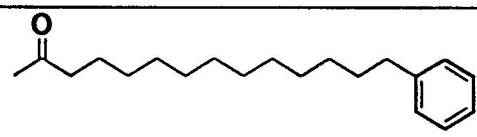
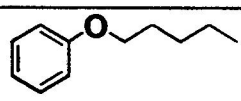
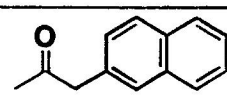
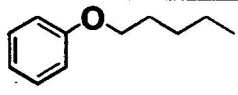
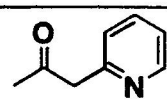
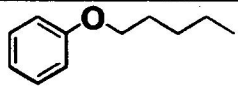
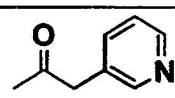
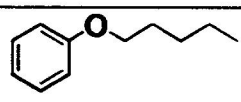
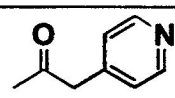
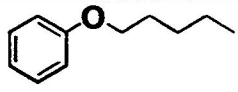
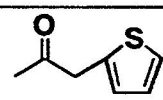
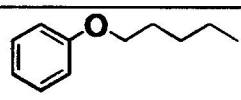
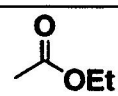
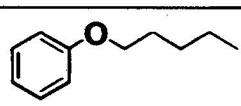
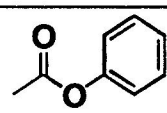
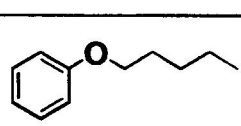
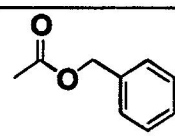
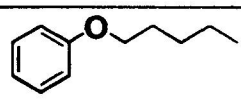
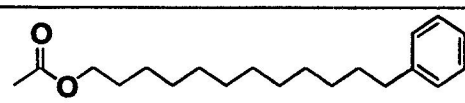
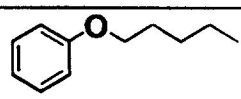
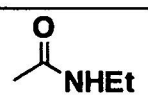
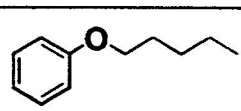
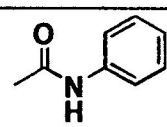
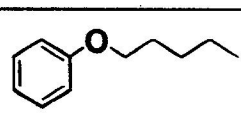
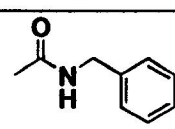
【表３１】

化合物番号	R^1	R^2	R^3
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472		H	
473		H	
474		H	
475		H	
476		H	
477		H	
478		H	
479		H	
480		H	
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484		H	

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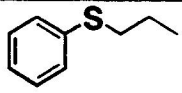
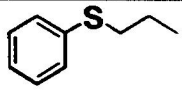
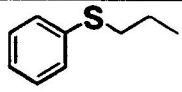
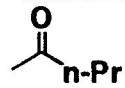
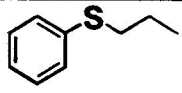
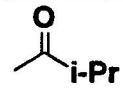
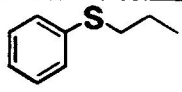
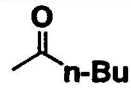
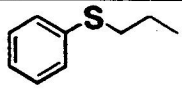
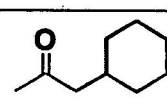
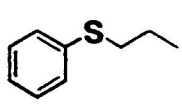
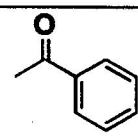
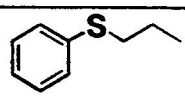
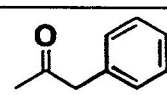
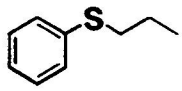
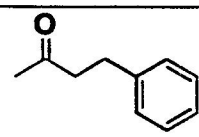
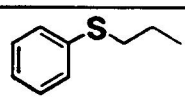
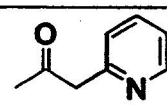
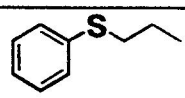
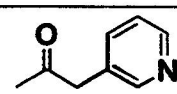
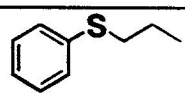
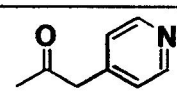
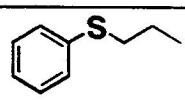
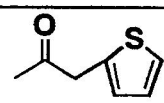
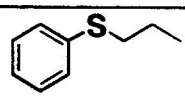
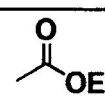
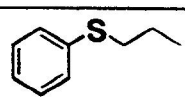
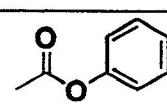
240 214

【表３２】

化合物番号	R^1	R^2	R^3
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486		H	
487		H	
488		H	
489		H	
490		H	
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492		H	
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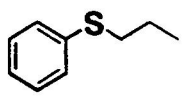
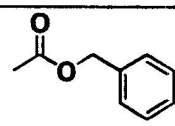
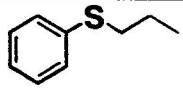
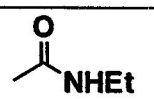
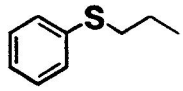
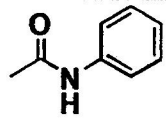
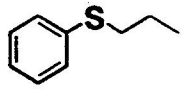
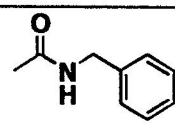
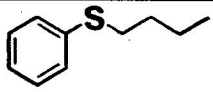
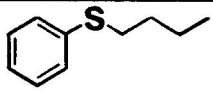
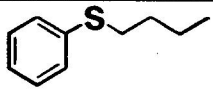
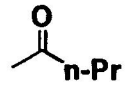
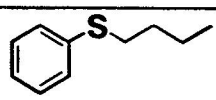
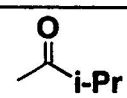
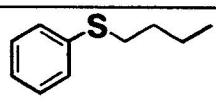
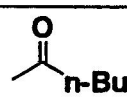
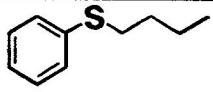
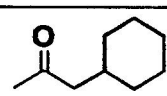
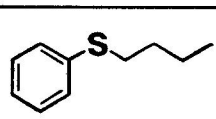
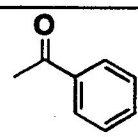
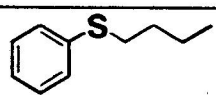
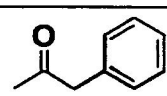
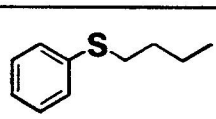
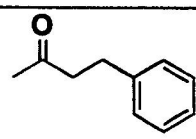
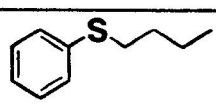
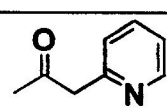
【００５１】

【表３３】

化合物番号	R^1	R^2	R^3
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502		H	
503		H	
504		H	
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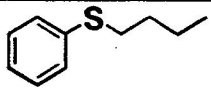
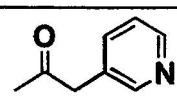
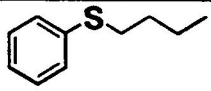
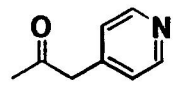
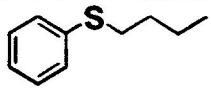
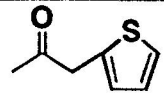
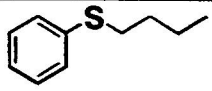
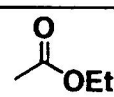
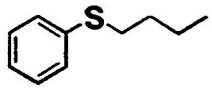
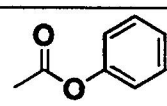
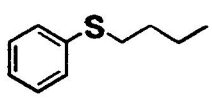
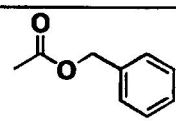
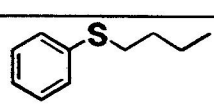
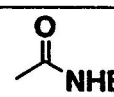
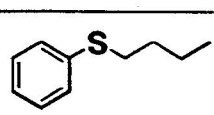
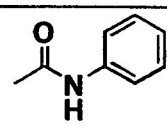
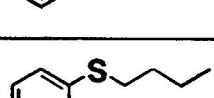
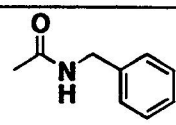
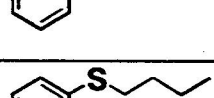
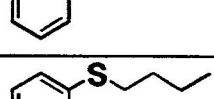
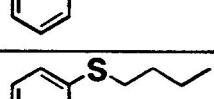
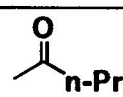
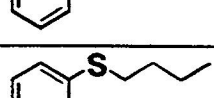
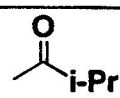
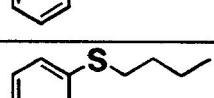
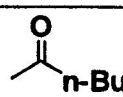
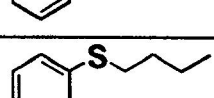
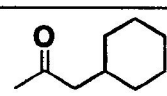
【００５２】

【表３４】

化合物番号	R^1	R^2	R^3
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516		H	
517		H	
518		H	
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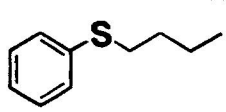
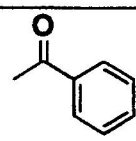
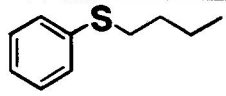
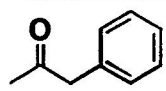
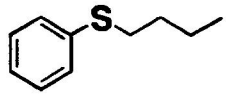
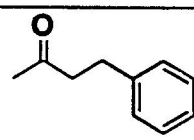
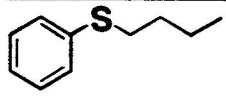
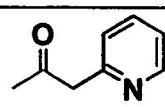
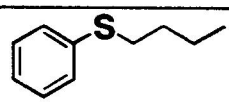
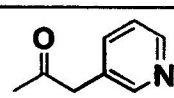
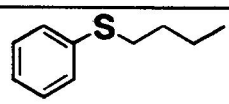
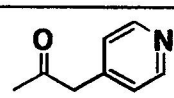
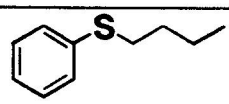
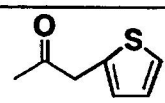
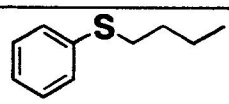
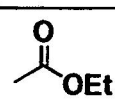
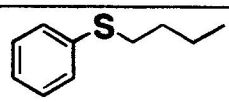
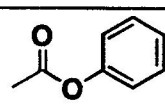
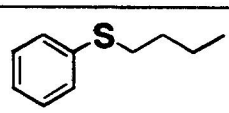
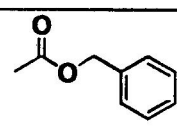
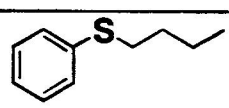
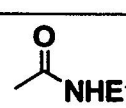
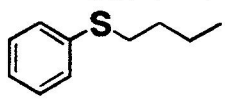
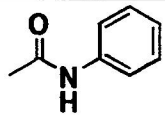
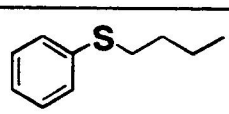
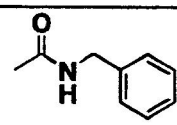
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【表３５】

化合物番号	R^1	R^2	R^3
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529		H	
530		H	
531		H	
532		H	
533		H	
534		H	
535		H	
536		H	
537		H	
538		H	
539		H	
540		H	
541		H	
542		H	

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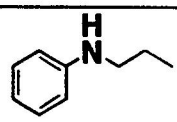
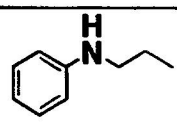
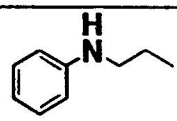
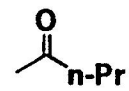
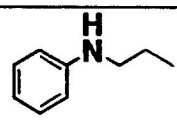
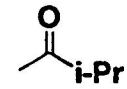
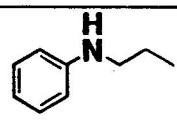
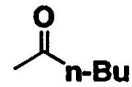
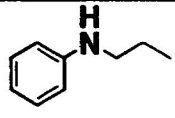
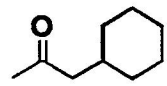
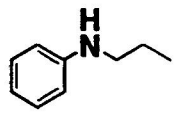
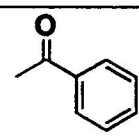
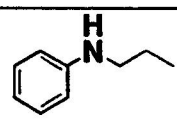
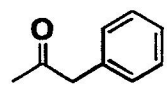
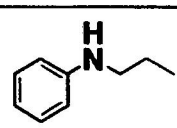
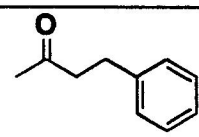
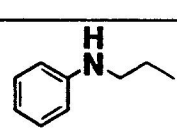
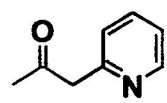
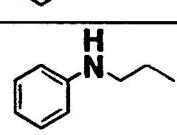
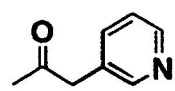
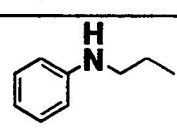
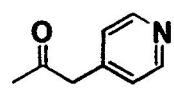
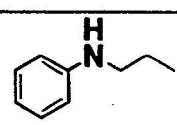
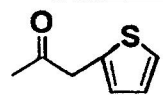
【表３６】

化合物番号	R^1	R^2	R^3
543		H	
544		H	
545		H	
546		H	
547		H	
548		H	
549		H	
550		H	
551		H	
552		H	
553		H	
554		H	
555		H	

