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(54) DC107 derivatives
Derivate von DC 107
Dérivés de DC107

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References cited:

CHEMICAL ABSTRACTS, vol. 112, no. 11, 12 March 1990 Columbus, Ohio, US; abstract no. 96887z, XP002034712 & J. ANTIBIOT., vol. 42, no. 12, 1989, pages 1768-1774, M. HARA ET AL.: The file contains technical information submitted after the application was filed and not included in this specification.

Remarks:

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This invention relates to novel DC107 derivatives having an antibacterial activity and an antitumor activity.

DC107 (leinamycin) is a compound produced by a microorganism belonging to the genus Streptomyces and disclosed in the chemical abstract C.A. 112 (1990), page 608 of EP300294. DC107 exhibits an antibacterial activity against various bacteria and also an antitumor activity and has a chemical structure represented by the formula (I) described below wherein R¹, R² and Z each represents a hydrogen atom, R³ bonds to Y to represent a single bond, and W represents an oxygen atom.

The present invention relates to the DC107 derivatives represented by the formula (I):

wherein

- R¹ represents hydrogen, a (C₁⁻C₈) alkoxy (C₁⁻C₂₀) alkyl group, an aralkyloxy (C₁⁻C₂₀) alkyl group, a (C₁⁻C₈) alkoxy (C₁⁻C₂₀) alkoxy (C₁⁻C₂₀) alkyl group, a (C₁⁻C₈) alkoxy (C₁⁻C₂₀) alkoxy (C₁⁻C₂₀) alkoxy (C₁⁻C₂₀) alkyl group, an aralkyl group, a tetrahydropyranyl group,
- Q¹ represents CH₂, O, S, SO, SO₂ or N-Q³ (wherein Q³ represents an aryl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁⁻C₈ alkyl, hydroxyl, C₁⁻C₈ alkoxy, (C₁⁻C₈ alkoxy)carbonyl, N,N-di-(C₁⁻C₈ alkyl)carbamoyloxy and N-acetylhexahydroisonicotinyloxy, or a (C₁⁻C₈ alkyl)oxycarbonyl group), and Q² represents a C₁⁻C₈ alkyl group) or COR⁴ (wherein R⁴ represents an alkyl group, an alicyclic alkyl group, an aralkyl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁⁻C₈ alkyl, hydroxyl, C₁⁻C₈ alkoxy, (C₁⁻C₈ alkoxy)carbonyl, N,N-di-(C₁⁻C₈ alkyl)carbamoyloxy and N-acetylhexahydroisonicotinyloxy, a heterocyclic group (which represents a 3-membered to 8-membered aliphatic or aromatic group composed of cyclic compound containing at least one hetero atom selected from oxygen, sulfur and nitrogen, this heterocyclic group may be substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁⁻C₈ alkyl, hydroxyl, C₁⁻C₈ alkoxy, (C₁⁻C₈ alkoxy)carbonyl, N,N-di-(C₁⁻C₈ alkyl)carbamoyloxy and N-acetylhexahydroisonicotinyloxy), a C₁⁻C₈ alkoxy group, an alicyclic alkyl group, a 9-fluorenylmethoxy, an aralkyloxy group, an aryl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁⁻C₈ alkyl, hydroxyl, C₁⁻C₈ alkoxy, (C₁⁻C₈ alkoxy)carbonyl, N,N-di-(C₁⁻C₈ alkyl)carbamoyloxy and N-acetylhexahydroisonicotinyloxy, (CH₃)₁₄⁻R₄⁻ wherein m represents an integer of from 1 to 6, R₄⁻ represents hydroxy, a C₁⁻C₈ alkoxy...
group, a carboxyl group, a (C₁₋₈ alkoxy)carbonyl group, an aryl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁₋₈ alkyl, hydroxyl, C₁₋₈ alkoxy, (C₁₋₈ alkoxy)carbonyl, N,N-di-(C₁₋₈ alkyl)carbamoyloxy and N-acetylimidazolylcarboxylic group, a heterocyclic group (which represents a 3-membered to 8-membered aliphatic or aromatic group composed of cyclic compound containing at least one hetero atom selected from oxygen, sulfur and nitrogen, this heterocyclic group may be substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁₋₈ alkyl, hydroxyl, C₁₋₈ alkoxy, (C₁₋₈ alkoxy)carbonyl, N,N-di-(C₁₋₈ alkyl)carbamoyloxy and N-acetylimidazolylcarboxylic group), an aralkyloxy group, an aralkyloxy group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁₋₈ alkyl, hydroxyl, C₁₋₈ alkoxy, (C₁₋₈ alkoxy)carbonyl, N,N-di-(C₁₋₈ alkyl)carbamoyloxy and N-acetylimidazolylcarboxylic group), an aralkyloxy group, an aralkyloxy group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁₋₈ alkyl, hydroxyl, C₁₋₈ alkoxy, (C₁₋₈ alkoxy)carbonyl, N,N-di-(C₁₋₈ alkyl)carbamoyloxy and N-acetylimidazolylcarboxylic group), an aralkyloxy group, an aralkyloxy group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁₋₈ alkyl, hydroxyl, C₁₋₈ alkoxy, (C₁₋₈ alkoxy)carbonyl, N,N-di-(C₁₋₈ alkyl)carbamoyloxy and N-acetylimidazolylcarboxylic group), an aralkyloxy group, an aralkyloxy group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁₋₈ alkyl, hydroxyl, C₁₋₈ alkoxy, (C₁₋₈ alkoxy)carbonyl, N,N-di-(C₁₋₈ alkyl)carbamoyloxy and N-acetylimidazolylcarboxylic group).
chain alkyl group having from 1 to 20 carbon atoms, for example, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, isopentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl, pentadecyl and the like. The alkyl group contained in the lower alkoxyalkyl group, the aralkyloxyalkyl group, the lower alkoxyalkoxyalkyl group, the lower alkoxyalkoxyalkyl group, the substituted or unsubstituted aryloxyalkyl group, the lower alkoxyalkoxyalkyl group and the alicyclic alkoxyalkyl group has the same meaning as the above-described alkyl group. The alicyclic alkyl group includes those having from 3 to 8 carbon atoms, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl. The alicyclic alkyl group contained in the alicyclic alkoxy group and the alicyclic alkanoyloxyalkyl group has the same meaning as the above-described alicyclic alkyl group.

[0006] The lower alkyl group represents the above-described alkyl group in which the group has from 1 to 8 carbon atoms. The lower alkyl group contained in the lower alkoxy group, the lower alkoxyalkyl group, the lower alkoxyalkoxyalkyl group, the lower alkoxyalkoxyalkoxyalkyl group, the lower alkoxycarbonylalkyl group, the substituted or unsubstituted aryloxyalkyl group, the lower alkanoyloxyalkyl group and the hydroxy lower alkyl group, the lower alkoxyalkoxyalkyl group, the lower alkoxyalkoxyalkyl group and the lower alkoxyalkoxycarbonylamine group has the same meaning as the above-described lower alkyl group.

[0007] The lower alkenyl group and the lower alkenyl moiety in the lower alkenyloxy group include a straight chain or branched group having from 3 to 6 carbon atoms, allyl, crotyl, prenyl.

[0008] The aralkyl group and the aralkyl moiety in the aralkyloxy group and the aralkyloxyalkyl group include those having from 7 to 15 carbon atoms, benzyl, phenethyl, benzhydryl, naphthylmethyl.

[0009] The aryl group and the aryl moiety in the aryloxy group, the aryloxyalkyl group and the arylsulfonylamino group include phenyl, naphtyl.

[0010] The heterocyclic group represents a 3-membered to 8-membered aliphatic or aromatic group which is composed of cyclic compound containing at least one hetero atom selected from oxygen, sulfur, nitrogen, or a condensed cyclic compound group in which said cyclic compound group is condensed with the same or a different cyclic compound or a benzene ring, and preferred examples include a 5-membered or 6-membered nitrogen-containing aromatic heterocyclic group such as imidazolyl, pyridyl, indolyl, quinolyl, isoquinolyl, quinoxalinyl, quinazolinyl, or a 5-membered or 6-membered nitrogen-containing alicyclic heterocyclic group such as pyrrolidinyl, oxopropylidinyl, piperidinyl, piperidino, morphiolinyl, thiomorpholinyl, homopiperidinyl, homopiperazinyl, tetrahydropyridyl.

[0011] The pharmacologically acceptable salts of the compounds (I) include, for example, acid addition salts such as hydrochloride, hydrobromide, sulfate, formate, acetate, benzoate, maleate, fumarate, succinate, tartrate, citrate, oxalate, methanesulfonate, p-toluenesulfonate, aspartate, glutamate.

[0012] Processes for preparing the compounds (I) are described hereinafter.

Preparation Process 1

[0014] Of the compounds (I), the compound (I) wherein R1 is a group other than hydrogen, R2 and Z each is hydrogen, R3 bonds to Y to represent a single bond, and W is oxygen is referred to as compound (Ia), the compound (I) wherein R1 and R2 are groups other than hydrogen, Z is hydrogen, R3 bonds to Y to represent a single bond, and W is oxygen is referred to as compound (Ib), the compound (I) wherein R1 and R2 each is hydrogen, Y bonds to Z to represent a single bond, and W is oxygen is referred to as compound (Ic), the compound (I) wherein R1 is a group other than hydrogen, R2 is hydrogen, Y bonds to Z to represent a single bond, and W is oxygen is referred to as compound (Id), and the compound (I) wherein R1 and R2 each is a group other than hydrogen, Y bonds to Z to represent a single bond, and W is oxygen is referred to as compound (Ie). These compounds (I) wherein W is oxygen can be prepared, from DC107 as a starting material via the following synthetic route:
(wherein $R_{1a}$ represents a group defined as the above-described $R^1$ excluding hydrogen, $R_{2a}$ represents COR$^5$ (wherein $R^5$ has the same meaning as defined above), $R_{3a}$ represents a lower alkyl group, a lower alkenyl group, a substituted or unsubstituted aralkyl group in which aryl may be substituted, a lower alkoxyalkyl group, an aralkyloxyalkyl group, a substituted or unsubstituted aryloxyalkyl group, a lower alkoxyalkyl group, a lower alkoxyalkyl group, an alicyclic alkoxyalkyl group or

\[
|\begin{array}{c}
\text{O} \\
\text{O} \\
\text{CH}_2 \\
\text{CH}_3
\end{array}| 
\]

\[\text{[0015]}\] Compounds (Ia), (Ib), (Ic), (Id) and (Ie) can be prepared by the following steps based on the above-described synthetic route, depending upon the type of $R_{1a}$, $R_{2a}$ and $R_{3a}$. 


Step 1

[0016]

Of the compounds (Ia) or (Id), the compound (Ia1) or (Id1) wherein R\textsuperscript{1} represents COR\textsuperscript{4} (wherein R\textsuperscript{4} has the same meaning as defined above) can be obtained by reacting DC107, which is disclosed in Japanese Published Unexamined Patent Application No. 112988/89 or compound (Ic) with the compound (II) represented by the following formula:

$$(\text{R}_4\text{CO})_2\text{O}$$  \hspace{1cm} (II)

(wherein R\textsuperscript{4} has the same meaning as defined above) or the compound (III) represented by the following formula:

$$\text{R}_4\text{COX}$$  \hspace{1cm} (III)

(wherein R\textsuperscript{4} has the same meaning as defined above and X represents chlorine, bromine or iodine) in a solvent which is inert to the reaction and in the presence of a base. In the above reaction, when DC107 is used, the compound (Ia1) is produced and, when the compound (Ic) is used, the compound (Id1) is produced. The compound (II) or the compound (III) is generally used in an amount of one equivalent or more, preferably from 1 to 100 equivalents, to DC107 or the compound (Ic).

[0017] The solvent used in the reaction may be any solvent which is inert to the reaction, and examples thereof include chloroform, dichloromethane, ether, tetrahydrofuran, acetone, dimethylformamide and acetonitrile which can be used singly or as a mixture thereof. As the base, pyridine, triethylamine or diisopropylethylamine may be used singly or as a mixture thereof, and the reaction can be promoted by further adding dimethylaminopyridine to the reaction system in an amount of from 0.1 to 2 equivalents. The base is generally used in an amount of one equivalent or more, preferably from 1 to 200 equivalents, to DC107 or the compound (Ic). The reaction is generally completed within from 5 minutes to 24 hours at a reaction temperature in the range of from -20 to 50°C.
Step 2

[0019]

(wherein R\textsuperscript{3a} has the same meaning as defined above, and R\textsuperscript{7} represents a group defined as the above-described R\textsuperscript{4} excluding a lower alkoxy group, an alicyclic alkoxy group, a 9-fluorenylmethoxy group, an aralkyloxy group and a substituted or unsubstituted aryloxy group.)

[0020] Of the compounds (Ia) or (Id), the compound (Ia\textsubscript{2}) or (Id\textsubscript{2}) wherein R\textsuperscript{1a} represents COR\textsuperscript{7} (wherein R\textsuperscript{7} has the same meaning as defined above) can also be prepared by reacting DC107 or the compound (Ic) with the compound (IV) represented by the following formula:

\[
R\textsuperscript{7}CO\textsubscript{2}H
\]

(IV)

(wherein R\textsuperscript{7} has the same meaning as defined above) in an inert solvent in the presence of a condensing agent. The solvent used in the reaction may be any of the above-described inert solvents, but chloroform and dichloromethane are preferred. The condensing agent may be any of those generally used for the condensation of a carboxylic acid and an alcohol, dicyclohexylcarbodiimide, 1-ethyl-3-(3-dimethylaminopropyl)-carbodiimide hydrochloride, and dimethylaminopyridine can be further added to the reaction system in an amount of from 0.1 to 10 equivalents. The compound (IV) and the condensing agent are generally used in an amount of from 1 to 100 equivalents to DC107 or the compound (Ic). The reaction is generally completed within from 10 minutes to 24 hours at a reaction temperature of from 0 to 30°C.
Step 3

[0021]

(wherein R₃a has the same meaning as defined above, and R₈ represents a nitrogen-containing alicyclic heterocyclic group.)

[0022] Of the compounds (Ia) or (Id), the compound (Ia₄) or (Id₄) wherein R₁₄ represents COR₈ (wherein R₈ has the same meaning as defined above) can be prepared by reacting the compound (Ia₃) or the compound (Id₃) wherein R₁₃ is p-nitrophenyloxycarbonyl with a nitrogen-containing alicyclic heterocyclic compound in an inert solvent. The solvent used for the reaction may be any of the above-described inert solvents, but chloroform and dichloromethane are preferably used. The nitrogen-containing alicyclic heterocyclic compound is generally used in an amount of from 1 to 10 equivalents. The reaction is generally completed within from 10 minutes to 24 hours at a reaction temperature of from 0 to 30°C.
The compound (Ib1) or the compound (Ie1) can be prepared by reacting the compound (Ia) or (Id) with the compound (V) represented by the following formula:

$$(R^5 CO)_2 O$$

(V)

(wherein $R^5$ has the same meaning as defined above) or the compound (VI) represented by the following formula:

$$R^5 COX$$

(VI)

(wherein $R^5$ and $X$ have the same meanings as defined above) in a solvent which is inert to the reaction in the presence of a base. When the compound (Ia) is used, the compound (Ib1) is produced and, when the compound (Id) is used, the compound (Ie1) is produced.

The solvent for use in the reaction may be any solvent which is inert to the reaction, and examples thereof include chloroform, dichloromethane, ether, tetrahydrofuran, acetone, dimethylformamide, acetonitrile and the like which can be used singly or as a mixture thereof. As the base, pyridine, triethylamine, diisopropylethylamine and the like may be used singly or as a mixture thereof, and dimethylaminopyridine and the like may further be added to the reaction system in an amount of from 0.1 to 10 equivalents.

The compound (V) or the compound (VI) is generally used in an amount of one equivalent or more, preferably from 1 to 100 equivalents, to the compound (Ia) or the compound (Id), and the base is generally used in an amount of one equivalent or more, preferably from 1 to 500 equivalents, to the compound (Ia) or the compound (Id). The reaction is generally completed within from 5 minutes to 20 hours at a reaction temperature in the range of from -20 to 50°C.
Step 4-2

[0027]

(wherein R<sup>3a</sup> and R<sup>5</sup> have the same meanings as defined above.)

[0028] In a similar manner as Step 4-1, when DC107 or the compound (Ic) is used as a starting material in Step 4-2, the compound (Ib2) or (Ie2) having the same substituent in R<sup>2a</sup> as R<sup>1a</sup> (R<sup>1a</sup> = R<sup>3a</sup> = COR<sup>5</sup>) can also be obtained, together with the compound (Ia5) or the compound (Id5). In this case, the production ratio of (Ia5) and (Ib2), or (Id5) and (Ie2) varies depending upon the reaction conditions such as the type of the compound (V) or the compound (VI), the amount thereof, and the solvent used.

Step 5

[0029]

(wherein R<sup>1a</sup> and R<sup>3a</sup> have the same meanings as defined above.)

[0030] The compound (Ic) and the compound (Id) can be prepared by reacting DC107 or the compound (Ia) with the compound (VII) represented by the following formula:
The solvent used in the reaction may be any solvent which is inert to the reaction, and examples thereof include chloroform, dichloromethane, ether, tetrahydrofuran, acetone, dimethylformamide, acetonitrile which can be used singly or as a mixture thereof. As the base, amines such as pyridine, imidazole, triethylamine, diisopropyl ethylamine, and a carbonate or bicarbonate of an alkali metal or alkaline earth metal such as sodium carbonate, potassium carbonate, calcium carbonate, sodium bicarbonate and the like may be used, and dimethylaminopyridine may be used as a catalyst. Further, the reaction can be promoted by adding potassium iodide, sodium iodide, tetrabutylammonium iodide to the reaction system in an amount of from 1 to 100 equivalents.

The compound (VII) is generally used in an amount of one equivalent or more, preferably from 1 to 100 equivalents, to DC107 or the compound (Ia). The base is generally used in an amount of one equivalent or more, preferably from 1 to 200 equivalents, to DC107 or the compound (Ia). The reaction is generally completed within from 10 minutes to 24 hours at a reaction temperature in the range of from 0 to 50°C.

Step 6-1

Of the compounds (Id), the compound (Id6) wherein R1a is lower alkoxyalkyl, aralkyloxyalkyl, lower alkoxyalkoxyalkyl or lower alkoxyalkoxyalkoxyalkyl can be prepared by reacting the compound (Ic) with the compound (VIII) represented by the following formula:

![Chemical structure](R^{3a}X)

(Step 6-1)

![Chemical structure](R^9X)

(Step 6-1)

(wherein R^{3a} has the same meaning as defined above, and R^9 represents lower alkoxyalkyl, aralkyloxyalkyl, lower alkoxyalkoxyalkyl or lower alkoxyalkoxyalkoxyalkyl.)

Of the compounds (Id), the compound (Id6) wherein R1a is lower alkoxyalkyl, aralkyloxyalkyl, lower alkoxyalkyl or lower alkoxyalkoxyalkyl can be prepared by reacting the compound (Ic) with the compound (VIII) represented by the following formula:

R^9X

(Step 6-1)

(wherein R^9 and X have the same meanings as defined above.) The reaction is conducted in a solvent which is inert to the reaction, such as chloroform, dichloromethane, dimethylformamide, acetonitrile, ether, tetrahydrofuran and the like, in the presence of a tertiary amine such as triethylamine, diisopropylethylamine, N-methylpiperidine. The compound (VIII) is generally used in an amount of from 1 to 100 equivalents, and the tertiary amine is generally used in an amount of from 1 to 200 equivalents. The reaction is generally completed within from 1 to 24 hours at a reaction temperature of from 0 to 50°C.
In a similar manner as Step 6-1, when DC107 is used as a starting material in Step 6-2, the compound (Ia6) or the compound (lc1) wherein one of R^{1a} and R^{3a} represents lower alkoxyalkyl, aralkyloxyalkyl or lower alkoxyalkoxyalkyl, and the compound (Id7) wherein both R^{1a} and R^{3a} represent lower alkoxyalkyl, aralkyloxyalkyl, lower alkoxyalkoxyalkyl or lower alkoxyalkoxyalkoxyalkyl may be obtained. The production ratio of the compounds (Ia6), (lc1) and (Id7) varies depending upon the reaction conditions used such as the type and equivalent number of base, the solvent, the reaction temperature.
[wherein $R^{3a}$ has the same meaning as defined above, and $R^{10}$ represents tetrahydropyranyl, lower alkoxyalkyl, aralkyl or]

(wherein $Q^1$ and $Q^2$ have the same meanings as defined above). [0038] The compound (Ia7) or the compound (Id8) can be prepared by reacting DC107 or the compound (Ic) with 3,4-dihydro-2H-pyran in the case where $R^{10}$ represents tetrahydropyranyl, with aralkyl 2,2,2-trichloroacetimidate in the case where $R^{10}$ is aralkyl, or with lower alkoxyalkene in the case where $R^{10}$ is lower alkoxyalkyl, with

(wherein $Q^1$ and $Q^2$ have the same meanings as defined above) in the case where $R^{10}$ is
(wherein Q¹ and Q² have the same meanings as defined above) each in an amount of from 1 to 300 equivalents to DC107 or the compound (Ic), in a solvent which is inert to the reaction in the presence of an acid.

[0039] The solvent used in the reaction can be any solvent which is inert to the reaction such as chloroform, dichloromethane, ether, tetrahydrofuran, acetone, dimethylformamide, acetonitrile which can be used singly or as a mixture thereof. Examples of the acid used in the reaction include organic acids such as p-toluenesulfonic acid, camphorsulfonic acid, pyridinium p-toluenesulfonate, trifluoroacetic acid, trifluoromethanesulfonic acid inorganic acids such as hydrochloric acid, sulfuric acid, and Lewis acids such as titanium tetrachloride and a complex of boron trifluoride and diethyl etherate. The acid is generally used in an amount of from 0.1 to 5 equivalents to DC107 or the compound (Ic). The reaction is generally completed within from 5 minutes to 24 hours at a reaction temperature of from -30 to 30°C.

Preparation Process 2

[0040] Of the compounds (I), the compounds (I) wherein R¹ is hydrogen, R² represents COR⁵ (wherein R⁵ has the same meaning as defined above), and W is oxygen are referred to as compound (Ii) or compound (Ig). The compound (Ii) or the compound (Ig) can be prepared by the following step.

Step 8

[0041]

(wheren Q¹ and Q² have the same meanings as defined above.)

[0042] The compound (Ii) or the compound (Ig) can be prepared by treating the compound (Ib₃) or the compound (Ie₃) with an organic acid such as p-toluenesulfonic acid, camphorsulfonic acid or an inorganic acid such as hydrochloric acid, sulfuric acid in an amount of from 0.1 to 100 equivalents in a solvent such as methanol, ethanol. The reaction is generally completed within from 5 minutes to 24 hours at a reaction temperature of from -30 to 30°C.

Preparation Process 3

[0043] Of the compounds (I), the compounds (I) wherein W represents oxygen or NR⁶ (wherein R⁶ has the same meaning as defined above) are referred to as compound (Ih) and compound (II), respectively. The compound (II) can
be prepared from the compound (Ih) by the following step.

**Step 9**

[0044]

\[
\text{wherein } R^1, R^2, R^3, Y, Z \text{ and } R^6 \text{ have the same meanings as defined above.}
\]

[0045] The compound (ii) can be prepared by reacting the compound (Ih) with the compound (IX) represented by the following formula:

\[
R^6\text{NH}_2
\]

(IX)

(wherein \(R^6\) has the same meaning as defined above) or a hydrochloride thereof, in a solvent which is inert to the reaction.

[0046] The solvent which can be used for the reaction includes methanol, ethanol, dichloromethane, chloroform, tetrahydrofuran, dimethylformamide, acetonitrile and the like which can be used singly or as a mixture thereof. Also, the reaction can be promoted by adding pyridine or an acid to the reaction system. The acid is preferably an organic acid such as p-toluenesulfonic acid, camphorsulfonic acid, pyridinium p-toluenesulfonate, but an inorganic acid such as hydrochloric acid, sulfuric acid and the like may also be used.

[0047] The compound (IX) is generally used in an amount of from 1 to 50 equivalents to the compound (I), and pyridine or an acid may be used in an amount of from 1 to 100 equivalents. The reaction is generally completed within from 5 minutes to 24 hours at a reaction temperature of from 0 to 30°C.

[0048] The object compounds in the above-described preparation processes can be isolated and purified by purification procedures ordinary used in the organic synthetic chemistry, for example, neutralization, filtration, extraction, washing, drying, concentration, recrystallization, various types of chromatography.

[0049] Further, the compounds (I) may exist in the form of adducts with water and various solvents, and it is to be understood that these adducts are also included within the scope of the compounds according to the present invention.

[0050] Specific examples of the compound (I) obtained by the above-described preparation processes are shown in Table 1 below.
### Table 1

**Specific Examples (1) of Compound (I)**

<table>
<thead>
<tr>
<th>Compound</th>
<th>R&lt;sup&gt;1&lt;/sup&gt;</th>
<th>R&lt;sup&gt;2&lt;/sup&gt;</th>
<th>W</th>
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<td>O</td>
</tr>
<tr>
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<td>H</td>
<td>O</td>
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<tr>
<td>3</td>
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<td>O</td>
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<td>O</td>
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### Table 1

**Specific Examples (2) of Compound (I)**

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Table 1

Specific Examples (3) of Compound (I)

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<td>O</td>
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<td>O</td>
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<td>O</td>
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<td>O</td>
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<td>O</td>
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<td>O</td>
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<td>O</td>
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<td>O</td>
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<td>O</td>
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<td>O</td>
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Table 1

Specific Examples (4) of Compound (I)

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### Table 1

**Specific Examples (5) of Compound (I)**

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<td>O</td>
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<td>DMDO</td>
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### Table 1

Specific Examples (5) of Compound (I)

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<td>NOH</td>
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<td>124**</td>
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<td>NOH</td>
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**Table 1**

**Specific Examples (7) of Compound (I)**

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<th>Compound</th>
<th>R&lt;sup&gt;1&lt;/sup&gt;</th>
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<th>R&lt;sup&gt;3&lt;/sup&gt;</th>
<th>W</th>
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<td>DMDO</td>
<td>O</td>
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<td>H</td>
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<td>CH&lt;sub&gt;2&lt;/sub&gt;NH&lt;sub&gt;2&lt;/sub&gt;-NO&lt;sub&gt;2&lt;/sub&gt;</td>
<td>O</td>
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<tr>
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<td>H</td>
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<tr>
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<td>H</td>
<td>CH&lt;sub&gt;2&lt;/sub&gt;NHCON(CH&lt;sub&gt;2&lt;/sub&gt;)&lt;sub&gt;2&lt;/sub&gt;</td>
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<td>H</td>
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<td>H</td>
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### Table 1

**Specific Examples (8) of Compound (I)**

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<th>W</th>
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<tr>
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<td>(\text{CO}_2\text{N}^+)</td>
<td>H</td>
<td>DMDO</td>
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</tr>
<tr>
<td>145</td>
<td>(\text{CO}_2\text{H})</td>
<td>H</td>
<td>DMDO</td>
<td>0</td>
</tr>
<tr>
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<td>(\text{CO}_2\text{H})</td>
<td>H</td>
<td>DMDO</td>
<td>0</td>
</tr>
<tr>
<td>147</td>
<td>(\text{CO}_2\text{H})</td>
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<td>DMDO</td>
<td>0</td>
</tr>
<tr>
<td>148</td>
<td>(\text{CO}_2\text{C(CH}_3))</td>
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<td>DMDO</td>
<td>0</td>
</tr>
<tr>
<td>149</td>
<td>(\text{CO}_2\text{O}^+)</td>
<td>H</td>
<td>DMDO</td>
<td>0</td>
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<tr>
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<td>(\text{CO(CH}_2)_2\text{CO}_2\text{H})</td>
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<td>DMDO</td>
<td>0</td>
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<tr>
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<td>(\text{COCH}_2\text{CO}_2\text{CH}_3)</td>
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<td>0</td>
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<tr>
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### Table 1

**Specific Examples (9) of Compound (I)**

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* A diastereomer due to asymmetric carbon on the tetrahydropyranyl group in R¹.

** A geometrical isomer relative to the C=N bond in W.
The antibacterial activity and the antitumor activity of typical compounds (I) are hereinafter described with reference to test examples.

TEST EXAMPLE 1

Antibacterial Activity

The antibacterial activity as determined by the agar dilution method using a medium prepared by dissolving 3 g of Bacto-Tryptone (a product of Difco Laboratories), 3 g of a meat extract, 1 g of a yeast extract, 1 g of glucose and 16 g of agar in one liter of water (pH 7). The antibacterial activities of typical compounds in terms of the minimum growth inhibitory concentration (MIC) are shown in Table 2 below.

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<tr>
<th>Compound</th>
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TEST EXAMPLE 2

Antitumor Activity of Test Compounds Against P388 Leukemia

[0053] Ascites were collected from the abdominal cavity of P388 ascites tumor-bearing cancer mice (DBA/2) on the 7th day after transplantation. A number of P388 cells in the ascites was counted, and a tumor cell floating liquid of $1 \times 10^6$ cells/ml was prepared using a physiological saline solution. Then, 0.2 ml of the solution containing $1 \times 10^6$ cells was transplanted into the abdominal cavity of CDF1 mice having a body weight of from 20 to 25 g.

[0054] The test compound was dissolved in a physiological saline solution containing polyoxyethylene sorbitan monooleate, and 0.2 ml of the solution was administered intraperitoneally to CDF1 mice (five mice per group) on the 24 hours after the tumor transplantation, and thereafter a number of survival days of mice was observed for a period of 30 days.

[0055] The effect of the test compound was determined in terms of the ratio of average survival days of the group administered with the test compound to average survival days of the control group (non-medicated group) (i.e., an increased life span, ILS%). The results obtained are shown in Table 3 below.

<table>
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The compound according to the present invention is useful as an antibacterial agent and an antitumor agent and can be used as it is or in various dosage forms. For example, when the compound (I) is used as an injectable preparation, it can be used after dissolving in a diluting agent which is ordinary used in the art, for example, a physiological saline solution, a glucose injectable solution, a lactose injectable solution, a mannitol injectable solution or the like, or it may be used as a freeze-dried injectable preparation based on the Japanese Pharmacopeia, or a powdery injectable preparation mixed with sodium chloride. Also, an auxiliary agent such as polyethylene glycol, HCO-60 (a surface active agent; a product of Nikko Chemical Co., Ltd.) and the like or a carrier such as ethanol and/or liposome, cyclodextrin and the like may be added to the injectable preparation. These injectable preparations are generally administered intravenously, but may be administered intraperitoneally, intrathoracically.

The compound (I) can be used as an oral preparation by mixing and formulating the compound (I) into a dosage form such as tablets, granules, powders, syrup and the like with appropriate excipients, disintegrating agents, binders, lubricating agents and the like in a usual manner.

The dosage level varies depending upon the administration method, the type of the compound (I) as well as the age and the severity of conditions, and the method for administration can also be varied by the severity of conditions and the dosage level, but the compound (I) can be generally administered parenterally as an injectable preparation or orally. For example, it can be administered at a dose in the range of from 0.06 to 6 mg/kg once a week or once at an interval of three weeks.

The present invention is further illustrated by the following Examples.

**BEST MODE FOR CARRYING OUT THE INVENTION**

In the following examples, the physical and chemical properties of each of the compounds were determined by the following apparatus:

- **MS**
  - JEOL HX/HX110A (measurement by the FAB method)
  - Hitachi M-80B (measurement by the SI method)
- **\(^1\)HNMR**
  - Bruker AM500 (500 MHz)
  - JEOL α400 (400 MHz)
  - JEOL FX-100 (100 MHz)
- **IR**
  - Nippon Bunko IR-810

In the physical data of the compounds in the following examples, "HRFABMS" means a high resolution MS measurement by the FAB method; "Anal" means an elemental analysis; "calcd" means a theoretical value based on the molecular formula; and "found" means a measured value. In the examples, "Cbz" means carbobenzyloxy, "Boc" means tert-butoxycarbonyl, and "Fmoc" means 9-fluorenylmethylcarbonyl.

**EXAMPLE 1**

**Synthesis of Compound 1**

DC107 (52 mg, 0.102 mmol) was dissolved in dichloromethane (2.0 ml) and pyridine (0.1 ml, 1.2 mmol), and then acetic anhydride (0.05 ml, 0.53 mmol) and 4-dimethylaminopyridine (2.0 mg, 0.016 mmol) were added thereto,
followed by stirring at 25°C for 1.5 hours. After subjecting the resulting mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with ether/hexane = 10/1) to obtain Compound 1 (46 mg, 82% yield).

IR (KBr) 3400, 2950, 1720, 1605, 1540, 1450, 1370, 1230, 1100, 1030, 1000, 950, 900, 805 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.80 (dd, J=16.5, 11.6Hz, 1H), 7.28 (s, 1H), 6.67 (br d, J=6.6Hz, 1H), 6.65 (d, J=11.6Hz, 1H), 6.36 (dd, J=11.6, 6.6Hz, 1H), 6.03 (d, J=16.5Hz, 1H), 5.79 (br s, 2H), 5.36 (dq, J=6.6, 6.6Hz, 1H), 4.45 (br s, 1H), 3.06 (br s, 2H), 2.35 (dt, J=12.8, 3.9Hz, 1H), 2.06 (dt, J=12.8, 5.2Hz, 1H), 2.00 (s, 3H), 1.78 (s, 3H), 1.77 (d, J=6.6Hz, 3H), 1.75 (m, 1H), 1.72 (s, 3H)

SIMS m/z 553 (M + H)+

HRFABMS calcd for C₂₄H₂₉N₂O₇S₃ (M + H)+ 553.1137, found 553.1160

EXAMPLE 2

Synthesis of Compound 2

According to the procedure as described in Example 1, Compound 2 (34 mg, 76% yield) was obtained from DC107 (40.7 mg, 0.080 mmol), chloroform (4.0 ml), pyridine (0.162 ml, 2.0 mmol), propionic anhydride (0.051 ml, 0.40 mmol) and 4-dimethylaminopyridine (3.0 mg, 0.024 mmol).

IR (KBr) 3420, 3350, 2926, 1718, 1653, 1544, 1448, 1373, 1271, 1185, 1082, 1003, 947, 893, 799 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.83 (dd, J=16.6, 11.3Hz, 1H), 7.28 (s, 1H), 6.68 (br d, J=6.4Hz, 1H), 6.64 (d, J=11.3Hz, 1H), 6.25 (dd, J=11.3, 3.9Hz, 1H), 6.02 (d, J=16.6Hz, 1H), 5.81 (br d, J=9.8Hz, 1H), 5.78 (d, J=9.8Hz, 1H), 5.36 (dq, J=6.4, 6.4Hz, 1H), 4.50 (br s, 1H), 3.08 (d, J=15.3Hz, 1H), 3.03 (d, J=15.3Hz, 1H), 2.34 (dt, J=12.8, 4.0Hz, 1H), 2.27 (dq, J=7.6, 1.5Hz, 1H), 2.07 (dd, J=13.4, 12.8, 5.5Hz, 1H), 1.88 (dd, J=14.3, 12.8, 5.5Hz, 1H), 1.79 (d, J=6.4Hz, 3H), 1.73 (s, 3H), 1.04 (t, J=7.6Hz, 3H)

FABMS m/z 567 (M + H)+

HRFABMS calcd for C₂₅H₃₁N₂O₇S₃ (M + H)+ 567.1293, found 567.1313

EXAMPLE 3

Synthesis of Compound 3

According to the procedure as described in Example 1, Compound 3 (23 mg, 51% yield) was obtained from DC107 (40.0 mg, 0.078 mmol), dichloromethane (1.0 ml), pyridine (0.82 ml, 10.1 mmol), pivaloyl chloride (0.63 ml, 5.15 mmol) and 4-dimethylaminopyridine (2.0 mg, 0.016 mmol).

IR (KBr) 3400, 2936, 1718, 1654, 1617, 1540, 1460, 1364, 1149, 1100, 1000, 950 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.83 (dd, J=16.6, 11.3Hz, 1H), 7.28 (s, 1H), 6.80 (br d, J=6.6Hz, 1H), 6.62 (d, J=11.3Hz, 1H), 6.33 (dd, J=11.3, 3.9Hz, 1H), 5.97 (d, J=16.6Hz, 1H), 5.88 (br d, J=9.5Hz, 1H), 5.66 (d, J=9.5Hz, 1H), 5.36 (dq, J=6.6, 6.6Hz, 1H), 4.61 (br s, 1H), 3.12 (d, J=15.0Hz, 1H), 3.00 (d, J=15.0Hz, 1H), 2.34 (dt, J=12.8, 4.0Hz, 1H), 2.27 (dd, J=7.6, 1.5Hz, 1H), 1.93-1.62 (m, 2H), 1.82 (s, 3H), 1.80 (d, J=6.6Hz, 3H), 1.74 (d, J=1.2Hz, 3H), 1.08 (s, 9H)

FABMS m/z 595 (M + H)+

HRFABMS calcd for C₂₇H₃₅N₂O₇S₃ (M + H)+ 595.1606, found 595.1603

EXAMPLE 4

Synthesis of Compound 4

According to the procedure as described in Example 1, Compound 4 (23 mg, 51% yield) was obtained from DC107 (40.2 mg, 0.079 mmol), dichloromethane (4.0 ml), pyridine (0.034 ml, 0.42 mmol) and lauroyl chloride (0.048 ml, 0.21 mmol).

IR (KBr) 3450, 3330, 2926, 2856, 1714, 1646, 1615, 1521, 1447, 1368, 1190, 1100, 1000, 947, 890, 799 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.81 (dd, J=16.8, 11.3Hz, 1H), 7.27 (s, 1H), 6.73 (br d, J=6.3Hz, 1H), 6.3 (d, J=11.3Hz, 1H), 6.02 (d, J=16.8Hz, 1H), 5.80 (br d, J=9.9Hz, 1H), 5.76 (d, J=9.9Hz, 1H), 5.36 (dq, J=6.7, 6.3Hz, 1H), 4.52 (br s, 1H), 3.09 (d, J=15.1Hz, 1H), 3.03 (d, J=15.1Hz, 1H), 2.38-2.32 (m, 1H), 2.22 (t, J=7.3Hz, 2H), 2.06 (dt, J=12.8, 5.2Hz, 1H), 1.93-1.10 (m, 20H), 1.80 (s, 3H), 1.77 (d, J=6.7Hz, 3H), 1.72 (s, 3H), 0.88 (t, J=6.7Hz, 3H)

FABMS m/z 693 (M + H)+

HRFABMS calcd for C₃₄H₄₉N₂O₇S₃ (M + H)+ 693.2675, found 693.2702
EXAMPLE 5

Synthesis of Compound 5

[0068] According to the procedure as described in Example 1, Compound 5 (55 mg, 72% yield) was obtained from DC107 (52 mg, 0.102 mmol), dichloromethane (2.5 ml), pyridine (0.050 ml, 0.62 mmol) and palmitoyl chloride (100 mg, 0.36 mmol).

IR (KBr) 3420, 3330, 2928, 2856, 1713, 1647, 1614, 1529, 1453, 1371, 1263, 1200, 1101, 1000, 948, 892, 808, 720 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.97 (dd, J=16.9, 11.5Hz, 1H), 7.27 (s, 1H), 6.72 (br d, J=6.3Hz, 1H), 6.63 (d, J=11.3Hz, 1H), 6.34 (dd, J=11.5, 11.3Hz, 1H), 6.00 (d, J=16.9Hz, 1H), 5.81 (br d, J=10.0Hz, 1H), 5.74 (d, J=10.0Hz, 1H), 5.35 (dq, J=6.6, 6.3Hz, 1H), 4.59 (br s, 1H), 3.09 (d, J=15.2Hz, 1H), 3.01 (d, J=15.2Hz, 1H), 2.34 (ddd, J=13.0, 12.2, 4.4Hz, 1H), 2.21 (t, J=7.5Hz, 2H), 2.07 (dd, J=13.0, 12.2, 5.6Hz, 1H), 1.94-1.75 (m, 2H), 1.80 (s, 3H), 1.77 (d, J=12.2Hz, 3H), 1.73 (d, J=12.2Hz, 3H), 1.54-1.05 (m, 26H), 0.88 (t, J=6.8Hz, 3H)

FABMS m/z 749 (M + H)⁺
HRFABMS calcd for C₃₈H₅₇N₂O₇S₃ (M + H)⁺ 749.3328, found 749.3331

EXAMPLE 6

Synthesis of Compound 6

[0069] According to the procedure as described in Example 1, Compound 6 (50 mg, 81% yield) was obtained from DC107 (51 mg, 0.099 mmol), dichloromethane (5.0 ml), pyridine (0.16 ml, 1.99 mmol) and cyclohexanecarbonyl chloride (0.134 ml, 1.0 mmol).

IR (KBr) 3450, 3350, 2932, 2858, 1730, 1652, 1612, 1530, 1447, 1369, 1247, 1193, 1166, 1130, 1100, 1001, 940, 892 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.81 (dd, J=16.7, 11.5Hz, 1H), 7.28 (s, 1H), 6.75 (br d, J=6.3Hz, 1H), 6.64 (d, J=11.5Hz, 1H), 6.35 (dd, J=11.5, 11.5Hz, 1H), 6.00 (dd, J=16.7, 0.6Hz, 1H), 5.83 (br d, J=9.4Hz, 1H), 5.69 (d, J=9.4Hz, 1H), 5.36 (dq, J=6.6, 6.3Hz, 1H), 4.37 (br s, 1H), 3.11 (d, J=15.2Hz, 1H), 3.02 (d, J=15.2Hz, 1H), 2.35 (ddd, J=13.0, 12.2, 4.7Hz, 1H), 2.21 (tt, J=11.2, 3.6Hz, 1H), 1.82 (dd, J=13.0, 12.2, 5.4Hz, 1H), 1.94-1.50 (m, 6H), 1.82 (s, 3H), 1.78 (d, J=6.6Hz, 3H), 1.73 (d, J=12.2Hz, 3H), 1.39-1.11 (m, 6H)

FABMS m/z 621 (M + H)⁺
HRFABMS calcd for C₂₉H₃₇N₂O₇S₃ (M + H)⁺ 621.1763, found 621.1742

EXAMPLE 7

Synthesis of Compound 7

[0070] According to the procedure as described in Example 1, Compound 7 (17 mg, 84% yield) was obtained from DC107 (51 mg, 0.099 mmol), dichloromethane (5.0 ml), pyridine (0.16 ml, 1.99 mmol) and cyclohexanecarbonyl chloride (0.134 ml, 1.0 mmol).

IR (KBr) 3400, 2934, 1718, 1653, 1620, 1520, 1457, 1380, 1320, 1266, 1100, 1069, 799, 712 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.01 (dd, J=16.8, 11.6Hz, 1H), 7.87 (dd, J=8.5, 1.2Hz, 2H), 7.48 (dt, J=7.6, 1.2Hz, 1H), 7.30 (s, 1H), 7.27 (dd, J=8.5, 7.6Hz, 2H), 6.78 (br d, J=6.4Hz, 1H), 6.67 (d, J=11.9Hz, 1H), 6.37 (dd, J=11.6, 11.3Hz, 1H), 6.08 (dd, J=9.8, 1.1Hz, 1H), 6.07 (d, J=16.8Hz, 1H), 5.96 (br d, J=9.8Hz, 1H), 5.32 (dq, J=6.7, 6.4Hz, 1H), 4.54 (br s, 1H), 3.09 (d, J=14.9Hz, 1H), 3.03 (d, J=14.9Hz, 1H), 2.39 (dt, J=13.1, 4.0Hz, 1H), 2.11 (dt, J=13.1, 5.2Hz, 1H), 1.92-1.81 (m, 2H), 1.82 (d, J=11.1Hz, 3H), 1.79 (s, 3H), 1.60 (d, J=6.4Hz, 3H)

SIMS m/z 615 (M + H)⁺
HRFABMS calcd for C₂₉H₃₁N₂O₇S₃ (M + H)⁺ 615.1293, found 615.1307

EXAMPLE 8

Synthesis of Compound 8

[0071] According to the procedure as described in Example 1, Compound 8 (21 mg, 56% yield) was obtained from DC107 (30 mg, 0.060 mmol), dichloromethane (3.0 ml), pyridine (0.14 ml, 1.78 mmol) and p-fluorobenzoyl chloride (0.105 ml, 0.89 mmol).

