

purified with silica gel column chromatography (chloroform:methanol=100:0-85:15) to give Compound 2 (328 mg) as a pale yellow viscous material. MS (ESI): m/z 533 [M+H]⁺

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(2) To a solution of Compound 2 (260 mg) in dichloromethane (2.5 mL) was added N-hydroxypyridine-2-thione (75 mg) under light-shading, then the mixture was ice-cooled, N,N'-dicyclohexylcarbodiimide (102 mg) was added thereto, and stirred at the same temperature for 10 minutes and at room temperature for 3 hours. To the mixture were added 1,4-dioxane (5.0 mL), 2,2'-azobis(isobutyronitrile) (12 mg), and tributyltin hydride (0.40 mL), and stirred at 100°C for 2 hours. The reaction solution was filtrated, and the filtrate was concentrated under reduced pressure. The residue was purified with NH silica gel column chromatography (hexane:ethyl acetate=100:0-90:10) to give Compound 3 (125 mg) as a colorless viscous material. MS (ESI): m/z 489 [M+H]⁺

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(3) To a solution of Compound 3 (120 mg) in chloroform (2.5 mL) was added trifluoroacetic acid (1.2 mL) under ice-cooling, and the mixture was stirred at room temperature for 2 hours. The reaction solution was ice-cooled, diluted with chloroform, and then a saturated aqueous solution of sodium hydrogen carbonate was added thereto to neutralize it. The organic layer was separated, washed with saturated saline, then dried, and concentrated under reduced pressure. To a solution of

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reaction mixture was added water at room temperature, stirred, and extracted with ethyl acetate. The resultant organic layer was washed with water and saturated saline, dried, and concentrated under reduced pressure. The

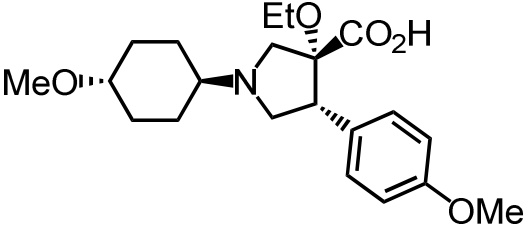
5 residue was purified with silica gel column chromatography (hexane:ethyl acetate=98:2-85:15) to give Compound 2 (159 mg) as a pale yellow viscous material. MS (ESI): m/z 350 [M+H]⁺

10 (2) To a solution of Compound 2 (105 mg) and Compound 3 (214 mg) in dichloromethane (2 mL) was added trifluoroacetic acid (4.5 μ L), the mixture was heated under reflux for 16 hours, then Compound 3 (214 mg) and trifluoroacetic acid (4.5 μ L) were added thereto, and
15 heated under reflux for 2 hours. To the reaction mixture was added trifluoroacetic acid (9.0 μ L), heated under reflux for 18 hours, then cooled to room temperature, and purified with silica gel column chromatography (hexane:ethyl acetate=98:2-88:12) to give racemic
20 Compound 4 (86 mg) as a colorless viscous material. MS (ESI): m/z 483 [M+H]⁺

(3) To a solution of Compound 4 (266 mg) in methanol (4 mL) were added 10% palladium carbon (wetted with ca. 50% water,
25 80 mg) and acetic acid (5 mL), and the mixture was stirred under hydrogen atmosphere (1 atm) at room temperature for 2 hours. Palladium carbon was removed by filtration, and the filtrate was concentrated under reduced pressure. To the residue were added ethyl
30 acetate and a saturated aqueous solution of sodium

A corresponding starting compound was treated in a similar manner to the above Reference Example 145 to give the compound in the following Table 46.

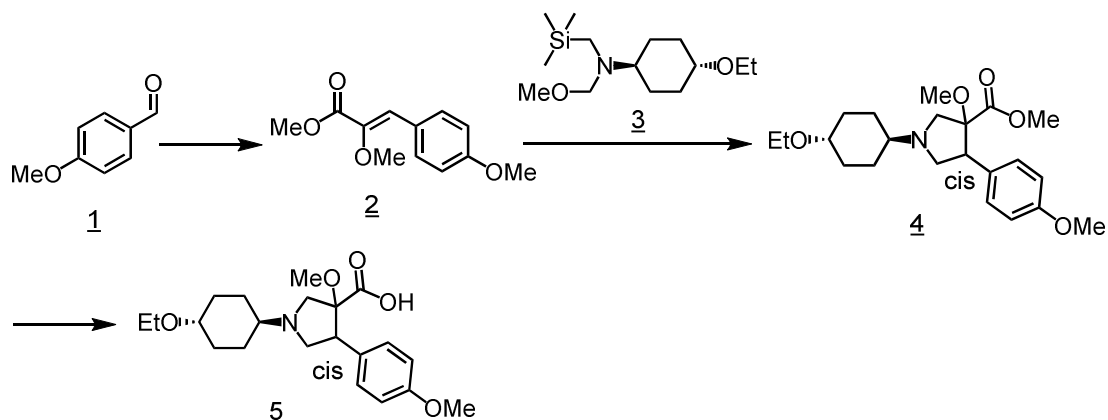
[Table 46]

Reference Example	Compound	MS
146		(ESI): m/z 378 [M+H] ⁺

5 [0395]

Reference Example 147

[Chemical Formula 157]



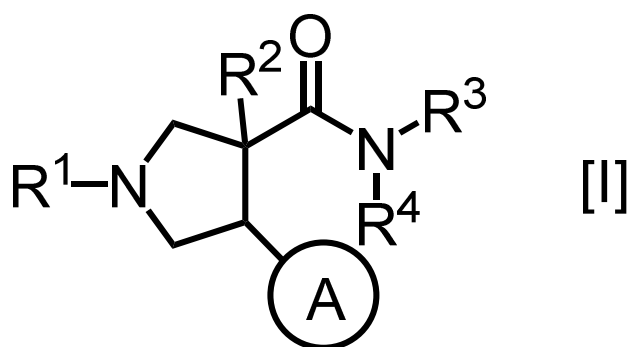
(1) Compound 1 was treated in a similar manner to a method described in a literature (Tetrahedron 1994, 50, 7543-7556) to give Compound 2 (47.6 g) as a colorless liquid. MS (APCI): m/z 223 [M+H]⁺

(2) A solution of Compound 3 (984 mg), which was prepared in a similar manner to a method described in a literature (J. Med. Chem. 1996, 39, 314-322, WO2007/006760, J. Med. Chem. 2013, 56, 10003-10015, WO2004/089307), in dichloromethane (6 mL) was added dropwise to a solution,

CLAIMS

1. A pyrrolidine derivative represented by formula [I]:

5



wherein ring A represents an optionally substituted aryl group or an optionally substituted heteroaryl group;

10 R^1 represents an optionally substituted alkyl group, an optionally substituted cycloalkyl group, an optionally substituted aliphatic heterocyclic group, an optionally substituted aryl group that may be partially hydrogenated, an optionally substituted heteroaryl group, or an
15 optionally substituted carbamoyl group;

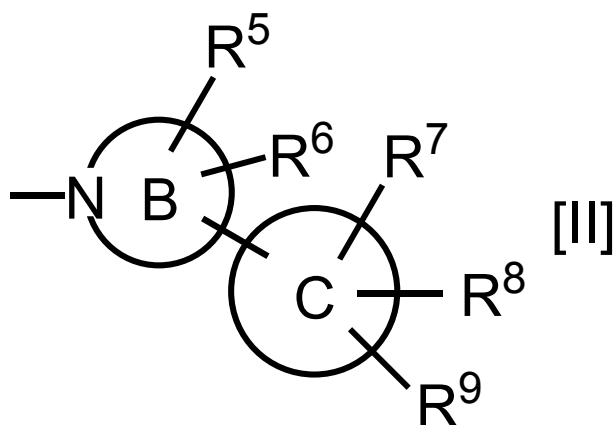
R^2 represents a halogen atom, an alkyl group, or an optionally substituted alkoxy group;

R^3 is an alkyl group substituted with an optionally substituted aryl group, or an alkyl group substituted with
20 an optionally substituted heteroaryl group; and

R^4 is a hydrogen atom or an alkyl group; or

R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II]:

25



wherein ring B represents a nitrogen-containing aliphatic heterocyclic group that may partially contain a double bond;

ring C represents an aryl group or a heteroaryl group;

R^5 and R^6 each independently represent a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, a cyanoalkyl group, a hydroxyalkyl group, an alkoxyalkyl group, a carboxyl group, a carbamoyl group optionally substituted with one or two alkyl group(s), and an alkoxy group;

R^7 represents an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted cycloalkyl group, an optionally substituted cycloalkenyl group, an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aliphatic heterocyclic group, an optionally substituted alkoxy group, an amino group optionally substituted with one or two alkyl group(s) optionally substituted with a carboxyl group, or a carbamoyl group optionally substituted with one or two alkyl group(s) optionally substituted with a carboxyl group,

R^8 and R^9 each independently represent a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, and a haloalkoxy group, or

5 a pharmaceutically acceptable salt thereof.