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245/219

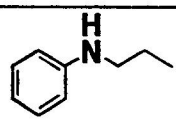
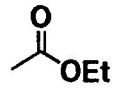
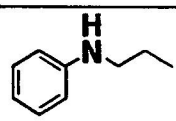
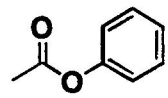
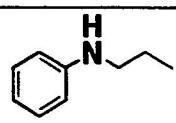
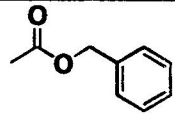
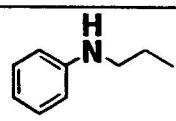
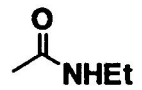
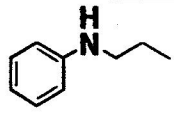
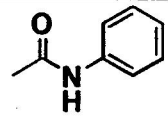
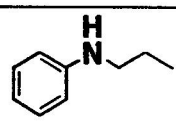
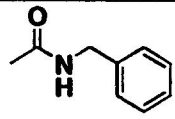
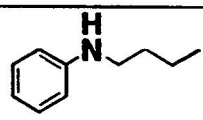
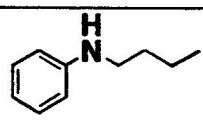
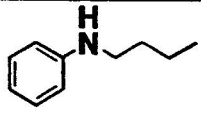
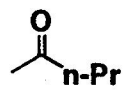
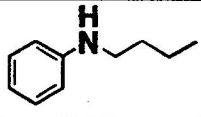
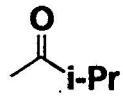
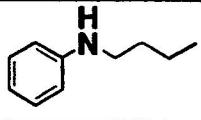
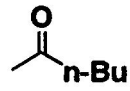
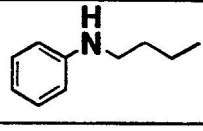
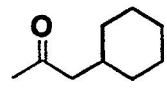
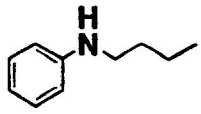
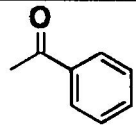
【表３７】

化合物番号	R^1	R^2	R^3
556		H	
557		H	
558		H	
559		H	
560		H	
561		H	
562		H	
563		H	
564		H	
565		H	
566		H	
567		H	
568		H	

【００５６】

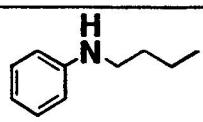
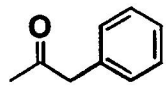
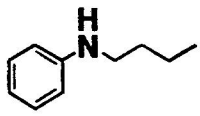
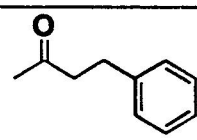
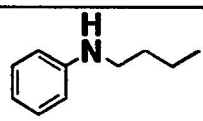
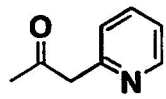
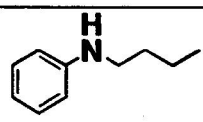
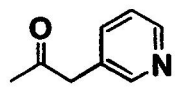
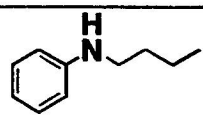
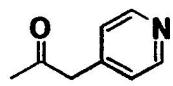
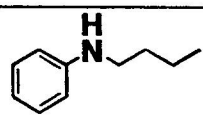
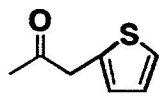
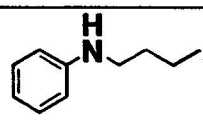
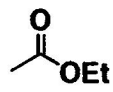
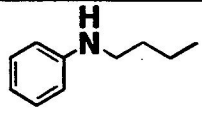
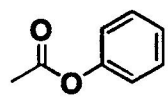
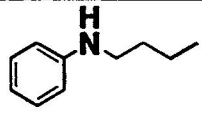
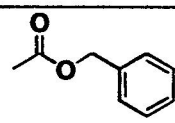
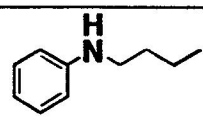
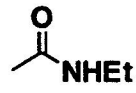
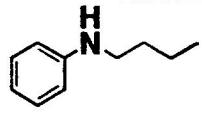
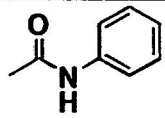
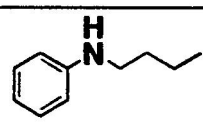
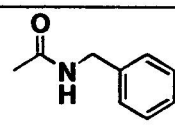
246 20

【表３８】

化合物番号	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	

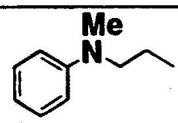
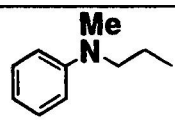
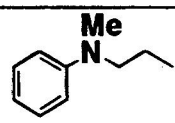
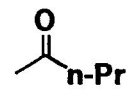
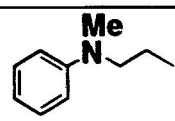
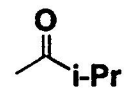
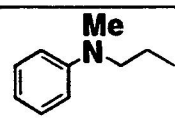
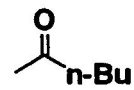
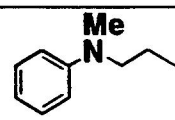
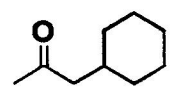
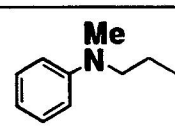
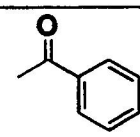
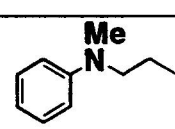
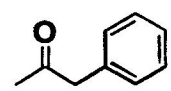
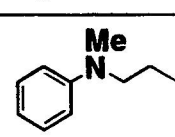
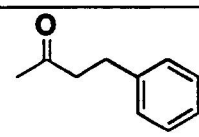
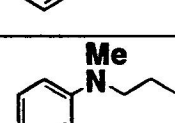
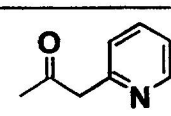
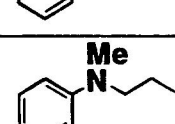
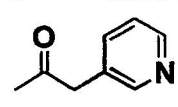
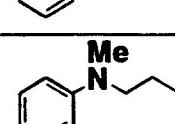
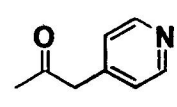
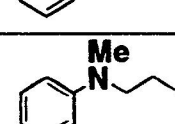
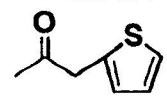
【００５７】

【表３９】

化合物番号	R^1	R^2	R^3
582		H	
583		H	
584		H	
585		H	
586		H	
587		H	
588		H	
589		H	
590		H	
591		H	
592		H	
593		H	

【００５８】

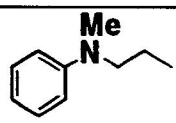
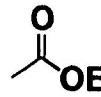
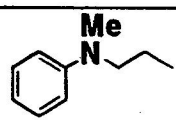
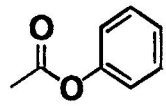
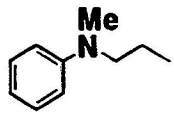
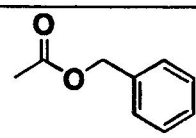
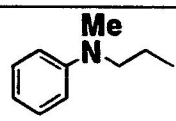
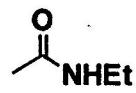
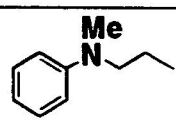
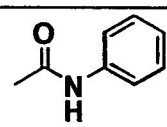
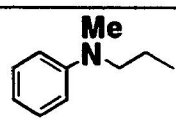
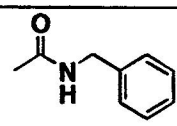
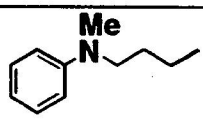
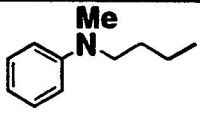
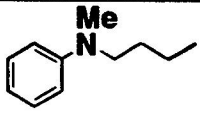
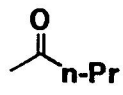
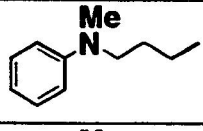
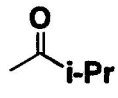
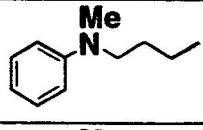
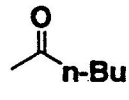
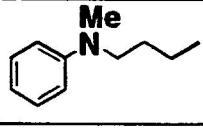
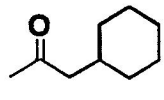
【表４０】

化合物番号	R^1	R^2	R^3
594		H	
595		H	
596		H	
597		H	
598		H	
599		H	
600		H	
601		H	
602		H	
603		H	
604		H	
605		H	
606		H	

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249
23

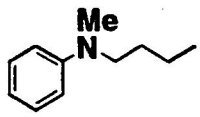
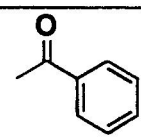
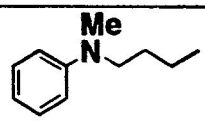
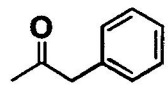
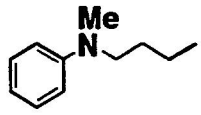
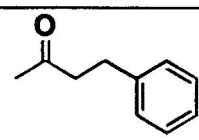
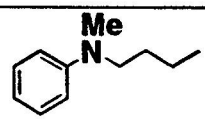
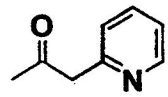
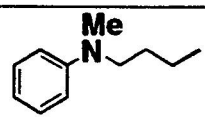
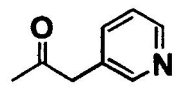
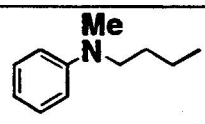
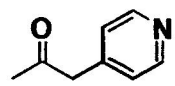
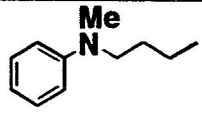
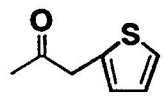
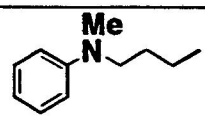
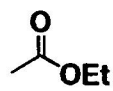
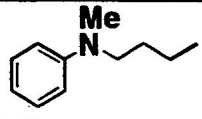
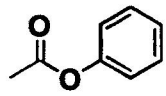
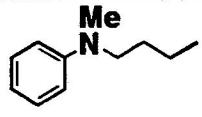
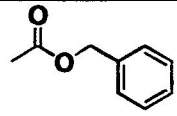
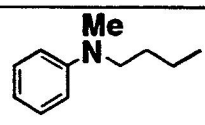
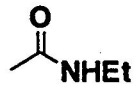
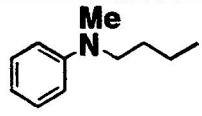
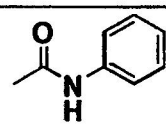
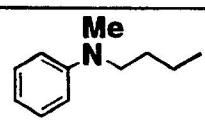
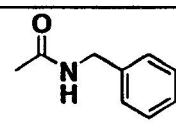
【表４１】

化合物番号	R^1	R^2	R^3
607		H	
608		H	
609		H	
610		H	
611		H	
612		H	
613		H	
614		H	
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618		H	

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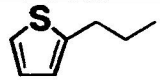
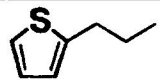
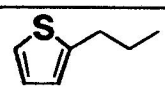
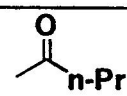
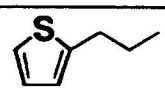
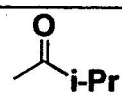
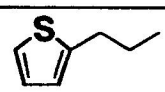
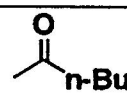
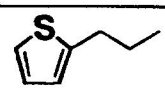
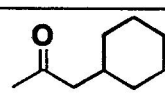
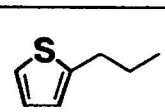
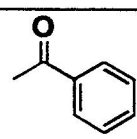
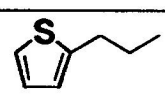
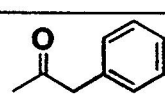
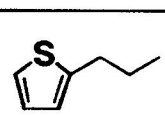
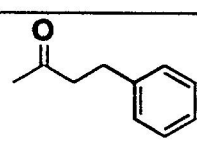
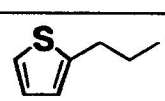
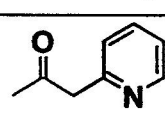
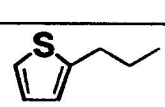
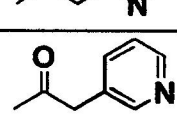
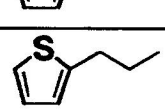
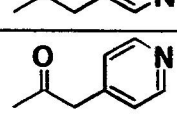
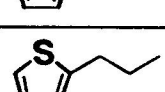
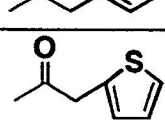
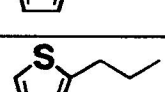
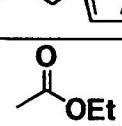
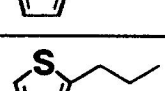
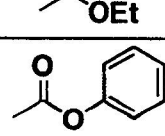
250
224

【表４２】

化合物番号	R^1	R^2	R^3
619		H	
620		H	
621		H	
622		H	
623		H	
624		H	
625		H	
626		H	
627		H	
628		H	
629		H	
630		H	
631		H	

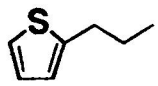
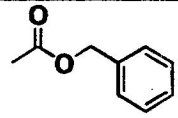
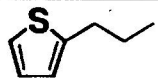
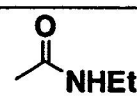
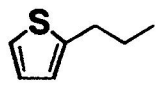
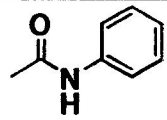
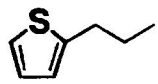
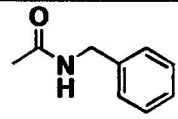
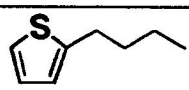
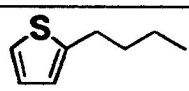
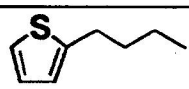
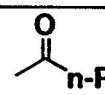
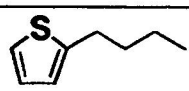
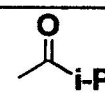
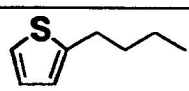
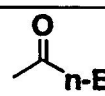
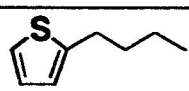
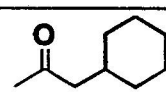
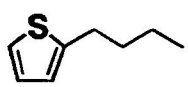
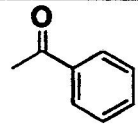
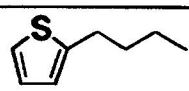
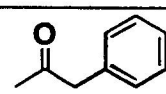
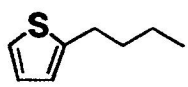
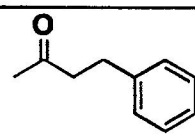
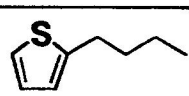
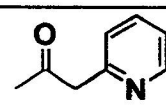
【００６１】

【表４３】

化合物番号	R¹	R²	R³
632		H	
633		H	
634		H	
635		H	
636		H	
637		H	
638		H	
639		H	
640		H	
641		H	
642		H	
643		H	
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645		H	
646		H	