IR (KBr) 3420, 2930, 1721, 1653, 1604, 1520, 1506, 1448, 1411, 1370, 1266, 1155, 1104, 1091, 992, 950, 894,
EXAMPLE 9

Synthesis of Compound 9

[0072] According to the procedure as described in Example 1, Compound 9 (40 mg, 75% yield) was obtained from DC107 (40 mg, 0.079 mmol), dichloromethane (4.0 ml), pyridine (0.16 ml, 2.0 mmol) and 2-quinoxaloyl chloride (46 mg, 0.24 mmol).

IR (KBr) 3420, 2932, 1716, 1659, 1546, 1493, 1446, 1367, 1341, 1269, 1231, 1156, 1104, 980, 895, 799, 774 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 500 MHz) δ ppm: 8.90 (dd, J=16.6, 11.6 Hz, 1H), 7.95-7.88 (m, 2H), 7.31 (s, 1H), 6.97-6.91 (m, 2H), 6.66 (d, J=11.3 Hz, 1H), 6.66 (br d, J=6.4 Hz, 1H), 6.39 (dd, J=11.6, 11.3 Hz, 1H), 6.10 (d, J=9.6 Hz, 1H), 6.09 (d, J=16.6 Hz, 1H), 5.92 (br d, J=9.6 Hz, 1H), 5.36 (dd, J=6.7, 6.4 Hz, 1H), 4.31 (br s, 1H), 3.07 (br s, 2H), 2.39 (dt, J=13.0, 4.0 Hz, 1H), 2.13 (dt, J=13.0, 5.2 Hz, 1H), 1.95-1.75 (m, 2H), 1.82 (d, J=0.9 Hz, 3H), 1.79 (s, 3H), 1.62 (d, J=6.7 Hz, 3H)

FABMS m/z 633 (M + H)\(^+\)

HRFABMS calcd for C\(_{29}\)H\(_{30}\)FN\(_2\)O\(_7\)S\(_3\) (M + H)\(^+\) 633.1199, found 633.1203

EXAMPLE 10

Synthesis of Compound 10

[0073] According to the procedure as described in Example 1, Compound 10 (48 mg, 85% yield) was obtained from DC107 (50 mg, 0.098 mmol), dichloromethane (5.0 ml), pyridine (0.16 ml, 1.96 mmol) and ethyl chloroformate (0.094 ml, 0.98 mmol).

IR (KBr) 3400, 2930, 1735, 1660, 1615, 1540, 1450, 1374, 1256, 1202, 1095, 998, 784 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) δ ppm: 9.41 (s, 1H), 8.96 (dd, J=16.9, 11.2 Hz, 1H), 8.14 (dd, J=8.3, 1.3 Hz, 1H), 7.92 (dd, J=8.3, 1.3 Hz, 1H), 7.86 (ddd, J=6.9, 1.3 Hz, 1H), 7.78 (dd, J=8.3, 1.3 Hz, 1H), 7.31 (s, 1H), 6.68 (d, J=11.2 Hz, 1H), 6.64 (br d, J=6.4 Hz, 1H), 6.39 (dd, J=11.2, 11.2 Hz, 1H), 6.26 (d, J=9.7 Hz, 1H), 6.13 (d, J=16.6 Hz, 1H), 6.00 (br d, J=9.7 Hz, 1H), 5.36 (dq, J=6.6, 6.4 Hz, 1H), 4.28 (br s, 1H), 3.11 (d, J=15.3 Hz, 1H), 3.05 (d, J=15.3 Hz, 1H), 2.44 (dt, J=12.9, 4.2 Hz, 1H), 2.14 (dt, J=13.7, 5.0 Hz, 1H), 1.98-1.72 (m, 2H), 1.86 (d, J=1.2 Hz, 3H), 1.77 (s, 3H), 1.66 (d, J=6.7 Hz, 3H)

FABMS m/z 667 (M + H)\(^+\)

HRFABMS calcd for C\(_{31}\)H\(_{31}\)N\(_4\)O\(_7\)S\(_3\) (M + H)\(^+\) 667.1355, found 667.1360

EXAMPLE 11

Synthesis of Compound 11

[0074] According to the procedure as described in Example 1, Compound 11 (50 mg, 84% yield) was obtained from DC107 (50 mg, 0.098 mmol), dichloromethane (5.0 ml), pyridine (0.080 ml, 0.98 mmol) and isobutyl chloroformate (0.089 ml, 0.69 mmol).

IR (KBr) 3400, 3340, 2966, 1740, 1660, 1615, 1530, 1448, 1378, 1252, 1202, 1099, 996, 968, 946, 894, 808, 785 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) δ ppm: 8.82 (dd, J=16.2, 11.3 Hz, 1H), 7.26 (s, 1H), 6.66 (d, J=6.5 Hz, 1H), 6.66 (d, J=11.4 Hz, 1H), 6.37 (dd, J=11.4, 11.4 Hz, 1H), 6.07 (d, J=16.6 Hz, 1H), 5.78 (br d, J=10.0 Hz, 1H), 5.73 (d, J=10.0 Hz, 1H), 5.36 (dq, J=6.5, 6.5 Hz, 1H), 4.41 (br s, 1H), 4.14 (q, J=7.1 Hz, 2H), 3.04 (s, 2H), 2.34 (dt, J=12.7, 4.0 Hz, 1H), 2.06 (ddd, J=13.0, 12.7, 5.3 Hz, 1H), 1.89 (ddd, J=12.7, 12.4, 5.3 Hz, 1H), 1.77 (s, 3H), 1.76 (ddd, J=13.0, 12.4, 4.0 Hz, 1H), 1.75 (d, J=1.2 Hz, 3H), 1.74 (d, J=6.5 Hz, 3H), 1.23 (t, J=7.1 Hz, 3H)

FABMS m/z 583 (M + H)\(^+\)

HRFABMS calcd for C\(_{25}\)H\(_{31}\)N\(_2\)O\(_8\)S\(_3\) (M + H)\(^+\) 583.1242, found 583.1259
EXAMPLE 12

Synthesis of Compound 12

According to the procedure as described in Example 1, Compound 12 (40 mg, 81% yield) was obtained from DC107 (40 mg, 0.079 mmol), dichloromethane (4.0 ml), pyridine (0.064 ml, 0.79 mmol) and phenyl chloroformate (0.030 ml, 0.24 mmol).

IR (KBr) 3400, 2924, 1761, 1720, 1660, 1612, 1522, 1490, 1448, 1369, 1251, 1206, 1101, 1022, 949, 894, 767 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.83 (dd, J=16.5, 11.3Hz, 1H), 7.32 (ddd, J=8.5, 7.3, 1.2Hz, 2H), 7.29 (s, 1H), 7.20 (dt, J=7.3, 1.2Hz, 1H), 7.08 (dt, J=8.5, 1.2Hz, 2H), 6.69 (br d, J=6.7Hz, 1H), 6.68 (d, J=11.3Hz, 1H), 6.40 (dd, J=11.3, 11.3Hz, 1H), 6.11 (d, J=16.5Hz, 1H), 5.85 (d, J=10.2Hz, 1H), 5.83 (d, J=10.2Hz, 1H), 5.38 (dq, J=6.7, 6.7Hz, 1H), 4.43 (br s, 1H), 3.09 (d, J=12.5Hz, 1H), 3.05 (d, J=12.5Hz, 1H), 2.38 (ddd, J=13.1, 12.8, 4.0Hz, 1H), 2.09 (dt, J=13.1, 4.9Hz, 1H), 1.91 (ddd, J=12.8, 12.5, 4.9Hz, 1H), 1.80 (ddd, J=13.1, 12.5, 4.0Hz, 1H), 1.77 (d, J=6.7Hz, 3H), 1.76 (s, 3H), 1.76 (s, 3H)

FABMS m/z 631 (M + H)+
HRFABMS calcd for C₂₉H₃₁N₂O₈S₃ (M + H)+ 631.1242, found 631.1258

EXAMPLE 13

Synthesis of Compound 13

According to the procedure as described in Example 1, Compound 13 (64 mg, 96% yield) was obtained from DC107 (51 mg, 0.99 mmol), dichloromethane (5.0 ml), pyridine (0.080 ml, 0.98 mmol) and p-nitrophenyl chloroformate (59.8 mg, 0.30 mmol).

IR (KBr) 3370, 2936, 1764, 1718, 1654, 1616, 1570, 1491, 1458, 1348, 1250, 1216, 1106, 1064, 949, 897, 861, 799, 751 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.73 (dd, J=16.6, 11.2Hz, 1H), 8.23 (ddd, J=9.0, 3.2, 2.2Hz, 2H), 7.32 (ddd, J=9.0, 3.2, 2.2Hz, 2H), 7.30 (s, 1H), 6.68 (d, J=11.3Hz, 1H), 6.52 (br d, J=6.6Hz, 1H), 6.38 (dd, J=11.3, 11.2Hz, 1H), 6.12 (d, J=16.6Hz, 1H), 5.89 (d, J=9.8Hz, 1H), 5.85 (br d, J=9.8Hz, 1H), 5.42 (dq, J=6.8, 6.6Hz, 1H), 4.11 (br s, 1H), 3.17 (d, J=5.6Hz, 1H), 2.99 (d, J=15.6Hz, 1H), 2.40 (dt, J=13.0, 4.1Hz, 1H), 2.11 (dt, J=13.0, 4.5Hz, 1H), 1.92 (dt, J=14.4, 4.5Hz, 1H), 1.72-1.70 (m, 1H), 1.76 (d, J=6.8Hz, 3H), 1.76 (d, J=0.7Hz, 3H), 1.72 (s, 3H)

FABMS m/z 676 (M + H)+
HRFABMS calcd for C₂₉H₃₁N₃O₁₀S₃ (M + H)+ 676.1093, found 676.1093

EXAMPLE 14

Synthesis of Compound 14

According to the procedure as described in Example 1, Compound 14 (29 mg, 74% yield) was obtained from DC107 (31 mg, 0.060 mmol), dichloromethane (3.0 ml), pyridine (0.66 ml, 8.17 mmol) and benzyl chloroformate (1.18 ml, a 30% toluene solution, 2.47 mmol).

IR (KBr) 3370, 2934, 1744, 1720, 1655, 1614, 1530, 1455, 1382, 1255, 1099, 1000, 949, 895, 799, 783, 697 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.84 (dd, J=16.3, 11.2Hz, 1H), 7.35-7.22 (m, 5H), 7.30 (s, 1H), 6.64 (d, J=11.2Hz, 1H), 6.62 (br d, J=6.6Hz, 1H), 6.34 (dd, J=11.2, 11.2Hz, 1H), 6.05 (d, J=16.3Hz, 1H), 5.79 (br d, J=9.6Hz, 1H), 5.72 (d, J=9.6Hz, 1H), 5.32 (dq, J=6.6, 6.6Hz, 1H), 5.10 (s, 2H), 4.50 (br s, 1H), 3.08 (d, J=15.2Hz, 1H), 3.01 (d, J=15.2Hz, 1H), 2.33 (dt, J=12.7, 3.9Hz, 1H), 2.08 (dt, J=12.7, 5.6Hz, 1H), 1.93-1.72 (m, 2H), 1.79 (s, 3H), 1.74 (d, J=1.0Hz, 3H), 1.69 (d, J=6.6Hz, 3H)

FABMS m/z 645 (M + H)+
HRFABMS calcd for C₃₀H₃₃N₂O₈S₃ (M + H)+ 645.1415

EXAMPLE 15

Synthesis of Compound 15

According to the procedure as described in Example 1, Compound 15 (18.5 mg, 43% yield) was obtained...
from DC107 (30 mg, 0.059 mmol), dichloromethane (2.5 ml), pyridine (0.029 ml, 0.35 mmol) and 9-fluorenylmethyl chloroformate (45 mg, 0.17 mmol).

IR (KBr) 3410, 2930, 1746, 1654, 1612, 1449, 1383, 1323, 1260, 1102, 949, 805, 758, 740 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 9.01 (dd, J=16.6, 11.3Hz, 1H), 7.72-7.09 (m, 8H), 7.30 (s, 1H), 6.65 (d, J=11.3Hz, 1H), 6.62 (br d, J=6.6Hz, 1H), 6.35 (dd, J=11.3, 11.3Hz, 1H), 6.06 (d, J=16.6Hz, 1H), 5.84 (br d, J=9.6Hz, 1H), 5.69 (d, J=11.3Hz, 1H), 5.32 (dq, J=6.6, 6.6Hz, 1H), 4.64 (br s, 1H), 1.95-1.60 (m, 2H), 1.83 (s, 3H), 1.78 (br s, 3H), 1.72 (d, J=6.6Hz, 3H)

FABMS m/z 733 (M + H)⁺
HRFABMS calcd for C₃₇H₃₇N₂O₈S₃ (M + H)⁺ 733.1712, found 733.1734

EXAMPLE 16

Synthesis of Compound 16

[0079] Compound 13 (4.3 mg, 0.0063 mmol) was dissolved in chloroform (0.5 ml), and piperidine (0.0025 ml, 0.025 mmol) was added thereto, followed by stirring at 25°C for 30 minutes. The reaction mixture was purified by thin layer chromatography (developed with ether/methanol = 97/3) to obtain Compound 16 (1.0 mg, 26% yield).

IR (KBr) 3430, 2938, 2860, 1700, 1671, 1540, 1433, 1371, 1258, 1233, 1151, 1100, 1023, 949, 894, 854, 799, 760 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.73 (dd, J=16.4, 11.6Hz, 1H), 7.28 (s, 1H), 6.84 (br s, 1H), 6.63 (d, J=11.1Hz, 1H), 6.38 (dd, J=11.1, 11.1Hz, 1H), 6.04 (d, J=16.4Hz, 1H), 5.79 (br d, J=9.5Hz, 1H), 5.73 (d, J=9.5Hz, 1H), 5.37 (dq, δ=6.7, 6.4Hz, 1H), 5.08 (dd, J=9.7, 1.2Hz, 1H), 5.00 (br s, 1H), 4.52 (br s, 1H), 3.55-3.10 (m, 4H), 3.11 (d, J=15.1Hz, 1H), 3.03 (d, J=15.1Hz, 1H), 2.34 (ddd, J=13.1, 11.9, 5.2Hz, 1H), 2.06 (ddd, J=12.8, 11.9, 5.2Hz, 1H), 1.93-1.80 (m, 2H), 1.81 (s, 3H), 1.80-1.38 (m, 6H), 1.75 (d, J=6.7Hz, 3H), 1.74 (s, 3H)

FABMS m/z 622 (M + H)⁺
HRFABMS calcd for C₂₈H₃₆N₃O₇S₃ (M + H)⁺ 622.1715, found 622.1730

EXAMPLE 17

Synthesis of Compound 17

[0080] According to the procedure as described in Example 16, Compound 17 (1.5 mg, 9% yield) was obtained from Compound 13 (18 mg, 0.027 mmol), chloroform (1.5 ml) and pyrrolidine (0.0045 ml, 0.054 mmol).

IR (KBr) 3420, 2938, 1703, 1670, 1612, 1424, 1375, 1260, 1200, 1181, 1098, 1000, 861, 762 cm⁻¹

1H NMR (CDCl₃, 100 MHz) δ ppm: 8.80 (dd, J=16.5, 11.5Hz, 1H), 7.28 (s, 1H), 6.88 (br d, J=6.2Hz, 1H), 6.62 (d, J=11.5Hz, 1H), 6.30 (dd, J=11.5, 11.5Hz, 1H), 6.04 (d, J=16.5Hz, 1H), 5.80 (br s, 2H), 5.36 (dq, J=6.2, 6.5Hz, 1H), 3.5-3.0 (m, 6H), 2.5-1.4 (m, 8H), 1.78 (s, 3H), 1.75 (s, 3H), 1.72 (d, J=6.5Hz, 3H)

FABMS m/z 608 (M + H)⁺
HRFABMS calcd for C₂₇H₃₄N₃O₇S₃ (M + H)⁺ 608.1559, found 608.1586

EXAMPLE 18

Synthesis of Compound 18

[0081] DC107 (71 mg, 0.14 mmol) was dissolved in dichloromethane (9.0 ml), and then 3,4-dihydro-2H-pyran (0.164 ml, 1.81 mmol) and camphorsulfonic acid (77 mg, 0.33 mmol) were added thereto, followed by stirring at 0°C for 4 hours. After subjecting the resulting mixture to the usual post-treatment, the mixture was purified by silica gel column chromatography (eluted with chloroform/methanol = 99/1) to obtain Compound 18 (49 mg, 58% yield). From 1H NMR data, Compound 18 was found to be a mixture of diastereomers at about 5:4 due to the asymmetric carbon of the tetrahydropyranyl group.

IR (KBr) 3400, 3320, 2930, 2850, 1715, 1651, 1612, 1538, 1450, 1373, 1260, 1098, 1025, 970, 890, 867, 808 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: major isomer: 9.25 (dd, J=16.5, 11.6Hz, 1H), 7.25 (s, 1H), 6.88 (br d, J=6.4Hz, 1H), 6.63 (d, J=11.6Hz, 1H), 6.36 (dd, J=11.6, 11.6Hz, 1H), 6.01 (d, J=16.5Hz, 1H), 5.94 (d, J=9.7Hz, 1H), 5.30 (dq, J=6.7, 6.4Hz, 1H), 5.08 (dd, J=9.7, 1.2Hz, 1H), 5.00 (br s, 1H), 4.58 (t, J=4.6Hz, 1H), 3.78-3.74 (m, 1H), 3.50-3.45 (m, 1H), 3.25 (d, J=15.0Hz, 1H), 2.90 (d, J=15.0Hz, 1H), 2.35-2.28 (m, 1H), 2.12-2.06 (m, 1H), 1.95-1.44 (m, 8H), 1.88 (s, 3H), 1.79 (d, J=6.7Hz, 3H), 1.72 (d, J=1.2Hz, 3H); minor isomer: 9.04 (dd, J=16.5, 11.6Hz, 1H), 7.25 (s, 1H), 6.86 (br d, J=6.4Hz, 1H), 6.63 (d, J=11.6Hz, 1H), 6.39 (dd, J=11.6, 11.6Hz, 1H), 6.05 (d, J=16.5Hz, 1H), 5.87 (d, J=9.8Hz, 1H),
EXAMPLE 19

Synthesis of Compound 19

DC107 (10 mg, 0.020 mmol) was dissolved in dichloromethane (1.0 ml), and then ethyl vinyl ether (0.224 ml, 2.35 mmol) and pyridinium p-toluenesulfonate (5.3 mg, 0.021 mmol) were added thereto, followed by stirring at 25°C for 1 hour. After subjecting the resulting mixture to the usual post-treatment, the mixture was purified by separation thin layer chromatography (developed with chloroform/methanol = 99/1) to obtain Compound 19 (5.0 mg, 43% yield).

From 1H NMR data, Compound 19 was found to be a mixture of diastereomers at about 3:2 due to the asymmetric carbon of the 1-ethoxyethyl group.

IR (KBr) 3420, 3350, 2990, 1721, 1645, 1613, 1540, 1460, 1367, 1096, 957, 810 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; major isomer: 9.18 (dd, J=16.6, 11.5Hz, 1H), 7.25 (s, 1H), 6.84 (br d, J=6.4Hz, 1H), 6.37 (d, J=11.5Hz, 1H), 6.02 (d, J=16.6Hz, 1H), 5.90 (br d, J=9.5Hz, 1H), 5.26 (dq, J=6.4, 6.4Hz, 1H), 5.10 (br s, 1H), 4.83 (dd, J=9.5, 1.2Hz, 1H), 4.69 (q, J=5.4Hz, 1H), 3.52-3.25 (m, 2H), 3.24 (d, J=14.6Hz, 1H), 2.86 (d, J=14.6Hz, 1H), 2.35-1.80 (m, 4H), 1.91 (s, 3H), 1.76 (d, J=6.4Hz, 3H); 1.73 (s, 3H), 1.18 (d, J=5.4Hz, 3H), 1.07 (t, J=7.0Hz, 3H), minor isomer: 9.31 (dd, J=16.6, 11.5Hz, 1H), 7.25 (s, 1H), 6.83 (br d, J=6.4Hz, 1H), 6.64 (d, J=11.5Hz, 1H), 6.37 (dd, J=11.5, 11.5Hz, 1H), 6.01 (d, J=16.6Hz, 1H), 5.91 (br d, J=9.8Hz, 1H), 5.27 (dq, J=6.4, 6.4Hz, 1H), 5.08 (br s, 1H), 4.98 (dd, J=9.8, 1.2Hz, 1H), 1.94 (q, J=5.4Hz, 1H), 3.52-3.25 (m, 2H), 3.24 (d, J=14.6Hz, 1H), 2.87 (d, J=14.6Hz, 1H), 2.35-1.80 (m, 4H), 1.90 (s, 3H), 1.78 (d, J=6.6Hz, 3H), 1.73 (br s, 3H), 1.14 (t, J=7.1Hz, 3H), 1.12 (d, J=5.4Hz, 3H)

FABMS m/z 583 (M + H)+
HRFABMS calcd for C₂₅H₃₅N₂O₇S₃ (M + H)+ 583.1606, found 583.1600

EXAMPLE 20

Synthesis of Compound 20

DC107 (44 mg, 0.085 mmol) was dissolved in dichloromethane (5.0 ml), and then benzyl 2,2,2-trichloroacetimidate (0.22 ml, 1.18 mmol) and trifluoromethanesulfonic acid (0.011 ml, 0.13 mmol) were added thereto, followed by stirring at 25°C for 1 hour. After subjecting the resulting mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with ether/methanol = 97/3) to obtain Compound 20 (7.0 mg, 14% yield).

IR (KBr) 3420, 2926, 1711, 1653, 1612, 1532, 1520, 1451, 1369, 1199, 1095, 1067, 997, 945, 799, 736, 697 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.46 (dd, J=16.3, 11.5Hz, 1H), 7.25-7.03 (m, 5H), 7.27 (s, 1H), 6.84 (br d, J=6.2Hz, 1H), 6.68 (d, J=11.3Hz, 1H), 6.41 (dd, J=11.5, 11.5Hz, 1H), 6.06 (d, J=16.3Hz, 1H), 5.93 (br d, J=9.5Hz, 1H), 5.20 (dq, J=6.5, 6.2Hz, 1H), 5.08 (br s, 1H), 4.68 (dd, J=9.5, 1.0Hz, 1H), 4.49 (d, J=11.6Hz, 1H), 4.40 (d, J=11.6Hz, 1H), 3.24 (d, J=14.5Hz, 1H), 2.86 (d, J=14.5Hz, 1H), 2.32-1.45 (m, 4H), 1.90 (s, 3H), 1.73 (br s, 3H), 1.14 (t, J=7.1Hz, 3H), 1.12 (d, J=5.4Hz, 3H)

FABMS m/z 601 (M + H)+
HRFABMS calcd for C₂₉H₃₅N₂O₁₆S₃ (M + H)+ 601.1501, found 601.1490

EXAMPLE 21

Synthesis of Compound 21

DC107 (50 mg, 0.085 mmol) was dissolved in dichloromethane (1.0 ml), and then pyridine (0.79 ml, 9.8 mmol), acetyl chloride (0.35 ml, 4.9 mmol) and 4-dimethylaminopyridine (0.020 mmol) were added thereto, followed by stirring at 25°C for 20 minutes. After subjecting the resulting mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with ether/methanol = 97/3) to obtain Compound 21 (43 mg, 74% yield).

IR (KBr) 3450, 2932, 1735, 1652, 1620, 1558, 1520, 1456, 1369, 1218, 1109, 1020, 950 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.33 (dd, J=16.6, 11.5Hz, 1H), 7.28 (s, 1H), 6.66 (d, J=11.3Hz, 1H), 6.39 (br d, J=6.6Hz, 1H), 6.38 (dd, J=11.5, 11.3Hz, 1H), 6.08 (d, J=16.6Hz, 1H), 5.99 (d, J=9.3Hz, 1H), 5.68 (br d, J=9.3Hz,
**EXAMPLE 22**

**Synthesis of Compound 22**

[0085] DC107 (50 mg, 0.098 mmol) was dissolved in dichloromethane (1.0 ml) and pyridine (0.79 ml, 9.8 mmol), and then pivaloyl chloride (0.84 ml, 6.85 mmol) and 4-dimethylaminopyridine (2.4 mg, 0.020 mmol) were added thereto, followed by stirring at 25°C for 24 hours. After subjecting the resulting mixture to the usual post-treatment, the mixture was purified by silica gel column chromatography (eluted with chloroform) to obtain Compound 22 (16 mg, 24% yield).

\[ \text{IR (KBr)} \ 3420, 2976, 2936, 1730, 1653, 1620, 1520, 1479, 1447, 1395, 1368, 1277, 1146, 1101, 1030, 857 \text{ cm}^{-1} \]

\[ \text{H} NMR (CDCl}_3, 500 \text{ MHz}) \ \delta \text{ ppm; } 8.64 (\text{br dd, J}=16.8, 11.3Hz, 1H), 7.29 (s, 1H), 6.63 (d, J=11.3Hz, 1H), 6.35 (d, J=7.0Hz, 1H), 6.34 (dd, J=11.3, 11.3Hz, 1H), 6.02 (d, J=16.8Hz, 1H), 5.84 (br s, 2H), 5.45 (dq, J=6.7, 6.4Hz, 1H), 5.33 (d, J=15.9Hz, 1H), 2.91 (br d, J=15.9Hz, 1H), 2.20-1.60 (m, 4H), 1.89 (s, 3H), 1.76 (d, J=6.7Hz, 3H), 1.75 (br s, 3H), 1.21 (s, 9H), 1.16 (s, 9H)

\[ \text{FABMS m/z 679 (M + H)}^+ \]

\[ \text{HRFABMS calcd for C}_{32}H_{43}N_2O_8S_3 (M + H)^+ 679.2181, found 679.2164 \]

**EXAMPLE 23**

**Synthesis of Compounds 23 and 24**

[0086] Compound 18 (77 mg, 0.13 mmol) was dissolved in chloroform (4.0 ml) and pyridine (2.9 ml, 35.9 mmol), and then acetic anhydride (0.68 ml, 7.2 mmol) and 4-dimethylaminopyridine (2.7 mg, 0.024 mmol) were added thereto, followed by stirring at 25°C for 5 hours. After subjecting the resulting mixture to the usual post-treatment, a mixture of Compounds 23 and 24 (76 mg, 91% yield) was obtained. Then, 36 mg of the resulting mixture was purified by thin layer chromatography (developed with ether/methanol = 97/3) to obtain Compound 23 (13 mg) and Compound 24 (11 mg) which is a diastereomer of Compound 23.

**Compound 23**

[0087] \[ \text{IR (KBr)} \ 3450, 3330, 3100, 2860, 2856, 1763, 1716, 1670, 1612, 1521, 1447, 1368, 1212, 1112, 1078, 1021, 969, 912, 867, 808 \text{ cm}^{-1} \]

\[ \text{H} NMR (CDCl}_3, 500 \text{ MHz}) \ \delta \text{ ppm; } 8.76 (\text{br dd, J}=16.5, 11.6Hz, 1H), 7.24 (s, 1H), 6.63 (d, J=11.3Hz, 1H), 6.59 (br d, J=7.0Hz, 1H), 6.35 (dd, J=11.6, 11.3Hz, 1H), 6.02 (d, J=16.5Hz, 1H), 5.83 (br d, J=9.2Hz, 1H), 5.44 (dd, J=7.0, 6.7Hz, 1H), 5.18 (d, J=9.2Hz, 1H), 4.56 (dd, J=4.9, 2.7Hz, 1H), 3.87-3.82 (m, 1H), 3.50-3.47 (m, 1H), 3.19 (d, J=15.5Hz, 1H), 2.94 (br d, J=15.5Hz, 1H), 2.22-1.45 (m, 10H), 2.10 (s, 3H), 2.07 (s, 3H), 1.74 (d, J=1.2Hz, 3H), 1.73 (d, J=6.7Hz, 3H)

\[ \text{FABMS m/z 637 (M + H)}^+ \]

\[ \text{HRFABMS calcd for C}_{29}H_{37}N_2O_8S_3 (M + H)^+ 637.1712, found 637.1723 \]

**Compound 24**

[0088] \[ \text{IR (KBr)} \ 3450, 3330, 3100, 2860, 2856, 1759, 1716, 1653, 1615, 1522, 1447, 1368, 1212, 1104, 1078, 1019, 972, 910, 866, 799 \text{ cm}^{-1} \]

\[ \text{H} NMR (CDCl}_3, 500 \text{ MHz}) \ \delta \text{ ppm; } 8.57 (\text{br dd, J}=16.5, 11.6Hz, 1H), 7.24 (s, 1H), 6.62 (d, J=11.1Hz, 1H), 6.49 (br d, J=6.6Hz, 1H), 6.36 (dd, J=11.6, 11.1Hz, 1H), 6.08 (d, J=16.5Hz, 1H), 5.70 (br d, J=9.3Hz, 1H), 5.42 (dd, J=6.7, 6.7Hz, 1H), 5.11 (d, J=9.3Hz, 1H), 4.68 (t, J=3.5Hz, 1H), 3.78-3.74 (m, 1H), 3.46-3.42 (m, 1H), 3.22 (d, J=15.7Hz, 1H), 2.81 (br d, J=15.7Hz, 1H), 2.25-1.45 (m, 10H), 2.23 (s, 3H), 2.21 (s, 3H), 1.73 (d, J=1.2Hz, 3H), 1.70 (d, J=6.7Hz, 3H)

\[ \text{FABMS m/z 637 (M + H)}^+ \]

\[ \text{HRFABMS calcd for C}_{29}H_{37}N_2O_8S_3 (M + H)^+ 637.1712, found 637.1738 \]
EXAMPLE 24

Synthesis of Compound 25

The unpurified mixture of Compounds 23 and 24 (30 mg, 0.047 mmol) obtained in Example 23 was dissolved in methanol (4.0 ml), and camphorsulfonic acid (22 mg, 0.094 mmol) was added thereto, followed by stirring at 0°C for 40 minutes. After subjecting the mixture to the usual post-treatment, the resulting mixture was purified by thin layer chromatography (developed with chloroform/methanol = 99/3) to obtain Compound 25 (14 mg, 53% yield).

IR (KBr) 3450, 3300, 2930, 1760, 1716, 1654, 1528, 1446, 1372, 1218, 1104, 1066, 1010, 949, 842, 808 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.08 (ddd, J=16.5, 11.5, 1.0Hz, 1H), 7.26 (s, 1H), 6.72 (dd, J=11.2, 1.0Hz, 1H), 6.40 (dd, J=11.5, 11.2Hz, 1H), 6.20 (d, J=16.5Hz, 1H), 5.51 (dq, J=7.2, 6.8Hz, 1H), 5.48 (br d, J=9.0Hz, 1H), 5.05 (d, J=9.0Hz, 1H), 3.97 (br s, 1H), 3.46 (d, J=16.6Hz, 1H), 3.00 (d, J=16.6Hz, 1H), 2.12-1.82 (m, 3H), 2.09 (s, 3H), 1.98 (s, 3H), 1.75-1.65 (m, 1H), 1.74 (d, J=6.8Hz, 3H), 1.64 (d, J=1.2Hz, 3H)

FABMS m/z 553 (M + H)+
HRFABMS calcd for C₂₄H₂₉N₂O₇S₃ (M + H)+ 553.1137, found 553.1143

EXAMPLE 25

Synthesis of Compound 26

DC107 (51 mg, 0.10 mmol) was dissolved in dichloromethane (10 ml), and N,N-diisopropylethylamine (0.69 ml, 4.0 mmol) and iodomethane (0.19 ml, 3.0 mmol) were added thereto, followed by stirring at 25°C for 5.5 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 97/3) to obtain Compound 26 (17 mg, 34% yield).

IR (KBr) 3430, 2930, 1720, 1680, 1610, 1448, 1364, 1264, 1155, 1089, 997, 753 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.45 (ddd, J=16.5, 11.6, 1.0Hz, 1H), 7.47 (s, 1H), 6.58 (d, J=11.6Hz, 1H), 6.23 (d, J=11.6, 11.6Hz, 1H), 6.17 (d, J=16.5Hz, 1H), 5.73 (br d, J=8.5Hz, 1H), 5.46 (br s, 1H), 5.41 (q, J=6.7Hz, 1H), 4.94 (d, J=8.5Hz, 1H), 3.93 (d, J=17.8Hz, 1H), 2.28 (d, J=17.8Hz, 1H), 2.38-1.92 (m, 4H), 2.23 (s, 3H), 2.03 (d, J=6.7Hz, 3H), 1.78 (s, 3H), 1.75 (d, J=1.2Hz, 3H)

FABMS m/z 525 (M + H)+
HRFABMS calcd for C₂₃H₂₉N₂O₆S₃ (M + H)+ 525.1189, found 525.1187

EXAMPLE 26

Synthesis of Compound 27

DC107 (51 mg, 0.10 mmol) was dissolved in dimethylformamide (4.0 ml), and N,N-diisopropylethylamine (0.35 ml, 1.98 mmol), allyl bromide (0.086 ml, 0.99 mmol) and potassium iodide (82 mg, 0.50 mmol) were added thereto, followed by stirring at 25°C for 16 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 27 (26 mg, 49% yield).

IR (KBr) 3420, 2930, 1720, 1675, 1610, 1450, 1370, 1262, 1153, 1090, 987, 923 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.38 (dd, J=16.4, 11.3Hz, 1H), 7.27 (s, 1H), 6.51 (br d, J=11.7Hz, 1H), 6.16 (dd, J=11.7, 11.3Hz, 1H), 6.10 (d, J=16.4Hz, 1H), 5.68 (dtt, J=16.8, 10.1, 6.8Hz, 1H), 5.65 (br d, J=9.0Hz, 1H), 5.39 (br s, 1H), 5.34 (q, J=6.8Hz, 1H), 5.17 (dd, J=16.8, 1.2Hz, 1H), 5.04 (br d, J=10.1Hz, 1H), 4.87 (d, J=9.0Hz, 1H), 3.87 (d, J=18.0Hz, 1H), 3.67 (br s, 1H), 3.40 (dd, J=14.2, 6.8Hz, 1H), 3.35 (dd, J=14.2, 6.8Hz, 1H), 2.28-1.72 (m, 4H), 2.21 (d, J=18.0Hz, 1H), 1.95 (d, J=6.8Hz, 3H), 1.71 (s, 3H), 1.68 (d, J=0.5Hz, 3H)

FABMS m/z 551 (M + H)+
HRFABMS calcd for C₂₅H₃₁N₂O₆S₃ (M + H)+ 551.1344, found 551.1336

EXAMPLE 27

Synthesis of Compounds 28 and 29

DC107 (100 mg, 0.20 mmol) was dissolved in dichloromethane (20 ml), and N,N-diisopropylethylamine (1.4 ml, 7.9 mmol) and chloromethyl methyl ether (0.30 ml, 4.0 mmol) were added thereto, followed by stirring at 25°C for 3 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by silica gel column chro-
matography (eluted with chloroform/methanol = 99/1) to obtain Compound 28 (47 mg, 43% yield) and Compound 29 (37 mg, 31% yield).

**Compound 28 (Monomethoxymethyl compound)**

[0093] IR (KBr) 3430, 2930, 1685, 1653, 1610, 1447, 1363, 1264, 1185, 1154, 1093, 1020, 982 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.46 (ddd, J=16.4, 11.2, 1.0 Hz, 1H), 7.34 (s, 1H), 6.58 (d, J=12.0 Hz, 1H), 6.23 (dd, J=12.0, 11.2 Hz, 1H), 6.17 (d, J=16.4 Hz, 1H), 5.73 (br d, J=8.5 Hz, 1H), 5.49 (br s, 1H), 5.42 (q, J=6.9 Hz, 1H), 5.00 (d, J=11.0 Hz, 1H), 4.94 (d, J=11.0 Hz, 1H), 4.94 (d, J=8.5 Hz, 1H), 3.95 (d, J=15.8 Hz, 1H), 3.70 (br s, 1H), 3.31 (s, 3H), 2.35-1.85 (m, 4H), 2.30 (d, J=17.8 Hz, 1H), 2.03 (d, J=6.9 Hz, 3H), 1.79 (s, 3H), 1.75 (d, J=1.5 Hz, 3H)

FABMS m/z 555 (M + H)⁺

HRFABMS calcd for C₂₄H₃₁N₂O₇S₃ (M + H)⁺ 555.1293, found 555.1285

**EXAMPLE 28**

**Synthesis of Compound 30**

[0095] DC107 (16 mg, 0.032 mmol) was dissolved in chloroform (1.0 ml), and N,N-diisopropylethylamine (0.20 ml, 1.2 mmol) and chloromethyl methyl ether (0.070 ml, 0.92 mmol) were added thereto, followed by stirring at 0 °C for 1 hour. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 98/2) to obtain Compound 30 (8.3 mg, 48% yield). In this reaction, Compound 28 and Compound 29 were also produced.

IR (KBr) 3310, 2928, 1717, 1646, 1613, 1541, 1449, 1373, 1263, 1194, 1149, 1097, 1025, 946, 894, 808 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.10 (dd, J=16.5, 11.6 Hz, 1H), 7.26 (s, 1H), 6.85 (d, J=6.4 Hz, 1H), 6.64 (d, J=11.6 Hz, 1H), 6.38 (t, J=11.6 Hz, 1H), 6.03 (d, J=16.5, 1.0 Hz, 1H), 5.88 (br d, J=9.4 Hz, 1H), 5.30 (dq, J=6.4, 6.4 Hz, 1H), 4.95 (br s, 1H), 4.92 (dd, J=9.4, 12.2 Hz, 1H), 4.59 (d, J=6.7 Hz, 1H), 4.54 (d, J=6.7 Hz, 1H), 3.25 (s, 3H), 3.21 (d, J=15.5 Hz, 1H), 2.92 (d, J=15.5 Hz, 1H), 2.33 (m, 1H), 2.09 (m, 1H), 1.88 (m, 2H), 1.87 (s, 3H), 1.74 (d, J=6.4 Hz, 3H), 1.73 (d, J=1.2 Hz, 3H)

SIMS m/z 555 (M + H)⁺

HRFABMS calcd for C₂₄H₃₇N₂O₇S₃ (M + H)⁺ 599.1553, found 599.1555

**EXAMPLE 29**

**Synthesis of Compound 31**

[0096] DC107 (51 mg, 0.10 mmol) was dissolved in dichloromethane (3.0 ml), and N,N-diisopropylethylamine (1.1 ml, 6.0 mmol) and chloromethyl ethyl ether (0.28 ml, 3.0 mmol) were added thereto, followed by stirring at 25 °C for 3 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by silica gel column chromatography (eluted with chloroform/methanol = 98/2) to obtain Compound 31 (34 mg, 55% yield). In this reaction, Compound 28 and Compound 29 were also produced.

IR (KBr) 3440, 2980, 2920, 1684, 1652, 1610, 1455, 1362, 1263, 1150, 1096, 1022, 985 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.52 (ddd, J=16.5, 11.6, 0.9 Hz, 1H), 7.40 (s, 1H), 6.61 (d, J=11.3 Hz, 1H), 6.36 (d, J=11.6, 11.3 Hz, 1H), 6.02 (d, J=16.5 Hz, 1H), 5.82 (dd, J=9.2, 0.9 Hz, 1H), 5.59 (q, J=6.7 Hz, 1H), 5.50 (br s, 1H), 5.06 (d, J=11.0 Hz, 1H), 4.98 (d, J=11.0 Hz, 1H), 4.81 (dd, J=9.2, 1.2 Hz, 1H), 4.67 (d, J=7.0 Hz, 1H), 4.63 (d, J=7.0 Hz, 1H), 4.09 (d, J=17.7 Hz, 1H), 3.56-3.46 (m, 4H), 2.45-2.30 (m, 3H), 2.32 (d, J=17.7 Hz, 1H), 1.89 (d, J=6.7 Hz, 3H), 1.74 (d, J=1.2 Hz, 3H), 1.71 (s, 3H), 1.45-1.40 (m, 1H), 1.20 (t, J=7.0 Hz, 3H), 1.14 (t, J=7.0 Hz, 3H)

FABMS m/z 627 (M + H)⁺

HRFABMS calcd for C₂₆H₃₉N₂O₇S₃ (M + H)⁺ 627.1868, found 627.1861
EXAMPLE 30

Synthesis of Compound 32

[0097] DC107 (5.5 mg, 0.011 mmol) was dissolved in dichloromethane (0.5 ml), and N,N-diisopropylethylamine (0.019 ml, 0.11 mmol) and chloromethyl benzyl ether (0.0075 ml, 0.055 mmol) were added thereto, followed by stirring at 25°C for 6 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 97/3) to obtain Compound 32 (2.2 mg, 32% yield).

IR (KBr) 3400, 2934, 1684, 1652, 1453, 1375, 1264, 1089, 1018, 807, 741, 698 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 8.46 (ddd, J=16.2, 11.3, 1.0Hz, 1H), 7.35-7.25 (m, 5H), 7.34 (s, 1H), 6.58 (d, J=11.6Hz, 1H), 6.23 (dd, J=11.6Hz, 1H), 6.17 (d, J=16.2Hz, 1H), 5.73 (br d, J=8.6Hz, 1H), 5.43 (br s, 1H), 5.41 (q, J=7.0Hz, 1H), 5.08 (d, J=11.0Hz, 1H), 5.03 (d, J=11.0Hz, 1H), 4.94 (d, J=8.6Hz, 1H), 4.53 (s, 2H), 3.94 (d, J=17.7Hz, 1H), 3.74 (br s, 1H), 2.36-1.70 (m, 4H), 2.27 (d, J=17.7Hz, 1H), 2.02 (d, J=7.0Hz, 3H), 1.79 (s, 3H), 1.74 (d, J=1.0Hz, 3H)

FABMS m/z 631 (M + H)+

HRFABMS calcd for C₃₀H₃₅N₂O₇S₃ (M + H)+ 631.1606, found 631.1624

EXAMPLE 31

Synthesis of Compound 33

[0098] DC107 (40 mg, 0.079 mmol) was dissolved in dimethylformamide (4.0 ml), and N,N-diisopropylethylamine (0.27 ml, 1.6 mmol), ethyl bromoacetate (0.088 ml, 0.79 mmol) and tetra-n-butylammonium iodide (291 mg, 0.79 mmol) were added thereto, followed by stirring at 25°C for 8 hours. After subjecting the resulting mixture to the usual post-treatment, the mixture was purified by silica gel column chromatography (eluted with chloroform) to obtain Compound 33 (36 mg, 77% yield).