2. The compound or pharmaceutically acceptable salt thereof according to claim 1, wherein

ring A is an optionally substituted aryl group or an
10 optionally substituted heteroaryl group,

wherein substituent(s) on each of the optionally substituted aryl group and the optionally substituted heteroaryl group is/are one to three group(s) independently selected from the group consisting of a halogen atom, an
15 alkyl group, a haloalkyl group, a cycloalkyl group, an alkoxy group, a haloalkoxy group, and an alkyleneoxy group;

R^1 is an optionally substituted alkyl group, an optionally substituted cycloalkyl group, an optionally substituted aliphatic heterocyclic group, an optionally substituted aryl group that may be partially hydrogenated,
20 an optionally substituted heteroaryl group, or a carbamoyl group optionally substituted with one or two alkyl group(s),

wherein substituent(s) on the optionally substituted alkyl group is/are one to three group(s) independently
25 selected from the group consisting of a halogen atom; a hydroxy group; an oxo group; a cyano group; a cycloalkyl group; an alkoxy group; an alkanoyl group; a carbamoyl group optionally substituted with one or two alkyl group(s); an aliphatic heterocyclic group; an aliphatic heterocyclic
30 carbonyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, and an alkoxyalkyl group; an alkylsulfonyl group; an aliphatic

heterocyclic sulfonyl group; and an alkyleneoxy group, and
substituent(s) on each of the optionally substituted
cycloalkyl group, the optionally substituted aliphatic
heterocyclic group, the optionally substituted aryl group
5 that may be partially hydrogenated, and the optionally
substituted heteroaryl group is/are one to three group(s)
independently selected from the group consisting of a
halogen atom; a hydroxy group; an oxo group; a cyano group;
an alkyl group; a haloalkyl group; a cycloalkyl group; an
10 alkoxy group; a hydroxyalkyl group; an alkoxyalkyl group;
an alkanoyl group; a carbamoyl group optionally substituted
with one or two alkyl group(s); an aliphatic heterocyclic
group; an aliphatic heterocyclic carbonyl group optionally
substituted with one or two group(s) independently selected
15 from the group consisting of a halogen atom, an alkyl group,
a haloalkyl group, and an alkoxyalkyl group; an
alkylsulfonyl group; an aliphatic heterocyclic sulfonyl
group; and an alkyleneoxy group;

R^2 is a halogen atom, an alkyl group, or an alkoxy
20 group;

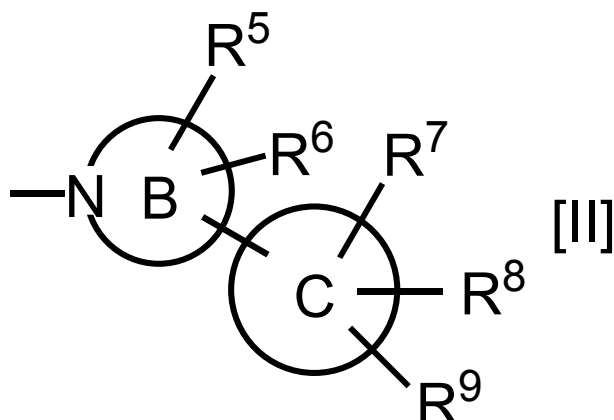
R^3 is an alkyl group substituted with a substituted
aryl group, or an alkyl group substituted with a
substituted heteroaryl group,

wherein a substituent on each of the substituted aryl
25 group and the substituted heteroaryl group is an aliphatic
heterocyclic group optionally substituted with a carboxyl
group, and the aryl group and the heteroaryl group are each
optionally further substituted with a haloalkyl group; and

R^4 is a hydrogen atom or an alkyl group; or

30 R^3 and R^4 are terminally attached to each other, and
together with the nitrogen atom to which they are attached,
form a group represented by formula [II]:

[Formula 3]



wherein ring B represents a nitrogen-containing
 5 aliphatic heterocyclic group that may partially contain a double bond;

ring C represents an aryl group or a heteroaryl group;

R^5 and R^6 each independently represent a group
 selected from the group consisting of a hydrogen atom, a
 10 halogen atom, a cyano group, an alkyl group, a haloalkyl
 group, a cyanoalkyl group, a hydroxyalkyl group, an
 alkoxyalkyl group, a carboxyl group, a carbamoyl group
 optionally substituted with one or two alkyl group(s), and
 an alkoxy group;

15 R^7 represents an optionally substituted alkyl group,
 an optionally substituted alkenyl group, an optionally
 substituted cycloalkyl group, an optionally substituted
 cycloalkenyl group, an optionally substituted aryl group,
 an optionally substituted heteroaryl group, an optionally
 20 substituted aliphatic heterocyclic group, an optionally
 substituted alkoxy group, an amino group optionally
 substituted with one or two alkyl group(s) optionally
 substituted with a carboxyl group, or a carbamoyl group
 optionally substituted with one or two alkyl group(s)
 25 optionally substituted with a carboxyl group,

wherein substituent(s) on the optionally substituted alkyl group is/are one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; an aliphatic heterocyclic carbonyl group optionally substituted with a carboxyl group; a heteroaryl group optionally substituted with a hydroxy or an oxo group; an aliphatic heterocyclic group optionally substituted with one or two oxo group(s); a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl moiety is optionally substituted with a hydroxyl group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonyl group; an aminosulfonyl group optionally substituted with one or two alkyl group(s); an aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); an alkylsulfonylaminocarbonyl group; and an amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group, and

substituent(s) on each of the optionally substituted alkenyl group, the optionally substituted cycloalkyl group, the optionally substituted cycloalkenyl group, the optionally substituted aryl group, the optionally substituted heteroaryl group, the optionally substituted aliphatic heterocyclic group, and the optionally substituted alkoxy group is/are one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkyl group optionally substituted with a carboxyl group; an alkoxy

group; an alkanoyl group; a carboxyl group; an alkoxy carbonyl group; an aliphatic heterocyclic carbonyl group optionally substituted with a carboxyl group; a heteroaryl group optionally substituted with a hydroxy or an oxo group; an aliphatic heterocyclic group optionally substituted with one or two oxo group(s); a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl moiety is optionally substituted with a hydroxy group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonyl group; an aminosulfonyl group optionally substituted with one or two alkyl group(s); an aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); an alkylsulfonylaminocarbonyl group; and an amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group; and

R^8 and R^9 each independently represent a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, and a haloalkoxy group.

3. The compound or pharmaceutically acceptable salt thereof according to claim 1, wherein

ring A is an optionally substituted aryl group or an optionally substituted heteroaryl group,

wherein substituent(s) on each of the optionally substituted aryl group and the optionally substituted heteroaryl group is/are one to three group(s) independently selected from the group consisting of a halogen atom, an

alkyl group, a haloalkyl group, a cycloalkyl group, an alkoxy group, a haloalkoxy group, and an alkyleneoxy group;

the aryl moiety of the optionally substituted aryl group represented by ring A is a monocyclic or bicyclic aryl group,

the heteroaryl moiety of the optionally substituted heteroaryl group represented by ring A is a 5- to 10-membered monocyclic or bicyclic heteroaryl group containing one to four heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom;