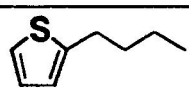
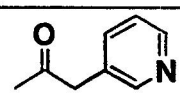
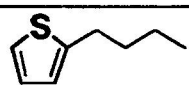
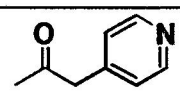
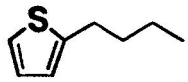
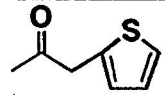
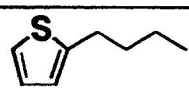
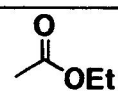
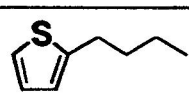
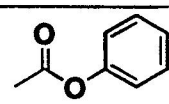
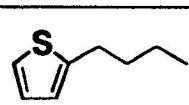
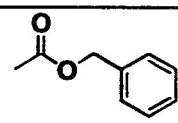
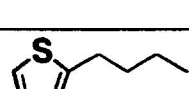
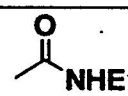
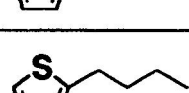
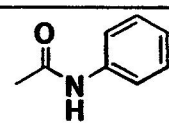
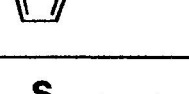
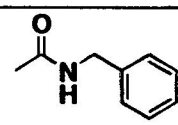
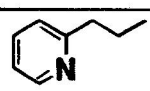
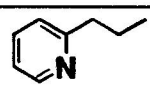
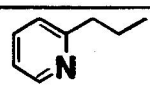
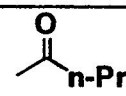
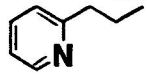
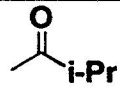
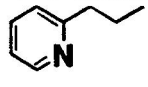
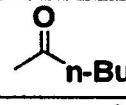
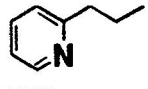
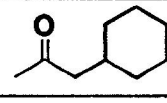
【００６２】

【表４４】

化合物番号	R^1	R^2	R^3
647		H	
648		H	
649		H	
650		H	
651		H	
652		H	
653		H	
654		H	
655		H	
656		H	
657		H	
658		H	
659		H	
660		H	

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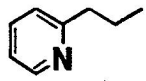
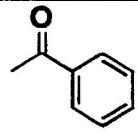
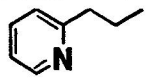
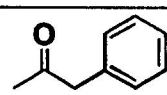
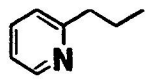
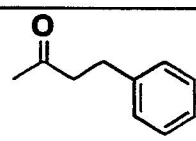
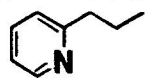
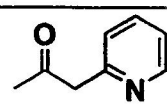
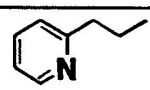
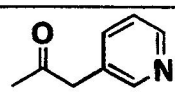
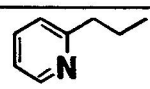
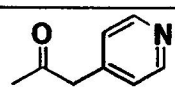
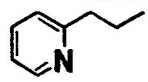
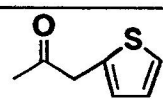
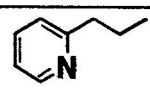
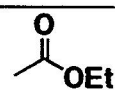
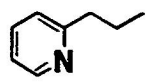
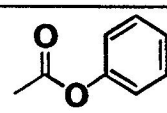
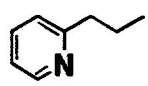
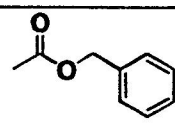
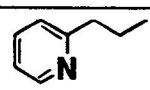
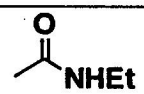
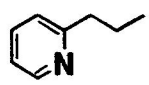
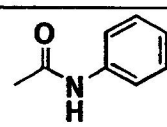
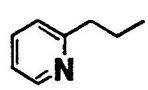
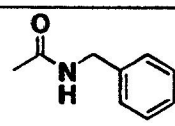
【表４５】

化合物番号	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	

【００６４】

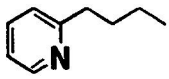
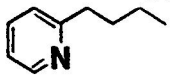
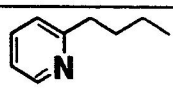
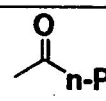
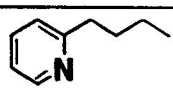
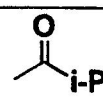
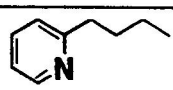
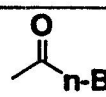
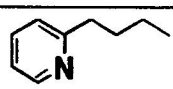
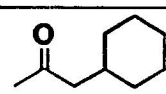
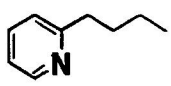
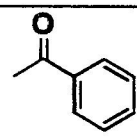
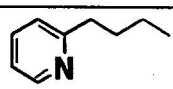
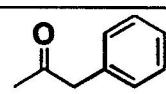
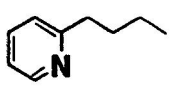
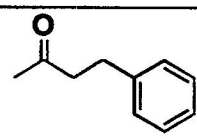
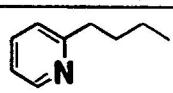
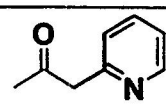
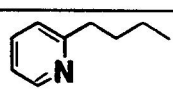
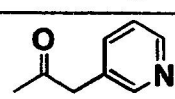
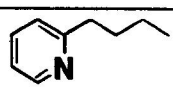
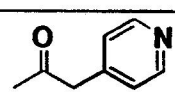
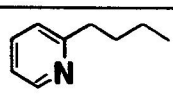
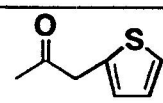
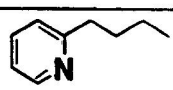
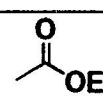
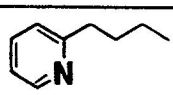
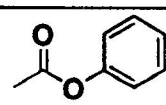
254
223

【表４６】

化合物号	R^1	R^2	R^3
676		H	
677		H	
678		H	
679		H	
680		H	
681		H	
682		H	
683		H	
684		H	
685		H	
686		H	
687		H	
688		H	

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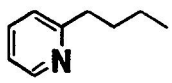
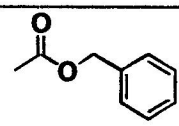
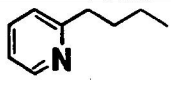
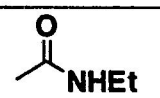
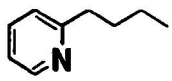
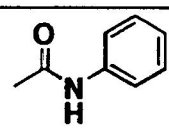
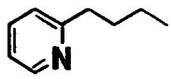
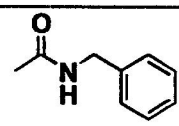
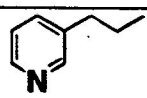
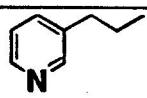
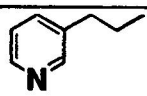
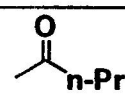
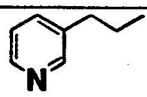
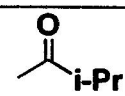
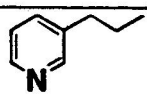
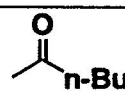
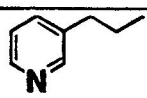
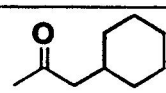
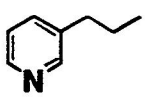
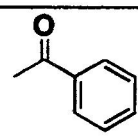
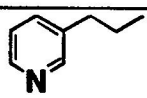
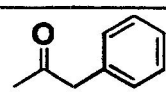
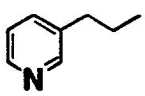
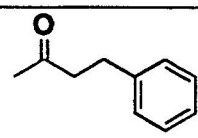
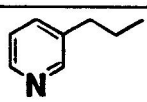
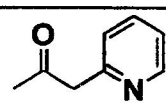
【表４７】

化合物番号	R^1	R^2	R^3
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690		H	
691		H	
692		H	
693		H	
694		H	
695		H	
696		H	
697		H	
698		H	
699		H	
700		H	
701		H	
702		H	
703		H	

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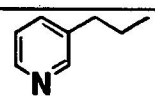
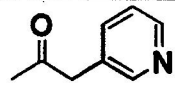
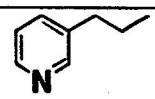
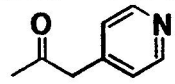
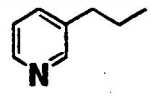
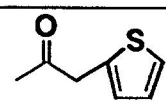
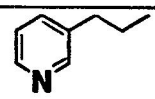
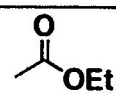
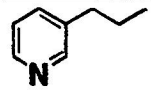
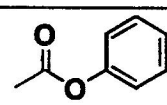
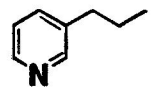
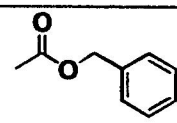
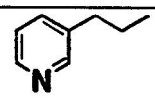
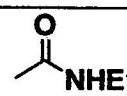
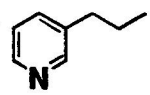
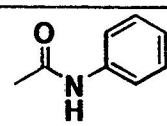
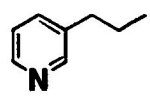
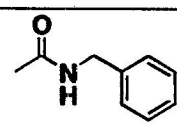
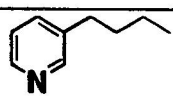
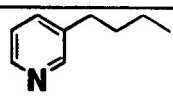
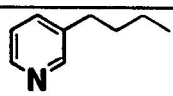
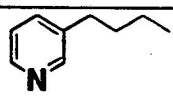
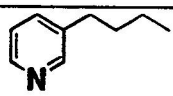
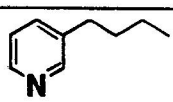
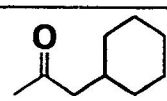
256
230

【表４８】

化合物番号	R^1	R^2	R^3
704		H	
705		H	
706		H	
707		H	
708		H	
709		H	
710		H	
711		H	
712		H	
713		H	
714		H	
715		H	
716		H	
717		H	

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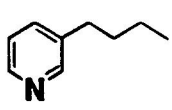
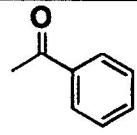
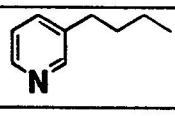
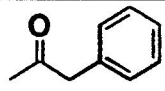
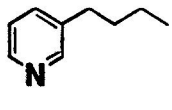
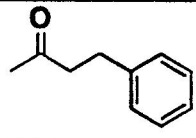
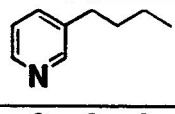
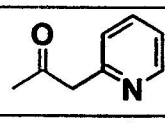
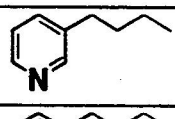
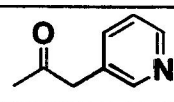
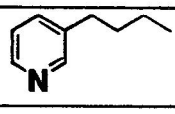
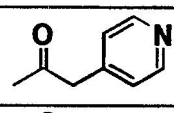
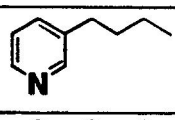
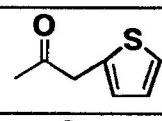
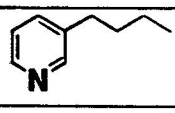
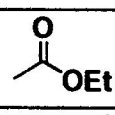
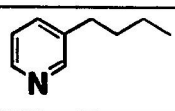
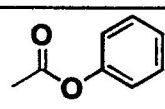
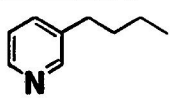
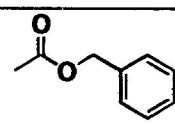
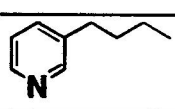
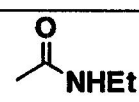
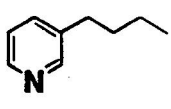
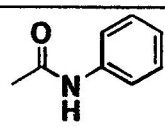
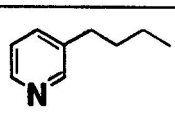
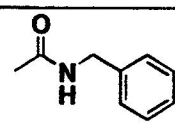
【表４９】

化合物番号	R^1	R^2	R^3
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719		H	
720		H	
721		H	
722		H	
723		H	
724		H	
725		H	
726		H	
727		H	
728		H	
729		H	
730		H	
731		H	
732		H	

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258
232

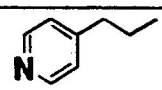
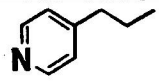
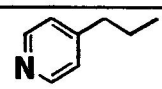
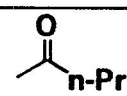
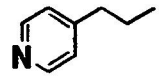
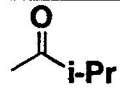
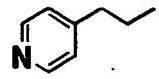
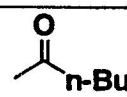
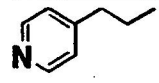
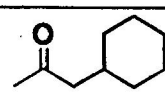
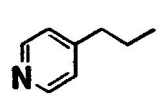
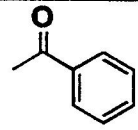
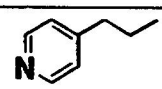
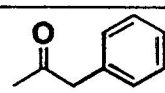
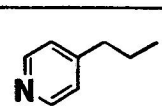
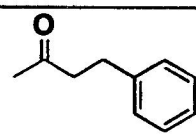
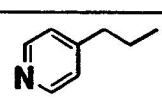
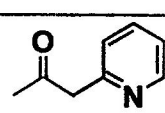
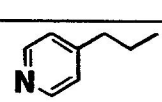
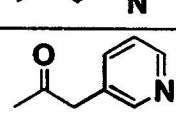
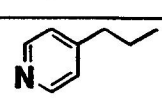
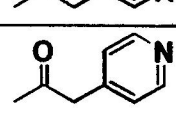
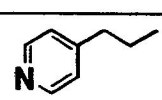
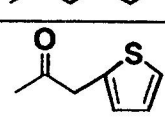
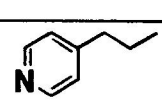
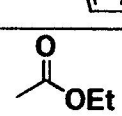
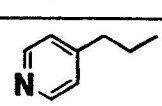
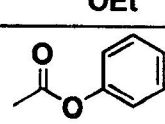
【表５０】

化合物番号	R^1	R^2	R^3
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734		H	
735		H	
736		H	
737		H	
738		H	
739		H	
740		H	
741		H	
742		H	
743		H	
744		H	
745		H	