IR (KBr) 3420, 2984, 2938, 1715, 1684, 1647, 1448, 1368, 1264, 1155, 1092, 1020, 991, 860 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.46 (ddd, J=16.5, 11.2, 1.0Hz, 1H), 7.35 (s, 1H), 6.59 (d, J=11.7Hz, 1H), 6.24 (dd, J=11.7Hz, 1H), 6.17 (d, J=16.5Hz, 1H), 5.72 (dd, J=8.8, 1.0Hz, 1H), 5.65 (br s, 1H), 5.42 (q, J=6.8Hz, 1H), 4.93 (d, J=8.8Hz, 1H), 4.16 (m, 2H), 3.98 (d, J=18.1Hz, 1H), 3.69 (d, J=16.4Hz, 1H), 3.50 (d, J=16.4Hz, 1H), 2.47 (d, J=18.1Hz, 1H), 2.35-1.75 (m, 4H), 2.03 (d, J=6.8Hz, 3H), 1.80 (s, 3H), 1.73 (d, J=1.0Hz, 3H), 1.26 (t, J=7.1Hz, 3H)

FABMS m/z 597 (M + H)+

HRFABMS calcd for C₂₆H₃₃N₂O₈S₃ (M + H)+ 597.1399, found 597.1398

EXAMPLE 32

Synthesis of Compound 34

[0099] DC107 (48 mg, 0.093 mmol) was dissolved in acetonitrile (7.0 ml), and potassium carbonate (1.6 g, 11.2 mmol), chloromethyl pivalate (1.0 ml, 6.9 mmol) and potassium iodide (310 mg, 1.9 mmol) were added thereto, followed by stirring at 25°C for 2 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by silica gel column chromatography (eluted with chloroform/methanol = 97/3) to obtain Compound 34 (34 mg, 59% yield).

IR (KBr) 3430, 3098, 2980, 2940, 2874, 1715, 1698, 1652, 1611, 1460, 1449, 1369, 1268, 1129, 974, 847, 807, 769 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.48 (ddd, J=16.4, 11.2, 1.0Hz, 1H), 7.35 (s, 1H), 6.58 (d, J=11.6Hz, 1H), 6.24 (dd, J=11.6, 11.2Hz, 1H), 6.17 (d, J=16.4Hz, 1H), 5.75 (dd, J=8.6, 1.2Hz, 1H), 5.51 (br s, 1H), 5.42 (q, J=7.1Hz, 1H), 5.41 (d, J=11.8Hz, 1H), 5.35 (d, J=11.8Hz, 1H), 4.93 (d, J=8.6Hz, 1H), 3.59 (d, J=18.0Hz, 1H), 2.33-2.15 (m, 3H), 2.25 (d, J=18.0Hz, 1H), 2.02 (d, J=7.1Hz, 3H), 1.78 (s, 3H), 1.74 (d, J=1.2Hz, 3H), 1.16 (s, 9H)

FABMS m/z 625 (M + H)+

HRFABMS calcd for C₂₈H₃₇N₂O₈S₃ (M + H)+ 625.1712, found 625.1708

EXAMPLE 33

Synthesis of Compound 35

[0100] DC107 (150 mg, 0.29 mmol) was dissolved in dimethylformamide (10 ml), and potassium carbonate (1.2 g, 8.9 mmol), 4-chloromethyl-5-methyl-2-oxo-1,3-dioxolene (0.86 g, 5.8 mmol) and potassium iodide (245 mg, 1.47 mmol) were added thereto, followed by stirring at 25°C for 1 hour. After subjecting the mixture to the usual post-treatment, the mixture was purified by silica gel column chromatography (eluted with chloroform) to obtain Compound 35 (125...
EXAMPLE 34

Synthesis of Compound 36

[0101] Compound 1 (20 mg, 0.036 mmol) was dissolved in dichloromethane (1.5 ml), and N,N-diisopropylethylamine (0.25 ml, 1.43 mmol) and chloromethyl methyl ether (0.054 ml, 0.71 mmol) were added thereto, followed by stirring at 25°C for 2 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed twice with chloroform/methanol = 97/3) to obtain Compound 36 (16 mg, 76% yield).

EXAMPLE 35

Synthesis of Compounds 37 and 38

[0102] Compound 18 (a mixture of diastereomers, 48.5 mg, 0.082 mmol) was dissolved in dichloromethane (4.0 ml), and N,N-diisopropylethylamine (0.285 ml, 1.64 mmol), and chloromethyl methyl ether (0.062 ml, 0.82 mmol) were added thereto, followed by stirring at 25°C for 1.5 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 98/2) to obtain Compound 37 (21 mg, 39% yield) and Compound 38 (23 mg, 45% yield) which is a diastereomer thereof.

Compound 37

[0103] IR (KBr) 3404, 3096, 2940, 1685, 1648, 1607, 1442, 1374, 1259, 1186, 1153, 1094, 1023, 975, 894, 868, 810 cm⁻¹

FABMS m/z 639 (M + H)⁺

HRFABMS calcd for C₂₇H₃₁N₂O₉S₃ (M + H)⁺ 639.1399, found 639.1407

Compound 38

[0104] IR (KBr) 3404, 3100, 2938, 1685, 1648, 1609, 1442, 1375, 1261, 1183, 1153, 1093, 1022, 974, 898, 868, 808 cm⁻¹

FABMS m/z 639 (M + H)⁺

HRFABMS calcd for C₂₉H₃₃N₂O₈S₃ (M + H)⁺ 639.1868, found 639.1876

39
EXAMPLE 36

Synthesis of Compound 39

According to the procedure as described in Example 1, Compound 39 (7.2 mg, 57% yield) was obtained from Compound 34 (12 mg, 0.019 mmol) prepared in Example 32, chloroform (1.0 ml), pyridine (0.15 ml, 1.9 mmol), acetic anhydride (0.036 ml, 0.38 mmol) and 4-dimethylaminopyridine (1.8 mg, 0.015 mmol).

IR (KBr) 3440, 2974, 2940, 1734, 1705, 1653, 1609, 1460, 1369, 1273, 1230, 1131, 1020, 974 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.21 (dd, J=16.6, 11.4 Hz, 1H), 7.35 (s, 1H), 6.55 (d, J=11.4 Hz, 1H), 6.26 (dd, J=11.4, 11.4 Hz, 1H), 5.95 (d, J=16.6 Hz, 1H), 5.70 (br d, J=9.7 Hz, 1H), 5.59 (d, J=9.7 Hz, 1H), 5.53 (q, J=6.6 Hz, 1H), 5.39 (br s, 1H), 5.36 (d, J=10.9 Hz, 1H), 5.28 (d, J=10.9 Hz, 1H), 5.26 (dd, J=11.4, 11.4 Hz, 1H), 5.19 (d, J=10.9 Hz, 1H), 5.15 (d, J=10.9 Hz, 1H), 5.09 (d, J=10.9 Hz, 1H), 5.05 (d, J=10.9 Hz, 1H), 4.03 (d, J=17.0 Hz, 1H), 2.43-2.30 (m, 3H), 2.29 (d, J=17.0 Hz, 1H), 1.92 (d, J=6.4 Hz, 3H), 1.78 (d, J=9.7 Hz, 3H), 1.71 (s, 3H), 1.64 (s, 3H), 1.45-1.35 (m, 1H), 1.12 (s, 9H)

FABMS m/z 667 (M + H)⁺

HRFABMS calcd for C₂₉H₃₉N₂O₈S₃ (M + H)⁺ 639.1866, found 639.1860

EXAMPLE 37

Synthesis of Compound 40

According to the procedure as described in Example 1, Compound 40 (25 mg, 55% yield) was obtained from Compound 34 (42 mg, 0.067 mmol), dichloromethane (8.0 ml), pyridine (0.22 ml, 2.7 mmol), propionic anhydride (0.069 ml, 0.54 mmol) and 4-dimethylaminopyridine (4.9 mg, 0.040 mmol).

IR (KBr) 3430, 2982, 2940, 1735, 1700, 1654, 1610, 1457, 1363, 1273, 1130, 1073, 1016, 972, 806 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.27 (dd, J=16.8, 11.3 Hz, 1H), 7.42 (s, 1H), 6.61 (d, J=11.3 Hz, 1H), 6.33 (dd, J=11.3, 11.3 Hz, 1H), 6.02 (d, J=16.8 Hz, 1H), 5.77 (br d, J=10.0 Hz, 1H), 5.66 (d, J=10.0 Hz, 1H), 5.60 (q, J=6.4 Hz, 1H), 5.46 (br s, 1H), 5.43 (d, J=11.0 Hz, 1H), 5.35 (d, J=11.0 Hz, 1H), 4.03 (d, J=17.0 Hz, 1H), 2.43-2.30 (m, 3H), 2.29 (q, J=7.6 Hz, 2H), 2.28 (d, J=17.0 Hz, 1H), 1.92 (d, J=6.4 Hz, 3H), 1.78 (d, J=9.7 Hz, 3H), 1.71 (s, 3H), 1.55-1.45 (m, 1H), 1.19 (s, 9H), 1.08 (t, J=7.6 Hz, 3H)

FABMS m/z 681 (M + H)⁺

HRFABMS calcd for C₃₀H₄₁N₂O₉S₃ (M + H)⁺ 667.1818, found 667.1818

EXAMPLE 38

Synthesis of Compound 41

According to the procedure as described in Example 1, Compound 41 (31 mg, 50% yield) was obtained from Compound 34 (55 mg, 0.088 mmol), dichloromethane (6.0 ml), pyridine (0.14 ml, 1.8 mmol) and ethyl chloroformate (0.084 ml, 0.88 mmol).

IR (KBr) 3430, 2984, 2938, 1735, 1698, 1654, 1609, 1478, 1456, 1370, 1320, 1260, 1129, 971, 873, 785 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.34 (ddd, J=16.8, 11.3, 0.9 Hz, 1H), 7.41 (s, 1H), 6.63 (d, J=11.3 Hz, 1H), 6.05 (d, J=16.8, 0.9 Hz, 1H), 5.80 (dd, J=9.8, 1.2 Hz, 1H), 5.61 (dd, J=9.8, 0.9 Hz, 1H), 5.57 (q, J=6.4 Hz, 1H), 5.49 (br s, 1H), 5.43 (d, J=11.0 Hz, 1H), 5.35 (d, J=11.0 Hz, 1H), 4.14 (q, 2H), 4.03 (d, J=17.7 Hz, 1H), 2.43-2.60 (m, 3H), 2.26 (d, J=17.7 Hz, 1H), 1.89 (d, J=6.4 Hz, 3H), 1.82 (d, J=1.2 Hz, 3H), 1.71 (s, 3H), 1.48-1.42 (m, 1H), 1.23 (t, 3H), 1.18 (s, 9H)

FABMS m/z 697 (M + H)⁺

HRFABMS calcd for C₃₁H₄₁N₂O₁₀S₃ (M + H)⁺ 681.1974, found 681.1987

EXAMPLE 39

Synthesis of Compound 42

According to the procedure as described in Example 1, Compound 42 (27 mg, 73% yield) was obtained from Compound 34 (34 mg, 0.055 mmol), dichloromethane (3.0 ml), pyridine (0.044 ml, 0.54 mmol) and phenyl chloroformate (0.020 ml, 0.16 mmol).

IR (KBr) 3430, 2980, 1761, 1740, 1701, 1653, 1610, 1480, 1456, 1369, 1319, 1245, 1208, 1130, 1072, 1022, 973, 771 cm⁻¹
**EXAMPLE 40**

Synthesis of Compound 43

[0109] According to the procedure as described in Example 28, Compound 43 (10 mg, 36% yield) was obtained from Compound 34 (26 mg, 0.042 mmol), dichloromethane (4.0 ml), N,N-diisopropylethylamine (2.6 ml, 14.8 mmol), and chloromethyl methyl ether (0.93 ml, 12.2 mmol).

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.53 (ddd, J=16.5, 11.3, 0.9Hz, 1H), 7.40 (s, 1H), 6.60 (d, J=11.4Hz, 1H), 6.37 (dd, J=11.7, 11.4Hz, 1H), 6.05 (d, J=16.8Hz, 1H), 5.80 (br d, J=10.0Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.51 (br s, 1H), 5.43 (d, J=10.7Hz, 1H), 5.35 (d, J=10.7Hz, 1H), 4.74 (dd, J=10.0, 1.0Hz, 1H), 4.71 (m, 1H), 4.03 (d, J=17.8Hz, 1H), 3.81-3.69 (m, 1H), 3.47-3.40 (m, 1H), 2.43-1.40 (m, 1H), 2.28 (d, J=17.8Hz, 1H), 1.88 (d, J=6.6Hz, 3H), 1.74 (d, J=1.0Hz, 3H), 1.70 (s, 3H), 1.19 (s, 9H); minor isomer: 9.59 (dd, J=16.8, 11.7Hz, 1H), 7.40 (s, 1H), 6.61 (d, J=11.0Hz, 1H), 6.34 (dd, J=11.7, 11.0Hz, 1H), 6.00 (d, J=16.8Hz, 1H), 5.83 (br d, J=10.0Hz, 1H), 5.58 (q, J=6.6Hz, 1H), 5.52 (br s, 1H), 5.43 (d, J=10.7Hz, 1H), 5.35 (d, J=10.7Hz, 1H), 5.02 (dd, J=10.0, 1.0Hz, 1H), 4.57 (m, 1H), 4.04 (d, J=17.8Hz, 1H), 3.87-3.80 (m, 1H), 3.55-3.40 (m, 1H), 2.43-1.40 (m, 1H), 2.27 (d, J=17.8Hz, 1H), 1.94 (d, J=6.6Hz, 3H), 1.76 (d, J=1.0Hz, 3H), 1.70 (s, 3H), 1.19 (s, 9H)

FABMS m/z 669 (M + H)+

HRFABMS calcd for C₃₃H₄₁N₂O₉S₃ (M + H)+ 669.1974, found 669.1991

**EXAMPLE 41**

Synthesis of Compound 44

[0110] According to the procedure as described in Example 18, Compound 34 (54 mg, 0.86 mmol) was dissolved in dichloromethane (10 ml), and 3,4-dihydro-2H-pyran (0.11 ml, 1.22 mmol) and camphorsulfonic acid (39 mg, 0.17 mmol) were added thereto, followed by stirring at 0°C for 5 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with ether/methanol = 99/1) to obtain Compound 44 (21 mg, 34% yield). From 1H NMR, Compound 44 was found to be a mixture of diastereomers at a ratio of about 2:1.

1H NMR (CDCl₃, 400 MHz) δ ppm; major isomer: 9.40 (dd, J=16.8, 11.7Hz, 1H), 7.40 (s, 1H), 6.60 (d, J=11.4Hz, 1H), 6.37 (dd, J=11.7, 11.4Hz, 1H), 6.05 (d, J=16.8Hz, 1H), 5.80 (br d, J=10.0Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.51 (br s, 1H), 5.43 (d, J=10.7Hz, 1H), 5.35 (d, J=10.7Hz, 1H), 4.74 (dd, J=10.0, 1.0Hz, 1H), 4.71 (m, 1H), 4.03 (d, J=17.8Hz, 1H), 3.81-3.69 (m, 1H), 3.47-3.40 (m, 1H), 2.43-1.40 (m, 1H), 2.28 (d, J=17.8Hz, 1H), 1.88 (d, J=6.6Hz, 3H), 1.74 (d, J=1.0Hz, 3H), 1.70 (s, 3H), 1.19 (s, 9H); minor isomer: 9.59 (dd, J=16.8, 11.7Hz, 1H), 7.40 (s, 1H), 6.61 (d, J=11.0Hz, 1H), 6.34 (dd, J=11.7, 11.0Hz, 1H), 6.00 (d, J=16.8Hz, 1H), 5.83 (br d, J=10.0Hz, 1H), 5.58 (q, J=6.6Hz, 1H), 5.52 (br s, 1H), 5.43 (d, J=10.7Hz, 1H), 5.35 (d, J=10.7Hz, 1H), 5.02 (dd, J=10.0, 1.0Hz, 1H), 4.57 (m, 1H), 4.04 (d, J=17.8Hz, 1H), 3.87-3.80 (m, 1H), 3.55-3.40 (m, 1H), 2.43-1.40 (m, 1H), 2.27 (d, J=17.8Hz, 1H), 1.94 (d, J=6.6Hz, 3H), 1.76 (d, J=1.0Hz, 3H), 1.70 (s, 3H), 1.19 (s, 9H)

FABMS m/z 709 (M + H)+

HRFABMS calcd for C₃₃H₄₅N₂O₉S₃ (M + H)+ 709.2287, found 709.2305

**EXAMPLE 42**

Synthesis of Compounds 45 and 46

[0111] Compound 35 (50 mg, 0.081 mmol) obtained in Example 33 was dissolved in chloroform (2.0 ml) and pyridine (0.66 ml, 8.1 mmol), and then acetic anhydride (0.15 ml, 1.6 mmol) and 4-dimethylaminopyridine (7.9 mg, 0.065 mmol) were added thereto, followed by stirring at 25°C for 30 minutes. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 45 (10.5 mg, 20% yield) and Compound 46 (26 mg, 46% yield).
Compounds 45 and 46

**Example 43**
Synthesis of Compound 47

According to the procedure as described in Example 1, Compound 47 (29 mg, 54% yield) was obtained from Compound 35 (50 mg, 0.080 mmol), dichloromethane (2.4 ml), pyridine (0.23 ml, 2.8 mmol) and propionic anhydride (0.061 ml, 0.48 mmol).

**Example 44**
Synthesis of Compound 48

According to the procedure as described in Example 1, Compound 48 (24 mg, 55% yield) was obtained from Compound 35 (36 mg, 0.058 mmol), dichloromethane (3.0 ml), pyridine (0.050 ml, 0.62 mmol) and cyclohexanecarbonyl chloride (0.039 ml, 0.29 mmol).

**Example 45**
Synthesis of Compound 49

According to the procedure as described in Example 1, Compound 49 (29 mg, 54% yield) was obtained from Compound 35 (51 mg, 0.082 mmol), 1-naphthoic acid (42 mg, 0.24 mmol), 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride (46 mg, 0.24 mmol) and 4-dimethylaminopyridine (2.0 mg, 0.016 mmol) were dissolved in
dichloromethane (5.0 ml), followed by stirring at 25°C for 20 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 49 (18 mg, 28% yield).

IR (KBr) 3420, 2930, 1821, 1711, 1684, 1611, 1501, 1438, 1375, 1267, 1241, 1195, 1131, 978, 781 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.41 (dd, J=16.6, 11.3 Hz, 1H), 8.80 (dd, J=8.7, 1.1 Hz, 1H), 8.12 (dd, J=7.5, 1.4 Hz, 1H), 7.96 (dd, J=8.0 Hz, 1H), 7.84 (dd, J=8.0, 1.4 Hz, 1H), 7.57-7.47 (m, 2H), 7.42 (s, 1H), 7.29 (dd, J=8.2, 7.5 Hz, 1H), 6.63 (d, J=11.6 Hz, 1H), 6.37 (dd, J=11.6, 11.3 Hz, 1H), 6.14 (d, J=16.6 Hz, 1H), 6.06 (dd, J=9.5, 0.9 Hz, 1H), 5.94 (br d, J=9.5 Hz, 1H), 5.44 (q, J=6.7 Hz, 1H), 5.44 (br s, 1H), 4.01 (d, J=16.5 Hz, 1H), 6.12 (d, J=16.5 Hz, 1H), 6.08 (dd, J=9.5, 1.2 Hz, 1H), 5.96 (br d, J=9.5 Hz, 1H), 5.49 (q, J=6.4 Hz, 1H), 5.44 (br s, 1H), 4.02 (d, J=17.7 Hz, 1H), 3.78 (br s, 2H), 2.52-2.26 (m, 3H), 2.29 (d, J=17.7 Hz, 1H), 2.15 (s, 3H), 1.92 (d, J=1.2 Hz, 3H), 1.73 (s, 3H), 1.67 (d, J=6.7 Hz, 3H), 1.64-1.55 (m, 1H)

FABMS m/z 777 (M + H)⁺

HRFABMS calcd for C₃₈H₃₇N₂O₁₀S₃ (M + H)⁺ 777.1610, found 777.1639

EXAMPLE 46

Synthesis of Compound 50

[0117] According to the procedure as described in Example 45, Compound 50 (15 mg, 29% yield) was obtained from Compound 35 (40 mg, 0.065 mmol), 2-naphthoic acid (122 mg, 0.71 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (137 mg, 0.71 mmol), dichloromethane (5.0 ml) and 4-dimethylaminopyridine (3.2 mg, 0.026 mmol).

IR (KBr) 3430, 2932, 1821, 1719, 1678, 1610, 1440, 1389, 1371, 1356, 1269, 1227, 1195, 1129, 1090, 977, 866, 777 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.51 (dd, J=16.5, 11.3 Hz, 1H), 8.55 (s, 1H), 7.98 (dd, J=8.5, 1.2 Hz, 1H), 7.82 (d, J=8.2 Hz, 1H), 7.78 (d, J=8.5 Hz, 1H), 7.59 (d, J=8.2 Hz, 1H), 7.55 (dd, J=8.2, 7.0, 1.2 Hz, 1H), 7.46 (s, 1H), 7.46 (ddd, J=8.2, 7.0, 1.2 Hz, 1H), 6.65 (d, J=11.6 Hz, 1H), 6.38 (dd, J=11.6, 11.3 Hz, 1H), 6.12 (d, J=16.5 Hz, 1H), 6.08 (dd, J=9.5, 1.2 Hz, 1H), 5.96 (br d, J=9.5 Hz, 1H), 5.49 (q, J=6.4 Hz, 1H), 5.44 (br s, 1H), 4.02 (d, J=17.7 Hz, 1H), 3.78 (br s, 2H), 2.52-2.26 (m, 3H), 2.29 (d, J=17.7 Hz, 1H), 2.15 (s, 3H), 1.92 (d, J=1.2 Hz, 3H), 1.73 (s, 3H), 1.67 (d, J=6.7 Hz, 3H), 1.64-1.55 (m, 1H)

FABMS m/z 777 (M + H)⁺

HRFABMS calcd for C₃₈H₃₇N₂O₁₀S₃ (M + H)⁺ 777.1610, found 777.1612

EXAMPLE 47

Synthesis of Compound 51

[0118] According to the procedure as described in Example 45, Compound 51 (18 mg, 29% yield) was obtained from Compound 35 (51 mg, 0.082 mmol), quinaldic acid (28 mg, 0.16 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (31 mg, 0.16 mmol), dichloromethane (5.0 ml) and 4-dimethylaminopyridine (1.3 mg, 0.011 mmol).

IR (KBr) 3420, 2930, 1821, 1719, 1678, 1610, 1501, 1458, 1375, 1269, 1210, 1133, 1106, 977, 844, 776 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.42 (dd, J=16.3, 11.3 Hz, 1H), 8.19 (d, J=8.5 Hz, 1H), 8.06 (d, J=8.5 Hz, 1H), 8.05 (dd, J=8.2, 1.0 Hz, 1H), 7.82 (dd, J=8.2, 1.0 Hz, 1H), 7.73 (ddd, J=8.2, 7.0, 1.0 Hz, 1H), 7.62 (ddd, J=8.2, 7.0, 1.0 Hz, 1H), 7.43 (s, 1H), 6.64 (d, J=11.6 Hz, 1H), 6.37 (dd, J=11.6, 11.3 Hz, 1H), 6.14 (d, J=16.3 Hz, 1H), 6.12 (d, J=8.8 Hz, 1H), 5.96 (br d, J=8.8 Hz, 1H), 5.45 (q, J=6.7 Hz, 1H), 5.45 (br s, 1H), 4.00 (d, J=17.7 Hz, 1H), 3.79 (d, J=15.3 Hz, 1H), 3.76 (d, J=15.3 Hz, 1H), 2.50-2.27 (m, 3H), 2.27 (d, J=17.7 Hz, 1H), 2.15 (s, 3H), 1.94 (d, J=1.2 Hz, 3H), 1.73 (s, 3H), 1.67 (d, J=6.7 Hz, 3H), 1.62-1.50 (m, 1H)

FABMS m/z 778 (M + H)⁺

HRFABMS calcd for C₃₇H₃₆N₃O₁₀S₃ (M + H)⁺ 778.1563, found 778.1565

EXAMPLE 48

Synthesis of Compound 52

[0119] According to the procedure as described in Example 1, Compound 52 (38 mg, 61% yield) was obtained from Compound 35 (50 mg, 0.080 mmol), dichloromethane (5.0 ml), pyridine (0.16 ml, 2.0 mmol) and 2-quinoxaloyl chloride (47 mg, 0.24 mmol).

IR (KBr) 3430, 2930, 1820, 1719, 1707, 1684, 1609, 1490, 1364, 1341, 1266, 1231, 1208, 1154, 1107, 977, 799, 775 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.44 (s, 1H), 9.41 (dd, J=16.8, 11.6 Hz, 1H), 8.13 (dd, J=8.2, 1.4 Hz, 1H), 8.09
(dd, J=8.2, 1.4Hz, 1H), 7.88 (ddd, J=8.2, 6.7, 1.4Hz, 1H), 7.82 (ddd, J=8.2, 6.7, 1.4Hz, 1H), 7.44 (s, 1H), 6.65 (d, J=11.6Hz, 1H), 6.37 (dd, J=11.6, 11.6Hz, 1H), 6.17 (dd, J=9.8, 0.9Hz, 1H), 6.14 (dd, J=16.8, 0.9Hz, 1H), 5.97 (br d, J=9.8Hz, 1H), 5.47 (q, J=6.4Hz, 1H), 5.40 (br s, 1H), 4.01 (d, J=17.7Hz, 1H), 3.78 (br s, 2H), 2.52-2.25 (m, 3H), 2.28 (d, J=17.7Hz, 1H), 2.15 (s, 3H), 1.94 (d, J=1.2Hz, 3H), 1.73 (s, 3H), 1.69 (d, J=6.4Hz, 3H), 1.65-1.50 (m, 1H)

SIMS m/z 779 (M + H)

HRFABMS calcd for C_{36}H_{35}N_{4}O_{10}S_{3} (M + H) +  779.1515, found 779.1522

EXAMPLE 49

Synthesis of Compound 53

[0120] According to the procedure as described in Example 1, Compound 53 (26 mg, 63% yield) was obtained from Compound 35 (38 mg, 0.060 mmol), dichloromethane (3.0 ml), pyridine (0.049 ml, 0.060 mmol) and ethyl chloroformate (0.029 ml, 0.30 mmol).

IR (KBr) 3440, 2926, 1822, 1736, 1690, 1610, 1437, 1372, 1262, 1208, 1160, 1093, 978, 880, 787, 768 cm\(^{-1}\)

\(^{1}\)H NMR (CDCl\(_3\), 500 MHz) \(\delta\) ppm; 9.32 (ddd, J=16.8, 11.3, 0.9Hz, 1H), 7.41 (s, 1H), 6.63 (d, J=11.3Hz, 1H), 6.06 (dd, J=16.7, 0.6Hz, 1H), 5.79 (br d, J=9.5Hz, 1H), 5.61 (dd, J=9.5, 0.9Hz, 1H), 5.57 (q, J=6.7Hz, 1H), 5.46 (br s, 1H), 4.15 (dq, \(J=7.2, 0.6Hz\), 2H), 4.03 (d, J=17.7Hz, 1H), 3.78 (br s, 2H), 2.27 (d, J=17.7Hz, 1H), 2.48-1.45 (m, 4H), 2.15 (s, 3H), 1.89 (d, J=6.7Hz, 3H), 1.82 (d, J=1.2Hz, 3H), 1.71 (s, 3H), 1.24 (t, J=7.2Hz, 3H)

FABMS m/z 695 (M + H)

HRFABMS calcd for C_{30}H_{35}N_{2}O_{11}S_{3} (M + H) +  695.1403, found 695.0392

EXAMPLE 50

Synthesis of Compound 54

[0121] According to the procedure as described in Example 1, Compound 54 (39 mg, 68% yield) was obtained from Compound 35 (50 mg, 0.080 mmol), dichloromethane (5.0 ml), pyridine (0.065 ml, 0.080 mmol) and isobutyl chloroformate (0.052 ml, 0.40 mmol).

IR (KBr) 3430, 2980, 2934, 1823, 1733, 1694, 1651, 1608, 1460, 1380, 1260, 1240, 1021, 976, 770 cm\(^{-1}\)

\(^{1}\)H NMR (CDCl\(_3\), 500 MHz) \(\delta\) ppm; 9.36 (ddd, J=16.8, 11.6, 1.1Hz, 1H), 7.41 (s, 1H), 6.62 (d, J=11.6Hz, 1H), 6.05 (dd, J=16.8, 0.6Hz, 1H), 5.78 (br d, J=9.5Hz, 1H), 5.58 (q, J=6.7Hz, 1H), 5.57 (dd, J=9.5, 1.2Hz, 1H), 5.45 (br s, 1H), 4.04 (d, J=17.7Hz, 1H), 3.91 (dd, J=10.4, 6.7Hz, 1H), 3.84 (dd, J=10.4, 6.7Hz, 1H), 3.78 (br s, 2H), 2.50-2.15 (m, 3H), 2.14 (d, J=17.7Hz, 1H), 1.92-1.82 (m, 1H), 1.90 (d, J=6.7Hz, 3H), 1.81 (d, J=1.2Hz, 3H), 1.71 (s, 3H), 1.55-1.42 (m, 1H), 0.85 (d, J=6.7Hz, 6H)

FABMS m/z 723 (M + H)

HRFABMS calcd for C_{32}H_{39}N_{2}O_{11}S_{3} (M + H) +  723.1716, found 723.1715

EXAMPLE 51

Synthesis of Compound 55

[0122] According to the procedure as described in Example 1, Compound 55 (36 mg, 57% yield) was obtained from Compound 35 (53 mg, 0.085 mmol), dichloromethane (5.0 ml), pyridine (0.069 ml, 0.85 mmol) and phenyl chloroformate (0.032 ml, 0.26 mmol).

IR (KBr) 3420, 2934, 1821, 1759, 1720, 1677, 1610, 1489, 1457, 1375, 1260, 1241, 1209, 1115, 1021, 977, 769 cm\(^{-1}\)

\(^{1}\)H NMR (CDCl\(_3\), 400 MHz) \(\delta\) ppm; 9.41 (ddd, J=16.7, 11.2, 1.0Hz, 1H), 7.43 (s, 1H), 7.37-7.05 (m, 5H), 6.66 (d, J=11.5Hz, 1H), 6.38 (dd, J=11.5, 11.2Hz, 1H), 6.10 (d, J=16.7Hz, 1H), 5.87 (br d, J=9.7Hz, 1H), 5.73 (dd, J=9.7, 1.0Hz, 1H), 5.59 (q, J=6.6Hz, 1H), 5.46 (br s, 1H), 4.05 (d, J=17.8Hz, 1H), 3.78 (s, 2H), 2.52-2.25 (m, 3H), 2.29 (d, J=17.8Hz, 1H), 2.15 (s, 3H), 1.96 (d, J=6.6Hz, 3H), 1.85 (d, J=1.0Hz, 3H), 1.72 (s, 3H), 1.60-1.45 (m, 1H)

FABMS m/z 743 (M + H)

HRFABMS calcd for C_{34}H_{35}N_{2}O_{11}S_{3} (M + H) +  743.1403, found 743.1417
EXAMPLE 52

Synthesis of Compound 56

According to the procedure as described in Example 1, Compound 56 (15 mg, 39% yield) was obtained from Compound 35 (30 mg, 0.049 mmol), dichloromethane (3.0 ml), pyridine (1.27 ml, 15.7 mmol) and benzyl chloroformate (0.692 ml, a 30% toluene solution, 1.46 mmol).

IR (KBr) 3430, 2933, 1821, 1738, 1710, 1680, 1609, 1453, 1382, 1153, 1113, 1093, 976, 785, 768, 697 cm⁻¹

¹H NMR (CDCl₃, 400 MHz) δ ppm: 9.34 (dd, J=16.8, 11.5, 1.0 Hz, 1H), 7.40 (s, 1H), 7.40-7.25 (m, 5H), 6.62 (d, J=11.5 Hz, 1H), 6.32 (dd, J=11.5, 11.5 Hz, 1H), 6.03 (dd, J=9.5 Hz, 1H), 5.79 (br d, J=9.5 Hz, 1H), 5.61 (dd, J=9.5, 1.0 Hz, 1H), 5.54 (q, J=6.5 Hz, 1H), 5.46 (br s, 1H), 4.03 (d, J=17.7 Hz, 1H), 3.77 (s, 2H), 2.48-2.25 (m, 3H), 2.26 (d, J=17.7 Hz, 1H), 2.14 (s, 3H), 1.84 (d, J=6.5 Hz, 3H), 1.81 (d, J=1.0 Hz, 3H), 1.71 (s, 3H), 1.55-1.42 (m, 1H)

FABMS m/z 757 (M + H)⁺

HRFABMS calcd for C₃₅H₃₇N₂O₁₁S₃ (M + H)⁺ 757.1559, found 757.1538

EXAMPLE 53

Synthesis of Compound 57

According to the procedure as described in Example 1, Compound 57 (40 mg, 60% yield) was obtained from Compound 35 (50 mg, 0.080 mmol), dichloromethane (4.0 ml), pyridine (0.078 ml, 0.96 mmol) and 9-fluorenylmethyl chloroformate (83 mg, 0.32 mmol).

IR (KBr) 3420, 2920, 1822, 1733, 1680, 1610, 1449, 1384, 1263, 1209, 1152, 1092, 976, 759, 740 cm⁻¹

¹H NMR (CDCl₃, 500 MHz) δ ppm: 9.48 (dd, J=16.6, 11.6 Hz, 1H), 7.66 (d, J=7.6 Hz, 1H), 7.47 (s, 1H), 7.43 (d, J=7.6 Hz, 1H), 7.34 (d, J=7.6, 7.3 Hz, 1H), 7.29 (d, J=7.6, 7.3 Hz, 1H), 7.18 (dd, J=7.6, 7.3 Hz, 1H), 6.98 (dd, J=7.6, 7.3 Hz, 1H), 6.64 (dd, J=11.3 Hz, 1H), 6.32 (dd, J=11.6, 11.3 Hz, 1H), 6.02 (d, J=16.6 Hz, 1H), 5.77 (br d, J=9.5 Hz, 1H), 5.57 (q, J=6.7 Hz, 1H), 5.50 (d, J=9.5 Hz, 1H), 5.49 (br s, 2H), 4.59 (dd, J=10.7, 6.7 Hz, 1H), 4.25 (dd, J=10.7, 7.0 Hz, 1H), 4.13 (br dd, J=7.0, 6.7 Hz, 1H), 4.06 (d, J=17.7 Hz, 1H), 3.79 (d, J=15.3 Hz, 1H), 3.77 (d, J=17.7 Hz, 1H), 2.53-2.29 (m, 3H), 2.26 (d, J=17.7 Hz, 1H), 2.15 (s, 3H), 1.78 (d, J=0.9 Hz, 3H), 1.77 (d, J=6.7 Hz, 3H), 1.72 (s, 3H), 1.43-1.37 (m, 1H)

FABMS m/z 845 (M + H)⁺

HRFABMS calcd for C₄₂H₄₁N₂O₁₁S₃ (M + H)⁺ 845.1872, found 845.1859

EXAMPLE 54

Synthesis of Compound 58

According to the procedure as described in Example 28, Compound 58 (18 mg, 42% yield) was obtained from Compound 35 (41 mg, 0.065 mmol), dichloromethane (2.0 ml), N,N-diisopropylethylamine (3.8 ml, 22 mmol) and chloromethyl methyl ether (0.83 ml, 11 mmol).

IR (KBr) 3430, 2920, 1821, 1720, 1684, 1647, 1608, 1437, 1374, 1262, 1209, 1150, 1093, 1026, 977, 768 cm⁻¹

¹H NMR (CDCl₃, 500 MHz) δ ppm: 9.51 (dd, J=16.5, 11.3 Hz, 1H), 7.40 (s, 1H), 6.62 (d, J=11.3 Hz, 1H), 6.36 (dd, J=11.3, 11.3 Hz, 1H), 6.03 (d, J=16.5 Hz, 1H), 5.83 (br d, J=9.2 Hz, 1H), 5.59 (q, J=6.7 Hz, 1H), 5.50 (br s, 1H), 4.80 (dd, J=9.2, 1.2 Hz, 1H), 4.63 (d, J=6.7 Hz, 1H), 4.60 (d, J=6.7 Hz, 1H), 4.04 (d, J=17.5 Hz, 1H), 3.80 (d, J=15.3 Hz, 1H), 3.76 (d, J=15.3 Hz, 1H), 3.29 (s, 3H), 2.46-2.22 (m, 3H), 2.29 (d, J=17.5 Hz, 1H), 2.15 (s, 3H), 1.90 (d, J=6.7 Hz, 3H), 1.76 (d, J=1.2 Hz, 3H), 1.70 (s, 3H), 1.52-1.42 (m, 1H)

FABMS m/z 667 (M + H)⁺

HRFABMS calcd for C₄₂H₄₁N₂O₁₀S₃ (M + H)⁺ 667.1454, found 667.1459

EXAMPLE 55

Synthesis of Compound 59

According to the procedure as described in Example 28, Compound 59 (9.8 mg, 42% yield) was obtained from Compound 35 (21 mg, 0.034 mmol), dichloromethane (1.5 ml), N,N-diisopropylethylamine (1.1 ml, 6.4 mmol) and chloromethyl ethyl ether (0.44 ml, 4.7 mmol).

IR (KBr) 3430, 2932, 1820, 1718, 1684, 1653, 1609, 1436, 1387, 1262, 1209, 1150, 1092, 1025, 978, 768 cm⁻¹
1H NMR (CDCl₃, 500 MHz) δ ppm; 9.51 (ddd, J=16.5, 11.6, 0.9 Hz, 1H), 7.40 (s, 1H), 6.62 (d, J=11.3 Hz, 1H), 6.36 (dd, J=11.6, 11.3 Hz, 1H), 6.02 (dd, J=16.5, 0.9 Hz, 1H), 5.82 (br d, J=9.5 Hz, 1H), 5.58 (q, J=6.4 Hz, 1H), 5.50 (br s, 1H), 4.82 (dd, J=9.5, 1.2 Hz, 1H), 4.68 (d, J=9.9 Hz, 1H), 4.64 (d, J=17.5 Hz, 1H), 4.04 (d, J=17.5 Hz, 1H), 3.80 (d, J=15.3 Hz, 1H), 3.76 (d, J=15.3 Hz, 1H), 3.56-3.46 (m, 2H), 2.44-2.24 (m, 3H), 2.29 (d, J=17.5 Hz, 1H), 2.15 (s, 3H), 1.90 (d, J=6.4 Hz, 3H), 1.76 (d, J=1.2 Hz, 3H), 1.70 (s, 3H), 1.52-1.41 (m, 1H), 1.14 (t, J=7.0 Hz, 3H)

IR (KBr) 3430, 3055, 2934, 2858, 1822, 1699, 1650, 1454, 1380, 1260, 1208, 1160, 1105, 1016, 980, 768 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.50 (ddd, J=16.5, 11.3, 1.0 Hz, 1H), 7.39 (s, 1H), 6.61 (d, J=11.3 Hz, 1H), 6.35 (dd, J=11.3, 11.3 Hz, 1H), 6.02 (d, J=16.5 Hz, 1H), 5.82 (dd, J=9.2, 1.0 Hz, 1H), 5.58 (q, J=6.4 Hz, 1H), 5.51 (br s, 1H), 4.81 (dd, J=9.2, 1.0 Hz, 1H), 4.67 (d, J=6.7 Hz, 1H), 4.63 (d, J=6.7 Hz, 1H), 4.04 (d, J=17.7 Hz, 1H), 3.79 (d, J=15.3 Hz, 1H), 3.76 (d, J=15.3 Hz, 1H), 3.46 (dt, J=9.5, 6.7 Hz, 1H), 3.41 (dt, J=9.5, 6.7 Hz, 1H), 2.45-2.22 (m, 3H), 2.29 (d, J=17.7 Hz, 1H), 2.15 (s, 3H), 1.89 (d, J=6.4 Hz, 3H), 1.76 (d, J=1.0 Hz, 3H), 1.70 (s, 3H), 1.55-1.43 (m, 3H), 1.31-1.20 (m, 10H), 0.88 (t, J=7.0 Hz, 3H)

IR (KBr) 3420, 2940, 1817, 1705, 1679, 1650, 1607, 1454, 1380, 1260, 1209, 1160, 1094, 1025, 980, 768, 736, 697 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.48 (ddd, J=16.8, 11.3 Hz, 1H), 7.40 (s, 1H), 6.62 (d, J=11.6 Hz, 1H), 6.36 (dd, J=11.6, 11.6 Hz, 1H), 6.02 (d, J=16.5 Hz, 1H), 5.84 (dd, J=9.2, 1.0 Hz, 1H), 5.59 (q, J=6.4 Hz, 1H), 5.50 (br s, 1H), 4.89 (dd, J=6.2, 1.0 Hz, 1H), 4.76 (d, J=6.7 Hz, 1H), 4.73 (d, J=6.7 Hz, 1H), 4.56 (d, J=12.0 Hz, 1H), 4.51 (d, J=12.0 Hz, 1H), 4.04 (d, J=17.7 Hz, 1H), 3.79 (d, J=15.3 Hz, 1H), 3.76 (d, J=15.3 Hz, 1H), 2.46-2.25 (m, 3H), 2.29 (d, J=17.7 Hz, 1H), 2.15 (s, 3H), 1.91 (d, J=6.4 Hz, 3H), 1.76 (d, J=1.0 Hz, 3H), 1.70 (s, 3H), 1.54-1.45 (m, 1H)

FABMS m/z 743 (M + H)⁺

HRFABMS calcd for C₃₅H₄₉N₂O₁₁S₃ (M + H)⁺ 743.1777

EXAMPLE 58

Synthesis of Compound 62

[0129] According to the procedure as described in Example 28, Compound 62 (22 mg, 38% yield) was obtained from Compound 35 (51 mg, 0.082 mmol), dichloromethane (3.0 ml), N,N-diisopropylethylamine (2.1 ml, 12 mmol) and 2-methoxyethoxymethyl chloride (0.84 ml, 7.4 mmol).

IR (KBr) 3420, 2932, 1820, 1705, 1679, 1648, 1608, 1447, 1364, 1261, 1208, 1105, 1094, 1019, 977, 768 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.48 (ddd, J=16.8, 11.3 Hz, 1H), 7.40 (s, 1H), 6.62 (d, J=11.6 Hz, 1H), 6.36 (dd, J=11.6, 11.3 Hz, 1H), 6.02 (d, J=16.8 Hz, 1H), 5.82 (br d, J=9.2 Hz, 1H), 5.58 (q, J=6.4 Hz, 1H), 5.50 (br s, 1H), 4.84 (dd, J=9.2, 1.2 Hz, 1H), 4.72 (d, J=6.9 Hz, 1H), 4.69 (d, J=6.9 Hz, 1H), 4.04 (d, J=17.7 Hz, 1H), 3.80 (d, J=15.6 Hz, 1H), 3.76 (d, J=15.6 Hz, 1H), 3.62-3.45 (m, 4H), 3.35 (s, 3H), 2.46-2.24 (m, 3H), 2.29 (d, J=17.7 Hz, 1H), 2.15 (s, 3H), 1.89 (d, J=6.4 Hz, 3H), 1.76 (d, J=1.2 Hz, 3H), 1.70 (s, 3H), 1.50-1.42 (m, 1H)

FABMS m/z 711 (M + H)⁺

HRFABMS calcd for C₃₃H₃₉N₂O₁₁S₃ (M + H)⁺ 711.1724
EXAMPLE 59

Synthesis of Compounds 63 and 64

[0130] According to the procedure as described in Example 18, Compound 35 (53 mg, 0.085 mmol) was dissolved in dichloromethane (4.7 ml), and 3,4-dihydro-2H-pyran (0.035 ml, 0.39 mmol) and camphorsulfonic acid (13.5 mg, 0.058 mmol) were added thereto, followed by stirring at 0°C for 2 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed seven times with chloroform/methanol = 98/2) to obtain Compound 63 (14 mg, 23% yield) and Compound 64 (22 mg, 37% yield) as a diastereomer thereof.