R^1 is an optionally substituted alkyl group, an optionally substituted cycloalkyl group, an optionally substituted aliphatic heterocyclic group, an optionally substituted aryl group that may be partially hydrogenated, an optionally substituted heteroaryl group, or a carbamoyl group optionally substituted with one or two alkyl group(s),

wherein substituent(s) on the optionally substituted alkyl group is/are one to three group(s) independently selected from the group consisting of a halogen atom; a hydroxy group; an oxo group; a cyano group; a cycloalkyl group; an alkoxy group; an alkanoyl group; a carbamoyl group optionally substituted with one or two alkyl group(s); a 4- to 7-membered monocyclic aliphatic heterocyclic group containing one or two heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom; an aliphatic heterocyclic carbonyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, and an alkoxyalkyl group; an alkylsulfonyl group; an aliphatic heterocyclic sulfonyl group; and an alkyleneoxy group,

substituent(s) on each of the optionally substituted cycloalkyl group, the optionally substituted aliphatic heterocyclic group, the optionally substituted aryl group that may be partially hydrogenated, and the optionally substituted heteroaryl group is/are one to three group(s) independently selected from the group consisting of a halogen atom; a hydroxy group; an oxo group; a cyano group; an alkyl group; a haloalkyl group; a cycloalkyl group; an alkoxy group; a hydroxyalkyl group; an alkoxyalkyl group; an alkanoyl group; a carbamoyl group optionally substituted with one or two alkyl group(s); a 4- to 7-membered monocyclic aliphatic heterocyclic group containing one or two heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom; an aliphatic heterocyclic carbonyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, and an alkoxyalkyl group; an alkylsulfonyl group; an aliphatic heterocyclic sulfonyl group; and an alkyleneoxy group,

the aliphatic heterocyclic moiety of each of the aliphatic heterocyclic carbonyl group and the aliphatic heterocyclic sulfonyl group with which R^1 is substituted is a 4- to 7-membered monocyclic aliphatic heterocyclic ring containing at least one nitrogen atom, and an optionally further containing one heteroatom selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom,

the aliphatic heterocyclic moiety of the optionally substituted aliphatic heterocyclic group represented by R^1 is a 4- to 7-membered monocyclic aliphatic heterocyclic ring containing one or two heteroatom(s) independently

selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom, and

the heteroaryl moiety of the optionally substituted heteroaryl group represented by R^1 is a 5- or 6-membered
5 monocyclic heteroaryl containing one to four heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom;

R^2 is a halogen atom, an alkyl group, or an alkoxy group;

10 R^3 is an alkyl group substituted with a substituted aryl group,

wherein substituent(s) on the substituted aryl group is/are an aliphatic heterocyclic group optionally substituted with a carboxyl group (wherein the aliphatic
15 heterocyclic group is a 4- to 8-membered monocyclic or bicyclic aliphatic heterocyclic group containing one or two heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom) and a haloalkyl group; and

20 R^4 is a hydrogen atom or an alkyl group; or

R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II],

wherein ring B is a 4- to 8-membered monocyclic or
25 bicyclic aliphatic heterocyclic group that may further contain, in addition to the nitrogen atom shown in formula [II], one heteroatom selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom, and may partially contain a double bond;

30 ring C is a monocyclic aryl group, or a 5- or 6-membered monocyclic heteroaryl group containing one to four heteroatom(s) independently selected from the group

consisting of an oxygen atom, a sulfur atom, and a nitrogen atom;

R^5 and R^6 are each independently a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, a cyanoalkyl group, a hydroxyalkyl group, an alkoxyalkyl group, a carboxyl group, a carbamoyl group optionally substituted with one or two alkyl group(s), and an alkoxy group;

R^7 is an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted cycloalkyl group, an optionally substituted cycloalkenyl group, an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aliphatic heterocyclic group, an optionally substituted alkoxy group, an amino group optionally substituted with one or two alkyl group(s) optionally substituted with a carboxyl group, or a carbamoyl group optionally substituted with one or two alkyl group(s) optionally substituted with a carboxyl group,

wherein substituent(s) on the optionally substituted alkyl group is/are one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; an aliphatic heterocyclic carbonyl group optionally substituted with a carboxyl group; a heteroaryl group optionally substituted with a hydroxy or an oxo group; a 4- to 7-membered monocyclic aliphatic heterocyclic group optionally substituted with one or two oxo group(s), and containing one or two heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom; a carbamoyl group optionally

substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl group is optionally substituted with a hydroxy, an alkoxy, or a carboxyl group) and a hydroxy group; an alkylsulfonyl group; an aminosulfonyl group optionally substituted with one or two alkyl group(s); an aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); an alkylsulfonylaminocarbonyl group; and an amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group, substituent(s) on each of the optionally substituted alkenyl group, the optionally substituted cycloalkyl group, the optionally substituted cycloalkenyl group, the optionally substituted aryl group, the optionally substituted heteroaryl group, the optionally substituted aliphatic heterocyclic group, and the optionally substituted alkoxy group is/are one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkyl group optionally substituted with a carboxyl group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; an aliphatic heterocyclic carbonyl group optionally substituted with a carboxyl group; a heteroaryl group optionally substituted with a hydroxy or an oxo group; a 4- to 7-membered monocyclic aliphatic heterocyclic group optionally substituted with one or two oxo group(s), and containing one or two heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom; a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl group is optionally

substituted with a hydroxy group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonyl group; an aminosulfonyl group optionally substituted with one or two alkyl group(s); an aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); an alkylsulfonylaminocarbonyl group; and an amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group,

the aliphatic heterocyclic moiety of the aliphatic heterocyclic carbonyl group with which R^7 is substituted is a 4- to 7-membered monocyclic aliphatic heterocyclic ring containing at least one nitrogen atom, and optionally further containing one heteroatom selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom,

the heteroaryl group with which R^7 is substituted is a 5- or 6-membered monocyclic heteroaryl group containing one to four heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom,

the heteroaryl moiety of the optionally substituted heteroaryl group represented by R^7 is a 5- or 6-membered monocyclic heteroaryl containing one to four heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom, and

the aliphatic heterocyclic moiety of the optionally substituted aliphatic heterocyclic group represented by R^7 is a 4- to 8-membered monocyclic or bicyclic aliphatic heterocyclic ring containing one or two heteroatom(s) independently selected from the group consisting of an oxygen atom, a sulfur atom, and a nitrogen atom; and

R⁸ and R⁹ are each independently a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, and a haloalkoxy group.

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4. The compound or pharmaceutically acceptable salt thereof according to claim 1, wherein

ring A is an optionally substituted aryl group or an optionally substituted heteroaryl group,

10 wherein substituent(s) on each of the optionally substituted aryl group and the optionally substituted heteroaryl group is/are one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, a cycloalkyl group, an
15 alkoxy group, a haloalkoxy group, and an alkyleneoxy group,

the aryl moiety of the optionally substituted aryl group represented by ring A is a group selected from the group consisting of a phenyl group and a naphthyl group, and

20 the heteroaryl moiety of the optionally substituted heteroaryl group represented by ring A is a group selected from the group consisting of a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl
25 group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group, a thiazinyl group, a triazinyl group, an indolyl group, an isoindolyl group, and a benzoimidazolyl group;

30 R¹ is an optionally substituted alkyl group, an optionally substituted cycloalkyl group, an optionally substituted aliphatic heterocyclic group, an optionally substituted aryl group that may be partially hydrogenated,

an optionally substituted heteroaryl group, or a carbamoyl group optionally substituted with one or two alkyl group(s), wherein substituent(s) on the optionally substituted alkyl group is/are one or two group(s) independently selected from the group consisting of a halogen atom; a hydroxy group; an oxo group; a cyano group; a cycloalkyl group; an alkoxy group; an alkanoyl group; a carbamoyl group optionally substituted with one or two alkyl group(s); an aliphatic heterocyclic group; an aliphatic heterocyclic carbonyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, and an alkoxyalkyl group; an alkylsulfonyl group; an aliphatic heterocyclic sulfonyl group; and an alkyleneoxy group, substituent(s) on each of the optionally substituted cycloalkyl group, the optionally substituted aliphatic heterocyclic group, the optionally substituted aryl group that may be partially hydrogenated, and the optionally substituted heteroaryl group is/are one or two group(s) independently selected from the group consisting of a halogen atom; a hydroxy group; an oxo group; a cyano group; an alkyl group; a haloalkyl group; a cycloalkyl group; an alkoxy group; a hydroxyalkyl group; an alkoxyalkyl group; an alkanoyl group; a carbamoyl group optionally substituted with one or two alkyl group(s); an aliphatic heterocyclic group; an aliphatic heterocyclic carbonyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, and an alkoxyalkyl group; an alkylsulfonyl group; an aliphatic heterocyclic sulfonyl group; and an alkyleneoxy group, the aliphatic heterocyclic group with which R¹ is substituted is a group selected from the group consisting

of an azetidiny1 group, a pyrrolidinyl group, a tetrahydrofuranyl group, an imidazoliny1 group, a thiazolidiny1 group, an isothiazolidiny1 group, a piperidinyl group, a piperazinyl group, a morpholinyl group, 5 a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, and an homomorpholinyl group,

the aliphatic heterocyclic moiety of each of the aliphatic heterocyclic carbonyl group and the aliphatic heterocyclic sulfonyl group with which R^1 is substituted is 10 a group selected from the group consisting of an azetidiny1 group, a pyrrolidinyl group, an imidazoliny1 group, a thiazolidiny1 group, an isothiazolidiny1 group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a homopiperazinyl group, and a 15 homomorpholinyl group,

the aryl moiety of the optionally substituted aryl group that may be partially hydrogenated represented by R^1 is a group selected from the group consisting of a phenyl group, a naphthyl group, a dihydrophenyl group, an indanyl 20 group, and a tetrahydronaphthyl group,

the aliphatic heterocyclic moiety of the optionally substituted aliphatic heterocyclic group represented by R^1 is a group selected from the group consisting of an azetidiny1 group, a pyrrolidinyl group, a tetrahydrofuranyl 25 group, an imidazoliny1 group, a thiazolidiny1 group, an isothiazolidiny1 group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, and a homomorpholinyl group, and