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259
233

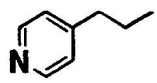
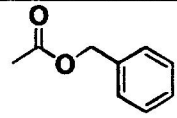
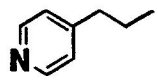
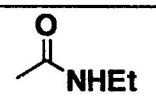
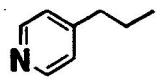
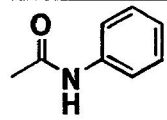
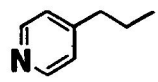
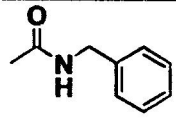
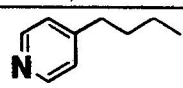
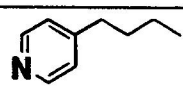
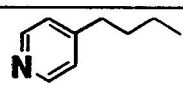
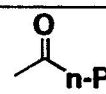
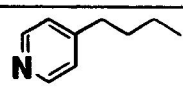
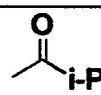
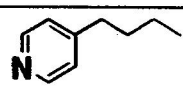
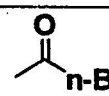
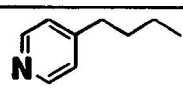
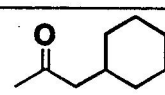
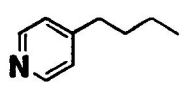
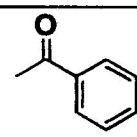
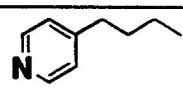
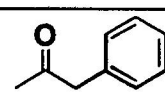
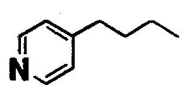
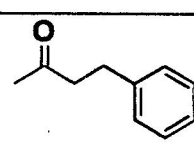
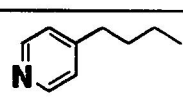
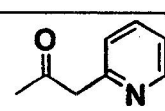
【表５１】

化合物番号	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	

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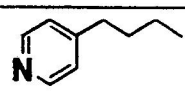
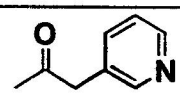
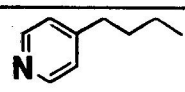
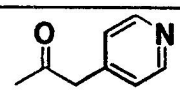
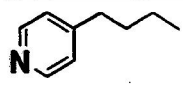
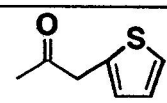
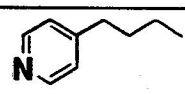
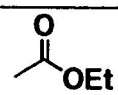
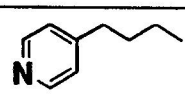
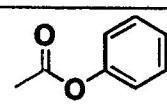
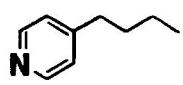
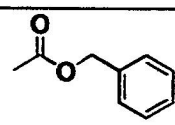
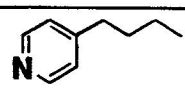
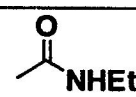
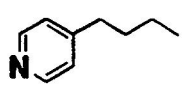
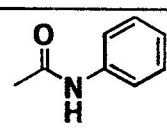
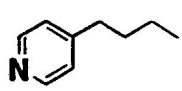
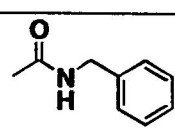
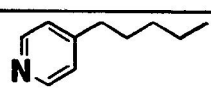
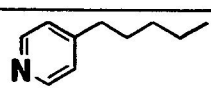
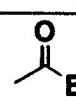
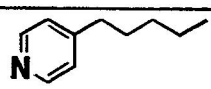
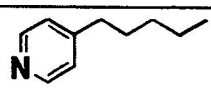
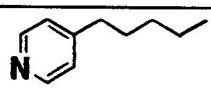
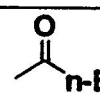
260/234

【表５２】

化合物番号	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	
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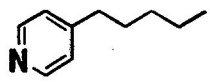
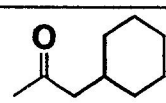
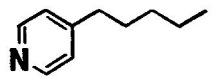
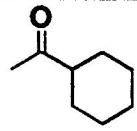
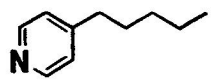
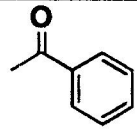
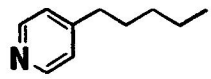
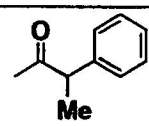
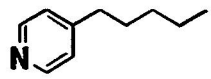
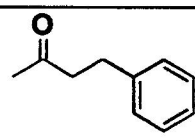
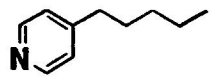
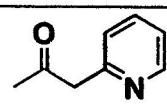
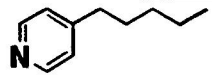
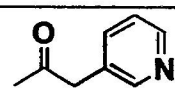
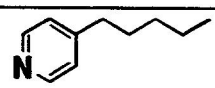
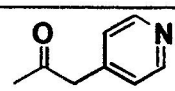
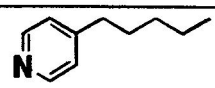
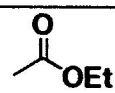
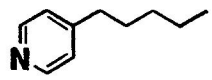
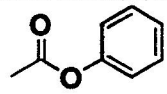
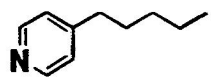
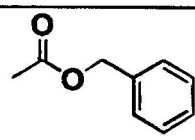
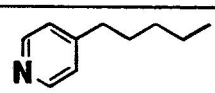
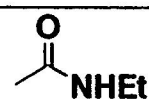
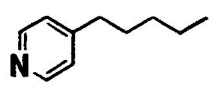
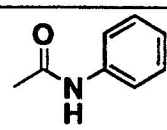
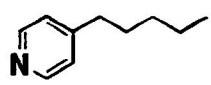
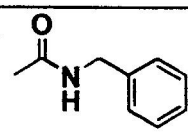
【００７１】

【表５３】

化合物番号	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	

【００７２】

【表５４】

化合物番号	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	
800		H	
801		H	
802		H	

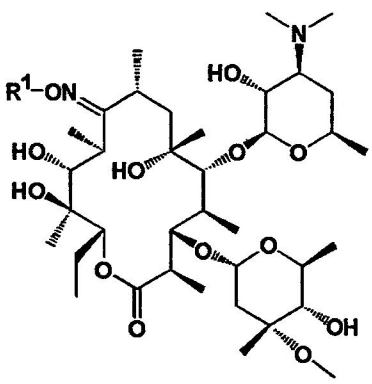
【００７３】

本発明の前記一般式（Ｉ）で示される新規なエリスロマイシン誘導体は、例えば、以下の方法により製造することができるが、本発明化合物の製造方法はこれらの方法に限定されるわけではない。

【００７４】

本発明化合物の製造方法の第一の様式によれば、前記一般式（Ｉ）で示される化合物のうち、R^2及びR^3がアセチル基である化合物は、次の一般式（II）

【化４】



(II)

（式中、R^1は前述と同意義を表す。）

で示される化合物と塩化アセチル又は無水酢酸を、塩基の存在下又は非存在下、無溶媒又は溶媒中で反応させることにより製造することができる。

【００７５】

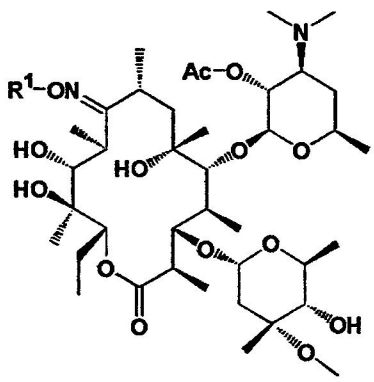
本製造方法において使用される塩基としては、例えば、トリエチルアミン，ピリジン，ジイソプロピルエチルアミン，４－ジメチルアミノピリジン，１，８－ジアザビシクロ［５．４．０］－７－ウンデセン，１，２，２，６，６－ペンタメチルピペリジン等の有機塩基、又は炭酸ナトリウム，炭酸カリウム，炭酸水素ナトリウム，炭酸水素カリウム等の無機塩基が挙げられる。本製造方法において使用される溶媒は、それ自体反応において不活性であって、かつ反応を阻害しないものであればいかなるものでもよく、例えば、ジクロロメタン，１，２－ジクロロエタン，クロロホルム等のハロゲン化炭化水素系溶媒、ベンゼン，トルエン等の芳香族炭化水素系溶媒、アセトン，アセトニトリル，Ｎ，Ｎ－ジメチルホルムアミド，Ｎ－メチル－２－ピロリドン，ジメチルスルホキシド，テトラメチレンスルホラン，テトラメチレンスルホキシド，ヘキサメチレンホスホリックトリ

アミド等の非プロトン性極性溶媒、酢酸メチル，酢酸エチル等のエステル系溶媒、テトラヒドロフラン，ジエチルエーテル，１，４－ジオキサン等のエーテル系溶媒、ピリジン，ピコリン，ルチジン，コリジン等有機塩基系溶媒あるいはこれらの混合溶媒が挙げられる。又、反応は氷冷下から２００℃までの範囲で行われる。

【００７６】

本発明化合物の製造方法の第二の様式によれば、前記一般式（Ｉ）で示される化合物は、次の一般式（III）

【化５】



(III)

（式中、R^1は前述と同意義を表し、Acはアセチル基を表す。）

で示される化合物とギ酸を、過塩素酸の存在下又は非存在下、無溶媒又は溶媒中で反応させるか、次の一般式（IV）

$$R^6-C(=O)-OW \qquad (IV)$$

（式中、R^6は水素原子，置換基を有してもよいアルキル基，置換基を有してもよいシクロアルキル基，置換基を有してもよい（シクロアルキル）アルキル基，置換基を有してもよいアリール基又は置換基を有してもよいアラルキル基を表し、Wは酸無水物残基を表す。）

で示される酸無水物、又は次の一般式（Ｖ）

$$R^7-C(=O)-Q \qquad (V)$$

（式中、R^7は水素原子，置換基を有してもよいアルキル基，置換基を有してもよいシクロアルキル基，置換基を有してもよい（シクロアルキル）アルキル基，置換基を有してもよいアリール基，置換基を有してもよいアラルキル基，置換基を有してもよいアルコキシ基，置換基を有してもよいアリールオキシ基又は置換

265
239

基を有してもよいアラルキルオキシ基を表し、Ｑはハロゲン原子を表す。）
で示される酸ハライドもしくはハロゲン化カーボネート誘導体を、塩基の存在下又は非存在下、無溶媒又は溶媒中で反応させることにより製造するか、あるいは、次の一般式（VI）

$$R^8-N=C=O \quad (VI)$$

（式中、R^8は置換基を有してもよいアルキル基，置換基を有してもよいアリール基又は置換基を有してもよいアラルキル基を表す。）
で示されるイソシアネート誘導体を、塩基の存在下又は非存在下、無溶媒又は溶媒中で反応させることにより製造することができる。

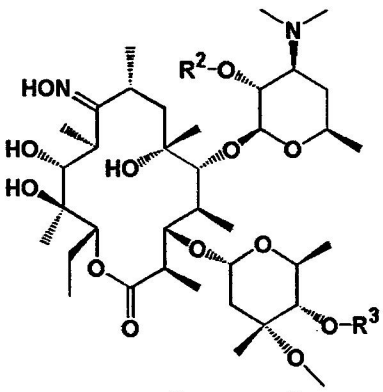
【００７７】

本製造方法において使用される塩基としては、例えば、トリエチルアミン，ピリジン，ジイソプロピルエチルアミン，４－ジメチルアミノピリジン，１，８－ジアザビシクロ［５．４．０］－７－ウンデセン，１，２，２，６，６－ペンタメチルピペリジン等の有機塩基、又は炭酸ナトリウム，炭酸カリウム，炭酸水素ナトリウム，炭酸水素カリウム等の無機塩基が挙げられる。本製造方法において使用される溶媒は、それ自体反応において不活性であって、かつ反応を阻害しないものであればいかなるものでもよく、例えば、ジクロロメタン，１，２－ジクロロエタン，クロロホルム等のハロゲン化炭化水素系溶媒、ベンゼン，トルエン等の芳香族炭化水素系溶媒、アセトン，アセトニトリル，Ｎ，Ｎ－ジメチルホルムアミド，Ｎ－メチル－２－ピロリドン，ジメチルスルホキシド，テトラメチレンスルホラン，テトラメチレンスルホキシド，ヘキサメチレンホスホリックトリアミド等の非プロトン性極性溶媒、酢酸メチル，酢酸エチル等のエステル系溶媒、テトラヒドロフラン，ジエチルエーテル，１，４－ジオキサン等のエーテル系溶媒、ピリジン，ピコリン，ルチジン，コリジン等の有機塩基系溶媒あるいはこれらの混合溶媒が挙げられる。又、反応は氷冷下から２００℃までの範囲で行われる。

【００７８】

本発明化合物の製造方法の第三の様式によれば、前記一般式（Ｉ）で示される化合物は、次の一般式（VII）

【化６】



(VII)

（式中、R^2及びR^3は前述と同意義を表す。）

で示される化合物と、次の一般式（VIII）

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

（式中、R^1は前述と同意義を、Ｔはハロゲン原子，メタンスルホニルオキシ基又はp-トルエンスルホニルオキシ基を表す。）

で示される化合物及びヨウ化テトラブチルアンモニウムを、ヨウ化ナトリウムもしくは塩基の存在下又は非存在下、無溶媒又は溶媒中で反応させることにより製造することができる。

【００７９】

本製造方法において使用される塩基としては、例えば、トリエチルアミン，ジイソプロピルエチルアミン，４－ジメチルアミノピリジン，１，８－ジアザビシクロ［５．４．０］－７－ウンデセン，１，２，２，６，６－ペンタメチルピペリジン等の有機塩基、あるいは炭酸ナトリウム，炭酸カリウム，炭酸水素ナトリウム，炭酸水素カリウム，水素化ナトリウム，水酸化ナトリウム，水酸化カリウム等の無機塩基が挙げられる。使用される溶媒は、それ自体反応において不活性であって、かつ反応を阻害しないものであればいかなるものでもよく、例えば、ジクロロメタン，１，２－ジクロロエタン，クロロホルム等のハロゲン化炭化水素系溶媒、ベンゼン，トルエン等の芳香族炭化水素系溶媒、アセトン，アセトニトリル，Ｎ，Ｎ－ジメチルホルムアミド，Ｎ－メチル－２－ピロリドン，ジメチルスルホキシド，テトラメチレンスルホラン，テトラメチレンスルホキシド，ヘキサメチレンホスホリックトリアミド等の非プロトン性極性溶媒、酢酸メチル，酢酸エチル等のエステル系溶媒、テトラヒドロフラン，ジエチルエーテル，１，