Compound 63

[0131] IR (KBr) 3420, 2930, 1820, 1710, 1686, 1647, 1473, 1387, 1260, 1207, 1073, 1021, 973, 768 cm⁻¹

¹H NMR (CDCl₃, 400 MHz) δ ppm; 9.58 (ddd, J=16.6, 11.5, 1.0 Hz, 1H), 7.40 (s, 1H), 6.61 (d, J=11.5 Hz, 1H), 6.34 (dd, J=11.5, 11.5 Hz, 1H), 6.00 (d, J=16.6 Hz, 1H), 5.83 (br d, J=9.0 Hz, 1H), 5.59 (q, J=6.6 Hz, 1H), 5.50 (s, 1H), 5.02 (dd, J=9.0, 1.0 Hz, 1H), 4.57 (m, 1H), 4.05 (d, J=17.6 Hz, 1H), 3.88-3.80 (m, 1H), 3.78 (s, 2H), 3.53-3.47 (m, 1H), 2.46-1.40 (m, 10H), 2.30 (d, J=17.8 Hz, 1H), 2.15 (s, 3H), 1.94 (d, J=6.6 Hz, 3H), 1.77 (d, J=1.0 Hz, 3H), 1.70 (s, 3H)

FABMS m/z 707 (M + H)+

HRFABMS calcd for C₃₂H₃₉N₂O₁₀S₃ (M + H)+ 707.1767, found 707.1793

Compound 64

[0132] IR (KBr) 3420, 2940, 1822, 1715, 1690, 1650, 1610, 1441, 1375, 1262, 1207, 1117, 1073, 1028, 973, 768 cm⁻¹

¹H NMR (CDCl₃, 400 MHz) δ ppm; 9.39 (ddd, J=16.5, 11.2, 1.0 Hz, 1H), 7.39 (s, 1H), 6.60 (d, J=11.7 Hz, 1H), 6.37 (dd, J=11.2 Hz, 1H), 6.05 (d, J=16.5 Hz, 1H), 5.80 (br d, J=9.2 Hz, 1H), 5.57 (q, J=6.5 Hz, 1H), 5.48 (br s, 1H), 4.74 (dd, J=9.2, 1.0 Hz, 1H), 4.70 (m, 1H), 4.04 (d, J=17.7 Hz, 1H), 3.80 (d, J=15.2 Hz, 1H), 3.80-3.73 (m, 1H), 3.75 (d, J=15.2 Hz, 1H), 3.38-3.38 (m, 1H), 2.45-1.40 (m, 10H), 2.29 (d, J=17.7 Hz, 1H), 2.15 (s, 3H), 1.88 (d, J=6.5 Hz, 3H), 1.74 (d, J=1.0 Hz, 3H), 1.69 (s, 3H)

FABMS m/z 707 (M + H)+

HRFABMS calcd for C₃₂H₃₉N₂O₁₀S₃ (M + H)+ 707.1767, found 707.1793

EXAMPLE 60

Synthesis of Compound 65

[0133] DC107 (5.8 mg, 0.011 mmol) was dissolved in methanol (0.50 ml), and then, pyridine (0.0046 ml, 0.057 mmol) and hydroxylamine hydrochloride (1.6 mg, 0.022 mmol) were added thereto, followed by stirring at 0°C for 4 hours. The solvent was distilled off under reduced pressure, and the residue was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 65 (3.0 mg, 52% yield).

IR (KBr) 3370, 2932, 1713, 1641, 1527, 1449, 1376, 1298, 1211, 1094, 951, 889, 798 cm⁻¹

¹H NMR (CDCl₃, 400 MHz) δ ppm; 8.78 (dd, J=16.5, 11.1 Hz, 1H), 7.12 (s, 1H), 7.02 (br d, J=6.3 Hz, 1H), 6.41 (d, J=11.3 Hz, 1H), 6.34 (dd, J=11.2 Hz, 1H), 6.20 (dd, J=9.8, 1.0 Hz, 1H), 6.18 (d, J=16.5 Hz, 1H), 6.00 (br d, J=9.8 Hz, 1H), 5.27 (br s, 1H), 5.24 (dq, J=6.6, 6.3 Hz, 1H), 3.25 (d, J=14.6 Hz, 1H), 2.95 (d, J=14.6 Hz, 1H), 2.28 (m, 4H), 1.91 (s, 3H), 1.75 (s, 3H), 1.74 (d, J=6.3 Hz, 3H)

FABMS m/z 526 (M + H)+

HRFABMS calcd for C₂₂H₂₈N₃O₆S₃ (M + H)+ 526.1140, found 526.1152

EXAMPLE 61

Synthesis of Compounds 66 and 67

[0134] According to the procedure as described in Example 60, Compound 66 (1.4 mg, 24% yield) and Compound 67 (3.6 mg, 61% yield) which is a geometrical isomer thereof were obtained from DC107 (5.7 mg, 0.011 mmol), methanol (0.5 ml), pyridine (0.030 ml, 0.37 mmol) and O-methylhydroxylamine hydrochloride (10 mg, 0.12 mmol).

Compound 66

[0135] IR (KBr) 3420, 2938, 1710, 1643, 1530, 1449, 1372, 1093, 1047, 951, 928, 795 cm⁻¹
1H NMR (CDCl$_3$, 400 MHz) δ ppm; 8.75 (dd, J=16.5, 11.0 Hz, 1H), 7.11 (s, 1H), 6.95 (br d, J=6.5 Hz, 1H), 6.40 (d, J=11.5 Hz, 1H), 6.34 (dd, J=11.5, 11.0 Hz, 1H), 6.19 (d, J=16.5 Hz, 1H), 6.09 (dd, J=9.8, 1.0 Hz, 1H), 5.98 (br d, J=9.8 Hz, 1H), 5.24 (dq, J=6.5, 6.5 Hz, 1H), 3.93 (s, 3H), 3.27 (dd, J=14.6, 1.2 Hz, 1H), 2.84 (d, J=14.6 Hz, 1H), 2.31-1.75 (m, 4H), 1.92 (s, 3H), 1.74 (d, J=6.5 Hz, 3H), 1.72 (d, J=1.0 Hz, 3H)

FABMS m/z 540 (M + H)$^+$
HRFABMS calcd for C$_{23}$H$_{30}$N$_3$O$_6$S$_3$ (M + H)$^+$ 540.1297, found 540.1284

Compound 67

IR (KBr) 3420, 2938, 1705, 1643, 1530, 1450, 1374, 1096, 1052, 949, 889, 799 cm$^{-1}$

1H NMR (CDCl$_3$, 400 MHz) δ ppm; 8.13 (dd, J=16.6, 11.5 Hz, 1H), 7.14 (s, 1H), 6.99 (br d, J=6.6 Hz, 1H), 6.78 (d, J=16.6 Hz, 1H), 6.51 (d, J=11.5 Hz, 1H), 6.39 (dd, J=11.5, 11.5 Hz, 1H), 5.86 (br d, J=9.4 Hz, 1H), 5.32 (dq, J=6.6, 6.6 Hz, 1H), 5.13 (d, J=12.5, 4.1 Hz, 1H), 1.99-1.80 (m, 3H), 1.81 (s, 3H), 1.74 (d, J=6.6 Hz, 3H), 1.66 (d, J=1.2 Hz, 3H)

FABMS m/z 540 (M + H)$^+$
HRFABMS calcd for C$_{23}$H$_{30}$N$_3$O$_6$S$_3$ (M + H)$^+$ 540.1297, found 540.1284

EXAMPLE 62

Synthesis of Compounds 68 and 69

According to the procedure as described in Example 60, Compound 68 (29 mg, 47% yield) and Compound 69 (26 mg, 43% yield) which is a geometrical isomer thereof were obtained from DC107 (50 mg, 0.10 mmol), methanol (5.0 ml), pyridine (0.040 ml, 0.50 mmol) and O-benzylhydroxylamine hydrochloride (32 mg, 0.20 mmol).

Compound 68

IR (KBr) 3420, 2924, 1719, 1648, 1540, 1451, 1363, 1084, 1015, 952, 795, 698 cm$^{-1}$

1H NMR (CDCl$_3$, 400 MHz) δ ppm; 8.78 (dd, J=16.8, 11.0 Hz, 1H), 7.37-7.30 (m, 5H), 7.10 (s, 1H), 6.97 (d, J=6.2 Hz, 1H), 6.39 (d, J=11.5 Hz, 1H), 6.33 (dd, J=11.5, 11.0 Hz, 1H), 6.20 (d, J=16.8 Hz, 1H), 6.14 (dd, J=9.7, 1.2 Hz, 1H), 5.97 (br d, J=9.7 Hz, 1H), 5.23 (dq, J=6.3, 6.0 Hz, 1H), 5.17 (d, J=12.0 Hz, 1H), 5.13 (d, J=12.0 Hz, 1H), 3.26 (dd, J=14.6, 1.4 Hz, 1H), 2.83 (d, J=14.6 Hz, 1H), 2.27 (dt, J=12.7, 2.9 Hz, 1H), 2.06 (dt, J=12.7, 6.3 Hz, 1H), 1.92 (s, 3H), 1.82 (dd, J=14.7, 12.7, 2.9 Hz, 1H), 1.82 (dd, J=14.7, 12.7, 6.3 Hz, 1H), 1.73 (d, J=6.3 Hz, 3H), 1.63 (s, 3H)

FABMS m/z 616 (M + H)$^+$
HRFABMS calcd for C$_{29}$H$_{34}$N$_3$O$_6$S$_3$ (M + H)$^+$ 616.1610, found 616.1612

EXAMPLE 63

Synthesis of Compounds 70 and 71

According to the procedure as described in Example 60, Compound 70 (27 mg, 49% yield) and Compound 71 (14 mg, 26% yield) which is a geometrical isomer thereof were obtained from DC107 (51 mg, 0.10 mmol), methanol (5.0 ml), pyridine (0.040 ml, 0.50 mmol) and O-allylhydroxylamine hydrochloride (32 mg, 0.20 mmol).

Compound 70

IR (KBr) 3420, 2926, 1719, 1647, 1539, 1448, 1370, 1096, 1025, 1001, 945, 888, 798 cm$^{-1}$
**EP 0 786 462 B1**

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.79 (dd, J=16.4, 11.2Hz, 1H), 7.11 (s, 1H), 6.92 (d, J=6.1Hz, 1H), 6.40 (d, J=11.2Hz, 1H), 6.33 (dd, J=11.2, 11.2Hz, 1H), 6.20 (d, J=16.4Hz, 1H), 6.14 (dd, J=10.0, 2.0Hz, 1H), 6.02-5.91 (m, 1H), 5.99 (br d, J=10.0Hz, 1H), 5.31-5.20 (m, 3H), 5.23 (br s, 1H), 4.62 (dd, J=5.6, 1.2Hz, 2H), 3.26 (d, J=14.7Hz, 1H), 2.83 (d, J=14.7Hz, 1H), 2.28 (dt, J=12.7, 3.0Hz, 1H), 2.09 (dt, J=12.7, 6.3Hz, 1H), 1.98-1.78 (m, 3H), 1.92 (s, 3H), 1.74 (d, J=6.6Hz, 3H), 1.73 (br s, 3H)

FABMS m/z 566 (M + H)⁺
HRFABMS calcd for C₂₅H₃₂N₃O₆S₃ (M + H)⁺ 566.1453, found 566.1438

**Compound 71**

1H NMR (CDCl₃, 500 MHz) δ ppm; 8.26 (dd, J=16.5, 11.6Hz, 1H), 7.12 (s, 1H), 6.82 (d, J=16.5Hz, 1H), 6.75 (d, J=6.7Hz, 1H), 6.51 (d, J=11.3Hz, 1H), 6.38 (dd, J=16.5, 11.3Hz, 1H), 6.01 (ddt, J=17.4, 10.4, 5.5Hz, 1H), 5.91 (br d, J=9.5Hz, 1H), 5.31-5.20 (m, 3H), 5.23 (dq, J=17.4, 1.5Hz, 1H), 5.20 (dq, J=10.4, 1.5Hz, 1H), 5.15 (dd, J=9.5, 2.8Hz, 1H), 4.63 (dt, J=5.5, 1.5Hz, 2H), 4.58 (br s, 1H), 3.11 (d, J=15.0Hz, 1H), 2.98 (d, J=15.0Hz, 1H), 2.37-1.82 (m, 5H), 1.83 (s, 3H), 1.74 (d, J=6.4Hz, 1H), 1.68 (d, J=1.0Hz, 3H)

FABMS m/z 566 (M + H)⁺
HRFABMS calcd for C₂₅H₃₂N₃O₆S₃ (M + H)⁺ 566.1464

**EXAMPLE 64**

Synthesis of Compounds 72 and 73

According to the procedure as described in Example 60, Compound 72 (16 mg, 23% yield) and Compound 73 (15 mg, 22% yield) which is a geometrical isomer thereof were obtained from Compound 35 (60 mg, 0.096 mmol), methanol (3.0 ml), pyridine (0.039 ml, 0.48 mmol) and O-benzylhydroxylamine hydrochloride (47 mg, 0.29 mmol).

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.94 (dd, J=16.6, 11.2Hz, 1H), 7.37-7.25 (m, 5H), 7.25 (s, 1H), 6.38 (d, J=11.2Hz, 1H), 6.31 (dd, J=11.2, 11.2Hz, 1H), 6.21 (d, J=16.6Hz, 1H), 6.12 (dd, J=8.6, 5.6Hz, 1H), 5.86 (br d, J=8.6Hz, 1H), 5.58 (br s, 1H), 5.54 (q, J=6.6Hz, 1H), 5.18 (br s, 2H), 4.03 (d, J=17.6Hz, 1H), 3.78 (br s, 2H), 2.38-1.40 (m, 4H), 2.30 (d, J=17.6Hz, 2H), 2.15 (s, 3H), 1.88 (d, J=6.6Hz, 3H), 1.72 (d, J=1.2Hz, 3H), 1.70 (s, 3H)

FABMS m/z 728 (M + H)⁺
HRFABMS calcd for C₃₄H₃₈N₃O₉S₃ (M + H)⁺ 728.1770, found 728.1768

**Compound 72**

1H NMR (CDCl₃, 500 MHz) δ ppm; 8.89 (dd, J=16.6, 11.2Hz, 1H), 7.37-7.25 (m, 5H), 7.25 (s, 1H), 6.38 (d, J=11.2Hz, 1H), 6.31 (dd, J=11.2, 11.2Hz, 1H), 6.21 (d, J=16.6Hz, 1H), 6.12 (dd, J=8.6, 5.6Hz, 1H), 5.86 (br d, J=8.6Hz, 1H), 5.58 (br s, 1H), 5.54 (q, J=6.6Hz, 1H), 5.18 (br s, 2H), 4.03 (d, J=17.6Hz, 1H), 3.78 (br s, 2H), 2.38-1.40 (m, 4H), 2.30 (d, J=17.6Hz, 2H), 2.15 (s, 3H), 1.88 (d, J=6.6Hz, 3H), 1.72 (d, J=1.2Hz, 3H), 1.70 (s, 3H)

FABMS m/z 728 (M + H)⁺
HRFABMS calcd for C₃₄H₃₈N₃O₉S₃ (M + H)⁺ 728.1770, found 728.1768

**EXAMPLE 65**

Synthesis of Compound 74

DC107 (20 mg, 0.039 mmol) was dissolved in methanol (3.0 ml), and p-toluenesulfonyl hydrazide (102 mg, 0.55 mmol) was added thereto, followed by stirring at 25°C for 5.5 hours. After distilling off the solvent under reduced pressure, the residue was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 74 (14 mg, 53% yield).

IR (KBr) 3400, 1707, 1647, 1597, 1558, 1449, 1375, 1334, 1292, 1209, 1186, 1092, 999, 949, 886, 811, 704, 662 cm⁻¹
1H NMR (CDCl$_3$, 500 MHz) δ ppm; 8.18 (dd, J=15.6, 11.3 Hz, 1H), 7.82 (d, J=8.0 Hz, 2H), 7.30 (d, J=11.6 Hz, 1H), 6.62 (br d, J=6.7 Hz, 1H), 6.56 (d, J=11.6 Hz, 1H), 6.31 (dd, J=11.3, 1.6 Hz, 1H), 6.22 (d, J=15.6 Hz, 1H), 5.65 (br d, J=9.2 Hz, 1H), 5.31 (dq, J=6.7, 6.7 Hz, 1H), 5.07 (d, J=9.2 Hz, 1H), 4.30 (br s, 1H), 3.06 (d, J=15.3 Hz, 1H), 3.00 (d, J=15.3 Hz, 1H), 2.46-2.21 (m, 2H), 2.43 (s, 3H), 1.90-1.60 (m, 2H), 1.74 (s, 3H), 1.71 (d, J=6.7 Hz, 3H), 1.48 (s, 3H)

FABMS m/z 679 (M + H)$^+$

HRFABMS calcd for C$_{29}$H$_{35}$N$_4$O$_7$S$_4$ (M + H)$^+$ 679.1388, found 679.1379

EXAMPLE 66

Synthesis of Compound 75

[0147] DC107 (50 mg, 0.098 mmol) was dissolved in methanol (10 ml), and methyl hydrazinocarboxylate (44 mg, 0.49 mmol) and pyridinium p-toluenesulfonate (74 mg, 0.29 mmol) were added thereto, followed by stirring at 25°C for 40 minutes. After distilling off the solvent under reduced pressure, the residue was purified by thin layer chromatography (developed with chloroform/methanol = 94/6) to obtain Compound 75 (8.8 mg, 15% yield).

IR (KBr) 3400, 2932, 1721, 1650, 1527, 1449, 1374, 1300, 1241, 1095, 950, 894, 799, 767 cm$^{-1}$

1H NMR (CD$_3$OD, 400 MHz) δ ppm; 8.95 (br d, J=6.8 Hz, 1H), 8.03 (br dd, J=15.9, 11.7 Hz, 1H), 7.45 (s, 1H), 6.59 (d, J=11.5 Hz, 1H), 6.53 (d, J=15.9 Hz, 1H), 6.38 (dd, J=11.7, 11.5 Hz, 1H), 5.65 (br d, J=9.0 Hz, 1H), 5.32 (dq, J=6.8, 6.6 Hz, 1H), 5.15 (d, J=9.0 Hz, 1H), 3.79 (s, 3H), 3.21 (d, J=15.8 Hz, 1H), 2.98 (d, J=15.8 Hz, 1H), 2.45-1.78 (m, 4H), 1.70 (d, J=6.8 Hz, 3H), 1.68 (s, 3H), 1.61 (s, 3H)

FABMS m/z 583 (M + H)$^+$

HRFABMS calcd for C$_{24}$H$_{31}$N$_4$O$_7$S$_3$ (M + H)$^+$ 583.1355, found 583.1371

EXAMPLE 67

Synthesis of Compound 76

[0148] According to the procedure as described in Example 22, Compound 76 (11 mg, 26% yield) was obtained from DC107 (30 mg, 0.058 mmol), pyridine (0.72 ml, 8.8 mmol), benzoyl chloride (0.30 ml, 2.6 mmol) and 4-dimethylaminopyridine (2.1 mg, 0.017 mmol).

IR (KBr) 3400, 2928, 1721, 1670, 1614, 1517, 1450, 1375, 1316, 1267, 1176, 1096, 1068, 1025, 996, 952, 853, 799, 711 cm$^{-1}$

1H NMR (CDCl$_3$, 400 MHz) δ ppm; 8.60 (br s, 1H), 8.02-7.95 (m, 4H), 7.65-7.34 (m, 6H), 7.28 (s, 1H), 6.58 (d, J=12.0 Hz, 1H), 6.47 (br s, 1H), 6.17 (d, J=9.5 Hz, 1H), 6.16 (br s, 1H), 6.01 (d, J=16.6 Hz, 1H), 5.78 (br d, J=9.5 Hz, 1H), 5.46 (dq, J=7.0, 6.8 Hz, 1H), 3.49 (d, J=16.1 Hz, 1H), 3.16 (br s, 1H), 2.32-1.90 (m, 4H), 2.06 (s, 3H), 1.75 (s, 3H), 1.68 (d, J=6.8 Hz, 3H)

FABMS m/z 719 (M + H)$^+$

HRFABMS calcd for C$_{36}$H$_{35}$N$_2$O$_8$S$_3$ (M + H)$^+$ 719.1555, found 719.1564

EXAMPLE 68

Synthesis of Compound 77

[0149] According to the procedure as described in Example 32, Compound 77 (24 mg, 25% yield) was obtained from DC107 (82 mg, 0.16 mmol), potassium carbonate (220 mg, 1.6 mmol), chloromethyl cyclopropanecarboxylate (280 mg, 2.1 mmol) and potassium iodide (26 mg, 0.16 mmol).

IR (KBr) 3408, 3100, 2936, 1725, 1693, 1649, 1611, 1453, 1414, 1387, 1264, 1154, 1099, 1063, 979, 887, 809, 750 cm$^{-1}$

1H NMR (CDCl$_3$, 400 MHz) δ ppm; 8.46 (ddd, J=16.3, 11.5, 1.0 Hz, 1H), 7.35 (s, 1H), 6.58 (d, J=12.0 Hz, 1H), 6.23 (dd, J=12.0, 11.5 Hz, 1H), 6.17 (d, J=16.3 Hz, 1H), 5.73 (br d, J=8.5 Hz, 1H), 5.44 (br s, 1H), 5.41 (q, J=7.0 Hz, 1H), 5.41 (d, J=11.0 Hz, 1H), 5.37 (d, J=11.0 Hz, 1H), 4.94 (dd, J=8.5, 3.9 Hz, 1H), 3.90 (d, J=17.8 Hz, 1H), 3.73 (d, J=3.9 Hz, 1H), 2.37-1.55 (m, 5H), 2.28 (d, J=17.8 Hz, 1H), 2.02 (d, J=7.0 Hz, 3H), 1.80 (s, 3H), 1.75 (d, J=1.2 Hz, 3H), 1.05-0.85 (m, 4H)

FABMS m/z 609 (M + H)$^+$

HRFABMS calcd for C$_{27}$H$_{33}$N$_2$O$_8$S$_3$ (M + H)$^+$ 609.1399, found 609.1399
EXAMPLE 69

Synthesis of Compound 78

[0150] According to the procedure as described in Example 32, Compound 78 (27 mg, 43% yield) was obtained from DC107 (51 mg, 0.10 mmol), potassium carbonate (140 mg, 1.0 mmol), chloromethyl cyclobutanecarboxylate (250 mg, 1.7 mmol) and potassium iodide (17 mg, 0.10 mmol).

IR (KBr) 3430, 2950, 1730, 1694, 1648, 1610, 1450, 1365, 1254, 1154, 1090, 1051, 986, 730 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.46 (ddd, J=16.3, 11.3, 1.0 Hz, 1H), 7.34 (s, 1H), 6.58 (d, J=11.6 Hz, 1H), 6.23 (dd, J=11.6, 11.3 Hz, 1H), 6.17 (d, J=16.3 Hz, 1H), 5.78 (dd, J=8.5, 1.0 Hz, 1H), 5.51 (br s, 1H), 5.41 (q, J=7.0 Hz, 1H), 5.41 (d, J=11.0 Hz, 1H), 5.36 (d, J=11.0 Hz, 1H), 4.94 (dd, J=8.5, 3.7 Hz, 1H), 3.89 (d, J=17.7 Hz, 1H), 3.73 (d, J=3.7 Hz, 1H), 3.17-3.08 (m, 1H), 2.36-1.80 (m, 10H), 2.26 (d, J=17.7 Hz, 1H), 2.02 (d, J=7.0 Hz, 3H), 1.78 (s, 3H), 1.74 (d, J=1.0 Hz, 3H)

FABMS m/z 623 (M + H)⁺
HRFABMS calcd for C₂₈H₃₅N₂O₈S₃ (M + H)⁺ 623.1555, found 623.1569

EXAMPLE 70

Synthesis of Compound 79

[0151] According to the procedure as described in Example 32, Compound 79 (24 mg, 26% yield) was obtained from DC107 (75 mg, 0.15 mmol), potassium carbonate (250 mg, 1.8 mmol), chloromethyl cyclopentanecarboxylate (330 mg, 2.0 mmol) and potassium iodide (30 mg, 0.18 mmol).

IR (KBr) 3420, 3106, 2960, 2874, 1720, 1691, 1648, 1610, 1452, 1411, 1372, 1263, 1143, 1105, 1087, 980, 859, 807, 730 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.47 (ddd, J=16.5, 11.5, 1.2 Hz, 1H), 7.35 (s, 1H), 6.58 (d, J=11.9 Hz, 1H), 6.23 (dd, J=11.9, 11.5 Hz, 1H), 6.17 (d, J=16.5 Hz, 1H), 5.74 (br d, J=8.8 Hz, 1H), 5.51 (br s, 1H), 5.41 (q, J=7.0 Hz, 1H), 5.41 (d, J=11.0 Hz, 1H), 5.35 (d, J=11.0 Hz, 1H), 4.94 (br d, J=8.8 Hz, 1H), 3.89 (d, J=17.7 Hz, 1H), 3.74 (br s, 1H), 2.77-2.66 (m, 1H), 2.36-1.50 (m, 12H), 2.25 (d, J=17.7 Hz, 1H), 2.02 (d, J=7.0 Hz, 3H), 1.78 (s, 3H), 1.75 (d, J=1.2 Hz, 3H)

FABMS m/z 637 (M + H)⁺
HRFABMS calcd for C₂₉H₃₇N₂O₈S₃ (M + H)⁺ 637.1712, found 637.1695

EXAMPLE 71

Synthesis of Compound 80

[0152] According to the procedure as described in Example 32, Compound 80 (37 mg, 35% yield) was obtained from DC107 (84 mg, 0.16 mmol), potassium carbonate (220 mg, 1.6 mmol), chloromethyl cyclohexanecarboxylate (280 mg, 1.6 mmol) and potassium iodide (26 mg, 0.16 mmol).

IR (KBr) 3420, 3106, 2936, 2858, 1725, 1692, 1648, 1610, 1451, 1376, 1267, 1157, 1120, 1090, 1020, 974, 804, 728 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.47 (ddd, J=16.3, 11.5, 1.0 Hz, 1H), 7.35 (s, 1H), 6.58 (d, J=12.0 Hz, 1H), 6.23 (dd, J=12.0, 11.5 Hz, 1H), 6.17 (d, J=16.3 Hz, 1H), 5.74 (br d, J=8.5 Hz, 1H), 5.50 (br s, 1H), 5.41 (q, J=6.8 Hz, 1H), 5.40 (d, J=11.0 Hz, 1H), 5.35 (d, J=11.0 Hz, 1H), 4.94 (br d, J=8.5 Hz, 1H), 3.89 (d, J=17.8 Hz, 1H), 3.75 (br s, 1H), 2.35-1.20 (m, 15H), 2.26 (d, J=17.8 Hz, 1H), 2.20 (d, J=6.8 Hz, 3H), 1.78 (s, 3H), 1.75 (d, J=1.2 Hz, 3H)

FABMS m/z 651 (M + H)⁺
HRFABMS calcd for C₃₀H₃₉N₂O₈S₃ (M + H)⁺ 651.1868, found 651.1868

EXAMPLE 72

Synthesis of Compound 81

[0153] According to the procedure as described in Example 32, Compound 81 (25 mg, 35% yield) was obtained from DC107 (60 mg, 0.12 mmol), potassium carbonate (290 mg, 2.1 mmol), chloromethyl isobutyrate (320 mg, 2.3 mmol) and potassium iodide (58 mg, 0.35 mmol).

IR (KBr) 3420, 3106, 2936, 1720, 1694, 1648, 1611, 1469, 1454, 1373, 1265, 1183, 1146, 1101, 974, 807, 754 cm⁻¹
1H NMR (CDCl₃, 400 MHz) δ ppm; 8.47 (ddd, J=16.4, 11.2, 1.0 Hz, 1H), 7.35 (s, 1H), 6.58 (d, J=11.7 Hz, 1H), 6.23 (dd, J=11.7, 11.2 Hz, 1H), 6.17 (d, J=16.4 Hz, 1H), 5.74 (br d, J=16.4 Hz, 1H), 5.52 (br s, 1H), 5.41 (q, J=7.0 Hz, 1H), 5.41 (d, J=11.0 Hz, 1H), 5.36 (d, J=11.0 Hz, 1H), 4.94 (dd, J=8.5, 3.9 Hz, 1H), 3.89 (d, J=17.8 Hz, 1H), 3.74 (d, J=3.9 Hz, 1H), 2.60-2.45 (m, 1H), 2.35-1.90 (m, 4H), 2.26 (d, J=17.8 Hz, 1H), 2.02 (d, J=7.0 Hz, 3H), 1.78 (s, 3H), 1.74 (d, J=1.2 Hz, 3H), 1.13 (d, J=7.1 Hz, 6H)

FABMS m/z 611 (M + H) +
HRFABMS calcd for C₂₇H₃₅N₂O₈S₃ (M + H) +  611.1555, found 611.1547

EXAMPLE 73

Synthesis of Compound 82

According to the procedure as described in Example 32, Compound 82 (22 mg, 28% yield) was obtained from DC107 (65 mg, 0.13 mmol), potassium carbonate (170 mg, 1.2 mmol), chloromethyl isovalerate (320 mg, 2.1 mmol) and potassium iodide (30 mg, 0.18 mmol).

IR (KBr) 3430, 3105, 2936, 2880, 1720, 1697, 1650, 1453, 1408, 1371, 1265, 1160, 1091, 979, 804, 730 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 8.47 (ddd, J=16.3, 11.3, 1.0 Hz, 1H), 7.35 (s, 1H), 6.58 (d, J=11.9 Hz, 1H), 6.23 (dd, J=11.9, 11.3 Hz, 1H), 6.17 (d, J=16.3 Hz, 1H), 5.74 (br d, J=8.5 Hz, 1H), 5.53 (br s, 1H), 5.41 (q, J=7.0 Hz, 1H), 5.41 (d, J=11.0 Hz, 1H), 5.36 (d, J=11.0 Hz, 1H), 4.94 (dd, J=8.5, 3.7 Hz, 1H), 3.88 (d, J=17.7 Hz, 1H), 3.73 (d, J=3.7 Hz, 1H), 2.41-1.92 (m, 7H), 2.26 (d, J=17.7 Hz, 1H), 2.02 (d, J=7.0 Hz, 3H), 1.78 (s, 3H), 1.75 (d, J=1.2 Hz, 3H), 0.93 (d, J=6.4 Hz, 6H)

FABMS m/z 625 (M + H) +
HRFABMS calcd for C₂₈H₃₇N₂O₈S₃ (M + H) +  625.1712, found 625.1732

EXAMPLE 74

Synthesis of Compound 83

According to the procedure as described in Example 32, Compound 83 (23 mg, 38% yield) was obtained from DC107 (50 mg, 0.098 mmol), potassium carbonate (244 mg, 1.8 mmol), chloromethyl n-valerate (300 mg, 2.0 mmol) and potassium iodide (50 mg, 0.30 mmol).

IR (KBr) 3430, 2960, 2936, 1720, 1693, 1650, 1611, 1452, 1374, 1260, 1154, 1105, 1089, 1018, 981, 727 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.46 (ddd, J=16.3, 11.3, 1.0 Hz, 1H), 7.35 (s, 1H), 6.58 (d, J=11.7 Hz, 1H), 6.23 (dd, J=11.7, 11.3 Hz, 1H), 6.17 (d, J=16.3 Hz, 1H), 5.74 (br d, J=8.5 Hz, 1H), 5.53 (br s, 1H), 5.40 (q, J=6.8 Hz, 1H), 5.39 (d, J=11.0 Hz, 1H), 5.35 (d, J=11.0 Hz, 1H), 4.94 (dd, J=8.5, 3.9 Hz, 1H), 3.89 (d, J=17.8 Hz, 1H), 3.73 (d, J=3.9 Hz, 1H), 2.38-1.23 (m, 10H), 2.26 (d, J=17.8 Hz, 1H), 2.02 (d, J=6.8 Hz, 3H), 1.79 (s, 3H), 1.75 (d, J=1.2 Hz, 3H), 0.89 (t, J=7.3 Hz, 3H)

FABMS m/z 625 (M + H) +
HRFABMS calcd for C₂₈H₃₇N₂O₈S₃ (M + H) +  625.1712, found 625.1732

EXAMPLE 75

Synthesis of Compound 84

According to the procedure as described in Example 32, Compound 84 (30 mg, 36% yield) was obtained from DC107 (63 mg, 0.12 mmol), potassium carbonate (310 mg, 2.2 mmol), chloromethyl n-octanoate (240 mg, 1.2 mmol) and potassium iodide (61 mg, 0.37 mmol).

IR (KBr) 3420, 2936, 1721, 1698, 1650, 1612, 1456, 1411, 1375, 1264, 1153, 1107, 1018, 978, 807, 727 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.47 (ddd, J=16.3, 11.2, 0.8 Hz, 1H), 7.35 (s, 1H), 6.58 (d, J=11.7 Hz, 1H), 6.23 (dd, J=11.7, 11.2 Hz, 1H), 6.17 (d, J=16.3 Hz, 1H), 5.74 (br d, J=8.5 Hz, 1H), 5.52 (br s, 1H), 5.41 (q, J=7.0 Hz, 1H), 5.39 (d, J=11.0 Hz, 1H), 5.36 (d, J=11.0 Hz, 1H), 4.94 (dd, J=8.5, 3.7 Hz, 1H), 3.89 (d, J=17.8 Hz, 1H), 3.73 (d, J=3.9 Hz, 1H), 2.36-1.20 (m, 14H), 2.29 (t, J=7.3 Hz, 2H), 2.27 (d, J=17.8 Hz, 1H), 2.02 (d, J=7.0 Hz, 3H), 1.79 (s, 3H), 1.75 (d, J=1.2 Hz, 3H), 0.87 (t, J=6.8 Hz, 3H)

FABMS m/z 667 (M + H) +
HRFABMS calcd for C₃₁H₄₃N₂O₈S₃ (M + H) +  667.2181, found 667.2172
EXAMPLE 76

Synthesis of Compound 85

[0157] According to the procedure as described in Example 32, Compound 85 (29 mg, 28% yield) was obtained from Compound 18 (85 mg, 0.14 mmol), potassium carbonate (197 mg, 1.4 mmol), chloromethyl cyclohexanecarboxylate (250 mg, 1.4 mmol) and potassium iodide (25 mg, 0.14 mmol). From 1H NMR data, Compound 85 was found to be a mixture of diastereomers at about 1:1 due to the asymmetric carbon of the tetrahydropyranyl group.

IR (KBr) 3420, 2936, 2858, 1730, 1698, 1651, 1452, 1375, 1262, 1156, 1123, 1074, 1020, 971, 869 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 9.59, 9.39 (dd, J=16.4, 11.2 Hz, 1H), 7.40, 7.39 (s, 1H), 6.61, 6.60 (d, J=11.5 Hz, 1H), 6.37, 6.60 (dd, J=11.5, 12.2 Hz, 1H), 6.04, 6.00 (d, J=16.4 Hz, 1H), 5.83, 5.80 (d, J=9.3 Hz, 1H), 5.58, 5.66 (q, J=6.6 Hz, 1H), 5.52, 5.50 (br s, 1H), 4.42 (d, J=11.0 Hz, 1H), 5.35 (d, J=11.0 Hz, 1H), 5.02, 4.74 (dd, J=9.3, 1.0 Hz, 1H), 4.72-4.53 (m, 1H), 4.04, 4.03 (d, J=17.8 Hz, 1H), 3.88-3.70 (m, 1H), 3.54-3.40 (m, 1H), 2.45-1.20 (m, 21H), 2.29, 2.28 (d, J=17.8 Hz, 1H), 1.94, 1.88 (d, J=6.6 Hz, 3H), 1.77, 1.74 (d, J=12.2 Hz, 3H), 1.70, 1.69 (s, 3H)

FABMS m/z 735 (M + H)⁺  HRFABMS calcd for C₃₅H₄₇N₂O₉S₃ (M + H)⁺ 735.2443, found 735.2463

EXAMPLE 77

Synthesis of Compound 86

[0158] According to the procedure as described in Example 32, Compound 86 (34 mg, 34% yield) was obtained from Compound 18 (85 mg, 0.14 mmol), potassium carbonate (197 mg, 1.4 mmol), chloromethyl isovalerate (323 mg, 2.1 mmol) and potassium iodide (25 mg, 0.14 mmol). From 1H NMR data, Compound 86 was found to be a mixture of diastereomers at about 1:1 due to the asymmetric carbon of the tetrahydropyranyl group.

IR (KBr) 3420, 2960, 2930, 1740, 1700, 1649, 1609, 1454, 1370, 1262, 1155, 1115, 1074, 1019, 974, 868 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.59, 9.40 (dd, J=16.6, 11.7 Hz, 1H), 7.40, 7.39 (s, 1H), 6.61, 6.60 (d, J=11.5 Hz, 1H), 6.37, 6.35 (dd, J=11.7, 11.5 Hz, 1H), 6.05, 6.00 (d, J=16.6 Hz, 1H), 5.83, 5.80 (d, J=9.3 Hz, 1H), 5.59, 5.57 (q, J=6.6 Hz, 1H), 5.55, 5.53 (br s, 1H), 5.42 (d, J=11.0 Hz, 1H), 5.36 (d, J=11.0 Hz, 1H), 5.02, 4.74 (dd, J=9.3, 1.2 Hz, 1H), 4.73-4.55 (m, 1H), 4.04, 4.02 (d, J=17.7 Hz, 1H), 3.87-3.70 (m, 1H), 3.54-3.40 (m, 1H), 2.45-1.40 (m, 13H), 2.30, 2.29 (d, J=17.7 Hz, 1H), 1.94, 1.88 (d, J=6.6 Hz, 3H), 1.77, 1.74 (d, J=12.2 Hz, 3H), 1.71, 1.70 (s, 3H), 0.96, 0.95 (d, J=6.6 Hz, 6H)

FABMS m/z 709 (M + H)⁺  HRFABMS calcd for C₃₃H₄₅N₂O₉S₃ (M + H)⁺ 709.2287, found 709.2289

EXAMPLE 78

Synthesis of Compound 87

[0159] According to the procedure as described in Example 19, Compound 87 (37 mg, 54% yield) was obtained from Compound 35 (61 mg, 0.098 mmol), ethyl vinyl ether (0.056 ml, 0.59 mmol) and camphorsulfonic acid (22 mg, 0.098 mmol). From 1H NMR data, Compound 87 was found to be a mixture of diastereomers at about 10:9 due to the asymmetric carbon of the 1-ethoxyethyl group.

IR (KBr) 3420, 3096, 2984, 2934, 1820, 1705, 1688, 1648, 1608, 1447, 1391, 1377, 1308, 1263, 1208, 1090, 1054, 976, 784 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: major isomer: 9.49 (dd, J=16.5, 11.5 Hz, 1H), 7.38 (s, 1H), 6.60 (d, J=11.2 Hz, 1H), 6.35 (dd, J=11.5, 12.2 Hz, 1H), 6.02 (d, J=16.5 Hz, 1H), 5.80 (br d, J=9.0 Hz, 1H), 5.57 (q, J=6.3 Hz, 1H), 5.52 (br s, 1H), 4.68 (dd, J=9.0, 1.0 Hz, 1H), 4.66 (q, J=5.5 Hz, 1H), 4.04 (d, J=17.6 Hz, 1H), 3.83-3.72 (m, 2H), 3.58-3.31 (m, 2H), 2.46-1.40 (m, 4H), 2.28 (d, J=17.6 Hz, 1H), 2.15 (s, 3H), 1.90 (d, J=6.6 Hz, 3H), 1.74 (d, J=1.0 Hz, 3H), 1.69 (s, 3H), 1.25 (d, J=5.5 Hz, 3H), 1.90 (t, J=7.1 Hz, 3H); minor isomer: 9.63 (dd, J=16.3, 11.5 Hz, 1H), 7.40 (s, 1H), 6.62 (d, J=11.2 Hz, 1H), 6.35 (dd, J=11.5, 12.2 Hz, 1H), 6.00 (d, J=16.3 Hz, 1H), 5.82 (br d, J=9.3 Hz, 1H), 5.58 (q, J=6.3 Hz, 1H), 5.55 (br s, 1H), 4.91 (dd, J=9.0, 1.0 Hz, 1H), 4.72 (q, J=5.5 Hz, 1H), 4.04 (d, J=17.6 Hz, 1H), 3.83-3.72 (m, 2H), 3.58-3.31 (m, 2H), 2.46-1.40 (m, 4H), 2.27 (d, J=17.6 Hz, 1H), 2.15 (s, 3H), 1.92 (d, J=6.6 Hz, 3H), 1.75 (d, J=1.0 Hz, 3H), 1.69 (s, 3H), 1.21 (d, J=5.5 Hz, 3H), 1.17 (t, J=7.1 Hz, 3H).

FABMS m/z 695 (M + H)⁺  HRFABMS calcd for C₃₃H₃₉N₂O₁₀S₃ (M + H)⁺ 695.1767, found 695.1761
EXAMPLE 79

Synthesis of Compounds 88 and 89

According to the procedure as described in Example 60, Compound 88 (14 mg, 45% yield) and its geometrical isomer, Compound 89 (7.4 mg, 24% yield) were obtained from Compound 63 (30 mg, 0.042 mmol), methanol (4.0 ml), pyridine (0.034 ml, 0.42 mmol) and O-methylhydroxylamine hydrochloride (17.5 mg, 0.21 mmol).

**Compound 88**

IR (KBr) 3420, 2940, 1822, 1720, 1686, 1440, 1376, 1262, 1048, 973, 928, 871, 799, 766, 730 cm⁻¹

$^1$H NMR (CDCl₃, 400 MHz) δ ppm; 8.99 (dd, J=16.4, 11.0 Hz, 1H), 7.24 (s, 1H), 6.35 (d, J=11.5 Hz, 1H), 6.29 (dd, J=11.5, 11.0 Hz, 1H), 6.17 (d, J=16.4 Hz, 1H), 6.11 (dd, J=9.2, 1.2 Hz, 1H), 5.82 (br d, J=9.2 Hz, 1H), 5.58 (br s, 1H), 5.58 (q, J=6.6 Hz, 1H), 4.47 (br s, 1H), 4.05 (d, J=17.6 Hz, 1H), 3.93 (s, 3H), 3.88-3.72 (m, 3H), 3.55-3.47 (m, 1H), 2.44-1.30 (m, 10H), 2.27 (d, J=17.6 Hz, 1H), 2.15 (s, 3H), 1.90 (d, J=6.6 Hz, 3H), 1.79 (d, J=1.0 Hz, 3H), 1.70 (s, 3H)

FABMS m/z 736 (M + H)⁺

HRFABMS calcd for C₃₃H₄₂N₃O₁₀S₃ (M + H)⁺ 736.2032, found 736.2015

**Compound 89**

IR (KBr) 3420, 2938, 1823, 1715, 1683, 1441, 1377, 1262, 1048, 973, 887, 798, 769 cm⁻¹

$^1$H NMR (CDCl₃, 400 MHz) δ ppm; 9.00 (dd, J=16.6, 11.5 Hz, 1H), 7.28 (s, 1H), 6.76 (d, J=16.6 Hz, 1H), 6.44 (d, J=11.3 Hz, 1H), 6.33 (dd, J=11.5, 11.3 Hz, 1H), 5.96 (br d, J=9.0 Hz, 1H), 5.58 (br s, 1H), 5.58 (q, J=6.6 Hz, 1H), 5.11 (dd, J=9.0, 1.0 Hz, 1H), 4.61 (br s, 1H), 4.04 (d, J=17.6 Hz, 1H), 3.94 (s, 3H), 3.90-3.81 (m, 1H), 3.78 (br s, 2H), 3.58-3.50 (m, 1H), 2.45-1.30 (m, 10H), 2.26 (d, J=17.6 Hz, 1H), 2.15 (s, 3H), 1.90 (d, J=6.6 Hz, 3H), 1.78 (d, J=1.0 Hz, 3H), 1.70 (s, 3H)

FABMS m/z 736 (M + H)⁺

HRFABMS calcd for C₃₃H₄₂N₃O₁₀S₃ (M + H)⁺ 736.2020, found 736.2015

EXAMPLE 80

Synthesis of Compound 90

According to the procedure as described in Example 18, Compound 90 (30 mg, 49% yield) was obtained from DC107 (50 mg, 0.1 mmol), 5,6-dihydro-4-methoxy-2H-pyran (0.056 ml, 0.50 mmol) and camphorsulfonic acid (23 mg, 0.1 mmol).

