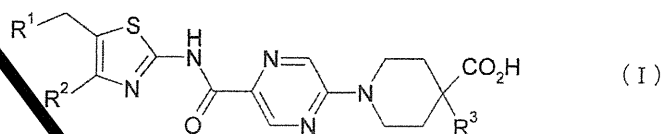


Claims

[Claim 1] A compound of the formula (I) or a salt thereof:

[Chem. 9]

5



wherein

R^1 is $-N(-R^{11})(-R^{12})$, or cyclic amino which may be substituted;

R^{11} is C_{1-6} alkyl;

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R^{12} is C_{1-6} alkyl which may be substituted, or C_{3-8} cycloalkyl which may be substituted;

R^2 is aryl which may be substituted, a monocyclic aromatic hetero ring which may be substituted, or a bicyclic aromatic hetero ring which may be substituted; and

R^3 is $-H$, $-OH$, $-O-(C_{1-6} \text{ alkyl})$, or halogen.

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[Claim 2] The compound or a salt thereof according to claim 1, wherein R^1 is cyclic amino selected from the group consisting of pyrrolidin-1-yl, piperidin-1-yl, azetidin-1-yl, and morpholin-4-yl, or $-N(-R^{11})(-R^{12})$, and the cyclic amino may be substituted with the same or different 1 to 3 substituent(s) selected from a Group G1,

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in which the Group G1 is a group consisting of C_{1-6} alkyl which may be substituted with the same or different 1 to 3 substituent(s) selected from the group consisting of $-OH$, $-O-(C_{1-6} \text{ alkyl})$, and halogen; $-O-(C_{1-6} \text{ alkyl})$; C_{3-8} cycloalkyl; halogen; and $-CN$;

R^{11} is C_{1-6} alkyl;

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R^{12} is C_{1-6} alkyl which may be substituted with $-O-(C_{1-6} \text{ alkyl})$, or C_{3-8} cycloalkyl which may be substituted with C_{1-6} alkyl substituted with $-O-(C_{1-6} \text{ alkyl})$;

R^2 is phenyl which may be substituted with the same or different 1 to 5 substituent(s) selected from a Group G2, thienyl which may be substituted with the same or different 1 to 3 substituent(s) selected from a Group G3, thiazolyl which may be substituted with the same or different 1 to 2 substituent(s) selected from the Group G3, or 2,3-dihydrobenzofuranyl which may be substituted with the same or different 1 to 5 substituent(s) selected from the Group G3,

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in which the Group G2 is a group consisting of C_{1-6} alkyl which may be substituted with the same or different 1 to 5 halogen(s); $-O-(C_{1-6} \text{ alkyl})$ which may be substituted with the same or different 1 to 5 substituent(s) selected from the group

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consisting of halogen and -O-(C₁₋₆ alkyl)); an -O-saturated hetero ring; halogen; -N(C₁₋₆ alkyl)₂; -NH(C₁₋₆ alkyl); -NH₂; and cyclic amino, and

the Group G3 is a group consisting of C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 halogen(s); -O-(C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 halogen(s)); halogen; -N(C₁₋₆ alkyl)₂; -NH(C₁₋₆ alkyl); -NH₂; and cyclic amino; and

R³ is -H, -OH, methoxy, or fluoro.

[Claim 3] The compound or a salt thereof according to claim 2, wherein R² is phenyl or thienyl, in which the phenyl may be substituted with the same or different 1 to 5 substituent(s) selected from a group G21 and the thienyl may be substituted with the same or different 1 to 3 substituent(s) selected from a group G32,

in which the Group G21 is a group consisting of C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 halogen(s); -O-(C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 substituent(s) selected from the group consisting of halogen and -O-(C₁₋₆ alkyl)); an -O-saturated hetero ring; halogen; -N(C₁₋₆ alkyl)₂; and cyclic amino; and

the Group G32 is a group consisting of C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 halogen(s); and halogen.

[Claim 4] The compound or a salt thereof according to claim 3, wherein R¹ is cyclic amino selected from the group consisting of pyrrolidin-1-yl and piperidin-1-yl, or -N(-R¹¹)(-R¹²), and the cyclic amino may be substituted with C₁₋₆ alkyl which may be substituted with the same or different 1 to 3 substituent(s) selected from the group consisting of -O-(C₁₋₆ alkyl) and halogen;

R¹² is C₁₋₆ alkyl which may be substituted with -O-(C₁₋₆ alkyl);

R² is phenyl or thienyl, in which the phenyl may be substituted with the same or different 1 to 5 substituent(s) selected from a Group G22 and the thienyl may be substituted with the same or different 1 to 3 halogen(s),

in which the group G22 is a group consisting of C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 halogen(s); -O-(C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 substituent(s) selected from the group consisting of halogen and -O-(C₁₋₆ alkyl)); halogen; and -N(C₁₋₆ alkyl)₂; and

R³ is -H, -OH, or fluoro.