４－ジオキサン等のエーテル系溶媒、ピリジン，ピコリン，ルチジン，コリジン等の有機塩基系溶媒あるいはこれらの混合溶媒等が挙げられる。又、反応は氷冷下から２００℃までの範囲で行われる。

【００８０】

本発明化合物の製造方法の第四の様式によれば、前記一般式（Ｉ）で示される化合物のうち、R^1が置換基を有してもよいシクロアルキル基である化合物は、前記一般式（VII）で示される化合物と次の一般式（IX）

【化７】



(IX)

（式中、R^9及びR^{10}は各々独立してアルコキシ基を、ｍは１～４の整数を表す。）

で示されるシクロアルキル化合物、又は次の一般式（Ｘ）

【化８】



(X)

（式中、R^{11}はアルコキシ基を、ｋは１～４の整数を表す。）

で示されるシクロアルケン化合物を、酸触媒の存在下又は非存在下、無溶媒又は溶媒中で反応させることにより製造することができる。

【００８１】

本製造方法において使用される酸としては、例えば、ピリジン塩酸塩，ピリジントリフルオロ酢酸塩，ピリジンp-トルエンスルホン酸塩等が挙げられ、使用される溶媒は、それ自体反応において不活性であって、かつ反応を阻害しないものであればいかなるものでもよく、例えば、ジクロロメタン，１，２－ジクロロエタン，クロロホルム等のハロゲン化炭化水素系溶媒、ベンゼン，トルエン等の芳香族炭化水素系溶媒、アセトン，アセトニトリル，Ｎ，Ｎ－ジメチルホルムアミド，Ｎ－メチル－２－ピロリドン，ジメチルスルホキシド，テトラメチレンスルホラン，テトラメチレンスルホキシド，ヘキサメチレンホスホリックトリアミド等の非プロトン性極性溶媒、酢酸メチル，酢酸エチル等のエステル系溶媒、テトラヒドロフラン，ジエチルエーテル，１，４－ジオキサン等のエーテル系溶媒あ

るいはこれらの混合溶媒等が挙げられる。又、反応は氷冷下から２００℃までの範囲で行われる。

【００８２】

本発明化合物の製造方法の第五の様式によれば、前記一般式（Ｉ）で示される化合物のうちR^2が水素原子である化合物は、R^2がアセチル基である化合物を無溶媒又は溶媒中、酸もしくはアルカリの存在下又は非存在下において加水分解することにより製造することができる。

【００８３】

本製造方法において使用される酸としては、例えば、塩酸，硫酸等が挙げられ、使用されるアルカリとしては、例えば、炭酸水素ナトリウム，炭酸ナトリウム，水酸化ナトリウム，水酸化リチウム，水酸化バリウム，メトキシナトリウム，エトキシナトリウム，tert-ブトキシナトリウム，tert-ブトキシカリウム等が挙げられる。これらの酸又はアルカリは水溶液として用いることもできるが、メタノール，エタノール，n-プロパノール，イソプロパノール，n-ブタノール，sec-ブタノール，tert-ブタノール等のアルコール系溶媒、アセトン，アセトニトリル，Ｎ，Ｎ－ジメチルホルムアミド，ジメチルスルホキシド，テトラメチレンスルホラン，テトラメチレンスルホキシド，ヘキサメチレンホスホリックトリアミド等の非プロトン性極性溶媒、テトラヒドロフラン，１，４－ジオキサン等のエーテル系溶媒もしくはこれらの含水溶媒等を用いることができる。又、反応は氷冷下から２００℃までの範囲で行われる。

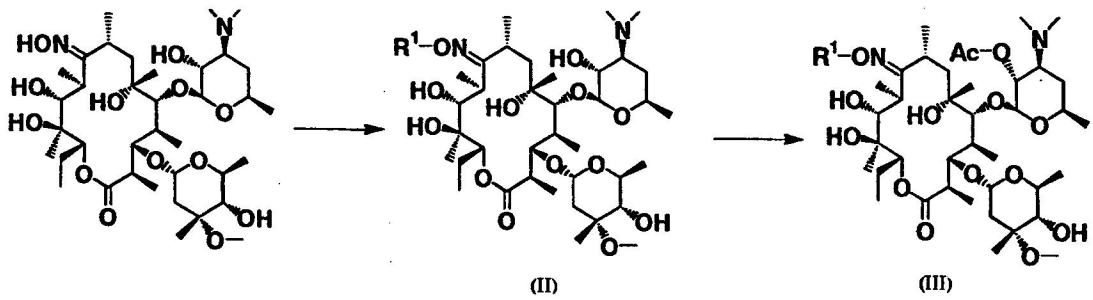
【００８４】

尚、本発明化合物の製造方法において出発原料となった前記一般式（II），（III）及び（VII）で示される化合物の一部は、特開昭５６－１００７９９号公報又は特開昭６３－１０７９２１号公報等に既に開示されている公知化合物であり、例えば、以下の様にして製造することができる。これらのうち、新規な化合物については、その詳細を参考例として記載した。

【００８５】

269
243

【化９】



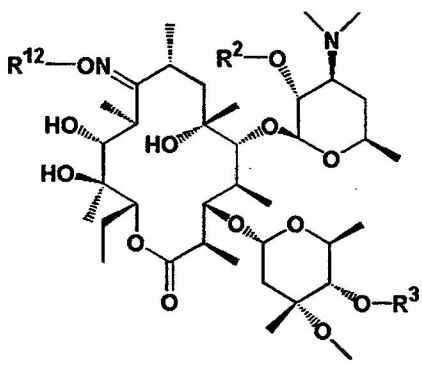
(II) (III)

（式中、R^1は前述と同意義を表し、Acはアセチル基を表す。）

【００８６】

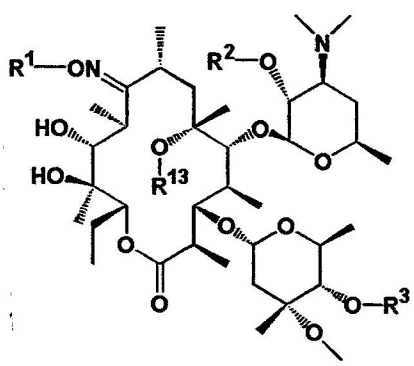
又、本発明の前記一般式（Ｉ）で示される化合物の周辺化合物として、次の一般式（XI）又は（XII）で示される新規な化合物

【化１０】



(XI)

【化１１】



(XII)

（式中、R^1，R^2及びR^3は前述と同意義を表し、R^{12}は置換基を有してもよい炭素数２～４のアルキル基を、R^{13}は低級アルキル基を表す。）

を本発明化合物に係る製造様式に準じて製造することができる。これらの化合物についても、その詳細を参考例として記載した。

【００８７】

このようにして製造される前記一般式（Ｉ）で示される新規なエリスロマイシン誘導体又はその塩の少なくとも１つを有効成分として含有する医薬は、通常、カプセル剤，錠剤，細粒剤，顆粒剤，散剤，シロップ剤等の経口剤、あるいは注射剤，坐剤，点眼剤，眼軟膏剤，点耳剤又は外皮用剤として投与される。これらの製剤は、薬理学的，製剤学的に許容しうる添加剤を加え、常法により製造することができる。即ち経口剤及び坐剤にあっては、賦形剤（乳糖，Ｄ－マンニトール，トウモロコシデンプン，結晶セルロース等），崩壊剤（カルボキシメチルセルロース，カルボキシメチルセルロースカルシウム等），結合剤（ヒドロキシプロピルセルロース，ヒドロキシプロピルメチルセルロース，ポリビニルピロリドン等），滑沢剤（ステアリン酸マグネシウム，タルク等），コーティング剤（ヒドロキシプロピルメチルセルロース，白糖，酸化チタン等），可塑剤（ポリエチレングリコール等），基剤（ポリエチレングリコール，ハードファット等）等の製剤用成分が、注射剤，点眼剤又は点耳剤にあっては水性もしくは用時溶解型剤型を構成しうる溶解剤ないしは溶解補助剤（注射用蒸留水，生理食塩水，プロピレングリコール等），ｐＨ調節剤（無機又は有機の酸あるいは塩基等），等張化剤（食塩，ブドウ糖，グリセリン等），安定化剤等の製剤用成分が、又、眼軟膏剤，外用剤にあっては、軟膏剤，クリーム剤，貼付剤として適切な製剤用成分（白色ワセリン，マクロゴール，グリセリン，流動パラフィン，綿布等）が使用される。

【００８８】

本剤を患者へ投与する場合は、患者の症状にもよるが、通常成人の場合、１日量として経口投与で１０～２０００mg程度、非経口投与で１～１０００mg程度を１日１回ないしは数回に分けて投与することができる。もっとも、治療又は予防の目的、感染の部位や病原菌の種類、患者の年齢や症状などに応じて、適宜増減することが望ましい。

【００８９】

【実施例】

以下、本発明を参考例及び実施例によって説明するが、本発明はこれらの例に限定されるものではない。尚、表中に示したMeはメチル基，Etはエチル基，n-Pr

はn-プロピル基，i-Prはイソプロピル基，n-Buはn-ブチル基，n-Hexはn-ヘキシル基，n-Octはn-オクチル基， n-Dodecはn-ドデシル基，Acはアセチル基を表す。

【００９０】

参考例１

エリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］

エリスロマイシンＡ ９－オキシム４．００ｇ，ヨウ化テトラブチルアンモニウム０．１０ｇ，ヨウ化ナトリウム０．１２ｇ及び臭化３－フェノキシプロピル１．３０mlのテトラヒドロフラン４０ml混合液に室温攪拌下、粉末水酸化カリウム０．４３ｇを加え、室温で１６．５時間攪拌した。反応液を減圧濃縮し、得られた残渣に飽和炭酸水素ナトリウム水溶液を加えアルカリ性にした後、酢酸エチルで抽出した。抽出液を水洗後飽和食塩水で洗浄し、硫酸ナトリウムで乾燥後、溶媒を減圧留去した。残渣にジエチルエーテル及びジイソプロピルエーテルを加えて固化させ、無色固体２．８０ｇを得た。

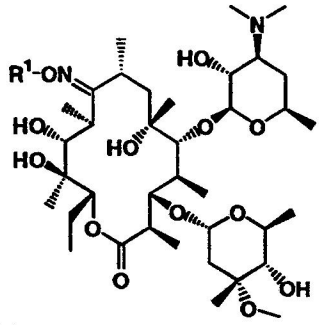
NMRスペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),1.02(3H,d,J=6.5Hz),1.08-1.80(31H,m),1.87-2.05(2H,m),2.08-2.18(2H,m),2.21(1H,d,J=10.5Hz),2.29(6H,s),2.33-2.48(1H,m),2.36(1H,d,J=15.5Hz),2.63-2.72(1H,m),2.85-2.96(1H,m),3.02(1H,t,J=10Hz),3.10(1H,s),3.22(1H,dd,J=10,7.5Hz),3.32(3H,s),3.41(1H,s),3.44-3.53(1H,m),3.57(1H,d,J=7.5Hz),3.64-3.76(2H,m),3.96-4.10(4H,m),4.16-4.27(2H,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)

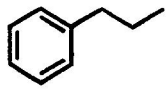
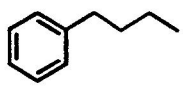
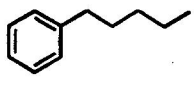
【００９１】

参考例１と同様にして、参考例２～参考例１８の化合物を得た。

【００９２】

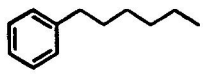
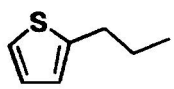
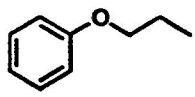
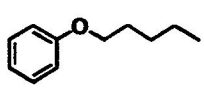
【表５５】



参考例	R¹	性状及び物性
2		淡黄褐色無晶形固体 NMR スペクトル δ(CDCl₃)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		無色固体 NMR スペクトル δ(CDCl₃)ppm:0.85(3H,t,J=7.5Hz),1.04-1.70(33H,m),1.78(1H,brs),1.87-2.06(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		無色固体 NMR スペクトル δ(CDCl₃)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)

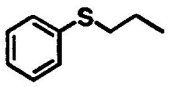
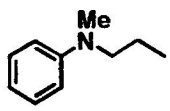
【００９３】

【表５６】

参考例	R¹	性状及び物性
5		無色固体 NMR スペクトル 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		淡褐色無晶形固体 NMR スペクトル 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		淡黄色無晶形固体 NMR スペクトル 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		無色固体 NMR スペクトル 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)

【００９４】

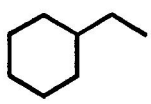
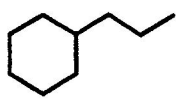
【表５７】

考例	R¹	性状及び物性
9		無色無晶形固体 NMR スペクトル 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		無色無晶形固体 NMR スペクトル 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	無色固体 NMR スペクトル 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	無色無晶形固体 NMR スペクトル 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)

【００９５】

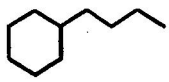
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279

【表５８】

参考例	R^1	性状及び物性
13	n-Oct	無色固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=7Hz),0.98-1.80(56H,m),1.85-2.06(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	無色固体 NMR スペクトル 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		無色固体 NMR スペクトル 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		無色無晶形固体 NMR スペクトル 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)

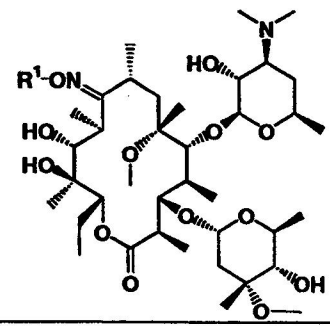
【００９６】

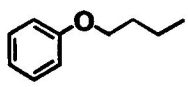
【表５９】

参考例	R¹	性状及び物性
17		淡褐色無晶形固体 NMR スペクトル 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)

【００９７】

【表６０】



参考例	R¹	性状及び物性
18		無色固体(i-Pr_2O-n-Heptane) m.p. 105-107℃ NMR スペクトル 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)

【００９８】

参考例１９

２’－Ｏ－アセチルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］

エリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］８．００ｇのアセトン８０ml溶液に無水酢酸１．００mlを滴下し、室温で６時間攪拌した。反応液を減圧濃縮し、得られた残渣にジイソプロピルエーテルを加え加温