30 the heteroaryl moiety of the optionally substituted heteroaryl group represented by R^1 is a group selected from the group consisting of a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an

oxazolyl group, an isoxazolyl group, a thiazolyl, tetrazolyl, oxadiazolyl, pyridinyl, pyrazinyl group, a pyrimidinyl group, a pyridazinyl group, a thiazinyl group, and a triazinyl group;

5 R^2 is a halogen atom, an alkyl group, or an alkoxy group;

R^3 is an alkyl group substituted with a substituted aryl group,

 wherein substituent(s) on the substituted aryl group
10 is/are an aliphatic heterocyclic group optionally substituted with a carboxyl group (wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a tetrahydrofuranyl group, an imidazolinyl group, a
15 thiazolidinyl group, an isothiazolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, a homomorpholinyl group, a 3-azabicyclo[3.1.0]hexyl group, and an octahydropyrrolo[3,4-
20 c]pyrrolyl group) and a haloalkyl group; and

R^4 is a hydrogen atom or an alkyl group; or

R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II],

25 wherein ring B is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, an imidazolinyl group, a thiazolidinyl group, an isothiazolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a
30 tetrahydropyridinyl group, a homopiperazinyl group, a homomorpholinyl group, a 3-azabicyclo[3.1.0]hexyl group, and an octahydropyrrolo[3,4-c]pyrrolyl group;

ring C is a group selected from the group consisting of a phenyl group, a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group, a thiazinyl group, and a triazinyl group;

R^5 and R^6 are each independently a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, a cyanoalkyl group, a hydroxyalkyl group, an alkoxyalkyl group, a carboxyl group, a carbamoyl group optionally substituted with one or two alkyl group(s), and an alkoxy group;

R^7 is an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted cycloalkyl group, an optionally substituted cycloalkenyl group, an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aliphatic heterocyclic group, an optionally substituted alkoxy group, an amino group optionally substituted with one or two alkyl group(s) optionally substituted with a carboxyl group, or a carbamoyl group optionally substituted with one or two alkyl group(s) optionally substituted with a carboxyl group,

wherein substituent(s) on the optionally substituted alkyl group is/are one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; an aliphatic heterocyclic carbonyl group optionally substituted with a carboxyl group; a heteroaryl group optionally substituted with a hydroxy group or an oxo group;

an aliphatic heterocyclic group optionally substituted with one or two oxo group(s); a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl group is optionally substituted with a hydroxy group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonyl group; an aminosulfonyl group optionally substituted with one or two alkyl group(s); an aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); an alkylsulfonylaminocarbonyl group; and an amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group, substituent(s) on each of the optionally substituted alkenyl group, the optionally substituted cycloalkyl group, the optionally substituted cycloalkenyl group, the optionally substituted aryl group, the optionally substituted heteroaryl group, the optionally substituted aliphatic heterocyclic group, and the optionally substituted alkoxy group is/are one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkyl group optionally substituted with a carboxyl group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; an aliphatic heterocyclic carbonyl group optionally substituted with a carboxyl group; a heteroaryl group optionally substituted with a hydroxy group or an oxo group; an aliphatic heterocyclic group optionally substituted with one or two oxo group(s); a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl group is optionally

substituted with a hydroxy group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonyl group; an aminosulfonyl group optionally substituted with one or two alkyl group(s); an aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); an alkylsulfonylaminocarbonyl group; and an amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group,

the aliphatic heterocyclic moiety of the aliphatic heterocyclic carbonyl group with which R^7 is substituted is a group selected from the group consisting of an azetidinyll group, a pyrrolidinyl group, an imidazolinyl group, a thiazolidinyl group, an isothiazolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a homopiperazinyl group, and a homomorpholinyl group,

the heteroaryl group with which R^7 is substituted is a group selected from the group consisting of a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group, a thiazinyl group, and a triazinyl group,

the aliphatic heterocyclic group with which R^7 is substituted is a group selected from the group consisting of an azetidinyll group, a pyrrolidinyl group, a tetrahydrofuranyl group, an imidazolinyl group, a thiazolidinyl group, an isothiazolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, and a homomorpholinyl group,

the heteroaryl moiety of the optionally substituted heteroaryl group represented by R⁷ is a group selected from the group consisting of a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group, a thiazinyl group, and a triazinyl group, and

the aliphatic heterocyclic moiety of the optionally substituted aliphatic heterocyclic group represented by R⁷ is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a tetrahydrofuranyl group, an imidazolinyl group, a thiazolidinyl group, an isothiazolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, a homomorpholinyl group, a 3-azabicyclo[3.1.0]hexyl group, and an octahydropyrrolo[3,4-c]pyrrolyl group; and

R⁸ and R⁹ are each independently a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, and a haloalkoxy group.

5. The compound or pharmaceutically acceptable salt thereof according to claim 1, wherein

ring A is a phenyl group or a naphthyl group each optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, a cycloalkyl group, an alkoxy group, a haloalkoxy group, and an alkyleneoxy group; or a heteroaryl group optionally substituted with one or two group(s) independently selected

from the group consisting of a halogen atom and an alkoxy group,

wherein the heteroaryl group is a group selected from the group consisting of a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group, a thiazinyl group, a triazinyl group, an indolyl group, an isoindolyl group, and a benzoimidazolyl group;

R¹ is

(1) an alkyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom; a hydroxy group; a cycloalkyl group; an alkoxy group; an aliphatic heterocyclic group; an aliphatic heterocyclic carbonyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, and an alkoxyalkyl group; an aliphatic heterocyclic sulfonyl group; and a carbamoyl group optionally substituted with one or two alkyl group(s)

(wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a tetrahydrofuranyl group, an imidazoliny group, a thiazolidiny group, an isothiazolidiny group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, and a homomorpholinyl group, and

the aliphatic heterocyclic moiety of each of the aliphatic heterocyclic carbonyl group and the aliphatic heterocyclic sulfonyl group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl

group, an imidazolinyl group, a thiazolidinyl group, an isothiazolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a homopiperazinyl group, and a homomorpholinyl group),

5 (2) a monocyclic cycloalkyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, a hydroxy group, an oxo group, a cyano group, an alkyl group, an alkoxy group, an alkoxyalkyl group, and an alkyleneoxy
10 group,

 (3) an adamantyl group optionally substituted with a hydroxy group,

 (4) an aliphatic heterocyclic group optionally substituted with a group selected from the group consisting
15 of an alkyl group, a hydroxyalkyl group, a haloalkyl group, an alkanoyl group, and an alkylsulfonyl group

 (wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a tetrahydrofuranyl group, an
20 imidazolinyl group, a thiazolidinyl group, an isothiazolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, and a homomorpholinyl group),

25 (5) a group selected from the group consisting of a phenyl group, a naphthyl group, a dihydrophenyl group, an indanyl group, and a tetrahydronaphthyl group,

 (6) a heteroaryl group which is optionally substituted with a group selected from the group consisting of a cyano
30 group, an alkyl group, an alkoxy group, and a carbamoyl group

 (wherein the heteroaryl group is a group selected from the group consisting of a pyrrolyl group, a furanyl group,

a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group,
 5 a thiazinyl group, and a triazinyl group),

(7) a carbamoyl group, or

(8) a mono-alkylcarbamoyl group;

R^2 is a halogen atom, an alkyl group, or an alkoxy group;