IR (KBr) 3420, 3330, 2944, 1726, 1642, 1609, 1529, 1453, 1357, 1306, 1261, 1231, 1142, 1097, 1048, 949, 886, 807, 732 cm⁻¹

$^1$H NMR (CDCl₃, 400 MHz) δ ppm; 9.31 (ddd, J=16.5, 11.5, 1.0Hz, 1H), 7.29 (s, 1H), 6.88 (br d, J=6.3Hz, 1H), 6.65 (d, J=11.5Hz, 1H), 6.39 (t, J=11.5Hz, 1H), 6.01 (d, J=16.5Hz, 1H), 5.95 (br d, J=9.8Hz, 1H), 5.26 (dq, J=6.3, 6.5Hz, 1H), 5.22 (br s, 1H), 5.03 (dd, J=9.8, 1.2Hz, 1H), 3.64-3.44 (m, 4H), 3.24 (d, J=14.8Hz, 1H), 3.06 (s, 3H), 2.86 (d, J=14.8Hz, 1H), 2.35-1.50 (m, 8H), 1.90 (s, 3H), 1.80 (d, J=6.5Hz, 3H), 1.74 (d, J=1.2Hz, 3H)

FABMS m/z 625 (M + H)⁺

HRFABMS calcd for C₂₈H₃₇N₃O₈S₃ (M + H)⁺ 625.1712, found 625.1738

EXAMPLE 81

Synthesis of Compound 91

According to the procedure as described in Example 18, Compound 91 (40 mg, 58% yield) was obtained from DC107 (58 mg, 0.11 mmol), 1-methoxy-1-cyclohexene (64 mg, 0.56 mmol) and camphorsulfonic acid (23 mg, 0.1 mmol).

IR (KBr) 3400, 2938, 1720, 1644, 1610, 1525, 1450, 1369, 1270, 1247, 1180, 1153, 1091, 1022, 926, 800 cm⁻¹

$^1$H NMR (CDCl₃, 400 MHz) δ ppm; 9.29 (dd, J=16.6, 11.5Hz, 1H), 7.27 (s, 1H), 6.94 (br d, J=5.9Hz, 1H), 6.64 (d, J=11.3Hz, 1H), 6.38 (dd, J=11.5, 11.3Hz, 1H), 5.98 (d, J=16.6Hz, 1H), 5.93 (br d, J=9.8Hz, 1H), 5.26 (br s, 1H), 5.26 (dq, J=5.9, 6.6Hz, 1H), 5.01 (dd, J=9.8, 1.2Hz, 1H), 3.24 (d, J=14.5Hz, 1H), 3.02 (s, 3H), 2.85 (d, J=14.5Hz, 1H), 2.35-1.20 (m, 14H), 1.90 (s, 3H), 1.81 (d, J=6.6Hz, 3H), 1.73 (d, J=1.2Hz, 3H)

FABMS m/z 623 (M + H)⁺
EXAMPLE 82

Synthesis of Compound 92

[0165] According to the procedure as described in Example 18, Compound 92 (15 mg, 30% yield) was obtained from DC107 (41 mg, 0.08 mmol), 5,6-dihydro-4-methoxy-2H-thiopyran (52 mg, 0.40 mmol) and camphorsulfonic acid (4.6 mg, 0.02 mmol).

IR (KBr) 3322, 2928, 1721, 1642, 1611, 1530, 1452, 1362, 1247, 1208, 1096, 1031, 950, 881, 799 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.27 (ddd, J=16.5, 11.5, 1.0Hz, 1H), 7.29 (s, 1H), 6.87 (br d, J=6.2Hz, 1H), 6.65 (d, J=11.3Hz, 1H), 6.39 (dd, J=11.5, 11.3Hz, 1H), 6.00 (d, J=16.5Hz, 1H), 5.94 (br d, J=9.8Hz, 1H), 5.26 (dq, J=6.2, 6.5Hz, 1H), 5.22 (br s, 1H), 5.00 (dd, J=9.8, 1.2Hz, 1H), 3.25 (d, J=14.8Hz, 1H), 3.06 (s, 3H), 2.87 (d, J=14.8Hz, 1H), 2.70-1.60 (m, 12H), 1.91 (s, 3H), 1.81 (d, J=6.5Hz, 3H), 1.74 (d, J=1.0Hz, 3H)

FABMS m/z 641 (M + H)⁺

HRFABMS calcd for C₂₈H₃₇N₂O₇S₄ (M + H)⁺ 641.1483, found 641.1483

EXAMPLE 83

Synthesis of Compound 93

[0166] According to the procedure as described in Example 18, Compound 93 (33 mg, 76% yield) was obtained from DC107 (32 mg, 0.063 mmol), 1-ethoxycarbonyl-4-methoxy-1,2,5,6-tetrahydropyridine (58 mg, 0.31 mmol) and camphorsulfonic acid (7 mg, 0.031 mmol).

IR (KBr) 3290, 2934, 1680, 1642, 1611, 1637, 1442, 1354, 1240, 1100, 1025, 951, 799, 766 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.28 (dd, J=16.6, 11.5Hz, 1H), 7.29 (s, 1H), 6.95 (br d, J=5.8Hz, 1H), 6.64 (d, J=11.2Hz, 1H), 6.37 (dd, J=11.5, 11.2Hz, 1H), 5.99 (d, J=16.6Hz, 1H), 5.95 (br d, J=9.8Hz, 1H), 5.27 (br s, 1H), 5.25 (dq, J=5.8, 6.4Hz, 1H), 5.03 (br d, J=9.8Hz, 1H), 4.05 (q, J=7.1Hz, 2H), 3.58-3.45 (m, 2H), 3.24 (d, J=14.8Hz, 1H), 3.25-3.03 (m, 2H), 3.06 (s, 3H), 2.87 (d, J=14.8Hz, 1H), 2.36-1.35 (m, 8H), 1.90 (s, 3H), 1.79 (d, J=6.4Hz, 3H), 1.74 (s, 3H), 1.20 (t, J=7.1Hz, 3H)

FABMS m/z 696 (M + H)⁺

HRFABMS calcd for C₃₁H₄₃N₃O₉S₃ (M + H)⁺ 696.2083, found 696.2065

EXAMPLE 84

Synthesis of Compound 94

[0167] DC107 (51 mg, 0.099 mmol) and 2-pyrazinecarboxylic acid (55 mg, 0.44 mmol) were dissolved in dichloromethane (10 ml), and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (86 mg, 0.44 mmol) and 4-dimethylaminopyridine (3.6 mg, 0.029 mmol) were added thereto, followed by stirring at room temperature for 30 minutes. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 94 (45 mg, 74% yield).

IR (KBr) 3400, 2930, 1721, 1661, 1613, 1529, 1447, 1371, 1308, 1274, 1200, 1134, 1100, 1048, 1017, 895, 806, 771 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.20 (d, J=1.2Hz, 1H), 8.70 (d, J=2.4Hz, 1H), 8.69 (dd, J=16.5, 11.6Hz, 1H), 8.59 (dd, J=2.4, 1.2Hz, 1H), 7.29 (s, 1H), 6.87 (d, J=11.3Hz, 1H), 6.67 (d, J=11.3Hz, 1H), 6.38 (dd, J=11.6, 11.3Hz, 1H), 6.22 (d, J=9.8Hz, 1H), 6.11 (d, J=16.5Hz, 1H), 5.90 (d, J=9.8Hz, 1H), 5.40 (dq, J=6.7, 6.7Hz, 1H), 4.05 (br s, 1H), 3.16 (d, J=15.5Hz, 1H), 2.99 (d, J=15.5Hz, 1H), 2.42 (dt, J=13.0, 4.3Hz, 1H), 2.09 (td, J=12.5, 4.3Hz, 1H), 1.93 (dt, J=4.6, 13.0Hz, 1H), 1.82-1.72 (m, 1H), 1.81 (d, J=1.2Hz, 3H), 1.73 (s, 3H), 1.69 (d, J=6.7Hz, 3H)

FABMS m/z 617 (M + H)⁺

HRFABMS calcd for C₂₇H₂₉N₄O₇S₃ (M + H)⁺ 617.1198, found 617.1218

EXAMPLE 85

Synthesis of Compound 95

[0168] According to the procedure as described in Example 45, Compound 95 (51 mg, 91% yield) was obtained from DC107 (41 mg, 0.080 mmol), N-Boc-L-proline (173 mg, 0.80 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide
EXAMPLE 86

Synthesis of Compound 96

According to the procedure as described in Example 45, Compound 96 (42 mg, 78% yield) was obtained from DC107 (41 mg, 0.080 mmol), N-Boc-glycine (43 mg, 0.24 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (46 mg, 0.24 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (2 mg, 0.016 mmol).

IR (KBr) 3400, 2980, 2932, 1711, 1661, 1531, 1452, 1368, 1256, 1189, 1102, 1055, 998, 940, 896, 859, 799 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.79 (dd, J=16.6, 11.5Hz, 1H), 7.37-7.26 (m, 5H), 7.28 (s, 1H), 7.03 (br d, J=7.0Hz, 1H), 6.47 (d, J=6.6Hz, 1H), 6.63 (d, J=5.9Hz, 1H), 6.03 (d, J=16.0Hz, 1H), 5.84 (d, J=9.7Hz, 1H), 5.80 (d, J=9.7Hz, 1H), 5.43 (dq, J=16.6, 6.6Hz, 1H), 5.31 (br t, J=5.4Hz, 1H), 5.26 (br dd, J=5.9, 5.4Hz, 1H), 5.01 (d, J=12.3Hz, 1H), 4.96 (d, J=12.3Hz, 1H), 4.25 (br s, 1H), 4.00 (dd, J=18.0, 5.4Hz, 1H), 3.90 (dd, J=18.0, 5.5Hz, 1H), 3.12 (d, J=16.3Hz, 1H), 3.07 (d, J=16.3Hz, 1H), 2.41-2.30 (m, 1H), 2.15-2.06 (m, 1H), 1.93-1.52 (m, 2H), 1.74 (d, J=6.6Hz, 3H), 1.73 (s, 3H), 1.73 (s, 3H)

FABMS m/z 702 (M + H)+

HRFABMS calcd for C₃₂H₄₂N₃O₉S₃ (M + H)+ 702.1770, found 702.1790

EXAMPLE 87

Synthesis of Compound 97

According to the procedure as described in Example 45, Compound 97 (43 mg, 80% yield) was obtained from DC107 (40 mg, 0.077 mmol), N-Cbz-glycine (82 mg, 0.39 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (75 mg, 0.39 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (3 mg, 0.025 mmol).

IR (KBr) 3380, 2932, 1712, 1660, 1543, 1454, 1373, 1264, 1188, 1102, 1055, 998, 896, 859, 799, 759 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.70 (dd, J=16.5, 11.5Hz, 1H), 7.80-7.28 (m, 8H), 7.12 (s, 1H), 7.03 (br d, J=7.0Hz, 1H), 6.47 (d, J=6.6Hz, 1H), 6.63 (d, J=11.5Hz, 1H), 6.03 (d, J=16.5Hz, 1H), 5.83 (d, J=9.0Hz, 1H), 5.79 (d, J=9.0Hz, 1H), 5.42 (d, J=7.0Hz, 1H), 5.31 (br t, J=5.5Hz, 1H), 4.32 (dd, J=10.4, 6.7Hz, 1H), 4.22 (br s, 1H), 4.15 (br t, J=6.7Hz, 1H), 4.03 (dd, J=10.4, 6.7Hz, 1H), 3.98 (dd, J=18.0, 5.8Hz, 1H), 3.93 (dd, J=18.0, 5.5Hz, 1H), 3.13 (d, J=16.3Hz, 1H), 3.07 (d, J=16.3Hz, 1H), 2.40-2.30 (m, 1H), 2.15-2.06 (m, 1H), 1.93-1.52 (m, 2H), 1.74 (d, J=6.6Hz, 3H), 1.73 (s, 3H), 1.73 (s, 3H)

FABMS m/z 702 (M + H)+

HRFABMS calcd for C₃₂H₃₆N₃O₉S₃ (M + H)+ 702.1790

EXAMPLE 88

Synthesis of Compound 98

According to the procedure as described in Example 45, Compound 98 (60 mg, 76% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Fmoc-glycine (44 mg, 0.15 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (28 mg, 0.15 mmol), dichloromethane (6 ml) and 4-dimethylaminopyridine (1.2 mg, 0.01 mmol).

IR (KBr) 3400, 2932, 1711, 1661, 1613, 1523, 1449, 1373, 1266, 1189, 1102, 1051, 996, 940, 896, 799, 759, 740 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.70 (dd, J=16.5, 11.5Hz, 1H), 7.80-7.28 (m, 8H), 7.12 (s, 1H), 7.03 (br d, J=7.0Hz, 1H), 6.47 (d, J=11.3Hz, 1H), 6.22 (dd, J=11.5, 11.3Hz, 1H), 6.03 (d, J=16.5Hz, 1H), 5.83 (d, J=9.0Hz, 1H), 5.79 (d, J=9.0Hz, 1H), 5.42 (d, J=7.0Hz, 1H), 5.31 (br t, J=5.5Hz, 1H), 4.32 (dd, J=10.4, 6.7Hz, 1H), 4.22 (br s, 1H), 4.15 (br t, J=6.7Hz, 1H), 4.03 (dd, J=10.4, 6.7Hz, 1H), 3.98 (dd, J=18.0, 5.8Hz, 1H), 3.93 (dd, J=18.0, 5.5Hz, 1H), 3.13 (d, J=16.3Hz, 1H), 3.07 (d, J=16.3Hz, 1H), 2.40-2.30 (m, 1H), 2.15-2.06 (m, 1H), 1.93-1.72 (m, 2H), 1.74 (d, J=6.6Hz, 3H), 1.73 (s, 3H), 1.72 (s, 3H), 1.68 (d, J=6.7Hz, 3H)
EXAMPLE 89

Synthesis of Compound 99

According to the procedure as described in Example 45, Compound 99 (34 mg, 57% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-formylglycine (31 mg, 0.30 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (58 mg, 0.30 mmol), dichloromethane (10 ml) and 4-dimethylaminopyridine (2.4 mg, 0.02 mmol).

IR (KBr) 3330, 2932, 1748, 1665, 1612, 1447, 1376, 1265, 1187, 1097, 999, 896, 799 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.55 (dd, J=16.5, 11.5 Hz, 1H), 8.03 (s, 1H), 6.60 (d, J=11.3 Hz, 1H), 6.27 (dd, J=11.5, 11.3 Hz, 1H), 5.96 (d, J=16.5 Hz, 1H), 5.67 (d, J=9.8 Hz, 1H), 5.68 (br d, J=9.8 Hz, 1H), 5.30 (q, J=6.7 Hz, 1H), 4.02 (d, J=13.8 Hz, 1H), 3.96 (d, J=13.8 Hz, 1H), 3.13 (d, J=16.2 Hz, 1H), 2.85 (d, J=16.2 Hz, 1H), 2.33 (dt, J=6.1, 12.2 Hz, 1H), 1.96 (td, J=12.2, 3.1 Hz, 1H), 1.65-1.50 (m, 2H), 1.66 (d, J=6.7 Hz, 1H), 1.62 (s, 3H), 1.58 (s, 3H)

FABMS m/z 790 (M + H)+

HRFABMS calcd for C₃₉H₄₀N₃O₉S₃ (M + H)+ 790.1926, found 790.1933

EXAMPLE 90

Synthesis of Compound 100

According to the procedure as described in Example 45, Compound 100 (34 mg, 56% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-acetylglycine (117 mg, 1.0 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (192 mg, 1.0 mmol), dichloromethane (10 ml) and 4-dimethylaminopyridine (7.3 mg, 0.06 mmol).

IR (KBr) 3400, 2936, 1715, 1687, 1557, 1540, 1446, 1375, 1270, 1189, 1100, 1034, 999, 894, 799 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 8.69 (dd, J=16.6, 11.5 Hz, 1H), 8.24 (br d, J=7.1 Hz, 1H), 7.32 (s, 1H), 6.61 (d, J=11.7 Hz, 1H), 6.28 (dd, J=11.7, 11.5 Hz, 1H), 6.09 (br dd, J=4.9, 5.5 Hz, 1H), 6.05 (d, J=16.6 Hz, 1H), 5.82 (d, J=8.5 Hz, 1H), 5.74 (d, J=8.5 Hz, 1H), 5.49 (dd, J=6.8, 7.1 Hz, 1H), 4.24 (br s, 1H), 3.95 (dd, J=13.7, 4.9 Hz, 1H), 3.86 (dd, J=13.7, 5.5 Hz, 1H), 3.18 (br s, 2H), 2.43-1.65 (m, 4H), 1.77 (s, 3H), 1.75 (s, 3H), 1.74 (d, J=6.8 Hz, 3H), 1.70 (s, 3H)

FABMS m/z 610 (M + H)+

HRFABMS calcd for C₂₅H₃₀N₃O₈S₃ (M + H)+ 596.1195, found 596.1199

Anal calcd for C₂₅H₂₉N₃O₈S₃·1.4H₂O: C, 48.36; H, 5.16; N, 6.77; found: C, 48.44; H, 4.95; N, 6.43

EXAMPLE 91

Synthesis of Compound 101

According to the procedure as described in Example 45, Compound 101 (31 mg, 55% yield) was obtained from DC107 (41 mg, 0.080 mmol), N-Cbz-sarcosine (89.mg, 0.40 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (77 mg, 0.40 mmol), 4-dimethylaminopyridine (2 mg, 0.016 mmol).
EXAMPLE 92

Synthesis of Compound 102

[0175] According to the procedure as described in Example 45, Compound 102 (45 mg, 67% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Boc-L-alanine (95 mg, 0.50 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (96 mg, 0.50 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (6 mg, 0.050 mmol).

IR (KBr) 3400, 2982, 2936, 1711, 1660, 1616, 1522, 1452, 1368, 1256, 1165, 1102, 1067, 984, 854, 799 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 8.08 (br, 1H), 7.29 (s, 1H), 6.67 (br d, J=6.4Hz, 1H), 6.64 (d, J=11.3Hz, 1H), 6.34 (dd, J=11.3, 11.3Hz, 1H), 6.03 (d, J=16.8Hz, 1H), 5.81 (m, 2H), 5.40 (dq, J=6.7, 6.4Hz, 1H), 5.01 (br, 1H), 4.30 (br, 1H), 4.23 (br, 1H), 3.09 (br d, J=15.6Hz, 1H), 3.04 (br d, J=15.6Hz, 1H), 2.37 (dt, J=12.5, 4.6Hz, 1H), 2.08 (dt, J=12.5, 4.6Hz, 1H), 1.91-1.75 (m, 2H), 1.77 (d, J=6.7Hz, 3H), 1.75 (br s, 3H), 1.72 (s, 3H), 1.38 (s, 9H), 1.33 (d, J=7.3Hz, 3H)

FABMS m/z 682 (M + H)⁺

HRFABMS calcd for C₃₀H₄₀N₃O₉S₃ (M + H)⁺ 682.1926, found 682.1926

EXAMPLE 93

Synthesis of Compound 103

[0176] According to the procedure as described in Example 45, Compound 103 (53 mg, 76% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Cbz-L-alanine (66 mg, 0.30 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (57 mg, 0.30 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (2.4 mg, 0.020 mmol).

IR (KBr) 3324, 3068, 2984, 2938, 1705, 1658, 1613, 1528, 1452, 1375, 1342, 1260, 1202, 1098, 1068, 996, 947, 896, 858, 807, 777, 733, 697 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 8.73 (br dd, J=16.8, 11.3Hz, 1H), 7.36-7.18 (m, 5H), 7.32 (s, 1H), 7.20 (dt, J=15.6Hz, 1H), 6.59 (d, J=11.6Hz, 1H), 6.31 (dd, J=11.6, 11.3Hz, 1H), 6.06 (d, J=16.8Hz, 1H), 5.84 (br d, J=8.8Hz, 1H), 5.47 (dq, J=6.7, 6.7Hz, 1H), 5.21 (br d, J=6.0Hz, 1H), 4.89 (d, J=12.2Hz, 1H), 4.60 (d, J=12.2Hz, 1H), 4.33 (br s, 1H), 4.28 (dq, J=7.0, 6.0Hz, 1H), 3.17 (d, J=16.2Hz, 1H), 3.12 (d, J=16.2Hz, 1H), 2.43-1.70 (m, 4H), 1.74 (d, J=6.7Hz, 3H), 1.73 (s, 3H), 1.73 (s, 3H), 1.40 (d, J=7.0Hz, 3H)

FABMS m/z 716 (M + H)⁺

HRFABMS calcd for C₃₃H₃₈N₃O₉S₃ (M + H)⁺ 716.1770, found 716.1770

EXAMPLE 94

Synthesis of Compound 104

[0177] According to the procedure as described in Example 45, tert-butyldimethylsilyl ether compound of Compound 104 (59 mg, 88% yield) was obtained from DC107 (41 mg, 0.080 mmol), N-Cbz-O-tert-butyldimethylsilyl-L-serine (351 mg, 0.97 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (185 mg, 0.97 mmol), tetrahydrofuran (8 ml) and 4-dimethylaminopyridine (6.8 mg, 0.06 mmol).

The obtained tert-butyldimethylsilyl ether compound (50 mg, 0.059 mmol) was dissolved in methanol (5 ml), and 3N hydrochloric acid (0.2 ml) was added thereto, followed by stirring for 1.5 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 104 (19 mg, 44% yield).

IR (KBr) 3400, 2930, 1718, 1708, 1654, 1611, 1529, 1452, 1375, 1340, 1261, 1199, 1083, 995, 892 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.61 (br dd, J=16.6, 11.7Hz, 1H), 7.43-7.25 (m, 7H), 6.64 (d, J=11.5Hz, 1H), 6.32 (dd, J=11.7, 11.5Hz, 1H), 6.07 (d, J=16.6Hz, 1H), 5.89 (d, J=8.5Hz, 1H), 5.78 (br d, J=8.5Hz, 1H), 5.65 (br d, J=7.1Hz, 1H), 5.48 (dq, J=7.1, 6.6Hz, 1H), 5.06 (d, J=12.2Hz, 1H), 4.97 (br d, J=12.2Hz, 1H), 4.40-4.13 (m, 1H), 4.04 (br d, J=11.0Hz, 1H), 3.91 (br d, J=11.0Hz, 1H), 3.79 (br s, 1H), 3.72 (br s, 1H), 3.22 (d, J=16.6Hz, 1H), 3.02 (br d, J=16.6Hz, 1H), 2.43-1.50 (m, 4H), 1.72 (d, J=6.6Hz, 3H), 1.71 (s, 3H), 1.63 (s, 3H)

FABMS m/z 732 (M + H)⁺

HRFABMS calcd for C₃₃H₃₈N₃O₄S₄ (M + H)⁺ 732.1719, found 732.1726
EXAMPLE 95

Synthesis of Compound 105

According to the procedure as described in Example 45, Compound 105 (55 mg, 77% yield) was obtained from DC107 (50 mg, 0.099 mmol), N-Cbz-β-alanine (66 mg, 0.30 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (57 mg, 0.30 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (2.4 mg, 0.020 mmol).

IR (KBr) 3330, 2934, 1709, 1658, 1573, 1373, 1255, 1179, 1101, 1000, 947, 896, 858, 799, 697 cm⁻¹

¹H NMR (CDCl₃, 400 MHz) δ ppm: 8.67 (br d, J=16.7, 11.6 Hz, 1H), 7.38-7.26 (m, 5H), 7.27 (s, 1H), 6.63 (d, J=11.3 Hz, 1H), 6.51 (br d, J=6.6 Hz, 1H), 6.33 (dd, J=11.6, 11.3 Hz, 1H), 6.03 (d, J=16.8 Hz, 1H), 5.88 (d, J=9.8 Hz, 1H), 5.76 (br d, J=9.8 Hz, 1H), 5.50 (m, 1H), 5.39 (dq, J=6.6, 6.6 Hz, 1H), 5.07 (s, 2H), 4.11 (br s, 1H), 3.44 (m, 2H), 3.13 (d, J=15.4 Hz, 1H), 2.98 (d, J=15.4 Hz, 1H), 2.35 (dt, J=13.0, 4.3 Hz, 1H), 2.06 (dt, J=13.0, 4.3 Hz, 1H), 1.96-1.65 (m, 2H), 1.75 (d, J=6.6 Hz, 3H), 1.73 (s, 3H), 1.69 (d, J=1.2 Hz, 3H)

FABMS m/z 716 (M + H)+
HRFABMS calcd for C₃₃H₃₈N₃O₉S₃ (M + H)+ 716.1770, found 716.1794

EXAMPLE 96

Synthesis of Compound 106

According to the procedure as described in Example 45, Compound 106 (39 mg, 54% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Cbz-γ-amino-n-butyric acid (71 mg, 0.30 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (57 mg, 0.30 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (2.4 mg, 0.020 mmol).

IR (KBr) 3332, 3300, 2936, 1710, 1656, 1614, 1527, 1454, 1373, 1250, 1167, 1000, 948, 896, 858, 799, 775, 737, 697 cm⁻¹

¹H NMR (CDCl₃, 500 MHz) δ ppm: 8.73 (br dd, J=16.8, 11.9 Hz, 1H), 7.38-7.26 (m, 5H), 7.22 (br s, 1H), 6.80 (d, J=6.7 Hz, 1H), 6.61 (d, J=11.3 Hz, 1H), 6.33 (dd, J=11.9, 11.3 Hz, 1H), 6.03 (d, J=16.8 Hz, 1H), 5.79 (br s, 2H), 5.37 (dq, J=6.7, 6.7 Hz, 1H), 4.98 (m, 2H), 4.83 (br, 1H), 3.30-3.35 (m, 4H), 2.42-2.30 (m, 3H), 2.12-1.70 (m, 5H), 1.75 (s, 3H), 1.74 (d, J=6.7 Hz, 3H), 1.71 (br s, 3H)

FABMS m/z 730 (M + H)+
HRFABMS calcd for C₃₄H₄₀N₃O₉S₃ (M + H)+ 730.1926, found 730.1946

EXAMPLE 97

Synthesis of Compound 107

According to the procedure as described in Example 45, Compound 107 (42 mg, 55% yield) was obtained from DC107 (60 mg, 0.11 mmol), N-Boc-glycylglycine (167 mg, 0.72 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (113 mg, 0.72 mmol), dichloromethane (6 ml) and 4-dimethylaminopyridine (7.2 mg, 0.059 mmol).

IR (KBr) 3292, 1707, 1686, 1656, 1544, 1369, 1169, 1103, 945, 895, 859 cm⁻¹

¹H NMR (CDCl₃, 500 MHz) δ ppm: 8.67 (dd, J=16.5, 11.6 Hz, 1H), 7.74 (br, 1H), 7.40-7.30 (m, 5H), 7.30 (s, 1H), 6.87 (d, J=11.6 Hz, 1H), 6.31 (t, J=11.6 Hz, 1H), 6.05 (d, J=16.5 Hz, 1H), 5.79 (d, J=9.2 Hz, 1H), 5.77 (d, J=9.2 Hz, 1H), 5.48 (dq, J=7.0, 6.7 Hz, 1H), 4.90 (br, 1H), 4.29 (br, 1H), 3.98 (dd, J=17.4, 5.5 Hz, 1H), 3.95 (dd, J=17.4, 5.5 Hz, 1H), 3.61 (dd, J=17.0, 6.1 Hz, 1H), 3.59 (dd, J=17.0, 6.1 Hz, 1H), 3.18 (d, J=16.5 Hz, 1H), 3.12 (d, J=16.5 Hz, 1H), 2.36 (m, 1H), 2.12 (m, 1H), 1.92-1.75 (m, 2H), 1.74 (d, J=6.7 Hz, 3H), 1.74 (s, 3H), 1.71 (s, 3H), 1.43 (s, 9H)

FABMS m/z 725 (M + H)+
HRFABMS calcd for C₃₁H₄₁N₄O₁₀S₃ (M + H)+ 725.1985, found 725.2009

EXAMPLE 98

Synthesis of Compound 108

According to the procedure as described in Example 45, Compound 108 (53 mg, 47% yield) was obtained from DC107 (81 mg, 0.15 mmol), N-Cbz-glycylglycine (420 mg, 1.5 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (303 mg, 1.58 mmol), tetrahydrofuran (17 ml) and 4-dimethylaminopyridine (11 mg, 0.090 mmol).

IR (KBr) 3400, 2930, 1707, 1662, 1614, 1526, 1452, 1378, 1257, 1190, 1100, 1049, 990, 893 cm⁻¹

¹H NMR (CDCl₃, 500 MHz) δ ppm: 8.65 (dd, J=16.8, 11.6 Hz, 1H), 7.74 (br, 1H), 7.40-7.30 (m, 5H), 7.30 (s, 1H), 6.87 (d, J=11.6 Hz, 1H), 6.31 (t, J=11.6 Hz, 1H), 6.05 (d, J=16.5 Hz, 1H), 5.79 (d, J=9.2 Hz, 1H), 5.77 (d, J=9.2 Hz, 1H), 5.48 (dq, J=7.0, 6.7 Hz, 1H), 4.90 (br, 1H), 4.29 (br, 1H), 3.98 (dd, J=17.4, 5.5 Hz, 1H), 3.95 (dd, J=17.4, 5.5 Hz, 1H), 3.61 (dd, J=17.0, 6.1 Hz, 1H), 3.59 (dd, J=17.0, 6.1 Hz, 1H), 3.18 (d, J=16.5 Hz, 1H), 3.12 (d, J=16.5 Hz, 1H), 2.36 (m, 1H), 2.12 (m, 1H), 1.92-1.75 (m, 2H), 1.74 (d, J=6.7 Hz, 3H), 1.74 (s, 3H), 1.71 (s, 3H), 1.43 (s, 9H)
EXAMPLE 99

Synthesis of Compound 109

According to the procedure as described in Example 45, Compound 109 (42 mg, 55% yield) was obtained from DC107 (60 mg, 0.11 mmol), N-benzoylglycylglycine (418 mg, 1.77 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (339 mg, 1.77 mmol), dichloromethane (15 ml) and 4-dimethylaminopyridine (13 mg, 0.11 mmol).

IR (KBr) 3340, 3064, 2936, 2472, 1759, 1711, 1655, 1641, 1544, 1528, 1451, 1377, 1290, 1189, 997, 932, 908, 799, 727 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) \(\delta\) ppm: 8.68 (dd, \(J=16.5, 11.5Hz, 1H\)), 7.75-7.40 (m, 5H), 7.63 (br d, \(J=7.0Hz, 1H\)), 7.32 (s, 1H), 6.67 (br t, \(J=5.4Hz, 1H\)), 6.64 (d, \(J=11.7Hz, 1H\)), 6.30 (dd, \(J=11.7, 11.5Hz, 1H\)), 6.04 (d, \(J=16.5Hz, 1H\)), 5.79 (br s, 2H), 5.46 (dq, \(J=7.0, 6.8Hz, 1H\)), 4.22 (br s, 1H), 4.00 (d, \(J=5.4Hz, 2H\)), 3.98 (d, \(J=5.4Hz, 2H\)), 3.18 (d, \(J=16.4Hz, 1H\)), 3.11 (d, \(J=16.4Hz, 1H\)), 2.42-1.50 (m, 4H), 1.74 (s, 3H), 1.71 (d, \(J=6.8Hz, 3H\)), 1.71 (s, 3H)

FABMS m/z 729 (M + H)+

HRFABMS calcd for C\(_{34}\)H\(_{39}\)N\(_4\)O\(_{10}\)S\(_3\) (M + H)+ 729.1828, found 729.1810

EXAMPLE 100

Synthesis of Compound 110

According to the procedure as described in Example 45, Compound 110 (39 mg, 50% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Cbz-L-alanylglycine (280 mg, 1.0 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (192 mg, 1.0 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (7.3 mg, 0.06 mmol).

IR (KBr) 3420, 2938, 1704, 1658, 1612, 1529, 1453, 1376, 1255, 1188, 1099, 987, 897, 859, 799, 739, 698 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) \(\delta\) ppm: 8.65 (dd, \(J=16.5, 11.2Hz, 1H\)), 7.45 (br d, \(J=6.3Hz, 1H\)), 7.38-7.25 (m, 5H), 7.28 (s, 1H), 6.83 (br, 1H), 6.62 (d, \(J=11.4Hz, 1H\)), 6.31 (dd, \(J=11.4, 11.2Hz, 1H\)), 6.04 (d, \(J=16.5Hz, 1H\)), 5.80 (d, \(J=9.3Hz, 1H\)), 5.78 (d, \(J=9.3Hz, 1H\)), 5.45 (dq, \(J=6.3, 6.8Hz, 1H\)), 5.24 (d, \(J=7.6Hz, 1H\)), 5.12 (d, \(J=12.0Hz, 1H\)), 5.07 (br s, 1H), 5.06 (d, \(J=12.2Hz, 1H\)), 4.19 (m, 1H), 4.02 (dd, \(J=17.8, 5.6Hz, 1H\)), 3.89 (dd, \(J=17.8, 4.6Hz, 1H\)), 3.13 (d, \(J=16.1Hz, 1H\)), 3.04 (d, \(J=16.1Hz, 1H\)), 2.42-1.50 (m, 4H), 1.72 (d, \(J=6.8Hz, 3H\)), 1.71 (s, 3H), 1.68 (s, 3H), 1.29 (d, \(J=7.0Hz, 3H\))

FABMS m/z 773 (M + H)+

HRFABMS calcd for C\(_{35}\)H\(_{41}\)N\(_4\)O\(_{10}\)S\(_3\) (M + H)+ 773.1985, found 773.1982

EXAMPLE 101

Synthesis of Compound 111

According to the procedure as described in Example 45, Compound 111 (38 mg, 41% yield) was obtained from DC107 (62 mg, 0.12 mmol), N-Cbz-\(\beta\)-alanylglycine (339 mg, 1.2 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (232 mg, 1.2 mmol), tetrahydrofuran (11 ml) and 4-dimethylaminopyridine (8.8 mg, 0.07 mmol).

IR (KBr) 3312, 2934, -1702, 1702, 1660, 1613, 1528, 1452, 1374, 1258, 1183, 1099, 996, 948, 895, 858, 799, 774, 739, 697 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 500 MHz) \(\delta\) ppm: 8.61 (br dd, \(J=16.5, 11.6Hz, 1H\)), 7.37-7.28 (m, 5H), 7.33 (s, 1H), 6.66 (d, \(J=11.3Hz, 1H\)), 6.33 (d, \(J=11.6, 11.3Hz, 1H\)), 6.03 (d, \(J=16.5Hz, 1H\)), 5.89 (d, \(J=9.5Hz, 1H\)), 5.74 (br d, \(J=9.5Hz, 1H\)), 5.38 (q, \(J=6.7Hz, 1H\)), 5.07 (br s, 2H), 3.99 (d, \(J=18.0Hz, 1H\)), 3.94 (d, \(J=18.0Hz, 1H\)), 3.35 (dd, \(J=5.9, 5.3Hz, 2H\)), 3.18 (d, \(J=16.2Hz, 1H\)), 2.96 (d, \(J=16.2Hz, 1H\)), 2.43-2.28 (m, 3H), 2.05-1.55 (m, 3H), 1.73 (d, \(J=6.7Hz, 3H\)), 1.70 (d, \(J=0.7Hz, 3H\)), 1.68 (s, 3H)

FABMS m/z 773 (M + H)+
EXAMPLE 102

5
Synthesis of Compound 112

[0186] According to the procedure as described in Example 45, Compound 112 (31 mg, 42% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Boc-β-alanylglycine (244 mg, 1.0 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (191 mg, 1.0 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (12 mg, 0.10 mmol).

IR (KBr) 3400, 2980, 2932, 1704, 1656, 1545, 1450, 1368, 1270, 1254, 1181, 1098, 997, 845, 857, 799 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.65 (dd, J=16.9, 11.2Hz, 1H), 8.11 (br s, 1H), 7.33 (s, 1H), 7.16 (br, 1H), 6.63 (d, J=11.5Hz, 1H), 6.30 (dd, J=11.5, 11.2Hz, 1H), 6.05 (d, J=16.9Hz, 1H), 5.79 (d, J=8.8Hz, 1H), 5.75 (d, J=8.8Hz, 1H), 5.49 (dq, J=6.6, 6.5Hz, 1H), 4.86 (br, 1H), 4.29 (br s, 1H), 3.95 (dd, J=17.6, 5.1Hz, 1H), 3.90 (dd, J=17.6, 5.3Hz, 1H), 3.44-3.19 (m, 2H), 3.18 (d, J=16.5Hz, 1H), 3.14 (d, J=16.5Hz, 1H), 2.42-1.70 (m, 6H), 1.74 (s, 3H), 1.73 (d, J=6.5Hz, 3H), 1.70 (s, 3H), 1.41 (s, 9H)

FABMS m/z 739 (M + H)⁺

HRFABMS calcd for C₃₂H₄₃N₄O₁₀S₃ (M + H)⁺ 739.2141, found 739.2168

EXAMPLE 103

Synthesis of Compound 113

[0187] According to the procedure as described in Example 45, Compound 113 (16 mg, 20% yield) was obtained from DC107 (51 mg, 0.12 mmol), N-Cbz-γ-aminobutyrglycine (295 mg, 1.0 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (192 mg, 1.0 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (7.3 mg, 0.06 mmol).

IR (KBr) 3350, 2932, 1718, 1658, 1544, 1452, 1375, 1260, 1186, 1098, 997, 945, 896, 799, 698 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.67 (dd, J=16.8, 11.2Hz, 1H), 8.13 (br s, 1H), 7.39-7.26 (m, 5H), 7.30 (s, 1H), 6.92 (br, 1H), 6.59 (d, J=11.5Hz, 1H), 6.27 (dd, J=11.5, 11.2Hz, 1H), 6.05 (d, J=16.8Hz, 1H), 5.81 (br d, J=8.8Hz, 1H), 5.74 (d, J=8.8Hz, 1H), 5.49 (dq, J=7.0, 6.6Hz, 1H), 5.08 (br s, 2H), 4.89 (br t, J=4.6Hz, 1H), 4.27 (br s, 1H), 3.90 (br d, J=4.6Hz, 2H), 3.20-3.10 (m, 4H), 2.43-1.50 (m, 8H), 1.74 (s, 3H), 1.73 (d, J=6.6Hz, 3H), 1.69 (s, 3H)

FABMS m/z 787 (M + H)⁺

HRFABMS calcd for C₃₆H₄₃N₄O₁₀S₃ (M + H)⁺ 787.2141, found 787.2137

EXAMPLE 104

Synthesis of Compound 114

[0188] According to the procedure as described in Example 45, Compound 114 (41 mg, 54% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Cbz-sarcosylglycine (278 mg, 1.0 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (191 mg, 1.0 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (7.2 mg, 0.06 mmol).

IR (KBr) 3350, 1700, 1688, 1665, 1534, 1451, 1405, 1365, 1189, 1153, 1103, 989, 939, 895, 799, 769, 697 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 8.67 (br dd, J=16.5, 11.3Hz, 1H), 7.69 (br s, 1H), 7.34 (br s, 5H), 6.69 (br, 1H), 6.61 (d, J=11.5Hz, 1H), 6.29 (dd, J=11.5, 11.3Hz, 1H), 6.04 (d, J=16.5Hz, 1H), 5.80 (br d, J=9.5Hz, 1H), 5.77 (d, J=9.5Hz, 1H), 5.47 (dq, J=6.8, 6.6Hz, 1H), 5.13 (s, 2H), 4.20 (br s, 1H), 4.02-3.55 (m, 4H), 3.18 (d, J=16.4Hz, 1H), 2.93 (s, 3H), 2.42-1.70 (m, 4H), 1.74 (s, 3H), 1.73 (d, J=6.6Hz, 3H), 1.69 (s, 3H)

FABMS m/z 773 (M + H)⁺


Anal calcd for C₃₅H₄₄N₄O₁₀S₉·1.0H₂O: C, 53.15; H, 5.35; N, 7.08; found: C, 53.22; H, 5.21; N, 7.10

EXAMPLE 105

Synthesis of Compound 115

[0189] According to the procedure as described in Example 45, Compound 115 (39 mg, 43% yield) was obtained from DC107 (60 mg, 0.11 mmol), N-Cbz-leucylglycine (380 mg, 1.2 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (226 mg, 1.2 mmol), tetrahydrofuran (11 ml) and 4-dimethylaminopyridine (8.6 mg, 0.07 mmol).
EXAMPLE 106

Synthesis of Compound 116

According to the procedure as described in Example 45, tert-butyldimethylsilyl ether compound of Compound 116 (74 mg, 68% yield) was obtained from DC107 (60 mg, 0.12 mmol), N-Cbz-0-tert-butyldimethylsilyl-L-serylglycine (485 mg, 0.97 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (226 mg, 0.97 mmol), tetrahydrofuran (10 ml) and 4-dimethylaminopyridine (8.6 mg, 0.07 mmol).

The obtained tert-butyldimethylsilyl ether compound (74 mg, 0.081 mmol) was dissolved in methanol (7.4 ml), and 3N hydrochloric acid (0.3 ml) was added thereto, followed by stirring for 1 hour. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 116 (22 mg, 34% yield).

IR (KBr) 3402, 2926, 1719, 1656, 1647, 1537, 1453, 1405, 1375, 1255, 1175, 1098, 1060, 997, 946, 896, 859, 799, 776, 737, 697 cm⁻¹
1H NMR (CDCl₃, 500 MHz) δ ppm: 8.65 (dd, J=16.5, 11.6 Hz, 1H), 7.55 (br d, J=6.7 Hz, 1H), 7.39-7.27 (m, 5H), 7.29 (s, 1H), 6.80 (d, J=11.3 Hz, 1H), 6.50 (d, J=16.5 Hz, 1H), 5.05 (s, 2H), 4.15 (br s, 1H), 3.16 (d, J=16.8 Hz, 1H), 3.12 (d, J=16.8 Hz, 1H), 3.03 (s, 3H), 2.60-1.70 (m, 6H), 1.74 (d, J=7.0 Hz, 3H), 1.73 (s, 3H), 1.67 (s, 3H)
FABMS m/z 789 (M + H)⁺
HRFABMS calcd for C₃₆H₄₃N₄O₁₁S₃ (M + H)⁺ 789.1934, found 789.1918

EXAMPLE 107

Synthesis of Compound 117

According to the procedure as described in Example 45, Compound 117 (32 mg, 42% yield) was obtained from DC107 (60 mg, 0.098 mmol), N-Cbz-β-alanylsarcosine (148 mg, 0.50 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (226 mg, 0.97 mmol), tetrahydrofuran (15 ml) and 4-dimethylaminopyridine (3.6 mg, 0.03 mmol).

IR (KBr) 3400, 2938, 1709, 1656, 1647, 1527, 1511, 1453, 1405, 1372, 1255, 1187, 1101, 997, 942, 895 cm⁻¹
1H NMR (CDCl₃, 500 MHz) δ ppm: 8.65 (dd, J=16.5, 11.6 Hz, 1H), 7.55 (br d, J=6.7 Hz, 1H), 7.39-7.27 (m, 5H), 7.29 (s, 1H), 6.80 (d, J=11.3 Hz, 1H), 6.50 (d, J=16.5 Hz, 1H), 5.05 (s, 2H), 4.15 (br s, 1H), 3.16 (d, J=16.8 Hz, 1H), 3.12 (d, J=16.8 Hz, 1H), 3.03 (s, 3H), 2.60-1.70 (m, 6H), 1.74 (d, J=7.0 Hz, 3H), 1.73 (s, 3H), 1.67 (s, 3H)
FABMS m/z 787 (M + H)⁺
HRFABMS calcd for C₃₆H₄₃N₄O₁₁S₃ (M + H)⁺ 787.2141, found 787.2163

EXAMPLE 108

Synthesis of Compound 118

According to the procedure as described in Example 45, Compound 118 (45 mg, 53% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Cbz-glycyl-β-alanine (282 mg, 1.1 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (193 mg, 1.1 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (7.3 mg, 0.06 mmol).