し、熱時濾過後、冷却し析出結晶を濾取し、無色固体６．００ｇを得た。アセトン及びジイソプロピルエーテルの混合液から再結晶し、融点９９～１０１℃の無色固体を得た。

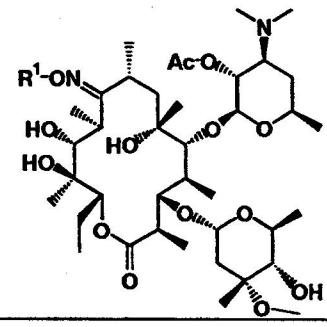
ＮＭＲスペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.93(3H,d,J=7.5Hz),1.03(3H,d,J=7.5Hz),1.10-1.80(28H,m),1.87-1.98(2H,m),2.02-2.20(3H,m),2.06(3H,s),2.28(6H,s),2.35(1H,d,J=15.5Hz),2.55-2.69(2H,m),2.83-2.93(1H,m),3.04(1H,t,J=9.5Hz),3.11(1H,s),3.35(3H,s),3.43-3.55(2H,m),3.61-3.73(2H,m),3.90-4.08(4H,m),4.15-4.26(2H,m),4.39(1H,s),4.57(1H,d,J=8Hz),4.70-4.81(1H,m),4.92(1H,d,J=5Hz),5.11(1H,d,J=9Hz),6.84-6.96(3H,m),7.22-7.31(2H,m)

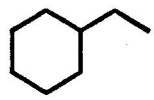
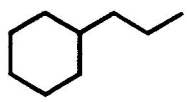
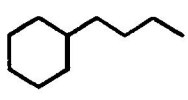
【００９９】

参考例１９と同様にして、参考例２０～参考例２５の化合物を得た。

【０１００】

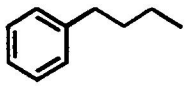
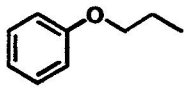
【表６１】



考例	R¹	性状及び物性
20		無色固体(Acetone) m.p. 109-112℃ NMR スペクトル 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		無色固体(Acetone-i-Pr_2O) m.p. 108.5-110.5℃ NMR スペクトル 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		無色固体(Acetone) m.p. 122-125℃ NMR スペクトル 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)

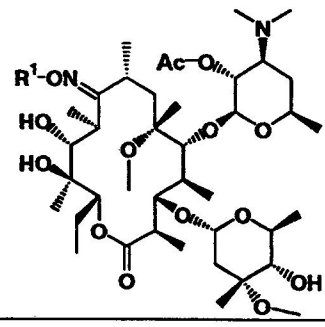
【０１０１】

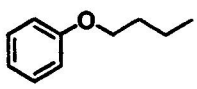
【表６２】

参考例	R^1	性状及び物性
23		無色固体(Et_2O-i-Pr_2O) m.p. 112-114.5℃ NMR スペクトル 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		無色固体(n-Heptane) m.p. 109-111.5℃ NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.91(3H,d,J=8Hz),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)

【０１０２】

【表６３】



考例	R^1	性状及び物性
25		無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.89(3H,d,J=8Hz),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)

【０１０３】

参考例２６

２’，４”－Ｏ－ジアセチルエリスロマイシンＡ ９－（Ｏ－エチルオキシム）

エリスロマイシンＡ ９－（Ｏ－エチルオキシム）０．５０ｇのピリジン５ｍｌ溶液に無水酢酸０．６１ｍｌを滴下し、室温で６４時間攪拌した。反応液に水を加え、飽和炭酸水素ナトリウム水溶液でアルカリ性にした後、ジエチルエーテルで抽出した。抽出液を水洗後飽和食塩水で洗浄し、硫酸ナトリウムで乾燥後、溶媒を減圧留去した。残渣をカラムクロマトグラフィー（シリカゲル，酢酸エチル）で精製し、無色無晶形固体０．２７ｇを得た。

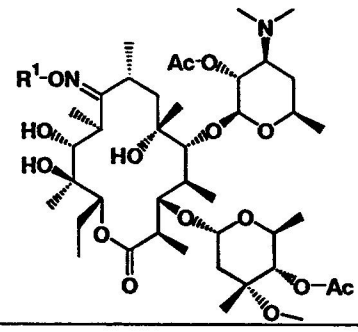
ＮＭＲスペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=8Hz),1.04(3H,d,J=6.5Hz),1.08-1.78(30H,m),1.80-2.00(3H,m),2.05(3H,s),2.10(3H,s),2.30(6H,s),2.41(1H,d,J=14.5Hz),2.60-2.80(2H,m),2.84-2.95(1H,m),3.12(1H,s),3.34(3H,s),3.50(1H,d,J=6.5Hz),3.59-3.80(3H,m),3.96(1H,d,J=10Hz),4.06(2H,q,J=7Hz),4.25-4.36(1H,m),4.46(1H,s),4.64-4.84(3H,m),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)

【０１０４】

参考例２６と同様にして、参考例２７～参考例２８の化合物を得た。

【０１０５】

【表６４】



参考例	R¹	性状及び物性
27	n-Pr	無色無晶形固体 NMR スペクトル 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	無色無晶形固体 NMR スペクトル 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)

【０１０６】

参考例２９

２’，４”－Ｏ－ジアセチル－６－Ｏ－メチルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］

２’－Ｏ－アセチル－６－Ｏ－メチルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］１．００ｇのピリジン５ｍｌ溶液に氷冷攪拌下、塩化アセチル０．２３ｍｌを滴下し、室温で３時間攪拌した。反応液に水を加え、飽和炭酸水素ナトリウム水溶液でアルカリ性にした後、ジエチルエーテルで抽出した。抽出液を水洗後飽和食塩水で洗浄し、硫酸ナトリウムで乾燥後、溶媒を減圧留去した。残渣をカラムクロマトグラフィー（シリカゲル，酢酸エチル）で精製し、無色無晶形固体０．６１ｇを得た。

ＮＭＲスペクトル δ(CDCl$_3$)ppm:0.84(3H,t,J=7.5Hz),0.91(3H,d,J=7.5Hz),0.97

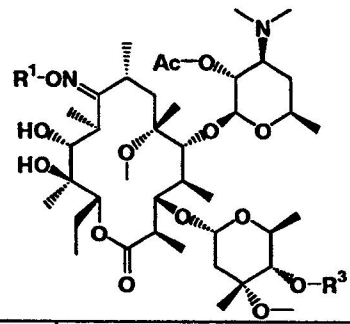
(3H,d,J=6.5Hz),1.02-1.77(27H,m),1.83-2.22(4H,m),2.04(3H,brs),2.11(3H,s),2.29(6H,brs),2.40(1H,d,J=14.5Hz),2.48-2.58(1H,m),2.65-2.80(1H,m),2.82-2.93(1H,m),3.01(3H,s),3.24(1H,s),3.34(3H,s),3.55(1H,d,J=6Hz),3.58-3.80(4H,m),4.00-4.35(5H,m),4.59-4.81(4H,m),4.99(1H,d,J=5Hz),5.12(1H,dd,J=11.5,2Hz),6.85-6.94(3H,m),7.22-7.28(2H,m)

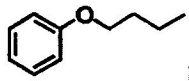
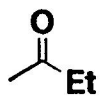
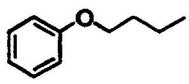
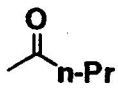
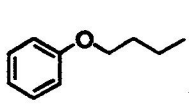
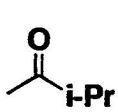
【０１０７】

参考例２９と同様にして、参考例３０～参考例３３の化合物を得た。

【０１０８】

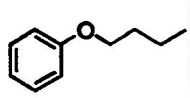
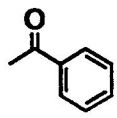
【表６５】



参考例	R¹	R³	性状及び物性
30			無色無晶形固体 NMR スペクトル δ(CDCl₃)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)
31			無色無晶形固体 NMR スペクトル δ(CDCl₃)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)
32			無色無晶形固体 NMR スペクトル δ(CDCl₃)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)

【０１０９】

【表６６】

参考例	R^1	R^3	性状及び物性
33			無色無晶形固体 NMR スペクトル 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),4.63(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)

【０１１０】

参考例３４

４”－Ｏ－アセチルエリスロマイシンＡ ９－（Ｏ－エチルオキシム）

２’，４”－Ｏ－ジアセチルエリスロマイシンＡ ９－（Ｏ－エチルオキシム）０．１５ｇのメタノール３ml溶液を室温で６４時間攪拌した。反応液を減圧濃縮し、淡褐色無晶形固体０．１０ｇを得た。

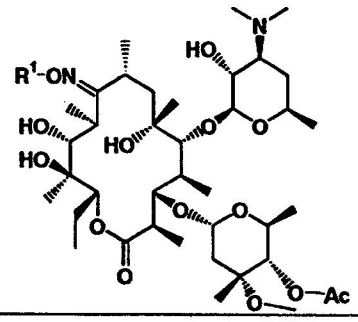
ＮＭＲスペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.97-2.07(39H,m),2.11(3H,s),2.31(6H,s),2.42(1H,d,J=14.5Hz),2.50-2.60(1H,m),2.63-2.70(1H,m),2.87-2.97(1H,m),3.10(1H,s),3.22(1H,dd,J=10.5,7.5Hz),3.31(3H,s),3.47(1H,s),3.59(1H,d,J=6.5Hz),3.62-3.80(3H,m),4.00-4.11(3H,m),4.30-4.41(1H,m),4.46(1H,s),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)

【０１１１】

参考例３４と同様にして、参考例３５～参考例４１の化合物を得た。

【０１１２】

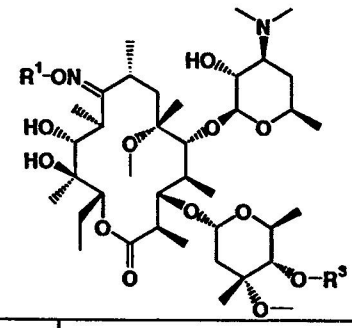
【表６７】

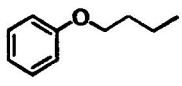
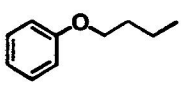
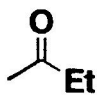
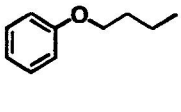
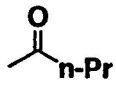


参考例	R¹	性状及び物性
35	n-Pr	無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.94(3H,t,J=7.5Hz),0.97-1.76(35H,m),1.80-2.08(3H,m),2.11(3H,s),2.21-2.47(7H,m),2.50-2.70(2H,m),2.89-2.96(1H,m),3.10(1H,s),3.20-3.35(1H,m),3.31(3H,s),3.43(1H,brs),3.59(1H,d,J=7.5Hz),3.61-3.80(3H,m),3.90-4.00(2H,m),4.03(1H,d,J=8.5Hz),4.30-4.40(1H,m),4.45(1H,s),4.57(1H,d,J=6.5Hz),4.68(1H,d,J=10Hz),4.99(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz)
36	n-Bu	無色無晶形固体 NMR スペクトル 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),2.50-2.70(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)

【０１１３】

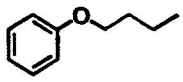
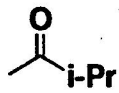
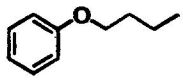
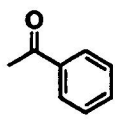
【表６８】



参考例	R^1	R^3	性状及び物性
37		Ac	無色固体(MeOH) m.p.210-214℃ NMR スペクトル 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)
38			無色固体(MeOH) m.p.196-199℃ NMR スペクトル 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)
39			無色固体(MeOH) m.p.174-176℃ NMR スペクトル 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)

【０１１４】

【表６９】

参考例	R^1	R^3	性状及び物性
40			無色固体(MeOH) m.p.188.5-190.5℃ NMR スペクトル 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)
41			無色無晶形固体 NMR スペクトル 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)

【０１１５】

実施例１

２’，４”－Ｏ－ジアセチルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］

ａ法）

エリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］０．５０ｇのピリジン２．３ml溶液に無水酢酸０．５３mlを滴下し、室温で２９時間攪拌した。反応液に水を加え、飽和炭酸水素ナトリウム水溶液でアルカリ性にした後、ジエチルエーテルで抽出した。抽出液を水洗後飽和食塩水で洗浄し、硫酸ナトリウムで乾燥後、溶媒を減圧留去した。残渣をカラムクロマトグラフィー（シリカゲル，酢酸エチル）で精製し、無色無晶形固体０．２１ｇを得た。

ＮＭＲスペクトル 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)

【０１１６】

ｂ法）

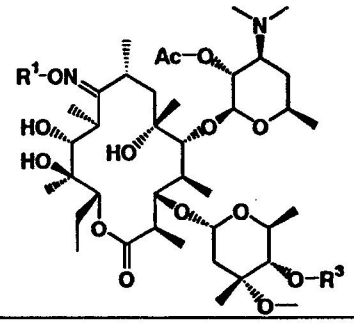
２’－Ｏ－アセチルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］２５．０ｇのピリジン２５０ml溶液に氷冷下塩化アセチル９．５０mlを滴下し、同温で４時間攪拌後更に室温で２時間攪拌した。反応液を氷水中に注ぎ、飽和炭酸ナトリウム水溶液でアルカリ性とした後ジエチルエーテルで抽出した。抽出液を水洗後飽和食塩水で洗浄し、硫酸ナトリウムで乾燥後、溶媒を減圧留去して無色無晶形固体２９．６ｇを得た。得られた固体はａ法で得られたものとＴＬＣ（Ｒｆ値）及びＮＭＲスペクトルが一致した。

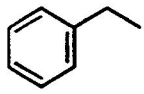
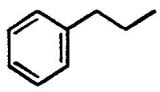
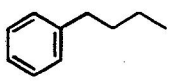
【０１１７】

実施例１と同様にして、実施例２～実施例４２の化合物を得た。

【０１１８】

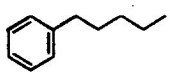
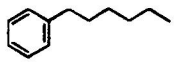
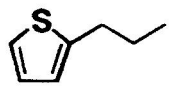
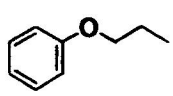
【表７０】



実施例	R^1	R^3	性状及び物性
2		Ac	無色無晶形固体 NMR スペクトル 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	無色固体(i-Pr_2O) m.p. 189−190℃ 元素分析値 $C_{49}H_{80}N_2O_{15}$ 理論値 C,62.80;H,8.60;N,2.99 実測値 C,62.56;H,8.72;N,2.95
4		Ac	無色無晶形固体 NMR スペクトル 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)