10 R^3 is an alkyl group substituted with a substituted phenyl group, wherein substituent(s) on the substituted phenyl group is/are an aliphatic heterocyclic group substituted with a carboxyl group (wherein the aliphatic heterocyclic group is a group selected from the group
 15 consisting of an azetidiny group, a pyrrolidinyl group, a tetrahydrofuranyl group, an imidazolinyl group, a thiazolidinyl group, an isothiazolidinyl group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a
 20 homopiperazinyl group, a homomorpholinyl group, a 3-azabicyclo[3.1.0]hexyl group, and an octahydropyrrolo[3,4-c]pyrrolyl group) and a haloalkyl group; and

R^4 is an alkyl group; or

R^3 and R^4 are terminally attached to each other, and
 25 together with the nitrogen atom to which they are attached, form a group represented by formula [II],

wherein ring B is a group selected from the group consisting of an azetidiny group, an imidazolinyl group, a thiazolidinyl group, an isothiazolidinyl group, a
 30 piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyridinyl group, a homopiperazinyl group, a homomorpholinyl group, a 3-azabicyclo[3.1.0]hexyl group,

and an octahydropyrrolo[3,4-c]pyrrolyl group, and both R⁵ and R⁶ represent hydrogen atoms, or

ring B is a piperidinyl group, and R⁵ and R⁶ are each a group independently selected from the group consisting of
5 a hydrogen atom, a cyano group, and an alkoxyalkyl group,
or

ring B is a pyrrolidinyl group, and R⁵ and R⁶ are each a group independently selected from the group consisting of
a hydrogen atom, a halogen atom, a cyano group, an alkyl
10 group, a haloalkyl group, a cyanoalkyl group, a
hydroxyalkyl group, an alkoxyalkyl group, a carboxyl group,
a carbamoyl group optionally substituted with one or two
alkyl group(s), and an alkoxy group;

ring C is a group selected from the group consisting
15 of a phenyl group, a pyrrolyl group, a furanyl group, a
thienyl group, an imidazolyl group, a pyrazolyl group, an
oxazolyl group, an isoxazolyl group, a thiazolyl group, a
tetrazolyl group, an oxadiazolyl group, a pyridinyl group,
a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group,
20 a thiazinyl group, and a triazinyl group;

R⁷ is

(1) an alkyl group optionally substituted with a
carboxyl group,

(2) an alkenyl group optionally substituted with a
25 carboxyl group,

(3) a 3- to 7-membered monocyclic cycloalkyl group
optionally substituted with a carboxyl group,

(4) a 3- to 7-membered monocyclic cycloalkenyl group
optionally substituted with a carboxyl group,

30 (5) a phenyl group optionally substituted with a
carboxyl group,

(6) a heteroaryl group which is optionally substituted with a carboxyl group or an alkyl group optionally substituted with a carboxyl group

(wherein the heteroaryl group is a group selected from
5 the group consisting of a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group,
10 a thiazinyl group, and a triazinyl group),

(7) an aliphatic heterocyclic group optionally substituted with one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkyl group optionally substituted with a
15 carboxyl group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl group is optionally substituted with
20 a hydroxy group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonylaminocarbonyl group; an aliphatic heterocyclic carbonyl group optionally substituted with a carboxyl group (wherein the aliphatic heterocyclic ring is a group selected from the group
25 consisting of an azetidiny group, a pyrrolidinyl group, an imidazoliny group, a thiazolidiny group, an isothiazolidiny group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a homopiperazinyl group, and a homomorpholinyl group); an
30 amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group; an aliphatic heterocyclic group optionally substituted with

one or two oxo group(s) (wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a tetrahydrofuranyl group, an imidazoliny group, a thiazolidiny group, an isothiazolidiny group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, and a homomorpholinyl group); an alkylsulfonyl group; a heteroaryl group (wherein the heteroaryl group is a group selected from the group consisting of a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group, a thiazinyl group, and a triazinyl group); and an aminosulfonyl group optionally substituted with one or two alkyl group(s)

(wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a tetrahydrofuranyl group, an imidazoliny group, a thiazolidiny group, an isothiazolidiny group, a piperidinyl group, a piperazinyl group, a morpholinyl group, a thiomorpholinyl group, a tetrahydropyranyl group, a homopiperazinyl group, a homomorpholinyl group, a 3-azabicyclo[3.1.0]hexyl group, and an octahydropyrrolo[3,4-c]pyrrolyl group),

(8) an alkoxy group optionally substituted with a group selected from the group consisting of a cyano group; a carboxyl group; a heteroaryl group optionally substituted with a hydroxy group or an oxo group (wherein the heteroaryl group is a group selected from the group consisting of a pyrrolyl group, a furanyl group, a thienyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl

group, an isoxazolyl group, a thiazolyl group, a tetrazolyl group, an oxadiazolyl group, a pyridinyl group, a pyrazinyl group, a pyrimidinyl group, a pyridazinyl group, a thiazinyl group, and a triazinyl group); an
5 aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); and an alkylsulfonylaminocarbonyl group,

(9) an amino group which is optionally substituted with one or two alkyl group(s) optionally substituted with
10 a carboxyl group, or

(10) a carbamoyl group; and

R^8 and R^9 are each independently a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, and a
15 haloalkoxy group.

6. The compound or pharmaceutically acceptable salt thereof according to claim 1, wherein

ring A is a phenyl group optionally substituted with
20 one or two groups independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, a cycloalkyl group, an alkoxy group, a haloalkoxy group, and an alkyleneoxy group, or a pyridinyl group optionally substituted with a group selected from the group
25 consisting of a halogen atom and an alkoxy group;

R^1 is

(1) an alkyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom; a hydroxy group; a 3- to 7-
30 membered monocyclic cycloalkyl group; an alkoxy group; a tetrahydropyranyl group; an aliphatic heterocyclic carbonyl group optionally substituted with one or two group(s) independently selected from the group consisting of a

halogen atom, an alkyl group, a haloalkyl group, and an alkoxyalkyl group (wherein the aliphatic heterocyclic ring is a group selected from the group consisting of a pyrrolidinyl group, a piperidinyl group, and a morpholinyl group); a pyrrolidinylsulfonyl group; and a carbamoyl group optionally substituted with one or two alkyl group(s),

(2) a 3- to 7-membered monocyclic cycloalkyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, a hydroxy group, an oxo group, a cyano group, an alkyl group, an alkoxy group, an alkoxyalkyl group, and an alkyleneoxy group,

(3) an adamantyl group optionally substituted with a hydroxy group,

(4) an aliphatic heterocyclic group optionally substituted with a group selected from the group consisting of an alkyl group, a hydroxyalkyl group, a haloalkyl group, an alkanoyl group, and an alkylsulfonyl group

(wherein the aliphatic heterocyclic group is a group selected from the group consisting of a tetrahydrofuranyl group, a tetrahydropyranyl group, and a piperidinyl group),

(5) an indanyl group,

(6) a heteroaryl group which is optionally substituted with a group selected from the group consisting of a cyano group, an alkyl group, an alkoxy group, and a carbamoyl group

(wherein the heteroaryl group is a group selected from the group consisting of a pyridazinyl group, a pyridinyl group, and a pyrimidinyl group),

(7) a carbamoyl group, or

(8) a mono-alkylcarbamoyl group;

R^2 is a halogen atom, a C_{1-3} alkyl group, or an alkoxy group;

R^3 is an alkyl group substituted with a substituted phenyl group, wherein substituent(s) on the substituted phenyl group is/are a piperidinyl group substituted with a carboxyl group, and a haloalkyl group; and

5 R^4 is an alkyl group; or

R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II],

wherein ring B is a group selected from the group
10 consisting of an azetidiny group, a tetrahydropyridiny group, a piperazinyl group, a homopiperazinyl group, and an octahydropyrrolo[3,4-c]pyrrolyl group, and both R^5 and R^6 represent hydrogen atoms, or

ring B is a piperidinyl group, and R^5 and R^6 are each
15 a group independently selected from the group consisting of a hydrogen atom, a cyano group, and an alkoxyalkyl group, or

ring B is a pyrrolidinyl group, and R^5 and R^6 are each
a group independently selected from the group consisting of
20 a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, a cyanoalkyl group, a hydroxyalkyl group, an alkoxyalkyl group, a carboxyl group, carbamoyl group optionally substituted with one or two alkyl group(s), and an alkoxy group;

25 ring C is a phenyl group or a pyridinyl group;