IR (KBr) 3300, 3072, 2936, 1720, 1658, 1613, 1537, 1454, 1375, 1255, 1175, 1098, 1060, 998, 946, 896, 859, 799, 776, 737, 697 cm⁻¹
1H NMR (CDCl₃, 500 MHz) δ ppm: 8.45 (br dd, J=16.5, 11.6 Hz, 1H), 7.38-7.28 (m, 5H), 7.27 (s, 1H), 6.99 (br, 1H), 6.73 (br d, J=6.7 Hz, 1H), 6.66 (d, J=11.6 Hz, 1H), 6.32 (t, J=11.6 Hz, 1H), 6.02 (d, J=16.5 Hz, 1H), 5.98 (d, J=9.5 Hz, 1H),
EXAMPLE 109

Synthesis of Compound 119

According to the procedure as described in Example 45, Compound 119 (42 mg, 53% yield) was obtained from DC107 (51 mg, 0.10 mmol), N-Cbz-β-alanyl-β-alanine (205 mg, 0.70 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (134 mg, 0.70 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (4.9 mg, 0.040 mmol).

IR (KBr) 3400, 2936, 1720, 1656, 1531, 1454, 1373, 1259, 1098, 896, 859, 799, 738, 697 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) \(\delta\) ppm: 8.51 (dd, J=16.6, 11.5 Hz, 1H), 7.37-7.21 (m, 5H), 7.34 (s, 1H), 6.70 (br t, J=8.0Hz, 1H), 6.66 (d, J=11.5Hz, 1H), 6.57 (d, J=6.8Hz, 1H), 6.34 (t, J=11.5Hz, 1H), 6.05 (d, J=16.6Hz, 1H), 5.98 (d, J=9.5Hz, 1H), 5.73 (br d, J=9.5Hz, 1H), 5.50 (br, 1H), 5.43 (dq, J=6.8, 6.8Hz, 1H), 5.07 (br s, 2H), 3.92 (br s, 1H), 3.58-3.40 (m, 4H), 3.24 (d, J=16.1Hz, 1H), 2.90 (d, J=16.1Hz, 1H), 2.57-2.30 (m, 5H), 2.08-1.70 (m, 3H), 1.75 (d, J=6.8Hz, 3H), 1.67 (d, J=1.2Hz, 3H), 1.66 (s, 3H)

FABMS m/z 773 (M + H)\(^+\)

HRFABMS calcd for C\(_{35}\)H\(_{41}\)N\(_4\)O\(_{10}\)S\(_3\) (M + H)\(^+\) 773.1985, found 773.2009

EXAMPLE 110

Synthesis of Compound 120

According to the procedure as described in Example 18, Compound 120 (7.6 mg, 17% yield) was obtained from Compound 80 (40 mg, 0.062 mmol), 2-methoxypropene (0.029 ml, 0.31 mmol) and camphorsulfonic acid (14 mg, 0.062 mmol).

IR (KBr) 3420, 2938, 1720, 1649, 1609, 1452, 1374, 1256, 1211, 1154, 1124, 1070, 1021, 975, 887 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) \(\delta\) ppm: 9.51 (ddd, J=16.4, 11.5, 1.0Hz, 1H), 7.41 (s, 1H), 6.61 (d, J=11.3Hz, 1H), 6.36 (dd, J=11.5, 11.3Hz, 1H), 5.98 (d, J=16.4Hz, 1H), 5.81 (dd, J=9.5, 1.2Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.47 (br s, 1H), 5.41 (d, J=11.0Hz, 1H), 5.35 (d, J=11.0Hz, 1H), 4.92 (dd, J=9.5, 1.2Hz, 1H), 4.04 (d, J=17.8Hz, 1H), 3.09 (s, 3H), 2.29 (d, J=17.8Hz, 1H), 2.42-2.20 (m, 15H), 1.97 (d, J=6.6Hz, 3H), 1.77 (d, J=1.2Hz, 3H), 1.69 (s, 3H), 1.28 (s, 6H)

FABMS m/z 723 (M + H)\(^+\)

HRFABMS calcd for C\(_{36}\)H\(_{43}\)N\(_4\)O\(_{10}\)S\(_3\) (M + H)\(^+\) 787.2141, found 787.2153

EXAMPLE 111

Synthesis of Compound 121

According to the procedure as described in Example 18, Compound 121 (12 mg, 66% yield) was obtained from Compound 35 (16 mg, 0.026 mmol), 2-methoxypropene (0.012 ml, 0.13 mmol) and camphorsulfonic acid (3 mg, 0.013 mmol).

IR (KBr) 3400, 3224, 2938, 1819, 1730, 1705, 1680, 1642, 1608, 1448, 1374, 1258, 1208, 1146, 1070, 1029, 977, 888, 769, 732 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) \(\delta\) ppm: 9.50 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 7.41 (s, 1H), 6.61 (d, J=11.5Hz, 1H), 6.36 (t, J=11.5Hz, 1H), 5.99 (d, J=16.6Hz, 1H), 5.82 (br d, J=9.2Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.46 (br s, 1H), 4.92 (dd, J=9.5, 1.2Hz, 1H), 4.05 (d, J=17.6Hz, 1H), 3.80 (d, J=15.1Hz, 1H), 3.75 (d, J=15.1Hz, 1H), 3.10 (s, 3H), 2.43-1.35 (m, 4H), 2.29 (d, J=17.6Hz, 1H), 2.15 (s, 3H), 1.97 (d, J=6.6Hz, 3H), 1.78 (d, J=1.4Hz, 3H), 1.69 (s, 3H), 1.28 (s, 3H), 1.28 (s, 3H)

FABMS m/z 695 (M + H)\(^+\)

HRFABMS calcd for C\(_{31}\)H\(_{39}\)N\(_2\)O\(_{10}\)S\(_3\) (M + H)\(^+\) 695.1767, found 695.1757
**EXAMPLE 112**

Synthesis of Compound 122

According to the procedure as described in Example 18, Compound 122 (54 mg, 81% yield) was obtained from Compound 35 (56 mg, 0.090 mmol), 5,6-dihydro-4-methoxy-2H-pyran (0.030 ml, 0.27 mmol) and camphorsulfonic acid (9.3 mg, 0.045 mmol).

IR (KBr) 3412, 2938, 2870, 1818, 1710, 1685, 1642, 1608, 1450, 1356, 1262, 1233, 1208, 1141, 1095, 977, 768 cm\(^{-1}\)

\(\text{\(1\)}^\text{H} \text{NMR (CDCl}_3\text{, 400 MHz)} \delta \text{ppm; 9.53 (d, J=16.5Hz, 1H), 7.43 (s, 1H), 6.63 (d, J=11.5Hz, 1H), 6.37 (dd, J=11.5, 11.3Hz, 1H), 6.00 (d, J=16.5Hz, 1H), 5.86 (br d, J=9.5Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.44 (br s, 1H), 4.98 (dd, J=9.5, 1.2Hz, 1H), 4.05 (d, J=17.6Hz, 1H), 3.81 (d, J=15.4Hz, 1H), 3.74 (d, J=15.4Hz, 1H), 3.70-3.49 (m, 4H), 3.11 (s, 3H), 2.44-2.23 (m, 3H), 2.29 (d, J=17.6Hz, 1H), 2.15 (s, 3H), 1.95 (d, J=6.6Hz, 3H), 1.79 (d, J=1.2Hz, 3H), 1.80-1.35 (m, 5H), 1.68 (s, 3H)\)

FABMS m/z 737 (M + H)\(^+\)

HRFABMS calcd for C\(_{33}\)H\(_{41}\)N\(_2\)O\(_{11}\)S\(_3\) (M + H)\(^+\) 737.1872, found 737.1848

**EXAMPLE 113**

Synthesis of Compounds 123 and 124

According to the procedure as described in Example 60, Compound 123 (13 mg, 33% yield) and its geometrical isomer, Compound 124 (13 mg, 33% yield), were obtained from Compound 122 (38 mg, 0.052 mmol), methanol (3 ml), pyridine (0.05 mol) and hydroxylamine hydrochloride (18 mg, 0.26 mmol).

**Compound 123**

IR (KBr) 3400, 2932, 1817, 1720, 1680, 1448, 1355, 1263, 1207, 1140, 1094, 886, 838 cm\(^{-1}\)

\(\text{\(1\)}^\text{H} \text{NMR (CDCl}_3\text{, 400 MHz)} \delta \text{ppm; 9.05 (d, J=16.6Hz, 1H), 7.32 (s, 1H), 6.87 (d, J=16.6Hz, 1H), 6.50 (d, J=11.6Hz, 1H), 6.39 (dd, J=11.6, 11.3Hz, 1H), 6.03 (br d, J=9.8Hz, 1H), 5.55 (q, J=6.6Hz, 1H), 5.51 (br s, 1H), 5.28 (dd, J=9.8, 1.2Hz, 1H), 4.06 (d, J=17.6Hz, 1H), 3.80 (d, J=15.1Hz, 1H), 3.75 (d, J=15.1Hz, 1H), 3.65-3.54 (m, 4H), 3.13 (s, 3H), 2.35-1.20 (m, 8H), 2.20 (d, J=17.6Hz, 1H), 2.08 (s, 3H), 1.85 (d, J=6.6Hz, 3H), 1.75 (d, J=1.2Hz, 3H), 1.62 (s, 3H)\)

FABMS m/z 752 (M + H)\(^+\)

HRFABMS calcd for C\(_{33}\)H\(_{42}\)N\(_3\)O\(_{11}\)S\(_3\) (M + H)\(^+\) 752.1981, found 752.1957

**Compound 124**

IR (KBr) 3400, 2932, 1817, 1720, 1680, 1448, 1355, 1263, 1207, 1140, 1094, 886, 838 cm\(^{-1}\)

\(\text{\(1\)}^\text{H} \text{NMR (CDCl}_3\text{, 400 MHz)} \delta \text{ppm; major isomer 8.96 (d, J=16.6Hz, 1H), 7.19 (s, 1H), 6.36-6.15 (m, 2H), 6.17 (dd, J=9.3, 1.5Hz, 1H), 6.10 (d, J=16.6Hz, 1H), 5.79 (br d, J=9.3Hz, 1H), 5.51 (br s, 1H), 5.51 (q, J=6.6Hz, 1H), 4.45 (br s, 1H), 3.98 (d, J=17.6Hz, 1H), 3.85-3.45 (m, 4H), 2.40-1.30 (m, 10H), 2.08 (s, 3H), 1.84 (d, J=6.6Hz, 3H), 1.75 (d, J=1.2Hz, 3H), 1.63 (s, 3H); minor isomer 8.97 (d, J=16.6Hz, 1H), 7.23 (s, 1H), 6.79 (d, J=16.6Hz, 1H), 6.41 (d, J=11.7Hz, 1H), 6.23 (dd, J=11.7, 11.0Hz, 1H), 5.90 (br d, J=9.3Hz, 1H), 5.51 (br s, 1H), 5.51 (q, J=6.6Hz, 1H)\)

FABMS m/z 752 (M + H)\(^+\)

HRFABMS calcd for C\(_{33}\)H\(_{42}\)N\(_3\)O\(_{11}\)S\(_3\) (M + H)\(^+\) 752.1981, found 752.1973

**EXAMPLE 114**

Synthesis of Compound 125

According to the procedure as described in Example 60, Compound 125 (13 mg, 91% yield) was obtained from Compound 63 (14 mg, 0.020 mmol), methanol (1.0 ml), pyridine (0.020 ml) and hydroxylamine hydrochloride (18 mg, 0.26 mmol).

IR (KBr) 3400, 2940, 1819, 1720, 1687, 1444, 1356, 1262, 1208, 1141, 1094, 837 cm\(^{-1}\)

\(\text{\(1\)}^\text{H} \text{NMR (CDCl}_3\text{, 400 MHz)} \delta \text{ppm; 8.96 (dd, J=16.6, 11.5Hz, 1H), 7.19 (s, 1H), 6.36-6.15 (m, 2H), 6.17 (dd, J=9.3, 1.5Hz, 1H), 6.10 (d, J=16.6Hz, 1H), 5.79 (br d, J=9.3Hz, 1H), 5.51 (br s, 1H), 5.51 (q, J=6.6Hz, 1H), 4.45 (br s, 1H), 3.98 (d, J=17.6Hz, 1H), 3.85-3.45 (m, 4H), 2.40-1.30 (m, 10H), 2.08 (s, 3H), 1.84 (d, J=6.6Hz, 3H), 1.75 (d, J=1.2Hz, 3H), 1.63 (s, 3H); minor isomer 8.97 (dd, J=16.6, 11.5Hz, 1H), 7.23 (s, 1H), 6.79 (d, J=16.6Hz, 1H), 6.41 (d, J=11.7Hz, 1H), 6.23 (dd, J=11.7, 11.0Hz, 1H), 5.90 (br d, J=9.3Hz, 1H), 5.51 (br s, 1H), 5.51 (q, J=6.6Hz, 1H)\)

FABMS m/z 752 (M + H)\(^+\)

HRFABMS calcd for C\(_{33}\)H\(_{42}\)N\(_3\)O\(_{11}\)S\(_3\) (M + H)\(^+\) 752.1981, found 752.1973
5.06 (dd, J=9.0, 1.2Hz, 1H), 4.54 (br s, 1H), 4.00 (d, J=17.6Hz, 1H), 3.85-3.45 (m, 4H), 2.40-1.30 (m, 10H), 2.08 (s, 3H), 1.83 (d, J=6.6Hz, 3H), 1.70 (d, J=1.2Hz, 3H), 1.62 (s, 3H)

FABMS m/z 722 (M + H)+
HRFABMS calcd for C_{32}H_{39}N_{3}O_{10}S_{3} (M + H)+ 722.1876, found 722.1881

EXAMPLE 115

Synthesis of Compound 126

[0202] According to the procedure as described in Example 18, Compound 126 (25 mg, 71% yield) was obtained from Compound 35 (30 mg, 0.048 mmol), 1-methoxy-1-cyclohexene (27 mg, 0.24 mmol) and camphorsulfonic acid (2.2 mg, 0.01 mmol).

IR (KBr) 3420, 2940, 2860, 1820, 1720, 1680, 1649, 1450, 1369, 1255, 1208, 1152, 1090, 1017, 977, 926, 769 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.52 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 7.41 (s, 1H), 6.61 (d, J=11.5Hz, 1H), 6.36 (t, J=11.5Hz, 1H), 5.99 (d, J=16.6Hz, 1H), 5.84 (br dd, J=9.8, 1.2Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.46 (dd, J=9.8, 1.4Hz, 1H), 4.05 (d, J=17.8Hz, 1H), 2.80 (dd, J=15.1, 0.7Hz, 1H), 3.75 (dd, J=15.1, 0.7Hz, 1H), 3.07 (s, 3H), 2.41-1.20 (m, 14H), 2.28 (d, J=17.8Hz, 1H), 2.15 (s, 3H), 1.97 (d, J=6.6Hz, 3H), 1.78 (s, J=1.4Hz, 3H), 1.68 (s, 3H)

FABMS m/z 735 (M + H)+
HRFABMS calcd for C_{34}H_{43}N_{2}O_{10}S_{3} (M + H)+ 735.2080, found 735.2067

EXAMPLE 116

Synthesis of Compound 127

[0203] According to the procedure as described in Example 18, Compound 127 (32 mg, 91% yield) was obtained from Compound 35 (29 mg, 0.047 mmol), 5,6-dihydro-4-methoxy-2H-thiopyran (60 mg, 0.46 mmol) and camphorsulfonic acid (8 mg, 0.034 mmol).

IR (KBr) 3400, 3090, 2930, 1820, 1710, 1678, 1642, 1609, 1427, 1376, 1248, 1207, 1147, 1094, 1030, 978, 879, 809, 768 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.49 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 7.43 (s, 1H), 6.62 (d, J=11.2Hz, 1H), 6.37 (d, J=11.5Hz, 1H), 6.00 (s, J=16.6Hz, 1H), 5.84 (dd, J=9.8, 1.0Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.43 (br s, 1H), 4.95 (dd, J=9.0, 1.2Hz, 1H), 4.04 (d, J=17.8Hz, 1H), 3.81 (d, J=15.4Hz, 1H), 3.74 (d, J=15.4Hz, 1H), 3.08 (s, 3H), 2.72-1.35 (m, 12H), 2.30 (d, J=17.6Hz, 1H), 2.14 (s, 3H), 1.96 (d, J=6.6Hz, 3H), 1.78 (s, J=1.2Hz, 3H), 1.68 (s, 3H)

FABMS m/z 753 (M + H)+
HRFABMS calcd for C_{33}H_{41}N_{2}O_{10}S_{4} (M + H)+ 753.1644, found 753.1618

EXAMPLE 117

Synthesis of Compounds 128 and 129

[0204] Compound 127 (80 mg, 0.11 mmol) was dissolved in dichloromethane (7 ml), and m-chloroperbenzoic acid (40 mg, 0.16 mmol) was gradually added thereto at 0°C. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 97/3) to obtain Compound 128 (16 mg, 20% yield) and Compound 129 (44 mg, 53% yield).

Compound 128

[0205] IR (KBr) 3450, 2936, 1817, 1710, 1680, 1643, 1608, 1450, 1362, 1263, 1205, 1095, 1035, 982, 769 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; major isomer 9.51 (ddd, J=16.6, 11.5, 0.7Hz, 1H), 7.43 (s, 1H), 6.62 (d, J=11.2Hz, 1H), 6.35 (dd, J=11.5, 11.2Hz, 1H), 6.00 (d, J=16.6Hz, 1H), 5.86 (br d, J=9.0Hz, 1H), 5.56 (q, J=6.6Hz, 1H), 5.46 (s, 1H), 4.99 (dd, J=9.0, 1.2Hz, 1H), 4.05 (d, J=17.8Hz, 1H), 3.82 (dd, J=15.4, 1.0Hz, 1H), 3.73 (d, J=15.4Hz, 1H), 3.13 (s, 3H), 2.92-1.35 (m, 12H), 2.31 (d, J=17.8Hz, 1H), 2.14 (s, 3H), 1.97 (d, J=6.6Hz, 3H), 1.79 (d, J=1.2Hz, 3H), 1.68 (s, 3H); minor isomer 9.45 (ddd, J=16.6, 11.5, 0.7Hz, 1H), 7.46 (s, 1H), 6.65 (d, J=11.2Hz, 1H), 6.35 (dd, J=11.5, 11.2Hz, 1H), 6.02 (d, J=16.6Hz, 1H), 5.85 (br d, J=9.0Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.40 (s, 1H), 4.97 (dd, J=9.0, 1.2Hz, 1H), 4.05 (d, J=17.8Hz, 1H), 3.81 (dd, J=15.4, 0.7Hz, 1H), 3.74 (d, J=15.4Hz, 1H), 3.17 (s, 3H), 2.92-1.35 (m, 12H), 2.29 (d, J=17.8Hz, 1H), 2.14 (s, 3H), 1.95 (d, J=6.6Hz, 3H), 1.80 (d, J=1.2Hz, 3H), 1.67 (s, 3H)

FABMS m/z 769 (M + H)+.
Compound 129

**[0206]** IR (KBr) 3420, 2944, 1819, 1720, 1645, 1608, 1450, 1290, 1267, 1205, 1095, 1032, 978, 851 cm⁻¹

**[0206]** 1H NMR (CDCl₃, 400 MHz) δ ppm: 9.46 (ddd, J=16.6, 11.5, 0.8 Hz, 1H), 7.46 (s, 1H), 6.66 (d, J=11.5 Hz, 1H), 6.37 (t, J=11.5 Hz, 1H), 6.01 (d, J=16.6 Hz, 1H), 5.86 (br dd, J=9.5, 1.0 Hz, 1H), 5.57 (q, J=6.4 Hz, 1H), 5.38 (br s, 1H), 4.95 (dd, J=9.5, 1.2 Hz, 1H), 4.05 (d, J=17.6 Hz, 1H), 3.83 (d, J=15.3 Hz, 1H), 3.73 (d, J=15.3 Hz, 1H), 3.15-2.80 (m, 4H), 2.45-1.40 (m, 8H), 2.31 (d, J=17.6 Hz, 1H), 2.15 (s, 3H), 1.94 (d, J=6.4 Hz, 3H), 1.79 (d, J=1.2 Hz, 3H), 1.68 (s, 3H)

FABMS m/z 785 (M + H)⁺

**[0206]** HRFABMS calcd for C₃₃H₄₁N₂O₁₁S₄ (M + H)⁺ 769.1593, found 769.1584

**EXAMPLE 118**

Synthesis of Compound 130

**[0207]** According to the procedure as described in Example 18, Compound 130 (37 mg, 71% yield) was obtained from Compound 35 (40 mg, 0.064 mmol), 1-ethoxycarbonyl-4-methoxy-1,2,5,6-tetrahydropyridine (59 mg, 0.32 mmol) and camphorsulfonic acid (7.4 mg, 0.032 mmol).

IR (KBr) 3420, 2936, 1821, 1667, 1640, 1440, 1354, 1241, 1208, 1143, 1105, 1019, 976, 769 cm⁻¹

**[0207]** 1H NMR (CDCl₃, 400 MHz) δ ppm: 9.50 (dd, J=16.4, 11.5 Hz, 1H), 7.42 (s, 1H), 6.62 (d, J=11.5 Hz, 1H), 6.36 (t, J=11.5 Hz, 1H), 5.99 (d, J=16.6 Hz, 1H), 5.85 (br d, J=9.7 Hz, 1H), 5.56 (q, J=6.6 Hz, 1H), 5.43 (br s, 1H), 4.98 (dd, J=9.7, 1.3 Hz, 1H), 4.08 (q, J=7.0 Hz, 2H), 4.04 (d, J=17.8 Hz, 1H), 3.81 (d, J=15.4 Hz, 1H), 3.74 (d, J=15.4 Hz, 1H), 3.61-3.50 (m, 2H), 3.27 (m, 2H), 3.11 (s, 3H), 2.44-1.35 (m, 8H), 2.29 (d, J=17.8 Hz, 1H), 2.14 (s, 3H), 1.94 (d, J=6.6 Hz, 3H), 1.78 (d, J=1.3 Hz, 3H), 1.68 (s, 3H), 1.22 (t, J=7.0 Hz, 3H)

**[0207]** FABMS m/z 808 (M + H)⁺

**[0207]** HRFABMS calcd for C₃₆H₄₆N₂O₁₂S₃ (M + H)⁺ 808.2243, found 808.2223

**EXAMPLE 119**

Synthesis of Compound 131

**[0208]** According to the procedure as described in Example 18, Compound 131 (20 mg, 31% yield) was obtained from Compound 35 (50 mg, 0.080 mmol), 1-phenyl-4-methoxy-1,2,5,6-tetrahydropyridine (150 mg, 0.80 mmol) and trifluoroacetic acid (0.055 mg, 0.70 mmol).

IR (KBr) 3400, 2936, 1821, 1720, 1648, 1598, 1496, 1451, 1339, 1260, 1092, 1031, 977, 760 cm⁻¹

**[0208]** 1H NMR (CDCl₃, 400 MHz) δ ppm: 9.52 (dd, J=16.5, 11.5 Hz, 1H), 7.41 (s, 1H), 7.25-7.18 (m, 2H), 6.89-6.76 (m, 3H), 6.61 (d, J=11.5 Hz, 1H), 6.34 (t, J=11.5 Hz, 1H), 6.00 (d, J=16.6 Hz, 1H), 5.87 (br d, J=9.8 Hz, 1H), 5.57 (q, J=6.6 Hz, 1H), 5.45 (br s, 1H), 5.02 (dd, J=9.8, 1.2 Hz, 1H), 4.05 (d, J=17.8 Hz, 1H), 3.81 (d, J=15.2 Hz, 1H), 3.74 (d, J=15.2 Hz, 1H), 3.30-2.93 (m, 4H), 3.14 (s, 3H), 2.43-1.40 (m, 8H), 2.15 (s, 3H), 1.96 (d, J=6.6 Hz, 3H), 1.80 (d, J=1.2 Hz, 3H), 1.68 (s, 3H)

**[0208]** FABMS m/z 812 (M + H)⁺

**[0208]** HRFABMS calcd for C₃₉H₄₅N₃O₁₀S₃ (M + H)⁺ 812.2345, found 812.2333

**EXAMPLE 120**

Synthesis of Compound 132

**[0209]** DC107 (110 mg, 0.22 mmol) was dissolved in acetonitrile (10 ml), and p-nitrobenzyl bromide (140 mg, 0.64 mmol) and potassium carbonate (150 mg, 1.1 mmol) were added thereto, followed by stirring at room temperature for 14 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 97/3) to obtain Compound 132 (90 mg, 65% yield).

**[0209]** 1H NMR (CDCl₃, 400 MHz) δ ppm: 8.44 (ddd, J=16.3, 11.5, 1.0 Hz, 1H), 8.15 (br d, J=8.8 Hz, 2H), 7.45 (br d, J=8.8 Hz, 2H), 7.34 (s, 1H), 6.58 (d, J=11.5 Hz, 1H), 6.23 (t, J=11.5 Hz, 1H), 6.17 (d, J=16.3 Hz, 1H), 5.72 (br d, J=9.0 Hz, 1H), 5.47 (br s, 1H), 5.40 (q, J=6.8 Hz, 1H), 4.94 (dd, J=9.0, 3.7 Hz, 1H), 4.12-4.03 (m, 2H), 3.88 (d, J=17.6 Hz, 1H), 3.75 (br d, J=3.7 Hz, 1H), 2.18 (d, J=17.6 Hz, 1H), 2.35-1.85 (m, 4H), 2.01 (d, J=6.8 Hz, 3H), 1.76 (s, 3H), 1.74 (d, J=1.2 Hz, 3H)
EXAMPLE 121

Synthesis of Compound 133

According to the procedure as described in Example 18, Compound 133 (49 mg, 74% yield) was obtained from Compound 132 (56 mg, 0.087 mmol), 5,6-dihydro-4-methoxy-2H-pyran (0.029 ml, 0.26 mmol) and camphorsulfonic acid (10 mg, 0.044 mmol).

IR (KBr) 3400, 3088, 2938, 2870, 1720, 1680, 1640, 1519, 1491, 1453, 1345, 1260, 1140, 1100, 988, 840, 810, 730 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) \(\delta\) ppm; 9.52 (ddd, \(J=16.6, 11.5, 1.0\) Hz, 1H), 8.16 (br d, \(J=8.8\) Hz, 2H), 7.46 (br d, \(J=8.8\) Hz, 2H), 7.42 (s, 1H), 6.62 (d, \(J=11.5\) Hz, 1H), 6.36 (dd, \(J=11.5\) Hz, 1H), 6.00 (d, \(J=16.6\) Hz, 1H), 5.94 (br d, \(J=9.8\) Hz, 1H), 5.56 (q, \(J=6.3\) Hz, 1H), 5.46 (br s, 1H), 4.97 (dd, \(J=9.8, 1.5\) Hz, 1H), 4.08 (br s, 2H), 4.03 (d, \(J=9.8\) Hz, 1H), 3.70-3.48 (m, 4H), 3.10 (s, 3H), 2.41-1.60 (m, 8H), 2.19 (d, \(J=17.6\) Hz, 1H), 1.94 (d, \(J=6.8\) Hz, 3H), 1.77 (d, \(J=1.2\) Hz, 3H), 1.67 (s, 3H)

FABMS m/z 760 (M + H)\(^+\)

HRFABMS calcd for C\(_{35}\)H\(_{42}\)N\(_2\)O\(_8\)S\(_3\) (M + H)\(^+\) 760.2032, found 760.2033

EXAMPLE 122

Synthesis of Compound 134

DC107 (100 mg, 0.20 mmol) was dissolved in acetonitrile (10 ml), and p-acetoxybenzyl chloride (110 mg, 0.59 mmol), potassium carbonate (150 mg, 1.1 mmol) and potassium iodide (17 mg, 0.10 mmol) were added thereto, followed by stirring at room temperature for 12 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 97/3) to obtain Compound 134 (71 mg, 54% yield).

IR (KBr) 3420, 2930, 1760, 1720, 1670, 1610, 1505, 1460, 1370, 1260, 1192, 1052, 985, 913, 731 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 400 MHz) \(\delta\) ppm; 8.45 (ddd, \(J=16.3, 11.0, 1.0\) Hz, 1H), 7.27 (s, 1H), 7.28-7.25 (m, 2H), 7.05-6.95 (m, 2H), 6.58 (d, \(J=11.7\) Hz, 1H), 6.23 (dd, \(J=11.7, 11.0\) Hz, 1H), 6.17 (d, \(J=16.3\) Hz, 1H), 5.71 (br d, \(J=8.6\) Hz, 1H), 5.41 (br s, 1H), 5.40 (q, \(J=6.8\) Hz, 1H), 4.94 (dd, \(J=8.6, 3.7\) Hz, 1H), 4.01 (br s, 2H), 3.90 (d, \(J=17.8\) Hz, 1H), 3.72 (d, \(J=11.4\) Hz, 1H), 2.28 (s, 3H), 2.35-1.85 (m, 4H), 2.21 (d, \(J=17.8\) Hz, 1H), 2.01 (d, \(J=6.8\) Hz, 3H), 1.78 (s, 3H), 1.73 (d, \(J=1.2\) Hz, 3H)

FABMS m/z 659 (M + H)\(^+\)

HRFABMS calcd for C\(_{35}\)H\(_{35}\)N\(_2\)O\(_8\)S\(_3\) (M + H)\(^+\) 659.1553, found 659.1553

EXAMPLE 123

Synthesis of Compound 135

Compound 134 (23 mg, 0.035 mmol) obtained in Example 122 was dissolved in dichloromethane (4.0 ml), and 3,4-dihydro-2H-pyran (0.10 ml) and camphorsulfonic acid (3 mg) were added thereto, followed by stirring at 20° C for 15 minutes. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 30/1) to obtain Compound 135 (10 mg, 38% yield). From \(^1\)H NMR, Compound 135 was found to be a mixture of diastereomers at a ratio of about 1:1.

IR (KBr) 2922, 1763, 1718, 1676, 1655, 1610, 1508, 1371, 1259, 1203, 1117, 1018, 980 cm\(^{-1}\)

\(^1\)H NMR (CDCl\(_3\), 270 MHz) \(\delta\) ppm; 9.59 (dd, \(J=11.6, 16.1\) Hz) and 9.40 (dd, \(J=11.4, 16.3\) Hz) (total 1H), 7.29 (m, 2H), 7.40 (s) and 7.39 (s) (total 1H), 6.61 (d, \(J=11.4\) Hz) and 6.60 (d, \(J=11.4\) Hz) (total 1H), 7.02 (m, 2H), 6.36 (t, \(J=11.4\) Hz) and 6.34 (t, \(J=11.4\) Hz) (total 1H), 6.04 (d, \(J=16.8\) Hz) and 6.00 (d, \(J=16.3\) Hz) (total 1H), 5.82 (br d, \(J=9.4\) Hz) and 5.79 (br d, \(J=9.4\) Hz) (total 1H), 5.58 (q, \(J=6.9\) Hz) and 5.56 (q, \(J=6.9\) Hz) (total 1H), 5.46 (br s) and 5.43 (br s) (total 1H), 5.02 (d, \(J=9.4\) Hz) and 4.74 (d, \(J=9.4\) Hz) (total 1H), 4.71 (br s) and 4.57 (br s) (total 1H), 4.04 (d, \(J=17.8\) Hz) and 4.03 (d, \(J=17.8\) Hz) (total 1H), 4.01 (s, 2H), 3.9-3.3 (m, 2H), 2.5-1.3 (m, 10H), 2.33 (s, 3H), 2.21 (d, \(J=17.8\) Hz, 1H), 1.93 (d, \(J=6.9\) Hz) and 1.87 (d, \(J=6.9\) Hz) (total 3H), 1.76 (d, \(J=1.0\) Hz) and 1.72 (d, \(J=1.0\) Hz) (total 3H), 1.69 (s, 3H)

FABMS m/z 743 (M + H)\(^+\)
EXAMPLE 124
Synthesis of Compound 136

According to the procedure as described in Example 18, Compound 136 (48 mg, 82% yield) was obtained from Compound 134 (50 mg, 0.076 mmol), 5,6-dihydro-4-methoxy-2H-pyran (0.042 ml, 0.38 mmol) and camphorsulfonic acid (8.8 mg, 0.038 mmol).

IR (KBr) 3400, 2970, 1760, 1720, 1680, 1610, 1510, 1450, 1370, 1260, 1190, 1160, 1100, 1005, 910 cm⁻¹

1 H NMR (CDCl₃, 400 MHz) δ ppm: 9.45 (dd, J=16.6, 11.5Hz, 1H), 7.42 (s, 1H), 7.32-7.26 (m, 2H), 7.06-6.97 (m, 2H), 6.62 (d, J=11.5Hz, 1H), 6.36 (t, J=11.5Hz, 1H), 6.00 (d, J=16.0Hz, 1H), 5.84 (br d, J=9.5Hz, 1H), 5.56 (q, J=6.3Hz, 1H), 5.37 (br s, 1H), 4.97 (dd, J=9.5, 1.2Hz, 1H), 4.06-3.95 (m, 2H), 4.03 (d, J=17.6Hz, 1H), 3.70-3.46 (m, 4H), 3.10 (s, 3H), 2.36-1.25 (m, 8H), 2.28 (s, 3H), 2.21 (d, J=17.6Hz, 1H), 1.94 (d, J=6.3Hz, 3H), 1.77 (d, J=1.3Hz, 3H), 1.67 (s, 3H)

FABMS m/z 773 (M + H)⁺

HRFABMS calcd for C₃₇H₄₅N₂O₁₀S₃ (M + H)⁺ 773.2236, found 773.2211

EXAMPLE 125
Synthesis of Compound 137

Compound 134 (185 mg, 0.281 mmol) produced in Example 122 was dissolved in methanol (20 ml), and hydrochloric acid (2M, 6.0 ml) was added thereto, followed by stirring at 20°C for 4 hours. A phosphoric acid buffer (pH 7) was added to the reaction mixture and the whole mixture was extracted with chloroform. After subjecting the mixture to the usual post-treatment, the mixture was purified by fractional HPLC (acetonitrile/water = 45/5) to obtain Compound 137 (57 mg, 33% yield).

IR (KBr) 2933, 1734, 1701, 1670, 1612, 1516, 1267, 1099, 991 cm⁻¹

1 H NMR (CDCl₃, 270 MHz) δ ppm: 8.06 (dd, J=11.1, 16.2Hz, 1H), 7.27 (s, 1H), 7.16 (d, J=8.4Hz, 2H), 6.70 (d, J=8.4Hz, 1H), 6.61 (d, J=11.9Hz, 1H), 6.25 (t, J=11.4Hz, 1H), 6.2-6.1 (br, 1H), 6.18 (d, J=16.2Hz, 1H), 5.39 (br d, J=9.0Hz, 1H), 5.36 (q, J=6.9Hz, 1H), 4.88 (dd, J=3.2, 9.0Hz, 1H), 4.7 (br s, 1H), 4.18 (br s, 1H), 4.04 (d, J=13.7Hz, 1H), 4.00 (d, J=17.6Hz, 1H), 3.76 (br d, J=17.6Hz, 1H), 2.17 (d, J=6.9Hz, 3H), 2.0-1.5 (m, 4H), 1.76 (s, 3H), 1.62 (d, J=1.0Hz, 3H)

FABMS m/z 617 (M + H)⁺

EXAMPLE 126
Synthesis of Compound 138

DC107 (57 mg, 0.11 mmol) was dissolved in acetonitrile (6 ml), and p-(N,N-dimethylcarbamoyloxy)benzyl chloride (48 mg, 0.23 mmol), potassium carbonate (31 mg, 0.23 mmol) and potassium iodide (9 mg, 0.057 mmol) were added thereto, followed by stirring at room temperature for 15 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 97/3) to obtain Compound 138 (22 mg, 54% yield).

1 H NMR (CDCl₃, 400 MHz) δ ppm: 8.45 (ddd, J=16.4, 11.5, 1.0Hz, 1H), 7.33 (s, 1H), 7.28-7.23 (m, 2H), 7.04-6.98 (m, 2H), 6.58 (d, J=11.5Hz, 1H), 6.23 (t, J=11.5Hz, 1H), 6.17 (d, J=6.3Hz, 1H), 5.72 (br d, J=8.8Hz, 1H), 5.40 (q, J=6.8Hz, 1H), 5.39 (br s, 1H), 4.93 (dd, J=8.8, 3.9Hz, 1H), 4.00 (br s, 2H), 3.90 (d, J=17.6Hz, 1H), 3.72 (d, J=3.9Hz, 1H), 3.08 (s, 3H), 2.99 (s, 3H), 2.33-1.70 (m, 4H), 2.22 (d, J=17.6Hz, 1H), 2.01 (d, J=6.8Hz, 3H), 1.77 (s, 3H), 1.73 (d, J=1.2Hz, 3H)

FABMS m/z 688 (M + H)⁺

HRFABMS calcd for C₃₂H₃₈N₃O₈S₃ (M + H)⁺ 688.1821, found 688.1814

EXAMPLE 127
Synthesis of Compound 139

According to the procedure as described in Example 18, Compound 139 (20 mg, 84% yield) was obtained from Compound 138 (20 mg, 0.029 mmol), 5,6-dihydro-4-methoxy-2H-pyran (0.016 ml, 0.15 mmol) and camphorsulfonic acid (3.4 mg, 0.015 mmol).

IR (KBr) 3400, 3092, 2938, 1760, 1643, 1609, 1445, 1386, 1210, 1175, 910, 753 cm⁻¹

1 H NMR (CDCl₃, 400 MHz) δ ppm: 9.54, (dd, J=16.3, 11.5Hz, 1H), 7.42 (s, 1H), 7.30-7.23 (m, 2H), 7.04-6.98 (m, 2H), 6.48 (d, J=11.5Hz, 1H), 6.23 (t, J=11.5Hz, 1H), 6.17 (d, J=6.3Hz, 1H), 5.72 (br d, J=8.8Hz, 1H), 5.40 (q, J=6.8Hz, 1H), 5.39 (br s, 1H), 4.93 (dd, J=8.8, 3.9Hz, 1H), 4.00 (br s, 2H), 3.90 (d, J=17.6Hz, 1H), 3.72 (d, J=3.9Hz, 1H), 3.08 (s, 3H), 2.99 (s, 3H), 2.33-1.70 (m, 4H), 2.22 (d, J=17.6Hz, 1H), 2.01 (d, J=6.8Hz, 3H), 1.77 (s, 3H), 1.73 (d, J=1.2Hz, 3H)

FABMS m/z 773 (M + H)⁺
2H), 6.62 (d, J=11.5Hz, 1H), 6.36 (t, J=11.5Hz, 1H), 6.00 (d, J=16.6Hz, 1H), 5.85 (br d, J=9.8Hz, 1H), 5.56 (q, J=6.6Hz, 1H), 5.39 (br s, 1H), 4.97 (dd, J=9.8, 15.0Hz, 1H), 4.05 (d, J=17.8Hz, 1H), 3.70-3.48 (m, 4H), 3.10 (s, 3H), 2.39-1.20 (m, 8H), 2.24 (d, J=17.8Hz, 1H), 1.94 (d, J=6.6Hz, 3H), 1.78 (d, J=12.0Hz, 3H), 1.68 (s, 3H)

FABMS m/z 802 (M + H)+

HRFABMS calcd for C_{38}H_{48}N_{3}O_{10}S_{3} (M + H)+ 802.2502, found 802.2472

EXAMPLE 128

Synthesis of Compound 140

[0217] DC107 (26 mg, 0.051 mmol) was dissolved in dimethylformamide (0.50 ml), and 4-(chloromethyl)phenyl N-acetylhexahydroisonicotinate [a total amount of 4-(hydroxymethyl)phenyl N-acetylhexahydroisonicotinate (54 mg, 0.19 mmol) treated with thionyl chloride (0.50 ml), N,N-diisopropylethylamine (0.10 ml) and potassium iodide (26 mg, 0.16 mmol) were added thereto, followed by stirring at 20°C for 8 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 20/1) to obtain Compound 140 (9.3 mg, 24% yield).

IR (KBr) 2933, 1751, 1718, 1655, 1618, 1508, 1458, 1273, 1167 cm⁻¹

1H NMR (CDCl₃, 270 MHz) δ ppm; 8.44 (dd, J=11.4, 16.8Hz, 1H), 7.35 (s, 1H), 7.28 (d, J=8.4Hz, 2H), 6.98 (d, J=8.4Hz, 2H), 6.59 (d, J=11.4Hz, 1H), 6.25 (t, J=11.4Hz, 1H), 6.17 (d, J=16.8Hz, 1H), 5.71 (d, J=8.7Hz, 1H), 5.44 (br s, 1H), 5.40 (q, J=6.9Hz, 1H), 4.94 (dd, J=3.0, 8.7Hz, 1H), 4.46 (br d, J=13.9Hz, 1H), 4.01 (s, 2H), 3.90 (d, J=17.8Hz, 1H), 3.79 (d, J=13.9Hz, 1H), 3.76 (br d, J=3.0Hz, 1H), 3.21 (ddd, J=3.0, 10.9, 13.9Hz, 1H), 2.9-2.7 (m, 1H), 2.89 (ddd, J=3.0, 10.9, 13.9Hz, 1H), 2.4-1.7 (m, 8H), 2.19 (d, J=17.8Hz, 1H), 2.12 (d, J=6.9Hz, 3H), 2.01 (d, J=6.9Hz, 3H), 1.73 (s, 3H)

FABMS m/z 770 (M + H)+

EXAMPLE 129

Synthesis of Compound 141

[0218] According to the procedure as described in Example 18, Compound 140 (20 mg, 0.026 mmol) was dissolved in dichloromethane (2.0 ml), and 3,4-dihydro-2H-pyran (0.050 ml) and camphorsulfonic acid (10 mg) were added thereto, followed by stirring at 20°C for 5 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 20/1) to obtain Compound 141 (20 mg, 89% yield). From 1H NMR, Compound 141 was found to be a mixture of diastereomers at a ratio of about 1:1.

IR (KBr) 1751, 1718, 1676, 1653, 1618, 1508, 1450, 1273, 1203, 1167, 1151, 1132, 1028, 985 cm⁻¹

1H NMR (CDCl₃, 270 MHz) δ ppm; 9.60 (dd, J=11.4, 16.8Hz) and 9.40 (dd, J=11.4, 16.8Hz) (total 1H), 7.40 (s) and 7.39 (s) (total 1H), 7.30 (d, J=8.4Hz, 2H), 7.00 (d, J=8.4Hz, 2H), 6.61 (br d, J=8.4Hz, 2H), 6.37 (t, J=11.7Hz, 1H), 6.04 (d, J=16.8Hz, 1H), 6.00 (d, J=16.8Hz, 1H), 5.82 (br d, J=ca. 10Hz) and 5.79 (br d, J=ca. 10Hz) (total 1H), 5.58 (q, J=6.4Hz) and 5.56 (q, J=6.4Hz) (total 1H), 5.48 (s) and 5.45 (s) (total 1H), 5.02 (d, J=ca. 10Hz) and 4.74 (br d, J=ca. 10Hz) (total 1H), 4.70 (br s) and 4.56 (br s) (total 1H), 4.03 (d, J=17.6Hz) and 4.02 (d, J=17.6Hz) (total 1H), 4.02 (s, 2H), 3.9-3.4 (m, 2H), 3.85 (br d, J=14.8Hz, 1H), 3.21 (br t, J=11.4Hz, 1H), 2.89 (br t, J=11.4Hz, 1H), 2.80 (m, 1H), 2.4-1.4 (m, 14H), 2.21 (d, J=17.6Hz) and 2.20 (d, J=17.6Hz) (total 1H), 2.12 (s, 3H), 1.93 (d, J=6.4Hz) and 1.87 (d, J=6.4Hz) (total 3H), 1.76 (s) and 1.73 (s) (total 3H), 1.69 (s, 3H)

FABMS m/z 877 (M + Na)+

EXAMPLE 130

Synthesis of Compound 142

[0219] According to the procedure as described in Example 18, Compound 140 (10 mg, 0.013 mmol) was dissolved in dichloromethane (1.0 ml), and camphorsulfonic acid (10 mg) were added thereto, followed by stirring at 0°C for 0.5 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 20/1) to obtain Compound 142 (11 mg, 100% yield).