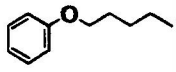
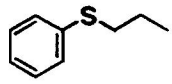
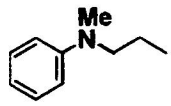
【０１１９】

【表７１】

実施例	R^1	R^3	性状及び物性
5		Ac	無色無晶形固体 NMR スペクトル 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	無色無晶形固体 NMR スペクトル 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	淡褐色無晶形固体 NMR スペクトル 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	無色無晶形固体 NMR スペクトル 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)

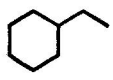
【０１２０】

【表７２】

実施例	R^1	R^3	性状及び物性
9		Ac	無色無晶形固体 NMR スペクトル 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	無色無晶形固体 NMR スペクトル 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	無色無晶形固体 NMR スペクトル 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	無色無晶形固体 NMR スペクトル 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)

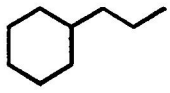
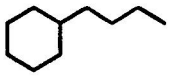
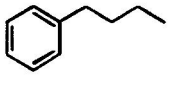
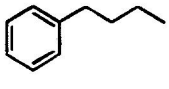
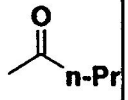
【０１２１】

【表７３】

実施例	R^1	R^3	性状及び物性
13	n-Oct	Ac	淡黄色無晶形固体 NMR スペクトル 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	無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.88(3H,t,J=7Hz),0.95(3H,d,J=8Hz),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	無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.95(3H,d,J=8Hz),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=8.5Hz),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	淡褐色固体(i-Pr_2O) m.p. 250.5-252.5℃ NMRスペクトル 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)

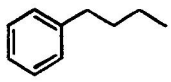
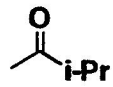
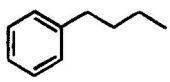
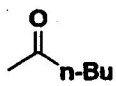
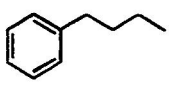
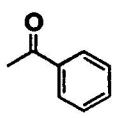
【０１２２】

【表７４】

実施例	R^1	R^3	性状及び物性
17		Ac	無色固体(Acetone-i-Pr_2O) m.p. 222-225℃ NMR スペクトル 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	無色無晶形固体 NMR スペクトル 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			淡黄色無晶形固体 NMR スペクトル 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),4.99(1H,d,J=5Hz),5.13(1H,d,J=9Hz),7.13-7.21(3H,m),7.22-7.31(2H,m)
20			無色無晶形固体 NMR スペクトル 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)

【０１２３】

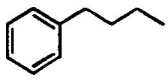
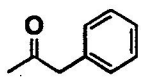
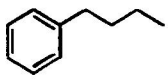
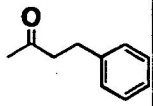
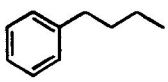
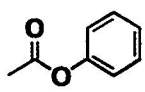
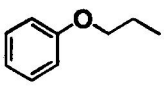
【表７５】

実施例	R^1	R^3	性状及び物性
21			無色無晶形固体 NMR スペクトル 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			無色無晶形固体 NMR スペクトル 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),3.99-4.08(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			無色無晶形固体 NMR スペクトル 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)

【０１２４】

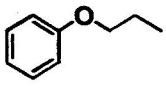
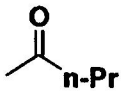
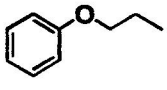
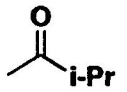
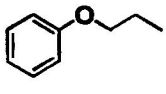
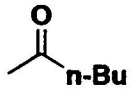
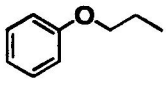
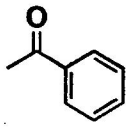
295
269

【表７６】

実施例	R^1	R^3	性状及び物性
24			淡黄色無晶形固体 NMR スペクトル 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			無色無晶形固体 NMR スペクトル 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			無色無晶形固体 NMR スペクトル 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			無色無晶形固体 NMR スペクトル 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)

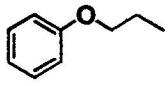
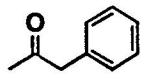
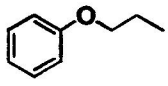
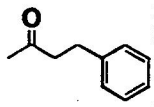
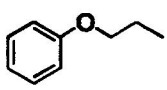
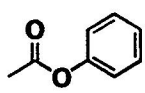
【０１２５】

【表７７】

実施例	R^1	R^3	性状及び物性
28			淡黄色無晶形固体 NMRスペクトル 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			無色無晶形固体 NMRスペクトル 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),6.90-6.96(3H,m),7.22-7.30(2H,m)
30			無色無晶形固体 NMRスペクトル 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			淡黄色板状晶(AcOEt) m.p. 114.5-119.5℃ 元素分析値 $C_{54}H_{82}N_2O_{16}\cdot 1/4H_2O$ 理論値 C,63.60;H,8.15;N,2.75 実測値 C,63.31;H,8.33;N,2.81

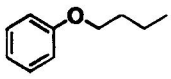
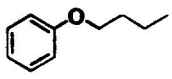
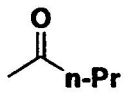
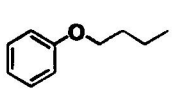
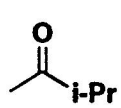
【０１２６】

【表７８】

実施例	R^1	R^3	性状及び物性
32			淡黄色無晶形固体 NMR スペクトル 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			無色無晶形固体 NMR スペクトル 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			無色無晶形固体 NMR スペクトル 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)

【０１２７】

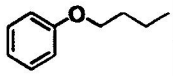
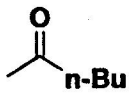
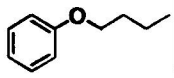
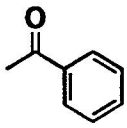
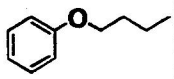
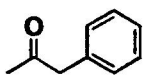
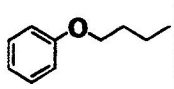
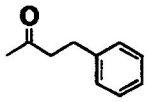
【表７９】

実施例	R^1	R^3	性状及び物性
35			無色無晶形固体 NMR スペクトル 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=6Hz),3.60-3.82(3H,m),3.95(1H,d,J=9Hz),4.00-4.10(2H,m),4.14-4.36(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			無色無晶形固体 NMR スペクトル 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			無色無晶形固体 NMR スペクトル 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)

【０１２８】

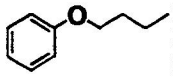
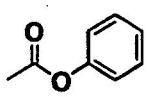
299
273

【表８０】

実施例	R^1	R^3	性状及び物性
38			無色無晶形固体 NMR スペクトル 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			無色プリズム状晶(i-Pr_2O) m.p. 102-104℃ 元素分析値 $C_{55}H_{84}N_2O_{16}$ 理論値 C,64.18;H,8.23;N,2.72 実測値 C,64.17;H,8.40;N,2.62
40			無色無晶形固体 NMR スペクトル 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			無色無晶形固体 NMR スペクトル 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)

【０１２９】

【表８１】

実施例	R^1	R^3	性状及び物性
42			無色無晶形固体 NMR スペクトル 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)

【０１３０】

実施例４３

２’－Ｏ－アセチル－４”－Ｏ－フェニルアミノカルボニルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］

２’－Ｏ－アセチルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］１．２０ｇのピリジン６ml溶液にフェニルイソシアネート０．６３mlを滴下し、室温で２５時間攪拌した。反応液に水を加え、飽和炭酸水素ナトリウム水溶液でアルカリ性にした後、ジエチルエーテルで抽出した。抽出液を水洗後飽和食塩水で洗浄し、硫酸ナトリウムで乾燥後、溶媒を減圧留去した。残渣をカラムクロマトグラフィー(シリカゲル，酢酸エチル)で処理後、再度カラムクロマトグラフィー(シリカゲル，n-ヘプタン：アセトン：トリエチルアミン＝７：３：０．１５)で精製し、無色無晶形固体０．９５ｇを得た。

NMRスペクトル 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=8Hz),7.40(2H,d,

J=8Hz)

【０１３１】

実施例４４

４”－Ｏ－アセチルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］

２’，４”－Ｏ－ジアセチルエリスロマイシンＡ ９－［Ｏ－（３－フェノキシプロピル）オキシム］０．１３ｇのメタノール１０ml溶液を室温で４日間攪拌した。反応液を減圧濃縮し、無色固体０．１２ｇを得た。メタノール及び水の混合液から再結晶し、融点１２７～１３０℃の無色プリズム状晶を得た。

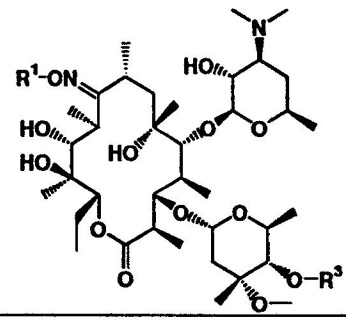
ＮＭＲスペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.97-1.83(35H,m),1.86-2.18(4H,m),2.10(3H,s),2.20-2.48(7H,m),2.50-2.71(2H,m),2.87-2.98(1H,m),3.09(1H,s),3.18-3.34(1H,m),3.31(3H,s),3.57(1H,d,J=6.5Hz),3.62-3.80(3H,m),3.97-4.09(3H,m),4.16-4.25(2H,m),4.27-4.40(2H,m),4.57(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(1H,d,J=5Hz),5.13(1H,d,J=8.5Hz),6.86-6.96(3H,m),7.21-7.30(2H,m)

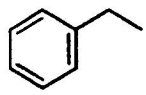
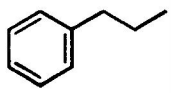
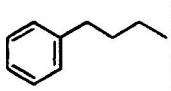
【０１３２】

実施例４４と同様にして、実施例４５～実施例８６の化合物を得た。

【０１３３】

【表８２】

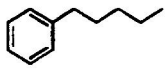
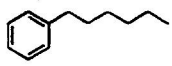
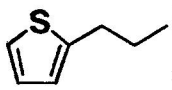
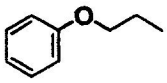


実施例	R^1	R^3	性状及び物性
45		Ac	無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),0.99(3H,d,J=6.5Hz),1.03-1.75(31H,m),1.86-2.04(2H,m),2.10(3H,s),2.32(6H,s),2.42(1H,d,J=15.5Hz),2.50-2.70(2H,m),2.86-2.98(1H,m),3.10(1H,s),3.15-3.25(1H,m),3.31(3H,s),3.43(1H,brs),3.54(1H,d,J=6.5Hz),3.62-3.78(3H,m),3.99(1H,d,J=10Hz),4.27-4.40(2H,m),4.54(1H,d,J=7.5Hz),4.68(1H,d,J=10Hz),4.98(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)
46		Ac	無色無晶形固体 NMR スペクトル 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)
47		Ac	無色無晶形固体 NMR スペクトル 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)

【０１３４】

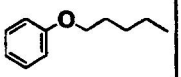
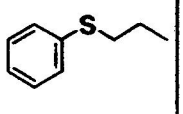
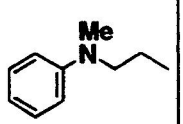
303
227

【表８３】

実施例	R^1	R^3	性状及び物性
48		Ac	無色無晶形固体 NMR スペクトル 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)
49		Ac	無色無晶形固体 NMR スペクトル 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)
50		Ac	無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),1.01(3H,d,J=6.5Hz),1.05-1.80(32H,m),1.87-2.05(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)
51		Ac	無色無晶形固体 NMR スペクトル 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)

【０１３５】

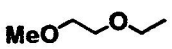
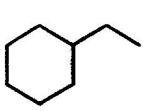
【表８４】

実施例	R^1	R^3	性状及び物性
52		Ac	無色無晶形固体 NMR スペクトル 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),3.90-4.15(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)
53		Ac	無色無晶形固体 NMR スペクトル 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)
		Ac	無色無晶形固体 NMR スペクトル 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)
55	n-Hex	Ac	無色無晶形固体 NMR スペクトル 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)

【０１３６】

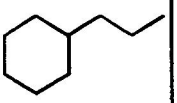
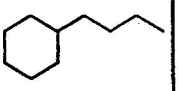
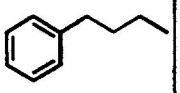
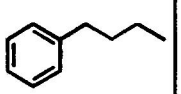
305
279

【表８５】

実施例	R^1	R^3	性状及び物性
56	n-Oct	Ac	無色無晶形固体 NMR スペクトル 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)
57	n-Dodec	Ac	無色無晶形固体 NMR スペクトル 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)
58		Ac	無色無晶形固体 NMR スペクトル 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)
59		Ac	無色無晶形固体 NMR スペクトル 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)

【０１３７】

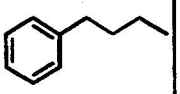
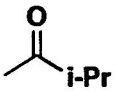
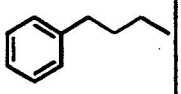
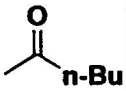
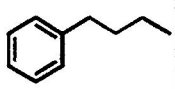
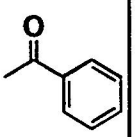
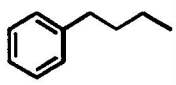
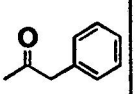
【表８６】

実施例	R^1	R^3	性状及び物性
60		Ac	無色無晶形固体 NMR スペクトル 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)
61		Ac	無色無晶形固体 NMR スペクトル 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)
62			無色無晶形固体 NMR スペクトル 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)
63			無色無晶形固体 NMR スペクトル 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),2.55-2.78(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)

【０１３８】

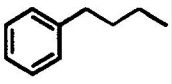
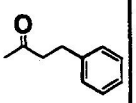
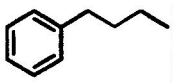
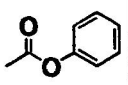
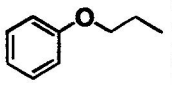
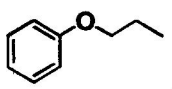
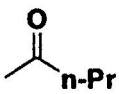
307
231

【表８７】

実施例	R^1	R^3	性状及び物性
64			無色無晶形固体 NMR スペクトル 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)
65			無色無晶形固体 NMR スペクトル 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)
66			無色無晶形固体 NMR スペクトル 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)
67			淡黄色無晶形固体 NMR スペクトル 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)