R^7 is

(1) an alkyl group optionally substituted with a carboxyl group,

(2) an alkenyl group optionally substituted with a
30 carboxyl group,

(3) a 3- to 7-membered monocyclic cycloalkyl group optionally substituted with a carboxyl group,

(4) a 3- to 7-membered monocyclic cycloalkenyl group optionally substituted with a carboxyl group,

(5) a phenyl group optionally substituted with a carboxyl group,

5 (6) a heteroaryl group which is optionally substituted with a carboxyl group or an alkyl group optionally substituted with a carboxyl group

(wherein the heteroaryl group is a group selected from the group consisting of an oxazolyl group and a pyrazolyl
10 group),

(7) an aliphatic heterocyclic group optionally substituted with one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkyl group optionally substituted with a
15 carboxyl group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl group is optionally substituted with
20 a hydroxy group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonylaminocarbonyl group; a pyrrolidinylcarbonyl group optionally substituted with a carboxyl group; an amino group optionally substituted with one or two group(s) independently selected from the group
25 consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group; an aliphatic heterocyclic group optionally substituted with one or two oxo group(s) (wherein the aliphatic heterocyclic group is a group selected from the group consisting of a pyrrolidinyl group
30 and an isothiazolidinyl group); an alkylsulfonyl group; a tetrazolyl group; and an aminosulfonyl group optionally substituted with one or two alkyl group(s)

(wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a piperidinyl group, a morpholinyl group, a thiomorpholinyl group, a piperazinyl group, and a
5 3-azabicyclo[3.1.0]hexyl group),

(8) an alkoxy group optionally substituted with a group selected from the group consisting of a cyano group; a carboxyl group; a heteroaryl group optionally substituted with a hydroxy group or an oxo group (wherein the
10 heteroaryl group is a group selected from the group consisting of an isoxazolyl group, an oxadiazolyl group, and a tetrazolyl group); an aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); and an alkylsulfonylaminocarbonyl group,

15 (9) an amino group which is optionally substituted with one or two alkyl group(s) optionally substituted with a carboxyl group, or

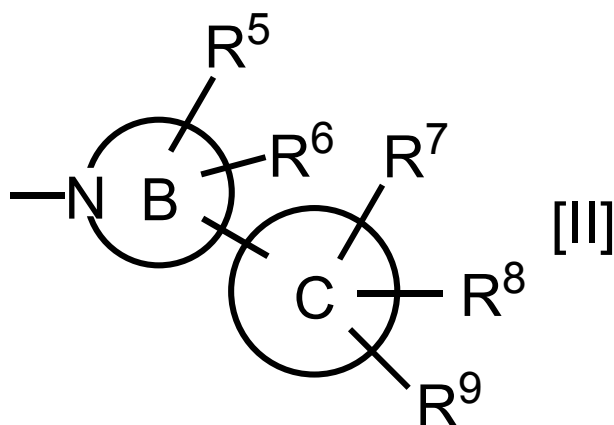
(10) a carbamoyl group; and

R^8 and R^9 are each independently a group selected from
20 the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, and a haloalkoxy group.

7. The compound or pharmaceutically acceptable salt
25 thereof according to claim 1, wherein

R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II]:

30 [Formula 4]



8. The compound or pharmaceutically acceptable salt thereof according to claim 1, wherein

5 R^1 is

(1) a 3- to 7-membered monocyclic cycloalkyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, a hydroxy group, an oxo group, a cyano group, an alkyl group, an alkoxy group, an alkoxyalkyl group, and an alkyleneoxy group;

10

(2) an adamantyl group optionally substituted with a hydroxy group; or

(3) an aliphatic heterocyclic group optionally substituted with a group selected from the group consisting of an alkyl group, a hydroxyalkyl group, a haloalkyl group, an alkanoyl group, and an alkylsulfonyl group

15

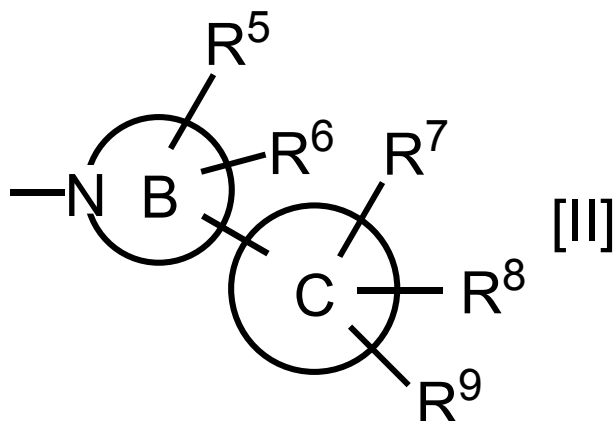
(wherein the aliphatic heterocyclic group is a group selected from the group consisting of a tetrahydrofuranyl group, a tetrahydropyranyl group, and a piperidinyl group).

20

9. The compound or pharmaceutically acceptable salt thereof according to claim 1, wherein R^3 and R^4 are terminally attached to each other, and together with the

nitrogen atom to which they are attached, form a group represented by formula [II]:

[Formula 5]



5

wherein ring B is a pyrrolidinyl group.

10. The compound or pharmaceutically acceptable salt
10 thereof according to claim 1, wherein

R¹ is a 3- to 7-membered monocyclic cycloalkyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, a hydroxy group, an oxo group, a cyano group, an alkyl group, an alkoxy group, an alkoxyalkyl group, and an alkyleneoxy group.
15

11. The compound or pharmaceutically acceptable salt
thereof according to claim 1, wherein

20 ring A is a phenyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, a cycloalkyl group, an alkoxy group, a haloalkoxy group, and an alkyleneoxy group;

R^1 is a 3- to 7-membered monocyclic cycloalkyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, a hydroxy group, an oxo group, a cyano group, an alkyl group, an alkoxy group, an alkoxyalkyl group, and an alkyleneoxy group;

R^2 is a halogen atom or an alkoxy group;

R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II],

wherein ring B is a pyrrolidinyl group, and R^3 and R^6 are each a group independently selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, a cyanoalkyl group, a hydroxyalkyl group, an alkoxyalkyl group, a carboxyl group, a carbamoyl group optionally substituted with one or two alkyl group(s), and an alkoxy group;

ring C is a phenyl group;

R^7 is

(1) an alkyl group optionally substituted with a carboxyl group,

(2) an alkenyl group optionally substituted with a carboxyl group,

(3) a 3- to 7-membered monocyclic cycloalkyl group optionally substituted with a carboxyl group,

(4) a 3- to 7-membered monocyclic cycloalkenyl group optionally substituted with a carboxyl group,

(5) a phenyl group optionally substituted with a carboxyl group,

(6) a heteroaryl group which is optionally substituted with a carboxyl group or an alkyl group optionally substituted with a carboxyl group

(wherein the heteroaryl group is a group selected from the group consisting of an oxazolyl group and a pyrazolyl group),

(7) an aliphatic heterocyclic group optionally substituted with one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkyl group optionally substituted with a carboxyl group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl group is optionally substituted with a hydroxy group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonylaminocarbonyl group; a pyrrolidinylcarbonyl group optionally substituted with a carboxyl group; an amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group; an aliphatic heterocyclic group optionally substituted with one or two oxo group(s) (wherein the aliphatic heterocyclic group is a group selected from the group consisting of a pyrrolidinyl group and an isothiazolidinyl group); an alkylsulfonyl group; a tetrazolyl group; and an aminosulfonyl group optionally substituted with one or two alkyl group(s)

(wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a piperidinyl group, a morpholinyl group, a thiomorpholinyl group, a piperazinyl group, and a 3-azabicyclo[3.1.0]hexyl group),

(8) an alkoxy group optionally substituted with a group selected from the group consisting of a cyano group; a carboxyl group; a heteroaryl group optionally substituted

with a hydroxy group or an oxo group (wherein the heteroaryl group is a group selected from the group consisting of an isoxazolyl group, an oxadiazolyl group, and a tetrazolyl group); an aminosulfonylaminocarbonyl group optionally substituted with one or two alkyl group(s); and an alkylsulfonylaminocarbonyl group,

(9) an amino group which is optionally substituted with one or two alkyl group(s) optionally substituted with a carboxyl group, or

(10) a carbamoyl group; and

R^8 and R^9 are each independently a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, and a haloalkoxy group.