IR (KBr) 2930, 1750, 1716, 1676, 1647, 1618, 1508, 1450, 1271, 1167, 1144, 1109, 985 cm⁻¹

1H NMR (CDCl₃, 270 MHz) δ ppm; 9.56 (dd, J=11.4, 16.8Hz, 1H), 7.43 (s, 1H), 7.29 (d, J=8.7Hz, 2H), 6.99 (d, J=8.7Hz, 2H), 6.63 (d, J=11.9Hz, 1H), 6.37 (t, J=11.7Hz, 1H), 6.01 (d, J=16.8Hz, 1H), 5.85 (d, J=9.7Hz, 1H), 5.56 (q,
J=6.7Hz, 1H), 5.43 (s, 1H), 4.97 (br d, J=13.9Hz, 1H), 4.47 (br d, J=13.9Hz, 1H), 4.05 (d, J=17.8Hz, 1H), 4.01 (s, 2H), 3.85 (br d, J=13.9Hz, 1H), 3.7-3.5 (m, 4H), 3.21 (ddd, J=2.5y 11.4, 13.9Hz, 1H), 3.10 (s, 3H), 2.89 (ddd, J=2.5, 11.4, 13.9Hz, 1H), 2.79 (m, 1H), 2.4-1.6 (m, 12H), 2.23 (d, J=17.8Hz, 1H), 2.12 (s, 3H), 1.94 (d, J=6.7Hz, 3H), 1.77 (d, J=1.0Hz, 3H), 1.68 (s, 3H)

FABMS m/z 852 [(M-CH$_3$O) + H]$^+$

EXAMPLE 131

Synthesis of Compound 143

[0220] According to the procedure as described in Example 45, Compound 143 (32 mg, 74% yield) was obtained from Compound 35 (37 mg, 0.059 mmol), picolinic acid (22 mg, 0.18 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (35 mg, 0.18 mmol), dichloromethane (3 ml) and 4-dimethylaminopyridine (7 mg, 0.059 mmol).

IR (KBr) 3420, 3104, 2930, 1820, 1720, 1680, 1649, 1610, 1439, 1380, 1205, 1190, 970, 863, 747 cm$^{-1}$

$^1$H NMR (CDCl$_3$, 400 MHz) δ ppm; 9.19 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 8.68 (m, 1H), 8.04 (m, 1H), 7.73 (m, 1H), 7.42 (m, 1H), 6.62 (d, J=11.5Hz, 1H), 6.34 (t, J=11.5Hz, 1H), 6.12 (dd, J=16.6, 1.0Hz, 1H), 6.07 (dd, J=9.5, 1.0Hz, 1H), 5.88 (br d, J=9.5Hz, 1H), 5.46 (q, J=6.6Hz, 1H), 5.42 (br s, 1H), 3.99 (d, J=17.8Hz, 1H), 3.82-3.74 (m, 2H), 2.48-1.52 (m, 4H), 2.27 (d, J=17.8Hz, 1H), 2.15 (s, 3H), 1.91 (br s, 3H), 1.72 (s, 3H), 1.71 (d, J=6.6Hz, 3H)

FABMS m/z 728 (M + H)$^+$

HRFABMS calcd for C$_{33}$H$_{34}$N$_3$O$_{10}$S$_3$ (M + H)$^+$ 728.1406, found 728.1408

EXAMPLE 132

Synthesis of Compound 144

[0221] According to the procedure as described in Example 45, Compound 144 (26 mg, 56% yield) was obtained from Compound 35 (40 mg, 0.064 mmol), nicotinic acid (23 mg, 0.19 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (37 mg, 0.19 mmol), dichloromethane (3 ml) and 4-dimethylaminopyridine (8 mg, 0.064 mmol).

IR (KBr) 3400, 3200, 2932, 1820, 1720, 1680, 1649, 1610, 1589, 1422, 1380, 1270, 1208, 1100, 971, 863, 768, 740, 701 cm$^{-1}$

$^1$H NMR (CDCl$_3$, 400 MHz) δ ppm; 9.35 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 9.17 (m, 1H), 8.73 (d, J=4.9Hz, 1H), 8.26 (ddd, J=7.8, 2.2, 1.7Hz, 1H), 7.45 (s, 1H), 7.34 (ddd, J=7.8, 4.9, 1.0Hz, 1H), 6.65 (d, J=11.5Hz, 1H), 6.36 (t, J=11.5Hz, 1H), 6.10 (d, J=16.6Hz, 1H), 6.09 (dd, J=9.5, 1.0Hz, 1H), 5.92 (br d, J=9.5Hz, 1H), 5.47 (q, J=6.6Hz, 1H), 5.44 (br s, 1H), 4.01 (d, J=17.6Hz, 1H), 3.78 (br s, 2H), 2.50-1.50 (m, 4H), 2.28 (d, J=17.6Hz, 1H), 2.14 (s, 3H), 1.90 (d, J=1.2Hz, 3H), 1.7 (s, 3H), 1.66 (d, J=6.6Hz, 3H)

FABMS m/z 728 (M + H)$^+$

HRFABMS calcd for C$_{33}$H$_{34}$N$_3$O$_{10}$S$_3$ (M + H)$^+$ 728.1406, found 728.1414

EXAMPLE 133

Synthesis of Compound 145

[0222] According to the procedure as described in Example 45, Compound 145 (26 mg, 54% yield) was obtained from Compound 35 (42 mg, 0.067 mmol), 2-pyrazinecarboxylic acid (25 mg, 0.20 mmol), 1-ethyl-3-(3-dimethylamino)propyl)carbodiimide hydrochloride (39 mg, 0.20 mmol), dichloromethane (8 ml) and 4-dimethylaminopyridine (1.7 mg, 0.013 mmol).

IR (KBr) 3420, 2930, 1819, 1717, 1678, 1609, 1448, 1395, 1374, 1270, 1208, 1133, 1047, 1017, 978, 864, 769, 740, 701 cm$^{-1}$

$^1$H NMR (CDCl$_3$, 400 MHz) δ ppm; 9.25 (d, J=1.5Hz, 1H), 9.23 (ddd, J=16.6, 11.2, 1.0Hz, 1H), 8.72 (d, J=2.7Hz, 1H), 8.69 (d, J=2.7, 1.5Hz, 1H), 7.44 (s, 1H), 6.65 (d, J=11.5Hz, 1H), 6.35 (dd, J=11.5, 11.2Hz, 1H), 6.14 (dd, J=16.6, 1.0Hz, 1H), 6.13 (d, J=9.5Hz, 1H), 5.93 (br d, J=9.5Hz, 1H), 5.49 (q, J=6.6Hz, 1H), 5.40 (br s, 1H), 4.02 (d, J=17.5Hz, 1H), 3.79 (s, 2H), 2.50-1.50 (m, 4H), 2.29 (d, J=17.5Hz, 1H), 2.16 (s, 3H), 1.92 (d, J=1.0Hz, 3H), 1.74 (d, J=6.0Hz, 3H), 1.74 (s, 3H)

FABMS m/z 729 (M + H)$^+$

HRFABMS calcd for C$_{32}$H$_{33}$N$_3$O$_{10}$S$_3$ (M + H)$^+$ 729.1359, found 729.1377
Example 134

Synthesis of Compound 146

Example 134

Example 135

Synthesis of Compound 147

Example 135

Example 136

Synthesis of Compound 148

Example 136

Example 137

Synthesis of Compound 149

Example 137

Example 138

Synthesis of Compound 150

Example 138

Example 139

Synthesis of Compound 151

Example 139

Example 140

Synthesis of Compound 152

Example 140

Example 141

Synthesis of Compound 153

Example 141

Example 142

Synthesis of Compound 154

Example 142

Example 143

Synthesis of Compound 155

Example 143

Example 144

Synthesis of Compound 156

Example 144

Example 145

Synthesis of Compound 157

Example 145

Example 146

Synthesis of Compound 158

Example 146
EXAMPLE 138
Synthesis of Compound 150

[0227] Compound 35 (50 mg, 0.080 mmol) and succinic anhydride (32 mg, 0.32 mmol) were dissolved in dichloromethane (4 ml), and 4-dimethylaminopyridine (10 mg, 0.080 mmol) was added thereto, followed by stirring at room temperature for 10 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 150 (35 mg, 61% yield).

IR (KBr) 3400, 2936, 1820, 1735, 1670, 1609, 1372, 979, 755 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.18 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 7.42 (s, 1H), 6.62 (d, J=11.5Hz, 1H), 6.26 (t, J=11.5Hz, 1H), 6.03 (d, J=16.6Hz, 1H), 5.57 (br d, J=9.3Hz, 1H), 5.73 (dd, J=9.3, 1.0Hz, 1H), 5.57 (q, J=6.8Hz, 1H), 5.42 (br s, 1H), 4.03 (d, J=17.6Hz, 1H), 3.78 (br s, 2H), 3.63 (s, 3H), 3.35 (br s, 2H), 2.47-1.45 (m, 4H), 2.31 (d, J=17.6Hz, 1H), 2.14 (s, 3H), 1.88 (d, J=6.6Hz, 3H), 1.79 (d, J=1.0Hz, 3H), 1.71 (s, 3H)

FABMS m/z 723 (M + H)⁺
HRFABMS calcd for C₃₁H₃₅N₂O₁₂S₃ (M + H)⁺ 723.1352, found 723.1378

EXAMPLE 139
Synthesis of Compound 151

[0228] Compound 35 (35 mg, 0.056 mmol) was dissolved in dichloromethane (3 ml), and pyridine (0.20 ml, 0.28 mmol) and methylmalonyl chloride (0.009 ml, 0.084 mmol) were added thereto, followed by stirring at room temperature for 50 minutes. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 97/3) to obtain Compound 151 (12 mg, 29% yield).

IR (KBr) 3420, 2934, 1814, 1720, 1680, 1648, 1609, 1438, 1260, 1204, 977, 770 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.18 (dd, J=16.6, 11.5Hz, 1H), 7.42 (s, 1H), 6.62 (d, J=11.5Hz, 1H), 6.26 (t, J=11.5Hz, 1H), 6.03 (d, J=16.6Hz, 1H), 5.57 (br d, J=9.3Hz, 1H), 5.73 (dd, J=9.3, 1.0Hz, 1H), 5.57 (q, J=6.8Hz, 1H), 5.42 (br s, 1H), 4.03 (d, J=17.6Hz, 1H), 3.78 (br s, 2H), 3.63 (s, 3H), 3.35 (br s, 2H), 2.47-1.45 (m, 4H), 2.31 (d, J=17.6Hz, 1H), 2.14 (s, 3H), 1.88 (d, J=6.6Hz, 3H), 1.79 (d, J=1.0Hz, 3H), 1.71 (s, 3H)

FABMS m/z 723 (M + H)⁺
HRFABMS calcd for C₃₁H₃₅N₂O₁₂S₃ (M + H)⁺ 723.1352, found 723.1378

EXAMPLE 140
Synthesis of Compound 152

[0229] According to the procedure as described in Example 45, tert-butyl ester of Compound 152 (30 mg, 41% yield) was obtained from Compound 35 (60 mg, 0.096 mmol), mono-tert-butyl malonate (77 mg, 0.48 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (92 mg, 0.48 mmol), dichloromethane (3 ml) and 4-dimethylaminopyridine (12 mg, 0.096 mmol).

[0230] The obtained tert-butyl ester (26 mg, 0.034 mmol) was dissolved in dichloromethane (4 ml), and trifluoroacetic acid (0.5 ml) was added thereto, followed by stirring at room temperature for 40 minutes. After condensing the reaction mixture, the mixture was purified by thin layer chromatography (developed with ether/methanol = 9/1) to obtain Compound 152 (7.5 mg, 31% yield).

IR (KBr) 3420, 2930, 1819, 1720, 1680, 1609, 1380, 1260, 1204, 977, 770 cm⁻¹

1H NMR (CDCl₃ + CD₂OD, 400 MHz) δ ppm; 8.99 (dd, J=16.6, 11.5Hz, 1H), 7.42 (s, 1H), 6.61 (d, J=11.5Hz, 1H), 6.26 (t, J=11.5Hz, 1H), 6.00 (d, J=16.6Hz, 1H), 5.75 (br d, J=9.5Hz, 1H), 5.71 (br d, J=9.5Hz, 1H), 5.50 (q, J=6.8Hz, 1H), 4.03 (d, J=17.6Hz, 1H), 3.75 (br s, 2H), 3.27 (br, 2H), 2.54-1.45 (m, 4H), 2.31 (d, J=17.6Hz, 1H), 2.13 (s, 3H),
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1.90 (d, J=6.6Hz, 3H), 1.74 (s, 3H), 1.61 (s, 3H)
FABMS m/z 709 (M + H)*
HRFABMS calcd for C\textsubscript{30}H\textsubscript{33}N\textsubscript{2}O\textsubscript{12}S\textsubscript{3} (M + H)* 709.1195, found 709.1184

**EXAMPLE 141**

Synthesis of Compound 153

[0231] According to the procedure as described in Example 45, Compound 153 (43 mg, 48% yield) was obtained from Compound 35 (70 mg, 0.11 mmol), p-methoxybenzoyloxyacetic acid (66 mg, 0.34 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (65 mg, 0.34 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (14 mg, 0.11 mmol).

IR (KBr) 3400, 3294, 2938, 1820, 1720, 1680, 1647, 1608, 1513, 1443, 1378, 1250, 1110, 971, 815, 768, 730 cm\textsuperscript{-1}

\( ^1\)H NMR (CDCl\textsubscript{3}, 400 MHz) \( \delta \) ppm; 9.17 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 7.41 (s, 1H), 7.20-7.15 (m, 2H), 6.82-6.75 (m, 2H), 6.61 (d, J=11.5Hz, 1H), 6.32 (t, J=11.5Hz, 1H), 5.77 (br s, 2H), 5.54 (q, J=6.6Hz, 1H), 5.41 (br s, 1H), 4.50 (d, J=11.4Hz, 1H), 4.48 (d, J=11.4Hz, 1H), 4.03 (bs, 2H), 3.78 (s, 3H), 3.77 (br s, 2H), 2.46-1.45 (m, 4H), 2.27 (d, J=17.8Hz, 1H), 1.82 (d, J=6.6Hz, 3H), 1.79 (s, 3H), 1.71 (s, 3H)

FABMS m/z 801 (M + H)*
HRFABMS calcd for C\textsubscript{37}H\textsubscript{41}N\textsubscript{2}O\textsubscript{12}S\textsubscript{3} (M + H)* 801.1821, found 801.1808

**EXAMPLE 142**

Synthesis of Compound 154

[0232] Compound 153 (40 mg, 0.050 mmol) obtained in Example 141 was dissolved in dichloromethane (3 ml), and 2,3-dichloro-5,6-dicyano-p-benzoquinone (86 mg, 0.44 mmol) and water (0.2 ml) were added thereto, followed by stirring at room temperature for 24 hours. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 97/3) to obtain Compound 154 (20 mg, 59% yield).

IR (KBr) 3420, 2932, 1816, 1720, 1680, 1648, 1609, 1440, 1375, 1266, 1195, 1075, 976, 863, 768 cm\textsuperscript{-1}

\( ^1\)H NMR (CDCl\textsubscript{3}, MHz) \( \delta \) ppm; 9.19 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 7.43 (s, 1H), 6.63 (d, J=11.5Hz, 1H), 5.78 (br s, 2H), 5.58 (q, J=6.6Hz, 1H), 5.39 (br s, 1H), 5.12 (d, J=5.6Hz, 2H), 4.02 (d, J=17.6Hz, 1H), 3.78 (br s, 2H), 2.50-1.45 (m, 4H), 2.31 (d, J=5.6Hz, 1H), 2.29 (d, J=17.6Hz, 1H), 2.14 (s, 3H), 1.88 (d, J=6.6Hz, 3H), 1.80 (s, 3H), 1.71 (s, 3H)

FABMS m/z 681 (M + H)*
HRFABMS calcd for C\textsubscript{29}H\textsubscript{33}N\textsubscript{2}O\textsubscript{11}S\textsubscript{3} (M + H)* 681.1246, found 681.1230

**EXAMPLE 143**

Synthesis of Compound 155

[0233] According to the procedure as described in Example 28, Compound 155 (11 mg, 30% yield) was obtained from Compound 35 (30 mg, 0.048 mmol), dichloromethane (2.0 ml), N,N-diisopropylethylamine (0.084 ml, 0.48 mmol) and 2-(2-methoxyethoxy)ethoxymethyl chloride (81 mg, 0.48 mmol).

IR (KBr) 3420, 2930, 1818, 1705, 1685, 1644, 1608, 1451, 1261, 1207, 1093, 1022, 970, 769 cm\textsuperscript{-1}

\( ^1\)H NMR (CDCl\textsubscript{3}, 400 MHz) \( \delta \) ppm; 9.48 (ddd, J=16.6, 11.5, 1.0Hz, 1H), 7.40 (s, 1H), 6.61 (d, J=11.5Hz, 1H), 6.35 (t, J=11.5Hz, 1H), 6.01 (d, J=16.6Hz, 1H), 5.81 (br d, J=9.3Hz, 1H), 5.57 (q, J=6.6Hz, 1H), 5.50 (br s, 1H), 4.83 (dd, J=9.3, 1.2Hz, 1H), 4.71 (d, J=6.8Hz, 1H), 4.69 (d, J=6.8Hz, 1H), 4.03 (d, J=17.6Hz, 1H), 3.78 (br s, 2H), 3.70-3.48 (m, 8H), 3.36 (s, 3H), 2.45-1.42 (m, 4H), 2.28 (d, J=17.6Hz, 1H), 2.15 (s, 3H), 1.88 (d, J=6.6Hz, 3H), 1.75 (d, J=1.2Hz, 3H), 1.70 (s, 3H)

FABMS m/z 755 (M + H)*
HRFABMS calcd for C\textsubscript{33}H\textsubscript{43}N\textsubscript{2}O\textsubscript{12}S\textsubscript{3} (M + H)* 755.1978, found 755.1984

**EXAMPLE 144**

Synthesis of Compound 156

[0234] According to the procedure as described in Example 45, Compound 156 (32 mg, 47% yield) was obtained
from Compound 35 (54 mg, 0.086 mmol), N-Boc-glycine (60 mg, 0.34 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (66 mg, 0.34 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (3.1 mg, 0.025 mmol).

IR (KBr) 3420, 2936, 1820, 1755, 1708, 1694, 1647, 1610, 1448, 1368, 1259, 1161, 977, 769 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 9.23 (dd, J=16.6, 11.5 Hz, 1H), 7.43 (s, 1H), 6.63 (d, J=11.5 Hz, 1H), 6.32 (t, J=11.5 Hz, 1H), 6.02 (d, J=16.6 Hz, 1H), 5.77 (br d, J=9.5 Hz, 1H), 5.72 (d, J=9.5 Hz, 1H), 5.58 (q, J=6.6 Hz, 1H), 5.42 (br s, 1H), 4.96 (br, 1H), 4.03 (d, J=17.5 Hz, 1H), 3.96 (br dd, J=18.5, 6.6 Hz, 1H), 3.83 (dd, J=18.5, 5.1 Hz, 1H), 3.78 (br s, 2H), 2.50-2.22 (m, 3H), 2.29 (d, J=17.5 Hz, 1H), 2.14 (s, 3H), 1.91 (d, J=6.6 Hz, 3H), 1.79 (d, J=1.0 Hz, 3H), 1.71 (s, 3H), 1.55-1.42 (m, 1H), 1.40 (s, 9H)

FAB MS m/z 780 (M + H)⁺

HRFAB MS calcd for C₃₄H₄₂N₃O₁₂S₃ (M + H)⁺ 780.1930, found 780.1926

EXAMPLE 145
Synthesis of Compound 157

According to the procedure as described in Example 45, Compound 157 (36 mg, 54% yield) was obtained from Compound 35 (50 mg, 0.080 mmol), N-Cbz-glycine (84 mg, 0.40 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (77 mg, 0.40 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (3 mg, 0.025 mmol).

IR (KBr) 3400, 2940, 1821, 1722, 1692, 1650, 1610, 1527, 1453, 1371, 1265, 1207, 1178, 1055, 981, 770 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 9.19 (dd, J=16.5, 11.2 Hz, 1H), 7.41 (s, 1H), 7.39-7.25 (m, 5H), 6.61 (d, J=11.4 Hz, 1H), 6.31 (dd, J=11.4, 11.2 Hz, 1H), 6.02 (d, J=16.5 Hz, 1H), 5.81-5.70 (m, 2H), 5.57 (q, J=6.6 Hz, 1H), 5.41 (br s, 1H), 5.21 (br s, 1H), 5.07 (br s, 2H), 4.02 (d, J=17.7 Hz, 1H), 4.07-3.85 (m, 2H), 3.78 (br s, 2H), 2.50-2.01 (m, 3H), 2.29 (d, J=17.7 Hz, 1H), 2.14 (s, 3H), 1.91 (d, J=6.6 Hz, 3H), 1.79 (s, 3H), 1.71 (s, 3H), 1.55-1.47 (m, 1H)

FAB MS m/z 814 (M + H)⁺

HRFAB MS calcd for C₃₇H₄₀N₃O₁₂S₃ (M + H)⁺ 814.1774, found 814.1766

EXAMPLE 146
Synthesis of Compound 158

According to the procedure as described in Example 45, Compound 158 (25 mg, 60% yield) was obtained from Compound 35 (30 mg, 0.047 mmol), Fmoc-glycine (19 mg, 0.094 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (18 mg, 0.094 mmol), dichloromethane (3.5 ml) and 4-dimethylaminopyridine (1.0 mg, 0.008 mmol).

IR (KBr) 3406, 2940, 1825, 1726, 1685, 1609, 1518, 1450, 1390, 1374, 1261, 1204, 1108, 1051, 979, 760, 740 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 9.19 (dd, J=16.6, 11.7 Hz, 1H), 7.75 (d, J=7.5 Hz, 2H), 7.55 (d, J=7.2 Hz, 2H), 7.41 (s, 1H), 7.38 (dd, J=7.5, 7.2 Hz, 2H), 7.30 (dd, J=7.5, 7.2 Hz, 2H), 6.61 (d, J=11.5 Hz, 1H), 6.31 (dd, J=11.7, 11.5 Hz, 1H), 6.03 (d, J=16.6 Hz, 1H), 5.77 (s, 2H), 5.58 (q, J=6.8 Hz, 1H), 5.40 (br s, 1H), 5.23 (br, 1H), 4.35 (d, J=7.2 Hz, 2H), 4.18 (t, J=7.2 Hz, 1H), 4.04 (dd, J=18.5, 5.8 Hz, 1H), 4.02 (d, J=17.5 Hz, 1H), 3.92 (dd, J=18.5, 5.8 Hz, 1H), 3.89 (dd, J=18.5, 4.7 Hz, 1H), 3.78 (s, 2H), 2.58-1.50 (m, 4H), 2.29 (d, J=17.5 Hz, 1H), 2.14 (s, 3H), 1.91 (d, J=6.6 Hz, 3H), 1.79 (s, 3H), 1.71 (s, 3H), 1.60-1.40 (m, 2H)

FAB MS m/z 902 (M + H)⁺

HRFAB MS calcd for C₄₄H₄₄N₃O₁₂S₃ (M + H)⁺ 902.2087, found 902.2072

EXAMPLE 147
Synthesis of Compound 159

According to the procedure as described in Example 45, Compound 159 (16 mg, 35% yield) was obtained from Compound 35 (40 mg, 0.064 mmol), N-formylglycine (67 mg, 0.64 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (123 mg, 0.64 mmol), dichloromethane (8 ml) and 4-dimethylaminopyridine (4.6 mg, 0.038 mmol).

IR (KBr) 3406, 2986, 2932, 1818, 1760, 1677, 1610, 1516, 1443, 1376, 1266, 1206, 1182, 1108, 1094, 976, 859, 807, 768, 731, 669 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 9.19 (ddd, J=16.6, 11.2, 1.0 Hz, 1H), 8.19 (d, J=1.0 Hz, 1H), 7.45 (s, 1H), 6.65 (d, J=11.5 Hz, 1H), 6.33 (dd, J=11.5, 11.2 Hz, 1H), 6.08 (br, 1H), 6.04 (d, J=16.6 Hz, 1H), 5.79 (br d, J=9.5 Hz, 1H), 5.77 (dd, J=9.5, 1.0 Hz, 1H), 5.59 (q, J=6.6 Hz, 1H), 5.41 (br s, 1H), 4.16 (ddd, J=18.5, 5.6, 1.0 Hz, 1H), 4.02 (d, J=17.8 Hz,
EXAMPLE 148

Synthesis of Compound 160

![Chemical structures and NMR data]

EXAMPLE 149

Synthesis of Compound 161

EXAMPLE 150

Synthesis of Compound 162

![Chemical structures and NMR data]
EXAMPLE 151

Synthesis of Compound 163

[0241] According to the procedure as described in Example 45, Compound 163 (25 mg, 53% yield) was obtained from Compound 35 (35 mg, 0.056 mmol), N-Cbz-L-alanine (38 mg, 0.17 mmol), 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride (32 mg, 0.17 mmol), dichloromethane (5 ml) and 4-dimethylaminopyridine (1.4 mg, 0.011 mmol).

IR (KBr) 3400, 2984, 2932, 1820, 1712, 1690, 1610, 1524, 1374, 1264, 1208, 1159, 1113, 1070, 977, 770, 697 cm⁻¹

1H NMR (CDCl₃, 500 MHz) \( \delta \) ppm: 9.06 (br dd, J=17.1, 11.0Hz, 1H), 7.39 (s, 1H), 7.37-7.25 (m, 5H), 6.59 (d, J=11.6Hz, 1H), 6.30 (br dd, J=11.6, 11.0Hz, 1H), 6.01 (d, J=17.1Hz, 1H), 5.76 (br d, J=9.2Hz, 1H), 5.64 (d, J=9.2Hz, 1H), 5.55 (q, J=6.7Hz, 1H), 5.42 (br, 1H), 5.04 (br d, J=12.2Hz, 1H), 5.00 (br d, J=12.2Hz, 1H), 4.36 (m, 1H), 4.01 (d, J=6.7Hz, 1H), 3.79 (d, J=15.3Hz, 1H), 3.76 (d, J=15.3Hz, 1H), 2.46-2.20 (m, 3H), 2.32 (d, J=17.7Hz, 1H), 2.14 (s, 3H), 1.93 (d, J=6.7Hz, 3H), 1.77 (br s, 3H), 1.70 (s, 3H), 1.68-1.60 (m, 1H), 1.37 (d, J=7.0Hz, 3H)

FABMS m/z 828 (M + H)*
HRFABMS calcd for C₃₈H₄₂N₃O₁₂S₃ (M + H)⁺ 828.1930, found 828.1932

EXAMPLE 152

Synthesis of Compound 164

[0242] According to the procedure as described in Example 45, tert-butyldimethylsilyl ether compound of Compound 164 (90 mg, 86% yield) was obtained from Compound 35 (69 mg, 0.11 mmol), N-Cbz-O-tert-butyldimethylsilyl-L-serine (473 mg, 1.33 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (257 mg, 1.33 mmol), tetrahydrofuran (14 ml) and 4-dimethylaminopyridine (9.5 mg, 0.077 mmol).

[0243] The obtained tert-butyldimethylsilyl ether compound (90 mg, 0.094 mmol) was dissolved in methanol (5 ml), and 3N hydrochloric acid (0.2 ml) was added thereto, followed by stirring for 1 hour. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 164 (12 mg, 15% yield).

IR (KBr) 3430, 2934, 1818, 1719, 1706, 1682, 1609, 1521, 1453, 1375, 1341, 1267, 1169, 1109, 979, 769 cm⁻¹

1H NMR (CDCl₃, 400 MHz) \( \delta \) ppm: 8.76 (br dd, J=16.6, 11.5Hz, 1H), 7.38 (s, 1H), 7.40-7.26 (m, 5H), 6.60 (d, J=11.7Hz, 1H), 6.27 (dd, J=11.7, 11.5Hz, 1H), 6.06 (d, J=16.6Hz, 1H), 5.84 (br d, J=8.5Hz, 1H), 5.73 (br d, J=8.5Hz, 1H), 5.71 (br, 1H), 5.47 (br q, J=6.6Hz, 1H), 5.37 (br s, 1H), 5.15-5.00 (m, 2H), 4.46-3.98 (m, 1H), 3.98-3.80 (m, 2H), 3.96 (d, J=17.8Hz, 1H), 3.77 (br s, 2H), 2.45-1.50 (m, 4H), 2.30 (d, J=17.8Hz, 1H), 2.14 (s, 3H), 1.97 (d, J=6.6Hz, 3H), 1.77 (br s, 3H), 1.74 (s, 3H)

FABMS m/z 844 (M + H)*
HRFABMS calcd for C₃₈H₄₂N₃O₁₃S₃ (M + H)⁺ 844.1880, found 844.1872

EXAMPLE 153

Synthesis of Compound 165

[0244] According to the procedure as described in Example 45, Compound 165 (18 mg, 22% yield) was obtained from Compound 35 (61 mg, 0.097 mmol), N-Boc-glycylglycine (208 mg, 0.90 mmol), 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride (257 mg, 1.33 mmol), tetrahydrofuran (14 ml) and 4-dimethylaminopyridine (18 mg, 0.15 mmol).

IR (KBr) 3400, 2984, 2938, 1819, 1773, 1703, 1685, 1610, 1534, 1369, 1267, 1169, 1109, 979, 770 cm⁻¹

1H NMR (CDCl₃, 400 MHz) \( \delta \) ppm: 9.21 (dd, J=16.6, 11.7Hz, 1H), 7.44 (s, 1H), 6.63 (d, J=11.6Hz, 1H), 6.55 (br dd, J=5.2, 4.9Hz, 1H), 6.32 (dd, J=11.7, 11.4Hz, 1H), 6.02 (dd, J=16.6, 6.0Hz, 1H), 5.80 (br d, J=9.3Hz, 1H), 5.76 (dd, J=9.3, 0.8Hz, 1H), 5.73 (q, J=6.6Hz, 1H), 5.46 (br s, 1H), 5.06 (br, 1H), 4.11 (dd, J=18.4, 11.4Hz, 1H), 4.04 (d, J=17.8Hz, 1H), 3.95 (dd, J=18.4, 4.9Hz, 1H), 3.85-3.70 (m, 4H), 2.49-2.25 (m, 3H), 2.30 (d, J=17.8Hz, 1H), 2.14 (s, 3H), 1.92 (d, J=6.6Hz, 3H), 1.79 (d, J=1.0Hz, 3H), 1.70 (s, 3H), 1.62-1.50 (m, 1H), 1.44 (s, 9H)

FABMS m/z 837 (M + H)*
HRFABMS calcd for C₃₆H₄₅N₄O₁₃S₃ (M + H)⁺ 837.2145, found 837.2169
EXAMPLE 154

Synthesis of Compound 166

According to the procedure as described in Example 45, Compound 166 (2.3 mg, 29% yield) was obtained from Compound 35 (5.6 mg, 0.0090 mmol), N-Cbz-glycylglycine (24 mg, 0.090 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (18 mg, 0.090 mmol), dichloromethane (3 ml) and 4-dimethylaminopyridine (1 mg, 0.090 mmol).

IR (KBr) 3370, 2928, 1818, 1721, 1710, 1684, 1675, 1609, 1527, 1451, 1375, 1264, 1176, 1092, 977, 769 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.19 (dd, J=16.5, 11.6 Hz, 1H), 7.42 (s, 1H), 7.38-7.20 (m, 5H), 6.63 (d, J=11.3 Hz, 1H), 6.44 (br, 1H), 6.32 (dd, J=11.6, 11.3 Hz, 1H), 6.02 (d, J=16.5 Hz, 1H), 5.81 (d, J=9.5 Hz, 1H), 5.79 (d, J=9.5 Hz, 1H), 5.56 (q, J=6.7 Hz, 1H), 5.44 (br s, 1H), 5.33 (br, 1H), 5.12 (br s, 2H), 4.16-3.84 (m, 4H), 4.02 (d, J=17.7 Hz, 1H), 3.75 (d, J=15.3 Hz, 1H), 2.47-2.26 (m, 3H), 2.30 (d, J=17.7 Hz, 1H), 2.14 (s, 3H), 1.90 (d, J=6.7 Hz, 3H), 1.80 (d, J=1.0 Hz, 3H), 1.70 (s, 3H), 1.62-1.52 (m, 1H)

FABMS m/z 871 (M + H)+
HRFABMS calcd for C₃₉H₄₃N₄O₁₃S₃ (M + H)+ 871.1989, found 871.2014

EXAMPLE 155

Synthesis of Compound 167

According to the procedure as described in Example 45, Compound 167 (47 mg, 62% yield) was obtained from Compound 35 (59 mg, 0.090 mmol), N-benzoylglycylglycine (337 mg, 1.42 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (272 mg, 1.42 mmol), dichloromethane (15 ml) and 4-dimethylaminopyridine (10 mg, 0.08 mmol).

IR (KBr) 3400, 2936, 1816, 1685, 1609, 1527, 1447, 1374, 1268, 1202, 1108, 978, 769, 714 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.17 (ddd, J=16.8, 11.5, 0.6 Hz, 1H), 7.84-7.76 (m, 2H), 7.54-7.40 (m, 3H), 7.42 (s, 1H), 7.06 (br t, J=5.2 Hz, 1H), 6.77 (br t, J=5.2 Hz, 1H), 6.62 (d, J=11.3 Hz, 1H), 6.31 (dd, J=11.5, 11.3 Hz, 1H), 6.00 (d, J=16.8 Hz, 1H), 5.80 (br s, 2H), 5.55 (q, J=6.7 Hz, 1H), 5.53 (br s, 1H), 4.20-3.92 (m, 4H), 4.04 (d, J=17.7 Hz, 1H), 3.80-3.70 (m, 2H), 2.48-2.28 (m, 3H), 2.31 (d, J=17.7 Hz, 1H), 2.14 (s, 3H), 1.92 (d, J=6.7 Hz, 3H), 1.78 (d, J=0.6 Hz, 3H), 1.67 (s, 3H), 1.65-1.55 (m, 1H)

FABMS m/z 841 (M + H)+
HRFABMS calcd for C₃₉H₄₃N₄O₁₃S₃ (M + H)+ 841.1883, found 841.1862

EXAMPLE 156

Synthesis of Compound 168

According to the procedure as described in Example 45, Compound 168 (27 mg, 30% yield) was obtained from Compound 35 (59 mg, 0.090 mmol), N-Cbz-L-alanylglycine (418 mg, 1.5 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (287 mg, 1.5 mmol), dichloromethane (10 ml) and 4-dimethylaminopyridine (11 mg, 0.08 mmol).

IR (KBr) 3400, 2982, 1816, 1685, 1656, 1609, 1529, 1488, 1447, 1374, 1268, 1202, 1108, 978, 769, 714 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 9.19 (dd, J=16.4, 11.5 Hz, 1H), 7.43 (s, 1H), 7.38-7.26 (m, 5H), 6.63 (d, J=11.3 Hz, 1H), 6.53 (br, 1H), 6.32 (dd, J=11.5, 11.3 Hz, 1H), 6.02 (d, J=16.4 Hz, 1H), 5.79 (br d, J=9.8 Hz, 1H), 5.76 (d, J=9.8 Hz, 1H), 5.56 (q, J=6.7 Hz, 1H), 5.41 (br s, 1H), 5.23 (br, 1H), 5.11 (d, J=12.2 Hz, 1H), 5.09 (d, J=12.2 Hz, 1H), 4.28-4.20 (m, 1H), 4.11 (dd, J=18.3, 5.5 Hz, 1H), 4.02 (d, J=17.7 Hz, 1H), 3.89 (br d, J=18.3 Hz, 1H), 3.77 (s, 2H), 2.47-2.26 (m, 3H), 2.29 (d, J=17.7 Hz, 1H), 2.14 (s, 3H), 1.90 (d, J=6.7 Hz, 3H), 1.79 (d, J=1.0 Hz, 3H), 1.70 (s, 3H), 1.60-1.50 (m, 1H), 1.36 (d, J=7.3 Hz, 3H)

FABMS m/z 885 (M + H)+
HRFABMS calcd for C₄₀H₄₅N₄O₁₃S₃ (M + H)+ 885.2145, found 885.2125

EXAMPLE 157

Synthesis of Compound 169

According to the procedure as described in Example 45, Compound 169 (39 mg, 40% yield) was obtained...
from Compound 35 (70 mg, 0.11 mmol), N-Cbz-β-alanylglycine (316 mg, 1.12 mmol), 1-ethyl-3-(3-dimethylaminopro- 
pyl)carbodiimide hydrochloride (216 mg, 1.12 mmol), tetrahydrofuran (14 ml) and 4-dimethylaminopyridine (8.2 mg, 
0.06 mmol).

IR (KBr) 3356, 2940, -1820, 1707, 1669, 1610, 1522, 1452, 1376, 1263, 1206, 1182, 1111, 979, 769, 735, 697 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.18 (dd, J=16.4, 11.3Hz, 1H), 7.42 (s, 1H), 7.35-7.25 (m, 5H), 6.62 (d, 
J=11.5Hz, 1H), 6.31 (dd, J=11.5, 3.9Hz, 1H), 6.09 (m, 1H), 6.02 (d, J=16.4Hz, 1H), 5.79 (br d, J=10.0Hz, 1H), 5.77 
(d, J=10.0Hz, 1H), 5.55 (q, J=6.6Hz, 1H), 5.42 (br s, 1H), 5.39 (m, 1H), 5.07 (br s, 2H), 4.09 (dd, J=18.3, 5.6Hz, 1H), 
4.02 (d, J=17.6Hz, 1H), 3.90 (dd, J=18.3, 4.9Hz, 1H), 3.79 (d, J=15.0Hz, 1H), 3.97 (d, J=15.0Hz, 1H), 3.52-3.40 (m, 
2H), 2.40-2.25 (m, 5H), 2.30 (d, J=17.6Hz, 1H), 2.14 (s, 3H), 1.90 (d, J=6.6Hz, 3H), 1.79 (d, J=1.0Hz, 3H), 1.70 (s, 
3H), 1.60-1.48 (m, 1H)

FABMS m/z 885 (M + H)+
HRFABMS calcd for C₄₀H₄₅N₄O₁₃S₃ (M + H)+ 885.2145, found 885.2164

EXAMPLE 158

Synthesis of Compound 170

According to the procedure as described in Example 45, Compound 170 (14 mg, 21% yield) was obtained 
from Compound 35 (50 mg, 0.080 mmol), N-Boc-β-alanylglycine (198 mg, 0.80 mmol), 1-ethyl-3-(3-dimethylaminopro- 
pyl)carbodiimide hydrochloride (154 mg, 0.80 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (5.9 mg, 
0.048 mmol).

IR (KBr) 3400, 2982, 2936, 1819, 1702, 1673, 1610, 1542, 1511, 1450, 1390, 1376, 1267, 1205, 1172, 1107, 
978, 769 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.19, (dd, J=16.6, 11.5Hz, 1H), 7.43 (s, 1H), 6.63 (d, J=11.5Hz, 1H), 6.32 (t, 
J=11.5Hz, 1H), 6.12 (d, J=16.6Hz, 1H), 6.02 (d, J=9.5Hz, 1H), 5.79 (d, J=9.5Hz, 1H), 5.07 (q, J=6.8Hz, 1H), 5.44 (br s, 1H), 4.09 (dd, J=18.6, 5.4Hz, 1H), 4.02 (d, J=17.8Hz, 1H), 3.93 (dd, J=18.6, 4.8Hz, 1H), 3.78 (br s, 2H), 3.28-3.20 (m, 2H), 2.50-1.45 (m, 6H), 2.30 (d, J=17.8Hz, 1H), 2.14 (s, 3H), 1.92 (d, J=6.8Hz, 3H), 1.79 (s, 3H), 1.71 (s, 3H), 1.43 (s, 9H)

FABMS m/z 851 (M + H)+
HRFABMS calcd for C₃₇H₄₇N₄O₁₃S₃ (M + H)+ 851.2302, found 851.2302

EXAMPLE 159

Synthesis of Compound 171

According to the procedure as described in Example 45, Compound 171 (16 mg, 16% yield) was obtained 
from Compound 35 (70 mg, 0.11 mmol), N-Cbz-y-aminobutyrylglycine (498 mg, 1.7 mmol), 1-ethyl-3-(3-dimethylaminopro- 
pyl)carbodiimide hydrochloride (154 mg, 0.80 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (5.9 mg, 
0.048 mmol).

IR (KBr) 3380, 2942, 1819, 1703, 1691, 1678, 1610, 1528, 1454, 1376, 1264, 1207, 1179, 1114, 979, 770, 739, 
698 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.20 (dd, J=16.6, 11.5Hz, 1H), 7.42 (s, 1H), 7.38-7.27 (m, 5H), 6.62 (d, 
J=11.5Hz, 1H), 6.39 (br s, 1H), 6.31 (dd, J=11.5, 11.5Hz, 1H), 6.02 (d, J=16.6Hz, 1H), 5.79 (d, J=9.5Hz, 1H), 5.07 (q, J=6.8Hz, 1H), 5.44 (br s, 1H), 5.10 (br s, 1H), 4.09 (dd, J=18.6, 5.6Hz, 1H), 4.02 (d, J=17.8Hz, 1H), 3.93 (dd, J=18.6, 4.8Hz, 1H), 3.78 (br s, 2H), 3.28-3.20 (m, 2H), 2.40-1.50 (m, 6H), 2.30 (d, J=17.8Hz, 1H), 2.14 (s, 3H), 1.92 (d, J=6.8Hz, 3H), 1.79 (s, 3H), 1.71 (s, 3H), 1.43 (s, 9H)

FABMS m/z 899 (M + H)+
HRFABMS calcd for C₄₁H₄₇N₄O₁₃S₃ (M + H)+ 899.2302, found 899.2315

EXAMPLE 160

Synthesis of Compound 172

According to the procedure as described in Example 45, Compound 172 (43 mg, 60% yield) was obtained 
from Compound 35 (51 mg, 0.081 mmol), N-Cbz-sarcosylglycine (229 mg, 0.81 mmol), 1-ethyl-3-(3-dimethylaminopro- 
pyl)carbodiimide hydrochloride (154 mg, 0.81 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (5.9 mg, 
0.048 mmol).