【０１３９】

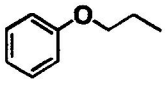
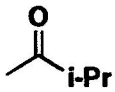
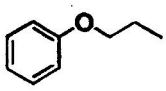
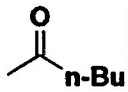
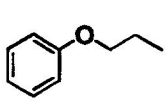
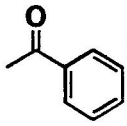
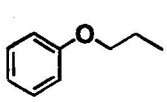
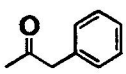
【表８８】

実施例	R¹	R³	性状及び物性
68			無色無晶形固体 NMR スペクトル δ(CDCl₃)ppm:0.84(3H,t,J=7.5Hz),0.95-1.80(33H,m),1.84(1H,brs),1.88-2.07(4H,m),2.30-2.49(7H,m),2.56-2.80(6H,m),2.88-3.01(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(1H,d,J=10Hz),4.97(1H,d,J=5Hz),5.13(1H,dd,J=11,2Hz),7.13-7.32(10H,m)
69			無色無晶形固体 NMR スペクトル δ(CDCl₃)ppm:0.85(3H,t,J=7.5Hz),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(3H,m),4.47-4.49(2H,m),4.53(1H,d,J=10Hz),4.58(1H,d,J=7.5Hz),5.00(1H,d,J=4.5Hz),5.14(1H,dd,J=11,2Hz),7.12-7.32(8H,m),7.36-7.42(2H,m)
70			無色無晶形固体 NMR スペクトル δ(CDCl₃)ppm:0.84(3H,t,J=7.5Hz),0.95-1.75(37H,m),1.85-2.10(3H,m),2.20-2.47(9H,m),2.50-2.71(2H,m),2.85-2.93(1H,m),3.08(1H,s),3.17-3.27(1H,m),3.29(3H,s),3.40(1H,brs),3.51(1H,d,J=7.5Hz),3.65-3.80(3H,m),3.91(1H,d,J=9Hz),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)
71			無色無晶形固体 NMR スペクトル δ(CDCl₃)ppm:0.84(3H,t,J=7.5Hz),0.90-1.80(39H,m),1.85-2.07(3H,m),2.20-2.45(9H,m),2.50-2.75(2H,m),2.85-2.95(1H,m),3.09(1H,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,dd,J=11,2.5Hz),6.90-6.96(3H,m),7.24-7.30(2H,m)

【０１４０】

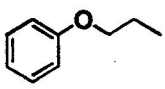
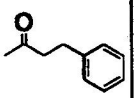
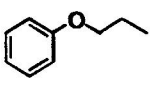
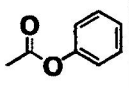
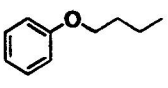
309
233

【表８９】

実例	R^1	R^3	性状及び物性
72			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),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=6.5Hz),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)
73			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),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)
			無色無晶形固体 NMR スペクトル 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),3.88(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)
75			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),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=6.5Hz),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)

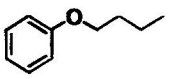
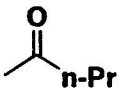
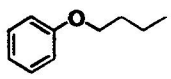
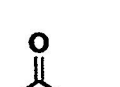
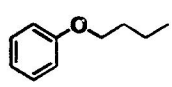
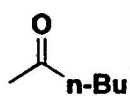
【０１４１】

【表９０】

実施例	R^1	R^3	性状及び物性
76			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),0.90-1.70(35H,m),1.87-2.10(2H,m),2.20-2.45(7H,m),2.50-2.80(4H,m),2.85-2.92(1H,m),2.97(2H,t,J=7.5Hz),3.08(1H,s),3.20-3.30(1H,m),3.26(3H,s),3.50(1H,d,J=6.5Hz),3.63-3.76(3H,m),3.85-3.92(1H,m),4.08-4.30(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=5.5Hz),5.12(1H,dd,J=11,2Hz),6.90-7.00(3H,m),7.15-7.30(7H,m)
77			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),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),3.09(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,dd,J=11,2Hz),6.90-6.98(3H,m),7.16(2H,d,J=7.5Hz),7.21-7.32(3H,m),7.39(2H,t,J=8Hz)
78			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),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),2.87-2.99(1H,m),3.09(1H,s),3.17-3.28(1H,m),3.31(3H,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),6.87-6.96(3H,m),7.23-7.31(2H,m)

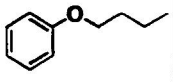
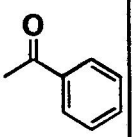
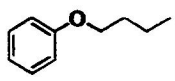
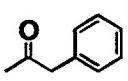
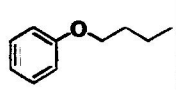
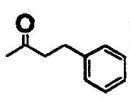
【０１４２】

【表９１】

実施例	R^1	R^3	性状及び物性
79			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),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)
80			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.84(3H,t,J=7.5Hz),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=6.5Hz),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)
81			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),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)

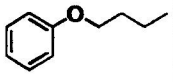
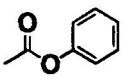
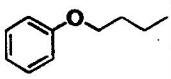
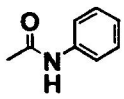
【０１４３】

【表９２】

実施例	R¹	R³	性状及び物性
82			無色無晶形固体 NMR スペクトル δ(CDCl$_3$)ppm:0.86(3H,t,J=7.5Hz),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)
83			無色無晶形固体 NMR スペクトル δ(CDCl$_3$)ppm:0.84(3H,t,J=7.5Hz),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=7.5Hz),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)
84			無色無晶形固体 NMR スペクトル δ(CDCl$_3$)ppm:0.84(3H,t,J=7.5Hz),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)

【０１４４】

【表９３】

実施例	R^1	R^3	性状及び物性
85			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),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)
86			無色無晶形固体 NMR スペクトル δ($CDCl_3$)ppm:0.85(3H,t,J=7.5Hz),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)

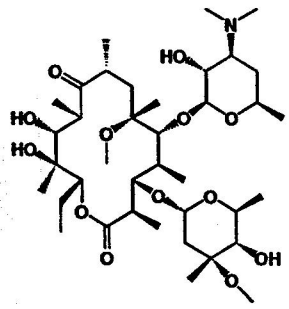
【０１４５】

以下、本発明化合物の優れた効果を確認するために、非定型抗酸菌に対する抗菌スペクトルの測定を行った。尚、対照化合物としてはクラリスロマイシン，リファンピシン及び４”－Ｏ－アセチルエリスロマイシン Ａ ９－（Ｏ－メチルオキシム）［特開昭６３－１０７９２１号公報記載化合物］を用いた。尚、式中Acはアセチル基を表す。

【０１４６】

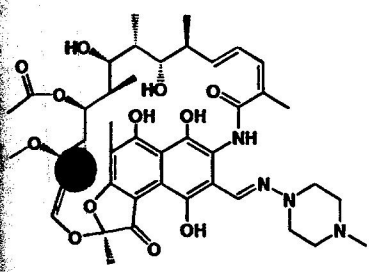
314
283

【化１２】



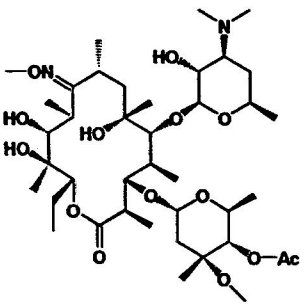
対照化合物1

（クラリスロマイシン）



対照化合物2

（リファンピシン）



対照化合物3

（4"－O－アセチルエリスロマイシン A

9－（O－メチルオキシム））

【０１４７】

非　抗酸菌に対する抗菌スペクトル

抗菌力（最小発育阻止濃度）の測定は、日本化学療法学会標準法［日本化学療法学会誌，29巻，76頁（1981年）］に準じて、臨床分離株の非定型抗酸菌を用い、生菌数を10^6CFU/mlとして行った。結果を表９４に示す。本発明化合物は、エリスロマイシン耐性非定型抗酸菌（M.avium 20092等）を含めた非定型抗酸菌に対して、対照化合物に比べて優れた抗菌力を示した。

尚、表中の菌名は以下の通りである。

Mycobacterium avium (M.avium)

Mycobacterium intracellulare (M.intracellulare)

【０１４８】

3/5
289

【表９４】

抗菌スペクトル（最小発育阻止濃度μg/ml）

試験菌	実施例1	実施例44	対照化合物1	対照化合物2	対照化合物3
M.avium 20034	3.13	3.13	3.13	12.5	>50
M.avium 20045	1.56	1.56	1.56	3.13	12.5
M.avium 20092	0.78	0.78	>50	50	>50
M.avium 20096	0.78	0.78	>50	3.13	>50
M.intracellulare 20066	0.78	0.78	3.13	3.13	12.5
M.intracellulare 20067	0.39	0.78	1.56	1.56	6.25
M.intracellulare 20073	0.78	0.78	1.56	3.13	12.5
M.intracellulare 20075	0.78	0.78	3.13	3.13	12.5

【０１４９】

【発明の効果】

本発明に係る新規なエリスロマイシン誘導体又はその塩は、多剤耐性菌を含む非定型抗酸菌に対して優れた抗菌作用を有し、抗菌剤として極めて有用である。

3/16
29

【書類名】　　要約書

【要約】

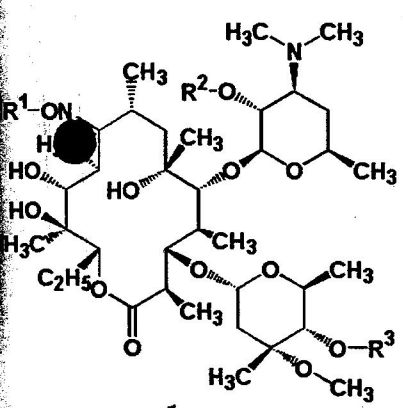
【課題】

抗菌剤として有用な化合物を提供する。

【解決手段】

次の一般式

【化１】



（式中、R^1はアルキル基，シクロアルキル基，（シクロアルキル）アルキル基，アラルキル基又は式－（CH_2）$_n$－X－R^4で示される基を表し、R^2は水素原子又はアセチル基を表し、R^3はアシル基又は式－C（＝O）－Y－R^5で示される基を表し、R^4はアルキル基，アルコキシアルキル基，アルキルチオアルキル基，アルキルアミノアルキル基，アリール基又はアラルキル基を表し、R^5はアルキル基，アリール基又はアラルキル基を表し、ｎは１～６の整数を表し、Ｘは酸素原子，硫黄原子又は－ＮＺ－で示される基を表し、Ｙは酸素原子又は－ＮＨ－基を表し、Ｚは水素原子又はアルキル基を表す。）

で示される新規なエリスロマイシン誘導体又はその塩は、多剤耐性菌を含む非定型抗酸菌に対して優れた抗菌作用を有し、抗菌剤として極めて有用である。

【選択図】

なし

29137F

出　願　人　履　歴　情　報

識別番号　　〔０００２４２６２２〕

１．変更年月日　　１９９２年１２月　４日
［変更理由］　住所変更
住　所　福井県勝山市猪野口３７号１番地１
氏　名　北陸製薬株式会社

2do. EXAMEN DE FORMA PATENTE DE INVENCION

Folio 3/8

Radicación no 00-25231

Concepto Técnico no 396 Clasificación Internacional de Patentes A61K 31/70// 31/71

Practicado el examen de acuerdo a lo establecido en el Art. 38 de la Decisión 486 se determinó que esta solicitud de **Patente de Invención:**

SI [X]	NO [ ]	Contiene un resumen de la invención (Art.26-e).
SI [X]	NO [ ]	Contiene el título o nombre de la invención (Art.27-d).
SI [X]	NO [ ]	Contiene la descripción de la invención (Art.26-b).
SI [X]	NO [ ]	NO ES APLICABLE [ ] Contiene los dibujos necesarios para comprender la invención (Art.26-d)
SI [X]	NO [ ]	Contiene una o más reivindicaciones. (Art.26-c).
SI [ ]	NO [ ]	NO ES APLICABLE [X] Han sido desarrollados los productos y/o procedimientos a partir de recursos genéticos o de sus productos derivados de los que cualquiera de los Países Miembros es país de origen. (Art: 26- h).
SI [ ]	NO [ ]	NO ES APLICABLE [X]Los productos y/o procedimientos han sido obtenidos o desarrollados a partir de los conocimientos tradicionales de las comunidades indígenas, afroamericanas, o locales de los países miembros, de acuerdo a lo establecido en la Decisión 391 y sus modificaciones y reglamentaciones vigentes.(Art.26-i).
SI [ ]	NO [X]	La invención se refiere a un producto relativo a un material biológico. (Art.26-J).
SI [X]	NO [ ]	La prioridad coincide con la materia reivindicada.
SI [X]	**NO [ ]**	**CUMPLE LOS REQUISITOS TÉCNICOS**

OBSERVACIONES Y OTROS: SI [X] NO [ ] ver hoja(s) anexa(s)
Se anexa nuevo capitulo reivindicatorio folios 179-182 que reemplaza el originalmente presentado.
Se anexa Extracto para publicación folio 186, Nueva tarjeta de archivo temático folio 187, Artes finales folios 183-185.

EXAMINADOR TÉCNICO
202038

Bogotá D. C., Marzo 12/02

Industria y Comercio
SUPERINTENDENCIA

2002-06-27
416

Folio 319

2020
Bogotá DC

Doctora
JIMENA ESCOBAR URIBE
Apoderada

REFERENCIA:	Radicación No.	00-25231
	Trámite	002
	Evento	001
	Actuación	416
	Oficio No.	831
	Folios	001

Teniendo en cuenta que la solicitud de privilegio de patente que se tramita bajo el expediente indicado en la referencia, reúne los requisitos de forma establecidos en los artículos 26 y 27 de la Decisión 486 de la Comisión de la Comunidad Andina, y en las demás disposiciones vigentes sobre la materia, se envía el extracto de la solicitud a la Oficina de Comunicaciones para efecto de su publicación en la Gaceta de Propiedad Industrial, conforme lo establece el artículo 40 de la misma Decisión.

Cúmplase
Dado en Bogotá DC, a los 17 JUN 2002

ALIX CARMENZA CÉSPEDES DE VERGEL
Jefe de la División de Nuevas Creaciones

Bogotá, DC, 09-03-05 El extracto de esta solicitud fue publicado en el número 517 de la Gaceta de Propiedad Industrial, de fecha 27-06-02. El término hábil señalado por la ley expiró el 24-09-02 y SI ___ NO X se presentaron oposiciones por parte de terceros.

202042

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