15

12. The compound or pharmaceutically acceptable salt thereof according to claim 11, wherein

ring A is a phenyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, an alkyl group, a haloalkyl group, a cycloalkyl group, an alkoxy group, a haloalkoxy group, and an alkyleneoxy group;

R^1 is a 3- to 7-membered monocyclic cycloalkyl group optionally substituted with one or two group(s) independently selected from the group consisting of a halogen atom, a hydroxy group, an oxo group, a cyano group, an alkyl group, an alkoxy group, an alkoxyalkyl group, and an alkyleneoxy group;

R^2 is a halogen atom or an alkoxy group;

R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II],

wherein ring B is a pyrrolidinyl group, and R⁵ and R⁶ are each a group independently selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, a cyanoalkyl group, a hydroxyalkyl group, an alkoxyalkyl group, a carboxyl group, a carbamoyl group optionally substituted with one or two alkyl group(s), and an alkoxy group;

ring C is a phenyl group;

R⁷ is an aliphatic heterocyclic group optionally substituted with one or two group(s) independently selected from the group consisting of a hydroxy group; an oxo group; a cyano group; an alkyl group optionally substituted with a carboxyl group; an alkoxy group; an alkanoyl group; a carboxyl group; an alkoxycarbonyl group; a carbamoyl group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group (the alkyl group is optionally substituted with a hydroxy group, an alkoxy group, or a carboxyl group) and a hydroxy group; an alkylsulfonylaminocarbonyl group; a pyrrolidinylcarbonyl group optionally substituted with a carboxyl group; an amino group optionally substituted with one or two group(s) independently selected from the group consisting of an alkyl group, an alkanoyl group, and an alkylsulfonyl group; an aliphatic heterocyclic group optionally substituted with one or two oxo group(s) (wherein the aliphatic heterocyclic group is a group selected from the group consisting of a pyrrolidinyl group and an isothiazolidinyl group); an alkylsulfonyl group; a tetrazolyl group; and an aminosulfonyl group optionally substituted with one or two alkyl group(s)

(wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a piperidinyl group, a morpholinyl

group, a thiomorpholinyl group, a piperazinyl group, and a 3-azabicyclo[3.1.0]hexyl group); and

5 R^8 and R^9 are each independently a group selected from the group consisting of a hydrogen atom, a halogen atom, a cyano group, an alkyl group, a haloalkyl group, and a haloalkoxy group.

13. The compound or pharmaceutically acceptable salt thereof according to claim 1, wherein

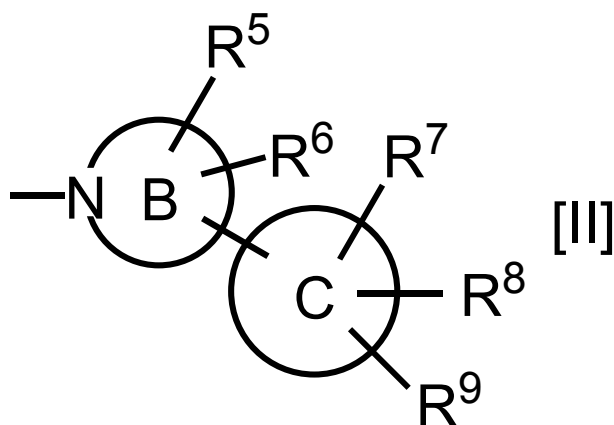
10 ring A is a phenyl group optionally substituted with an alkoxy group;

R^1 is an alkyl group; an aliphatic heterocyclic group (wherein the aliphatic heterocyclic group is a group selected from the group consisting of a tetrahydrofuranyl group, a tetrahydropyranyl group, and a piperidinyl group);
15 a 3- to 7-membered monocyclic cycloalkyl group optionally substituted with a group selected from the group consisting of an alkoxy group and a cyano group; or a heteroaryl group optionally substituted with an alkyl group (wherein the
20 heteroaryl group is a group selected from the group consisting of a pyridazinyl group, a pyridinyl group, and a pyrimidinyl group);

R^2 is a halogen atom or an alkoxy group;

25 R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II]:

[Formula 6]



wherein ring B is selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a piperidinyl group, a tetrahydropyridinyl group, and a piperazinyl group, and R⁵ and R⁶ are hydrogen atoms, or

ring B is a pyrrolidinyl group, R⁵ is an alkoxyalkyl group, and R⁶ is a hydrogen atom or a halogen atom;

ring C is a phenyl group;

R⁷ is an aliphatic heterocyclic group substituted with a carboxyl group (wherein the aliphatic heterocyclic group is a group selected from the group consisting of an azetidiny group, a pyrrolidinyl group, a piperidinyl group, a morpholinyl group, a thiomorpholinyl group, a piperazinyl group, and a 3-azabicyclo[3.1.0]hexyl group);

R⁸ is a halogen atom or a haloalkyl group; and

R⁹ is a hydrogen atom.

14. The compound or pharmaceutically acceptable salt thereof according to claim 13, wherein

ring A is a phenyl group optionally substituted with an alkoxy group;

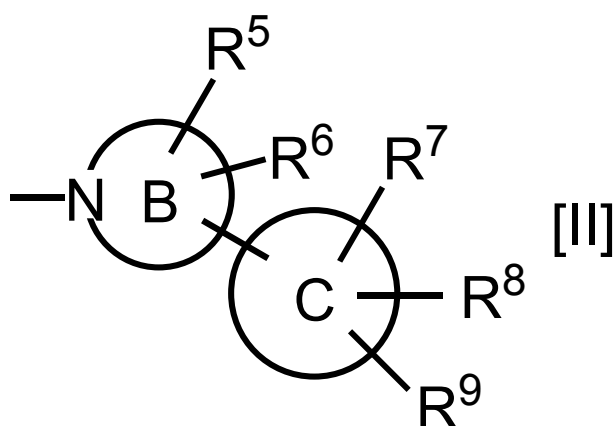
R¹ is a tetrahydropyranyl group; or a 5- or 6-membered monocyclic cycloalkyl group optionally substituted with a

group selected from the group consisting of an alkoxy group and a cyano group;

R^2 is a halogen atom or an alkoxy group;

R^3 and R^4 are terminally attached to each other, and
 5 together with the nitrogen atom to which they are attached, form a group represented by formula [II]:

[Formula 7]



10

wherein ring B is a pyrrolidinyl group, R^5 is an alkoxyalkyl group, and R^6 is a hydrogen atom or a halogen atom;

ring C is a phenyl group;

15 R^7 is a piperidinyl group substituted with a carboxyl group;

R^8 is a halogen atom or a haloalkyl group; and

R^9 is a hydrogen atom.

20 15. The compound or pharmaceutically acceptable salt thereof according to claim 14, wherein

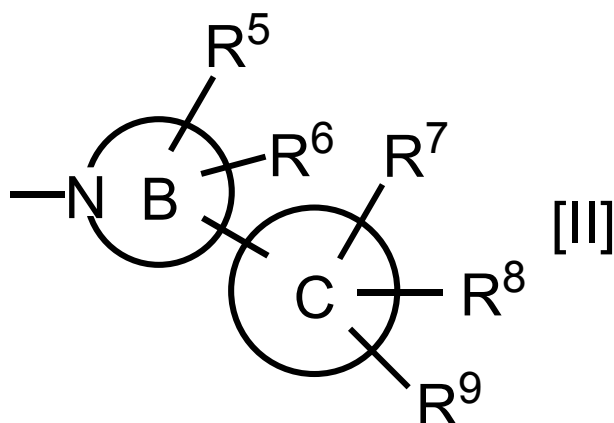
ring A is a phenyl group optionally substituted with an alkoxy group;

R^1 is a 5- or 6-membered monocyclic cycloalkyl group optionally substituted with a group selected from the group consisting of an alkoxy group and a cyano group;

R^2 is a halogen atom or an alkoxy group;

5 R^3 and R^4 are terminally attached to each other, and together with the nitrogen atom to which they are attached, form a group represented by formula [II]:

[Formula 8]



10

wherein ring B is a pyrrolidinyl group, R^5 is an alkoxyalkyl group, and R^6 is a hydrogen atom or a halogen atom;

15 ring C is a phenyl group;

R^7 is a piperidinyl group substituted with a carboxyl group;

R^8 is a halogen atom or a haloalkyl group; and

R^9 is a hydrogen atom.