IR (KBr) 3400, 2938, 1817, 1700, 1687, 1671, 1610, 1530, 1453, 1402, 1366, 1263, 1207, 1152, 1111,
1030, 978, 862, 808, 769, 734, 698 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.20 (br dd, J=16.5, 11.2Hz, 1H), 7.43 (s, 1H), 7.40-7.25 (m, 5H), 6.63 (d, J=11.5Hz, 1H), 6.47 (br, 1H), 6.32 (dd, J=11.5, 11.2Hz, 1H), 6.02 (d, J=16.5Hz, 1H), 5.78 (br d, J=9.3Hz, 1H), 5.74 (d, J=9.3Hz, 1H), 5.58 (q, J=6.6Hz, 1H), 5.43 (br s, 1H), 5.14 (s, 2H), 4.12-3.88 (m, 2H), 4.03 (d, J=17.6Hz, 1H), 3.96 (d, J=16.6Hz, 1H), 3.91 (d, J=16.6Hz, 1H), 3.78 (s, 2H), 3.00 (s, 3H), 2.50-2.26 (m, 3H), 2.29 (d, J=17.6Hz, 1H), 2.14 (s, 3H), 1.91 (d, J=6.6Hz, 3H), 1.70 (d, J=1.0Hz, 3H), 1.71 (s, 3H), 1.57-1.45 (m, 1H)

EXAMPLE 161

Synthesis of Compound 173

[0252] According to the procedure as described in Example 45, Compound 173 (37 mg, 36% yield) was obtained from Compound 35 (70 mg, 0.11 mmol), N-Cbz-leucylglycine (364 mg, 1.1 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (217 mg, 1.1 mmol), tetrahydrofuran (14 ml) and 4-dimethylaminopyridine (8.3 mg, 0.06 mmol).

IR (KBr) 3400, 2960, 2932, 1819, 1715, 1680, 1610, 1516, 1452, 1375, 1264, 1208, 1110, 1039, 981, 768 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.21 (dd, J=16.6, 11.2Hz, 1H), 7.43 (s, 1H), 7.36-7.26 (m, 5H), 6.63 (d, J=11.5Hz, 1H), 6.52 (br, 1H), 6.32 (dd, J=11.5, 11.2Hz, 1H), 6.02 (d, J=16.6Hz, 1H), 5.80 (br d, J=9.5Hz, 1H), 5.77 (d, J=9.5Hz, 1H), 5.54 (q, J=6.7Hz, 1H), 5.41 (br s, 1H), 5.10 (br s, 2H), 4.20 (br, 1H), 4.10 (dd, J=18.3, 5.8Hz, 1H), 4.02 (d, J=18.0Hz, 1H), 3.90 (br d, J=18.3Hz, 1H), 3.77 (br s, 2H), 2.47-1.43 (m, 7H), 2.29 (d, J=18.0Hz, 1H), 1.89 (d, J=6.7Hz, 3H), 1.79 (d, J=1.0Hz, 3H), 1.70 (s, 3H), 0.91 (d, J=6.3Hz, 6H)

FABMS m/z 927 (M + H)⁺

HRFABMS calcd for C₄₃H₅₁N₄O₁₃S₃ (M + H)⁺ 927.2414, found 927.2614

EXAMPLE 162

Synthesis of Compound 174

[0253] According to the procedure as described in Example 45, tert-butyldimethylsilyl ether compound of Compound 174 (90 mg, 68% yield) was obtained from Compound 35 (84 mg, 0.13 mmol), N-Cbz-O-tert-butyldimethyl-L-seryl-glycine (712 mg, 1.74 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (334 mg, 1.74 mmol), tetrahydrofuran (14 ml) and 4-dimethylaminopyridine (13 mg, 0.11 mmol).

The obtained tert-butyldimethylsilyl ether compound (85 mg, 0.084 mmol) was dissolved in methanol (5.0 ml), and 3N hydrochloric acid (0.5 ml) was added thereto, followed by stirring for 30 minutes. After subjecting the mixture to the usual post-treatment, the mixture was purified by thin layer chromatography (developed with chloroform/methanol = 95/5) to obtain Compound 174 (13 mg, 17% yield).

IR (KBr) 3400, 2934, 1818, 1717, 1677, 1608, 1526, 1448, 1375, 1265, 1205, 1105, 975 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm; 9.11 (dd, J=16.4, 11.2Hz, 1H), 7.42 (s, 1H), 7.38-7.28 (m, 5H), 6.95 (br, 1H), 6.63 (d, J=11.7Hz, 1H), 6.31 (dd, J=11.7, 11.5Hz, 1H), 6.02 (d, J=16.4Hz, 1H), 5.78 (br s, 2H), 5.76 (br, 1H), 5.55 (q, J=6.8Hz, 1H), 5.37 (br s, 1H), 5.12 (br s, 2H), 4.30-3.63 (m, 7H), 4.01 (d, J=17.8Hz, 1H), 2.47-1.50 (m, 4H), 2.30 (d, J=17.8Hz, 1H), 2.14 (s, 3H), 1.89 (d, J=6.7Hz, 3H), 1.79 (d, J=1.0Hz, 3H), 1.70 (s, 3H), 0.91 (d, J=6.3Hz, 6H)

FABMS m/z 901 (M + H)⁺

HRFABMS calcd for C₄₃H₅₁N₄O₁₃S₃ (N + H)⁺ 927.2414, found 927.2614

EXAMPLE 163

Synthesis of Compound 175

[0254] According to the procedure as described in Example 45, Compound 175 (55 mg, 66% yield) was obtained from Compound 35 (58 mg, 0.093 mmol), N-Cbz-β-alanylsarcosine (330 mg, 1.12 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (180 mg, 0.93 mmol), tetrahydrofuran (17 ml) and 4-dimethylaminopyridine (6.8 mg, 0.056 mmol).

IR (KBr) 3400, 2934, 1818, 1708, 1689, 1656, 1511, 1452, 1403, 1372, 1264, 1206, 1115, 978, 769, 698 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm; 9.11 (dd, J=16.5, 11.6Hz, 1H), 7.41 (s, 1H), 7.36-7.20 (m, 5H), 6.61 (t, J=11.6Hz, 1H), 6.31 (t, J=11.6Hz, 1H), 6.03 (d, J=16.5Hz, 1H), 5.77 (br s, 2H), 5.55 (q, J=6.7Hz, 1H), 5.52-5.40 (m, 1H), 5.38-5.25 (m, 1H), 5.14 (s, 2H), 4.10 (dd, J=18.3, 5.8Hz, 1H), 4.02 (d, J=18.0Hz, 1H), 3.77 (br s, 2H), 2.47-1.50 (m, 4H), 2.30 (d, J=17.8Hz, 1H), 2.14 (s, 3H), 1.89 (d, J=6.7Hz, 3H), 1.79 (d, J=1.0Hz, 3H), 1.70 (s, 3H), 0.91 (d, J=6.3Hz, 6H)

FABMS m/z 901 (M + H)⁺

HRFABMS calcd for C₄₃H₅₁N₄O₁₃S₃ (M + H)⁺ 901.2094, found 901.2068
Example 164

Synthesis of Compound 176

According to the procedure as described in Example 45, Compound 176 (34 mg, 48% yield) was obtained from Compound 35 (50 mg, 0.080 mmol), N-Cbz-glycyl-β-alanine (272 mg, 0.97 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (186 mg, 0.97 mmol), tetrahydrofuran (9 ml) and 4-dimethylaminopyridine (6.9 mg, 0.056 mmol).

IR (KBr) 3400, 2938, 1820, 1720, 1678, 1610, 1531, 1454, 1374, 1261, 1208, 1170, 1090, 979, 769, 699 cm⁻¹

1H NMR (CDCl₃, 500 MHz) δ ppm: 8.99 (d, J=16.5, 11.8Hz, 1H), 7.39 (s, 1H), 7.38-7.29 (m, 5H), 6.66 (br t, J=8.2Hz, 1H), 6.60 (d, J=11.6Hz, 1H), 6.27 (dd, J=11.8, 11.6Hz, 1H), 6.03 (d, J=16.5Hz, 1H), 5.76 (s, 2H), 5.52 (q, J=6.7Hz, 1H), 5.42 (br s, 1H), 5.41 (br, 1H), 5.12 (s, 2H), 4.00 (d, J=17.7Hz, 1H), 3.83 (br s, 2H), 3.77 (s, 2H), 3.69-3.46 (m, 2H), 2.54 (t, J=5.8Hz, 2H), 2.14 (s, 3H), 1.93 (s, 3H), 1.77 (s, 3H), 1.72 (s, 3H), 1.65-1.55 (m, 1H)

FABMS m/z 885 (M + H)⁺
HRFABMS calcd for C₄₁H₄₅N₄O₁₃S₃ (M + H)⁺ 885.2145, found 885.2133

Example 165

Synthesis of Compound 177

According to the procedure as described in Example 45, Compound 177 (60 mg, 61% yield) was obtained from Compound 35 (71 mg, 0.11 mmol), N-Cbz-β-alanyl-β-alanine (503 mg, 1.7 mmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (327 mg, 1.7 mmol), tetrahydrofuran (17 ml) and 4-dimethylaminopyridine (12 mg, 0.10 mmol).

IR (KBr) 3382, 2942, 1812, 1720, 1678, 1610, 1531, 1453, 1373, 1264, 1208, 1168, 1094, 977, 769, 698 cm⁻¹

1H NMR (CDCl₃, 400 MHz) δ ppm: 9.01 (dd, J=16.6, 11.2Hz, 1H), 7.38 (s, 1H), 7.38-7.25 (m, 5H), 6.60 (d, J=11.5Hz, 1H), 6.33 (br, 1H), 6.27 (dd, J=11.5, 11.2Hz, 1H), 6.03 (d, J=16.0Hz, 1H), 5.76 (s, 2H), 5.52 (q, J=6.6Hz, 1H), 5.43 (br, 1H), 5.42 (br s, 1H), 5.09 (br s, 2H), 4.00 (d, J=17.6Hz, 1H), 3.77 (br s, 2H), 3.55-3.40 (m, 4H), 2.55-1.55 (m, 8H), 2.27 (d, J=17.6Hz, 1H), 2.14 (s, 3H), 1.92 (d, J=6.6Hz, 3H), 1.77 (s, 3H), 1.72 (s, 3H)

FABMS m/z 899 (M + H)⁺
HRFABMS calcd for C₄₃H₄₇N₄O₁₃S₃ (M + H)⁺ 899.2291

Industrial Applicability

The present invention provides DC107 derivatives having an antibacterial activity and an antitumor activity or pharmaceutically acceptable salts thereof.

Claims

1. A DC107 derivative represented by the formula (I):
or a pharmacologically acceptable salt thereof, wherein

R<sup>1</sup> represents hydrogen, a (C<sub>1</sub>-C<sub>8</sub>) alkoxy (C<sub>1</sub>-C<sub>20</sub>) alkyl group, an aralkyloxy (C<sub>1</sub>-C<sub>20</sub>) alkyl group, a (C<sub>1</sub>-C<sub>8</sub>) alkoxy (C<sub>1</sub>-C<sub>20</sub>) alkoxy (C<sub>1</sub>-C<sub>20</sub>) alkyl group, a (C<sub>1</sub>-C<sub>8</sub>) alkoxy (C<sub>1</sub>-C<sub>20</sub>) alkoxy (C<sub>1</sub>-C<sub>20</sub>) alkoxy (C<sub>1</sub>-C<sub>20</sub>) alkyl group, an aralkyl group, a tetrahydropyranyl group,

{wherein Q<sup>1</sup> represents CH<sub>2</sub>, O, S, SO<sub>2</sub> or N-Q<sup>3</sup> (wherein Q<sup>3</sup> represents an aryl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, (C<sub>1</sub>-C<sub>8</sub> alkoxy)carbonyl, N,N-di-(C<sub>1</sub>-C<sub>8</sub> alkyl)carbamoyloxy and N-acetylfuorenesulfonyloxy), or a (C<sub>1</sub>-C<sub>8</sub> alkoxy)carbonyl group, and Q<sup>2</sup> represents a C<sub>1</sub>-C<sub>8</sub> alkyl group) or COR<sup>4</sup> [wherein R<sup>4</sup> represents an alkyl group, an alicyclic alkyl group, an aralkyl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, (C<sub>1</sub>-C<sub>8</sub> alkoxy)carbonyl, N,N-di-(C<sub>1</sub>-C<sub>8</sub> alkyl)carbamoyloxy and N-acetylfuorenesulfonyloxy, a heterocyclic group (which represents a 3-membered to 8-membered aliphatic or aromatic group composed of cyclic compound containing at least one hetero atom selected from oxygen, sulfur and nitrogen, this heterocyclic group may be substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, (C<sub>1</sub>-C<sub>8</sub> alkoxy)carbonyl, N,N-di-(C<sub>1</sub>-C<sub>8</sub> alkyl)carbamoyloxy and N-acetylfuorenesulfonyloxy), a 9-fluorenylmethoxy group, an aralkyloxy group, an aralkyloxy group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, (C<sub>1</sub>-C<sub>8</sub> alkoxy)carbonyl, N,N-di-(C<sub>1</sub>-C<sub>8</sub> alkyl)carbamoyloxy and N-acetylfuorenesulfonyloxy, a 9-fluorenylmethyloxy, (CH<sub>2</sub>)<sub>m</sub>R<sub>4A</sub> <wherein m represents an integer of from 1 to 6, R<sup>4A</sup> represents hydrogen, a C<sub>1</sub>-C<sub>8</sub> alkyl group, a carboxyl group, a (C<sub>1</sub>-C<sub>8</sub> alkoxy)carbonyl group, an aryl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, (C<sub>1</sub>-C<sub>8</sub> alkoxy)carbonyl, N,N-di-(C<sub>1</sub>-C<sub>8</sub> alkyl)carbamoyloxy and N-acetylfuorenesulfonyloxy, (CH<sub>2</sub>)<sub>n</sub>NHCOR<sup>4D</sup> (wherein n represents an integer of from 1 to 6, R<sup>4D</sup> represents an alkyl group or a hydroxy C<sub>1</sub>-C<sub>8</sub> alkyl group, and R<sup>4F</sup> has the same meaning as R<sup>4D</sup>), or CH(R<sup>4E</sup>)NHCOOR<sup>4F</sup> (wherein R<sup>4E</sup> represents a C<sub>1</sub>-C<sub>8</sub> alkyl group or a C<sub>1</sub>-C<sub>8</sub> alkoxy group, and R<sup>4F</sup> has the same meaning as R<sup>4E</sup>), or CH<sub>2</sub>NHCOR<sup>4H</sup> (wherein R<sup>4H</sup> has the same meaning as R<sup>4E</sup>)} or CH<sub>2</sub>NHCOR<sup>4H</sup> (wherein R<sup>4H</sup> has the same meaning as R<sup>4E</sup>)}

R<sup>2</sup> represents hydrogen or COR<sup>5</sup> wherein R<sup>5</sup> represents a C<sub>1</sub>-C<sub>8</sub> alkyl group, an aralkyl group, an aryl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, (C<sub>1</sub>-C<sub>8</sub> alkoxy)carbonyl, N,N-di-(C<sub>1</sub>-C<sub>8</sub> alkyl)carbamoyloxy and N-acetylfuorenesulfonyloxy,
cotinyloxy or a heterocyclic group (which represents a 3-membered to 8-membered aliphatic or aromatic group composed of cyclic compound containing at least one hetero atom selected from oxygen, sulfur and nitrogen, this heterocyclic group may be substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxyl, C₁-C₈ alkoxy, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexitracyclonicotinoyl oxy));

R³ represents a C₁-C₈ alkyl group, a C₂-C₆ alkenyl group, an aralkyl group, an aralkyl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxyl, C₁-C₈ alkoxy, acetoxyl, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexitracyclonicotinoyl oxy, a (C₁-C₂₅)alkyl group, an aralkyloxy(C₁-C₂₅)alkyl group, an aryloxyalkyl group, an aryloxyalkyl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxyl, C₁-C₈ alkoxy, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexitracyclonicotinoyl oxy, a (C₁-C₂₅)alkyl group, a (C₂-C₉)alkanoyloxyalkyl group, an alicyclic alkanoyloxyalkyl group or

or R³ bonds to Y to represent a single bond; Y bonds to R³ to represent a single bond or bonds to Z to represent a single bond; Z represents hydrogen or bonds to Y to represent a single bond; W represents oxygen or NR⁶ (wherein R⁶ represents a hydroxyl group, a C₁-C₈ alkoxy group, a C₃-C₆ alkenyloxy group, an aralkyloxy group, an aralkyloxy group, an aryloxyalkyl group, an aryloxyalkyl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxyl, C₁-C₈ alkoxy, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexitracyclonicotinoyl oxy, or a (C₁-C₈ alkoxy)carbonylamino group), with the proviso that the compound wherein R¹, R² and Z each represents hydrogen, R³ bonds to Y to represent a single bond, and W represents oxygen (DC107) is excluded; the alkyl group and the alkyl group contained in the (C₁-C₈ alkoxy) carbonylalkyl group, the aryloxyalkyl group, the (C₂-C₉ alkanoyloxyalkyl group and the alicyclic alkanoyloxyalkyl group are a straight chain or branched chain alkyl group having from 1 to 20 carbon atoms; the alicyclic alkyl group and the alicyclic alkyl group contained in the alicyclic alkyl group and the alicyclic alkoxyalkyl group have 3 to 8 carbon atoms; the aralkyl group and the aralkyl moiety in the aralkyloxy group and the aralkyloxy (C₁-C₂₀) alkyl group have 7 to 15 carbon atoms; the aryl group and the aryl moiety in the aryl group, the aryloxalkyl and the aryloxalkyl group are phenyl or naphthyl; and the heterocyclic group may represent a condensed cyclic compound group in which a cyclic compound is condensed with the same or a different cyclic compound or a benzene ring.

2. The compound according to claim 1, wherein Y bonds to Z to represent a single bond.

3. The compound according to claim 1, wherein Y bonds to R³ to represent a single bond.

4. The compound according to claim 1, wherein W is oxygen.

5. The compound according to claim 1, wherein W is NR⁶ wherein R⁶ represents hydroxy, a C₁-C₈ alkoxy group, a C₃-C₆ alkenyloxy group, an aralkyloxy group, an aryloxalkylamino group, an aryloxalkylamino group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxyl, C₁-C₈ alkoxy, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexitracyclonicotinoyl oxy, or a (C₁-C₈ alkoxy)carbonylamino group.

6. The compound according to claim 2, wherein W is oxygen.

7. The compound according to claim 6, wherein R¹ is a tetrahydropyranyl group or
The compound according to claim 6, wherein R⁴ is CO(CH₂)mR⁴A, wherein m represents an integer of from 1 to 6, R⁴A represents hydroxy, a C₁-C₈ alkoxy group, a carboxyl group, a (C₁-C₈ alkoxy)carbonyl group, an aryl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxy, C₁-C₈ alkoxy, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexahydroisonicotinoyl, an heterocyclic group (which represents a 3-membered to 8-membered aliphatic or aromatic group composed of cyclic compound containing at least one hetero atom selected from oxygen, sulfur and nitrogen, this heterocyclic group may be substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxy, C₁-C₈ alkoxy, an aryl group, an aryl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxy, C₁-C₈ alkoxy, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexahydroisonicotinoyl), an aralkyl group, an aralkyl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxy, C₁-C₈ alkoxy, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexahydroisonicotinoyl, an aralkyl group, an aralkyl group substituted with 1 to 3 substituents, same or different, selected from halogen, nitro, C₁-C₈ alkyl, hydroxy, C₁-C₈ alkoxy, (C₁-C₈ alkoxy)carbonyl, N,N-di-(C₁-C₈ alkyl)carbamoyloxy and N-acetylhexahydroisonicotinoyl, NR⁴B COR⁴C (wherein R⁴B represents hydrogen or a C₁-C₈ alkyl group, and R⁴C represents hydrogen, a C₁-C₈ alkyl group, a C₁-C₈ alkoxy group, an aralkyloxy group, an aryl group, an aryloxy group, a 9-fluorenylmethoxy group, (CH₂)nNHCOR⁴D (wherein n represents an integer of from 1 to 6, R⁴D represents an alkyl group, an aralkyl group, an alkyl group, an aryloxy group, a 9-fluorenylmethoxy group) or CHR⁴ENHCCOR⁴F (wherein R⁴E represents a C₁-C₈ alkyl group or a hydroxy C₁-C₈ alkyl group, and R⁴F has the same meaning as R⁴D) or COCHR⁴GNHCCOR⁴H (wherein R⁴G has the same meaning as R⁴E, and R⁴H has the same meaning as R⁴C).

9. The DC107 derivative or a pharmaceutically acceptable salt thereof according to claim 7, wherein R³ is

10. An antibacterial agent comprising a compound according to claim 1 as an active ingredient.

11. An antitumor agent comprising a compound according to claim 1 as an active ingredient.

12. A DC107 derivative or a pharmaceutically acceptable salt thereof according to any one of claims 1 to 9 for use as a medicament.

13. Pharmaceutical composition for use as a medicament comprising one or more DC107 derivatives or a pharmaceutically acceptable salt thereof according to any one of claims 1 to 9 as the active ingredient and a pharmaceutically acceptable support.

14. Use of one or more DC107 derivatives or a pharmaceutically acceptable salt thereof according to any one of claims 1 to 9 or of the composition of claim 13 for the manufacture of a medicament having an antibacterial and/or antitumor activity.
Patentansprüche

1. DC107-Derivat der Formel (I):

oder ein pharmakologisch verträgliches Salz davon, wobei

R¹ ein Wasserstoffatom, einen (C₁₋₈)-Alkoxy-(C₁₋₂₀)-alkyl-, Aralkyloxy-(C₁₋₂₀)-alkyl-, (C₁₋₈)-Alkoxy-(C₁₋₂₀)-alkoxy-(C₁₋₂₀)-alkyl-, Aralkylrest, eine Tetrahydropyranylgruppe

{wobei Q¹ die Bedeutung CH₂, O, S, SO, SO₂ oder N-Q³ hat (wobei Q³ einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten sein kann, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, oder einen (C₁₋₈-Alkyl)oxycarbonylrest darstellt) und Q² einen C₁₋₈-Alkylrest darstellt} oder COR⁴ darstellt {wobei R⁴ einen Alkylrest, einen alicyclischen Alkylrest, einen Aralkylrest, einen Arylrest, der mit 1 bis 3 Substituenten sein kann, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxu- und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, oder einen Rest (CH₂)ₘ R₄A < wobei m eine ganze Zahl von 1 bis 6 darstellt, R₄A eine Hydroxylgruppe, einen C₁₋₈-Alkylrest, eine Carboxylgruppe, einen (C₁₋₈-Alkoxy)carbonylrest, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl- Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, einen Rest (CH₂)ₙ R⁴A < wobei m eine ganze Zahl von 1 bis 6 darstellt, R₄A eine Hydroxylgruppe, einen C₁₋₈-Alkylrest, eine Carboxylgruppe, einen (C₁₋₈-Alkoxy)carbonylrest, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, einen Rest (CH₂)ₙ R⁴A < wobei m eine ganze Zahl von 1 bis 6 darstellt, R₄A eine Hydroxylgruppe, einen C₁₋₈-Alkylrest, eine Carboxylgruppe, einen (C₁₋₈-Alkoxy)carbonylrest, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinoylxresten, substituiert ist, einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinoylxresten, substituiert is...}
C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, oder einen Rest NR⁴B COR⁴C darstellt (wobei R⁴B ein Wasserstoffatom oder einen C₁₋₈-Alkylrest darstellt und R⁴C ein Wasserstoffatom, einen C₁₋₈-Alkyl-, Aryl-, Aryloxyrest oder eine 9-Fluorenmethoxygruppe, einen Rest (CH₃)₂NHCOR⁴D darstellt, wobei n eine ganz Zahl von 1 bis 6 darstellt, R⁴D einen Alkyl-, C₁₋₈-Alkoxy-, Aryl-, Aryloxyrest oder eine 9-Fluorenmethoxygruppe darstellt) oder einen Rest CHR⁴E NHCOR⁴F darstellt (wobei R⁴E einen C₁₋₈-Alkyl- oder Hydroxy-C₁₋₈-Alkylrest darstellt, und R⁴F die gleiche Bedeutung wie R⁴D aufweist) oder einen Rest CHR⁴G NHCOR⁴H darstellt (wobei R⁴G die gleiche Bedeutung wie R⁴E aufweist und R⁴H die gleiche Bedeutung wie R⁴C aufweist));

R² ein Wasserstoffatom oder COR³ darstellt wobei R⁵ einen C₁₋₈-Alkyl-, Aryl-, Arylorest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, oder einen heterocyclischen Rest darstellt (der einen 3-gliedrigen bis 8-gliedrigen aliphatischen oder aromatischen Rest darstellt, bestehend aus einer cyclischen Verbindung, die mindestens ein aus Sauerstoff, Schwefel und Stickstoff ausgewähltes Heteroatom enthält, wobei dieser heterocyclische Rest mit 1 bis 3 Substituenten substituiert sein kann, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten));

R³ einen C₁₋₈-Alkyl-, C₃₋₆-Alkenyl-, Aralkylrest, einen Aralkylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, Acetoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, einen (C₁₋₈-Alkyl)-(C₁₋₈-Alkoxy)-(C₂₋₉-Alkanoyl)oxyalkyl- oder Aryloxyalkylresten, einen (C₁₋₈-Alkyl)-(C₁₋₈-Alkoxy)-(C₂₋₉-Alkanoyl)oxyalkyl- oder Aryloxyalkylresten, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, oder einen (C₁₋₈-Alkoxy)carbonyloxyalkyl-, (C₂₋₉-Alkanoyloxy)alkyl-, alicyclischen Alkanoyloxyalkylrest oder

Darstellt oder der Rest R³ an Y bindet, wobei er eine Einfachbindung darstellt; der Rest Y an R³ bindet, wobei er eine Einfachbindung darstellt, oder an Z bindet, wobei er eine Einfachbindung darstellt; der Rest Z ein Wasserstoffatom darstellt, oder an Y bindet, wobei er eine Einfachbindung darstellt; der Rest W ein Sauerstoffatom oder einen Rest NR⁶ darstellt (wobei R⁶ eine Hydroxylgruppe, einen C₁₋₈-Alkoxy-, C₃₋₆-Alkenyloxy-, Arylsulfonylaminorest, einen Arylsulfonylaminorest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁₋₈-Alkyl-, Hydroxyl-, C₁₋₈-Alkoxy-, (C₁₋₈-Alkoxy)carbonyl-, N,N-Di-(C₁₋₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, oder einen (C₁₋₈-Alkoxy)carbonyloxyalkyl-, (C₂₋₉-Alkanoyloxyalkyl- oder alicyclischen Alkanoyloxyalkylrest, der mit der Maßgabe, dass die Verbindung, in der die Reste R¹, R² und Z jeweils ein Wasserstoffatom darstellen, der Rest R³ an Y bindet, wobei er eine Einfachbindung darstellt, und der Rest W ein Sauerstoffatom darstellt (DC107) ausgeschlossen ist; der Alkylrest und der Alkylrest, enthalten im (C₁₋₈-Alkoxy)carbonyloxyalkyl-, Aryloxyalkyl-, (C₂₋₉-Alkanoyloxyalkyl- und alicyclischen Alkanoyloxyalkylrest ein linearer oder verzweigter Alkylrest mit 1 bis 20 Kohlenstoffatomen sind; der alicyclische Alkylrest und der alicyclischen Alkylrest, enthalten im alicyclischen Alkoxyresten und alicyclischen Alkanoyloxyalkylrest, 3 bis 8 Kohlenstoffatome aufweisen; der Alkylrest und die Aminogruppe im Aminostoffsatome aufweisen; der Alkylrest und die Aminogruppe im Amidoalkyl- und Aminostoffsatome aufweisen; der Alkylrest und die Aminogruppe im Amidoalkyl- und Aminostoffsatome aufweisen; und der heterocyclische Rest einen kondensierten cyclischen Verbindungstyp darstellt, in dem eine cyclische Verbindung mit der selben oder einer unterschiedlichen cyclischen Verbindung oder einem Benzolring kondensiert ist.

2. Verbindung nach Anspruch 1, in der der Rest Y an Z bindet, wobei er eine Einfachbindung darstellt.

3. Verbindung nach Anspruch 1, in der der Rest Y an R³ bindet, wobei er eine Einfachbindung darstellt.
4. Verbindung nach Anspruch 1, in der der Rest W ein Sauerstoffatom ist.

5. Verbindung nach Anspruch 1, in der W die Bedeutung NR⁶ wobei R⁶ eine Hydroxygruppe, einen C₁-C₈-Alk oxy-, C₂-C₆-Alkenyloxy-, Aralkyloxy-, Arylsulfonylamino rest, einen Arylsulfonylamino rest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁-C₈-Alkyl-, Hydroxy-, C₁-C₂-Alkoxy-, (C₁-C₂-Alkoxy)carbonyl-, N,N-Di-(C₁-C₈-alkyl)carbamoyl oxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, darstellt hat oder ein (C₁-C₈-Alkoxy)carbamoylaminorest ist.

6. Verbindung nach Anspruch 2, in der der Rest W ein Sauerstoffatom ist.

7. Verbindung nach Anspruch 6, in der der Rest R¹ eine Tetrahydropyran ylgruppe oder

\[ \text{Q}^2 \text{O} \]

ist, wobei Q¹ die Bedeutung CH₂, O, S, SO₂ oder N-Q³ hat (wobei Q² einen Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁-C₈-Alkyl-, Hydroxy-, C₁-C₆-Alkoxy-, Aralkyloxy-, Arylsulfonylaminorest, einen Arylsulfonylaminorest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁-C₈-Alkyl-, Hydroxy-, C₁-C₂-Alkoxy-, (C₁-C₂-Alkoxy)carbonyl-, N,N-Di-(C₁-C₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, oder einen (C₁-C₈-Alkyl)oxycarbonylrest darstellt) und Q² einen C₁-C₈-Alkylrest darstellt.

8. Verbindung nach Anspruch 6, in der R¹ die Bedeutung CO(CH₂)mR⁴A < wobei m eine ganze Zahl von 1 bis 6 darstellt, R⁴A eine Hydroxygruppe, einen C₁-C₈-Alkoxy-, Carboxyl-, (C₁-C₈-Alkoxy)carbonyl-, Arylrest, einen Arylrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁-C₈-Alkyl-, Hydroxy-, C₁-C₂-Alkoxy-, (C₁-C₂-Alkoxy)carbonyl-, N,N-Di-(C₁-C₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, einen heterocyclischen Rest (der einen 3-gliedrigen bis 8-gliedrigen aliphatischen oder aromatischen Rest darstellt, bestehend aus einer cyclischen Verbindung, die mindestens ein aus Sauerstoff, Schwefel und Stickstoff ausgewähltes Heteroatom enthält, wobei dieser heterocyclische Rest mit 1 bis 3 Substituenten substituiert sein kann, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁-C₈-Alkyl-, Hydroxy-, C₁-C₂-Alkoxy-, (C₁-C₂-Alkoxy)carbonyl-, N,N-Di-(C₁-C₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten), einen Aralkyloxyrest, einen Aralkyloxyrest, der mit 1 bis 3 Substituenten, die gleich oder verschieden sein können und ausgewählt sind aus Halogenatomen, Nitro-, C₁-C₂-Alkyl-, Hydroxy-, C₁-C₂-Alkoxy-, (C₁-C₂-Alkoxy)carbonyl-, N,N-Di-(C₁-C₈-alkyl)carbamoyloxy- und N-Acetylhexahydroisonicotinyloxyresten, substituiert ist, einen Rest NR⁴B COR⁴C (wobei R⁴B ein Wasserstoffatom oder einen C₁-C₈-Alkylrest darstellt und R⁴C ein Wasserstoffatom, einen C₁-C₂-Alkoxy-, Aralkyloxy-, Aryl-, Aryloxyrest, eine 9-Fluorenylmethoxygruppe, einen Rest (CH₂)nNHCOR⁴D (wobei n eine ganze Zahl von 1 bis 6 darstellt, R⁴D einen Alkyl-, C₁-C₂-Alkoxy-, Aralkyloxy-, Aryl-, Aryloxyrest oder eine 9-Fluorenylmethoxygruppe darstellt) oder einen Rest O=COR⁴⁵ COR⁴⁶ (wobei R⁴⁵ einen C₁-C₈-Alkyl- oder Hydroxy-C₁-C₂-alkylrest darstellt und R⁴⁶ die gleiche Bedeutung wie R⁴⁵ aufweist), oder einen Rest O=COR⁴⁷ NHCOR⁴⁸ (wobei R⁴⁷ einen C₁-C₂-Alkyl- oder Hydroxy-C₁-C₂-alkylrest darstellt und R⁴⁸ die gleiche Bedeutung wie R⁴⁷ aufweist) hat.

9. DC107-Derivat oder ein pharmakologisch verträgliches Salz davon nach Anspruch 7, wobei R³ ist.
10. Antibactériel out, encompendant une substance selon la demande 1 en tant que composant.

11. Anti-tumeur, encompendant une substance selon la demande 1 en tant que composant.

12. DC107-Dérivé ou une solution pharmaceutiquement tolérable de cette substance selon une ou plusieurs des demandes 1 à 9 en tant que médicament.

13. Médicamente pour l'utilisation en tant que médicament, encompendant un ou plusieurs DC107-Dérivés ou une solution pharmaceutiquement tolérable de cette substance selon une ou plusieurs des demandes 1 à 9 en tant que composant et un support pharmaceutique compatible.

14. Utilisation d'un ou plusieurs DC107-Dérivés ou une solution pharmaceutiquement tolérable de cette substance selon une ou plusieurs des demandes 1 à 9 ou une composition selon la demande 13 pour la fabrication d'un médicament avec une activité antibactérienne et/ou anticancéreuse.

Revendications

1. Dérivé du composé DC107, représenté par la formule (I) :

ou sel admissible en pharmacie d'un tel composé,

dans laquelle formule

R₁ représente un atome d'hydrogène, un groupe (alcoxy en C₁-₈) - (alkyle en C₁-₂₀), un groupe aralcoxy-(alkyle en C₁-₂₀), un groupe (alcoxy en C₁-₈) - (alcoxy en C₁-₂₀) - (alkyle en C₁-₂₀), un groupe (alcoxy en C₁-₈) - (alcoxy en C₁-₂₀) - (alcoxy en C₁-₂₀) - (alkyle en C₁-₂₀), un groupe aralkyle, un groupe tétrahydropyranyloxy, un groupe de formule

ou un groupe de formule COR₄ [dans laquelle R₄ représente un atome aryle, un atome aryle portant de 1 à 3 substituants, identiques ou différents, choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C₁-₈, hydroxyloxy, alcool en C₁-₈, (alcoxy en C₁-₈)carbonyloxy, N,N-di(alkyl en C₁-₈)carbamyloxy et N-acétylhexahydroisonicotinyloxy, un groupe hétérocyclique (terme qui désigne un groupe aromatique ou cycloaliphatique de 3 à 8 chaînons, dérivé d'un composé cyclique comportant au moins un hétéroatome choisi parmi les atomes d'oxygène, de soufre et d'azote, ce groupe hétérocyclique pouvant porter de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes
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nitro, alkyle en C_{1-8}, hydroxyle, alcoxy en C_{1-8}, (alcoxy en C_{1-8}) carbamyloxy, N,N-di (alkyle en C_{1-8}) carbamyloxy et N-acétyl-hexahydroisonicotinyloxy), un groupe alcoxy en C_{1-8}, un groupe cycloalcoxy, un groupe 9-fluorénylméthoxy, un groupe aralcoxy, un groupe aryle, un groupe aryle portant de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C_{1-8}, hydroxylo, alcoxy en C_{1-8}, (alcoxy en C_{1-8}) carbamyloxy, N,N-di (alkyle en C_{1-8}) carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, un groupe hétérocyclique (terme qui désigne un groupe aromatique ou cycloaliphatique de 3 à 8 chaînons, dérivé d'un composé cyclique comportant au moins un hétéroatome choisi parmi les atomes d'oxygène, de soufre et d'azote, ce groupe hétérocyclique pouvant porter de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C_{1-8}, hydroxylo, alcoxy en C_{1-8}, (alcoxy en C_{1-8}) carbamyloxy et N-acétyl-hexahydroisonicotinyloxy), un groupe alcoxy en C_{1-8}, un groupe aralcoxy portant de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C_{1-8}, hydroxylo, alcoxy en C_{1-8}, (alcoxy en C_{1-8}) carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, un groupe aralcoxy, un groupe aralcoxy portant de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C_{1-8}, hydroxylo, alcoxy en C_{1-8}, (alcoxy en C_{1-8}) carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, un groupe alcoxy en C_{1-8}, un groupe aralcoxy portant de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C_{1-8}, hydroxylo, alcoxy en C_{1-8}, (alcoxy en C_{1-8}) carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, un groupe alcoxy en C_{1-8}, un groupe arylsulfonylamino, un groupe arylsulfonylamino portant de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C_{1-8}, hydroxylo, alcoxy en C_{1-8}, (alcoxy en C_{1-8}) carbamyloxy, N,N-di (alkyle

où bien R³ représente conjointement avec Y une simple liaison ;
Y représente conjointement avec R³ u une simple liaison, ou représente conjointement avec Z une simple liaison ;
Z représente un atome d'hydrogène, ou représente conjointement avec Y une simple liaison ;
et W représente un atome d'oxygène ou un groupe de formule NR⁶ dans laquelle R⁶ représente un groupe hydroxyle, un groupe alcoxy en C_{1-8}, un groupe alcényloxy en C_{3-6}, un groupe aralcoxy, un groupe aryle, un groupe aryle portant de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C_{1-8}, hydroxylo, alcoxy en C_{1-8}, (alcoxy en C_{1-8}) carbamyloxy, N,N-di (alkyle
en C₄₋₉ carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, ou un groupe (alcoxy en C₁₋₈)carbonylamino, sous réserve que soit exclu le composé dans lequel R¹, R² et Z représentent chacun un atome d'hydrogène, R³ représente conjointement avec Y une simple liaison, et W représente un atome d'oxygène (composé DC107); le groupe alkyle et les groupes alkyle faisant partie des groupes (alcoxy en C₁₋₉)carbonylalkyle, aryloxyalkyle, (alkanoyle en C₂₋₉)oxyalkyle et cycloalcanoxyalkyle sont des groupes alkyle à chaîne droite ou ramifiée comportant de 1 à 20 atomes de carbone; le groupe cycloalkyle et les groupes cycloalkyle faisant partie des groupes cycloalcoxy et cycloalcanoyloxyalkyle comportent de 3 à 8 atomes de carbone; le groupe aralkyle et les fragments aralkyle des groupes aralcoxy et aralcoxy(alkyle en C₁₋₂₀) comportent de 7 à 15 atomes de carbone; le groupe aryle et les fragments aryle des groupes aryloxy, aryloxyalkyle et arylsulfonlamino sont des groupes phényle ou naphthyle; et le groupe hétérocyclique peut être un groupe dérivé d'un composé à cycles condensés, où un cycle est condensé avec un autre cycle, identique ou différent, ou avec un cycle benzénique.

2. Composé conforme à la revendication 1, dans lequel Y et Z représentent conjointement une simple liaison.

3. Composé conforme à la revendication 1, dans lequel Y et R³ représentent conjointement une simple liaison.

4. Composé conforme à la revendication 1, dans lequel W représente un atome d'oxygène.

5. Composé conforme à la revendication 1, dans lequel W représente un groupe de formule NR⁶ où R⁶ représente un groupe hydroxy, un groupe alcoxy en C₁₋₉, un groupe alcényloxy en C₃₋₆, un groupe aralcoxy, un groupe arylsulfonlamino, un groupe aryloxyalkyle portant de 1 à 3 substituants, identiques ou différents, choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C₁₋₈, hydroxy, alcoxy en C₁₋₉, (alcoxy en C₁₋₉)carbonyl, N,N-di-(alkyle en C₁₋₉)carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, ou un groupe (alcoxy en C₁₋₉)carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, ou un groupe (alcoxy en C₁₋₉)carbonylamino.

6. Composé conforme à la revendication 2, dans lequel W représente un atome d'oxygène.

7. Composé conforme à la revendication 6, dans lequel R¹ représente un groupe tétrahydropyranyle ou un groupe de formule

8. Composé conforme à la revendication 6, dans lequel R¹ représente un groupe de formule CO(CH₂)mR⁴A où m représente un nombre entier valant de 1 à 6 et R⁴A représente un groupe hydroxy, un groupe alcoxy en C₁₋₉, un groupe carboxyle, un groupe (alcoxy en C₁₋₉)carbonyl, un groupe aryloxy, un groupe arylsulfonlamino, un groupe aralcoxy, un groupe aralcoxy portant de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C₁₋₈, hydroxy, alcoxy en C₁₋₉, (alcoxy en C₁₋₉)carbonyl, N,N-di-(alkyle en C₁₋₉)carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, un groupe hétérocyclique (termine qui désigne un groupe aromatique ou cicloaliphatique de 3 à 8 chaînons, dérivé d'un composé cyclique comportant au moins un hétéroatome choisi parmi les atomes d'oxygène, de soufre et d'azote, ce groupe hétérocyclique pouvant porter de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C₁₋₈, hydroxy, alcoxy en C₁₋₉, (alcoxy en C₁₋₉)carbonyl, N,N-di-(alkyle en C₁₋₉)carbamyloxy et N-acétyl-hexahydroisonicotinyloxy), un groupe aralcoxy, un groupe aralcoxy portant de 1 à 3 substituants, identiques ou différents et choisis parmi les atomes d'halogène et les groupes nitro, alkyle en C₁₋₈, hydroxy, alcoxy en C₁₋₉, (alcoxy en C₁₋₉)carbonyl, N,N-di-(alkyle en C₁₋₉)carbamyloxy et N-acétyl-hexahydroisonicotinyloxy, ou un groupe de formule NR⁴B COR⁴C (dans laquelle R⁴B re-
présente un atome d'hydrogène ou un groupe alkyle en C₁₋₈ et R⁴₀ représente un atome d'hydrogène, un groupe alkyle en C₁₋₈, un groupe alcoxy en C₁₋₈, un groupe aralcoxy en C₁₋₈, un groupe aryle, un groupe aryloxy, un groupe 9-fluorénylméthoxy, un groupe de formule (CH₂)ₙ NHCOR⁴ᴰ (où n représente un nombre entier valant de 1 à 6 et R⁴ᴰ représente un groupe alkyle, un groupe alcoxy en C₁₋₈, un groupe aralcoxy, un groupe aryle, un groupe aryloxy, ou un groupe 9-fluorénylméthoxy) ou un groupe de formule CHR⁴ᴱ NHCOR⁴_FWD (où R⁴ᴱ représente un groupe alkyle en C₁₋₈ ou un groupe hydroxyalkyle en C₁₋₈, et R⁴_FWD possède la même signification que R⁴ᴰ), ou un groupe de formule CHR⁴ᴳ NHCOR⁴ᴴ (où R⁴ᴳ possède la même signification que R⁴ᴱ, et R⁴ᴴ possède la même signification que R⁴ᴰ).

9. Dérivé de DC107 ou sel admissible en pharmacie d'un tel composé, conforme à la revendication 7, dans lequel R³ représente un groupe de formule

![Structure chimique](image)

10. Agent antibactérien contenant, en qualité d'ingrédient actif, un composé conforme à la revendication 1.

11. Agent antitumoral contenant, en qualité d'ingrédient actif, un composé conforme à la revendication 1.

12. Dérivé de DC107 ou sel admissible en pharmacie d'un tel composé, conforme à l'une des revendications 1 à 9, destiné à être utilisé comme médicament.

13. Composition pharmaceutique destinée à être utilisée comme médicament, contenant un ou plusieurs dérivés de DC107 ou sels admissibles en pharmacie de tels composés, conformes à l'une des revendications 1 à 9, en qualité d'ingrédients actifs, et un véhicule admissible en pharmacie.

14. Utilisation d'un ou de plusieurs dérivés de DC107 ou sels admissibles en pharmacie de tels composés, conformes à l'une des revendications 1 à 9, ou d'une composition conforme à la revendication 13, pour la fabrication d'un médicament présentant une activité antibactérienne et/ou antitumorale.