20

16. A compound selected from the group consisting of:

1-{2-[(3S,5S)-1-[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl]-5-(ethoxymethyl)pyrrolidin-3-yl]-5-

25 (trifluoromethyl)phenyl}piperidine-4-carboxylic acid;

- 1-{2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-4-(4-methoxyphenyl)-1-(2-methylpyridin-4-yl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 5 1-{2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-4-(4-methoxyphenyl)-1-(2-methylpyrimidin-4-yl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 10 1-{5-fluoro-2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]phenyl}piperidine-4-carboxylic acid;
- 15 1-{2-[(3S,5S)-1-{[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 20 1-{2-[(3S,5S)-1-{[(3R,4R)-1-(trans-4-cyanocyclohexyl)-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 25 1-{2-[(3S,4S)-1-{[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-fluoro-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 30 1-{2-[(3S,4R)-1-{[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 1-{2-[(3S,5S)-1-{[(3R,4R)-1-cyclohexyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;

- 1-{2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-4-(4-methoxyphenyl)-1-(tetrahydro-2H-pyran-4-yl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 5 1-{2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 10 1-{2-[(3S,5S)-1-{[(3R,4R)-1-cyclopentyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 15 1-{2-[(3S,4R)-1-{[(3R,4R)-3-fluoro-4-(4-methoxyphenyl)-1-(2-methylpyridin-4-yl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 20 1-{2-[(3S,4S)-4-fluoro-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 25 1-{2-[(3S,4R)-4-(cyanomethyl)-1-{[(3R,4R)-1-cyclopentyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 30 1-{2-[(3S,4R)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;

- 1-[2-(1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}piperidin-4-yl)-5-(trifluoromethyl)phenyl]piperidine-4-carboxylic acid;
- 5 1-{2-[(3S,4R)-1-{[(3R,4R)-1-cyclopentyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid; and
- 10 1-{2-[(3S)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- or a pharmaceutically acceptable salt thereof.
- 15 17. A compound selected from the group consisting of:
- 1-{2-[(3S,5S)-1-{[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(ethoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 20 1-{5-fluoro-2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]phenyl}piperidine-4-carboxylic acid;
- 1-{2-[(3S,5S)-1-{[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 25 1-{2-[(3S,5S)-1-{[(3R,4R)-1-(trans-4-cyanocyclohexyl)-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
- 30 1-{2-[(3S,4S)-1-{[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-

- 3-yl]carbonyl}-4-fluoro-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 1-{2-[(3S,4R)-1-{[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 5 1-{2-[(3S,5S)-1-{[(3R,4R)-1-cyclohexyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 10 1-{2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 15 1-{2-[(3S,5S)-1-{[(3R,4R)-1-cyclopentyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 20 1-{2-[(3S,4S)-4-fluoro-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 25 1-{5-chloro-2-[(3S,4R)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]phenyl}piperidine-4-carboxylic acid;
 30 1-{2-[(3S,4R)-4-(cyanomethyl)-1-{[(3R,4R)-1-cyclopentyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 1-{2-[(3S,4R)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;

- yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 1-[2-(1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}piperidin-4-yl)-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 1-{2-[(3S,4R)-1-{[(3R,4R)-1-cyclopentyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid; and
 1-{2-[(3S)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 or a pharmaceutically acceptable salt thereof.
18. A compound selected from the group consisting of:
 1-{5-fluoro-2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]phenyl}piperidine-4-carboxylic acid;
 1-{2-[(3S,5S)-1-{[(3R,4R)-1-(trans-4-ethoxycyclohexyl)-3-methoxy-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 1-{2-[(3S,5S)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;
 1-{2-[(3S,5S)-1-{[(3R,4R)-1-cyclopentyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-5-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;

1-{2-[(3S,4S)-4-fluoro-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;

5 1-{5-chloro-2-[(3S,4R)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]phenyl}piperidine-4-carboxylic acid;

10 1-{2-[(3S,4R)-1-{[(3R,4R)-3-fluoro-1-(trans-4-methoxycyclohexyl)-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid; and

15 1-{2-[(3S,4R)-1-{[(3R,4R)-1-cyclopentyl-3-fluoro-4-(4-methoxyphenyl)pyrrolidin-3-yl]carbonyl}-4-(methoxymethyl)pyrrolidin-3-yl]-5-(trifluoromethyl)phenyl}piperidine-4-carboxylic acid;

or a pharmaceutically acceptable salt thereof.

19. A pharmaceutical composition comprising, as an active ingredient, the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

20. The pharmaceutical composition according to claim 19, which is used for activation of melanocortin 1 receptor.

21. The pharmaceutical composition according to claim 19, which is an agent for treating rheumatoid arthritis, gouty arthritis, osteoarthritis, inflammatory bowel disease, systemic sclerosis, psoriasis, fibrosis, protoporphyria, systemic lupus erythematosus, melanoma, skin cancer, vitiligo, hair loss, pain, ischemia/reperfusion damage, cerebral inflammatory disease, hepatitis, septicemia/septic shock, nephritis, transplantation, HIV disease exacerbation,

vasculitis, uveitis, retinitis pigmentosa, age-related macular degeneration, celiac disease, nephrotic syndrome, or melanoma invasion.

5 22. The pharmaceutical composition according to claim 19, which is an agent for treating systemic sclerosis, psoriasis, protoporphyria, melanoma, skin cancer, vitiligo, hair loss, nephrotic syndrome, retinitis pigmentosa, or age-related macular degeneration.

10

 23. The pharmaceutical composition according to claim 19, which is an agent for treating systemic sclerosis, protoporphyria, melanoma, vitiligo, nephrotic syndrome, retinitis pigmentosa, or age-related macular degeneration.

15

 24. The pharmaceutical composition according to claim 21, wherein the protoporphyria is erythropoietic protoporphyria.

20

 25. Use of the compound according to claim 1 or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for treating diseases and/or symptoms in which activation of melanocortin 1 receptor is involved, wherein the diseases and/or symptoms is rheumatoid
25 arthritis, gouty arthritis, osteoarthritis, inflammatory bowel disease, systemic sclerosis, psoriasis, fibrosis, protoporphyria, systemic lupus erythematosus, melanoma, skin cancer, vitiligo, hair loss, pain, ischemia/reperfusion damage, cerebral inflammatory disease,
30 hepatitis, septicemia/septic shock, nephritis, transplantation, HIV disease exacerbation, vasculitis, uveitis, retinitis pigmentosa, age-related macular

degeneration, celiac disease, nephrotic syndrome, or melanoma invasion.

26. A pharmaceutical composition comprising, as an
5 active ingredient, the compound according to claim 16 or a
pharmaceutically acceptable salt thereof.

27. A pharmaceutical composition comprising, as an
active ingredient, the compound according to claim 17 or a
10 pharmaceutically acceptable salt thereof.

28. A pharmaceutical composition comprising, as an
active ingredient, the compound according to claim 18 or a
pharmaceutically acceptable salt thereof.

15

29. Use of the compound according to claim 16 or a
pharmaceutically acceptable salt thereof in the manufacture
of a medicament for activation of melanocortin 1 receptor.

20 30. Use of the compound according to claim 17 or a
pharmaceutically acceptable salt thereof in the manufacture
of a medicament for activation of melanocortin 1 receptor.

31. Use of the compound according to claim 18 or a
25 pharmaceutically acceptable salt thereof in the manufacture
of a medicament for activation of melanocortin 1 receptor.

32. The pharmaceutical composition according to claim
21, which is an agent for treating rheumatoid arthritis,
30 gouty arthritis, osteoarthritis, inflammatory bowel disease,
systemic sclerosis, psoriasis, fibrosis, protoporphyria,
systemic lupus erythematosus, melanoma, skin cancer,
vitiligo, hair loss, pain, ischemia/reperfusion damage,

cerebral inflammatory disease, hepatitis, septicemia/septic shock, nephritis, transplantation, vasculitis, uveitis, retinitis pigmentosa, age-related macular degeneration, celiac disease, nephrotic syndrome, or melanoma invasion.

5

33. The use according to claim 25, wherein the diseases and/or symptoms is rheumatoid arthritis, gouty arthritis, osteoarthritis, inflammatory bowel disease, systemic sclerosis, psoriasis, fibrosis, protoporphyria, systemic lupus erythematosus, melanoma, skin cancer, vitiligo, hair loss, pain, ischemia/reperfusion damage, cerebral inflammatory disease, hepatitis, septicemia/septic shock, nephritis, transplantation, vasculitis, uveitis, retinitis pigmentosa, age-related macular degeneration, celiac disease, nephrotic syndrome, or melanoma invasion.

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