[Claim 5] The compound or a salt thereof according to claim 4, wherein R¹ is cyclic amino selected from the group consisting of pyrrolidin-1-yl and piperidin-1-yl, and the

cyclic amino is substituted with C₁₋₆ alkyl which may be substituted with the same or different 1 to 3 -O-(C₁₋₆ alkyl) group(s);

R² is phenyl or thienyl, in which the phenyl may be substituted with the same or different 1 to 3 substituent(s) selected from a Group G24 and the thienyl may be substituted with the same or different 1 to 3 halogen(s),

in which the Group G24 is a group consisting of C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 halogen(s); -O-(C₁₋₆ alkyl); and halogen; and R¹ is -H.

[Claim 6] The compound or a salt thereof according to claim 5, wherein R¹ is cyclic amino selected from the group consisting of pyrrolidin-1-yl and piperidin-1-yl, and the cyclic amino is substituted with C₁₋₆ alkyl; and

R² is phenyl or thienyl, in which the phenyl may be substituted with the same or different 1 to 3 substituent(s) selected from a Group G25 and the thienyl may be substituted with the same or different 1 to 3 halogen(s),

in which the Group G25 is a group consisting of C₁₋₆ alkyl which may be substituted with the same or different 1 to 5 halogen(s); and -O-(C₁₋₆ alkyl).

[Claim 7] The compound or a salt thereof according to claim 6, wherein R¹ is cyclic amino selected from the group consisting of pyrrolidin-1-yl and piperidin-1-yl, and the cyclic amino is substituted with the same or different 1 to 3 substituent(s) selected from the group consisting of methyl and ethyl; and

R² is phenyl or thienyl, in which the phenyl may be substituted with the same or different 1 to 2 substituent(s) selected from the group consisting of trifluoromethyl and methoxy, and the thienyl may be substituted with one chloro.

[Claim 8] The compound or a salt thereof according to claim 7, wherein R² is phenyl which may be substituted with the same or different 1 to 2 substituent(s) selected from the group consisting of trifluoromethyl and methoxy.

[Claim 9] The compound or a salt thereof according to claim 7, wherein R² is thienyl which may be substituted with one chloro.

[Claim 10] The compound or a salt thereof according to claim 1, wherein the compound is a compound selected from the following group:

1-{5-[(4-[3-methoxy-5-(trifluoromethyl)phenyl]-5-[(2R)-2-methylpiperidin-1-yl]methyl}-1,3-thiazol-2-yl)carbamoyl]pyrazin-2-yl}piperidine-4-carboxylic acid,

1-(5-{[4-(4-chloro-2-thienyl)-5-{[(2R)-2-methylpyrrolidin-1-yl]methyl}-1,3-thiazol-2-yl]carbamoyl}pyrazin-2-yl)piperidine-4-carboxylic acid,

1-(5-{[(5-{[(2R)-2-ethylpyrrolidin-1-yl]methyl}-4-[3-fluoro-5-(trifluoromethyl)phenyl]-1,3-thiazol-2-yl)carbamoyl}pyrazin-2-yl]piperidine-4-carboxylic acid, and

1-(5-{[(5-{[(2R)-2-ethylpyrrolidin-1-yl]methyl}-4-[4-methoxy-3-(trifluoromethyl)phenyl]-1,3-thiazol-2-yl)carbamoyl}pyrazin-2-yl]piperidine-4-carboxylic acid.

[Claim 11] A pharmaceutical composition comprising the compound or a salt thereof according to claim 1, and a pharmaceutically acceptable excipient.

[Claim 12] The pharmaceutical composition according to claim 11, for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor.

[Claim 13] The pharmaceutical composition according to claim 11, for preventing or treating voiding dysfunctions or storage dysfunctions in underactive bladder, hypotonic bladder, acontractile bladder, detrusor underactivity, or neurogenic bladder.

[Claim 14] Use of the compound or a salt thereof according to claim 1, for the manufacture of a pharmaceutical composition for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor.

[Claim 15] Use of the compound or a salt thereof according to claim 1, for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor.

[Claim 16] The compound or a salt thereof according to claim 1, for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor.

[Claim 17] A method for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor, comprising administering to a subject an effective amount of the compound or a salt thereof according to claim 1.

Claims

[Claim 1] A compound or a salt thereof, wherein the compound is selected from the group consisting of:

1- $\{5-[(4-[3\text{-methoxy-5-(trifluoromethyl)phenyl}]5-[(2R)\text{-2-methylpiperidin-1-yl}]methyl)\text{-}1,3\text{-thiazol-2-yl}]carbamoyl\}pyrazin\text{-}2\text{-yl}\}$ piperidine-4-carboxylic acid,

1- $\{5-[(4-(4\text{-chloro-2-thienyl})5-[(2R)\text{-2-methylpyrrolidin-1-yl}]methyl)\text{-}1,3\text{-thiazol-2-yl}]carbamoyl\}pyrazin\text{-}2\text{-yl}\}$ piperidine-4-carboxylic acid,

1- $\{5-[(5-[(2R)\text{-2-ethylpyrrolidin-1-yl}]methyl)\text{-}4-[3\text{-fluoro-5-(trifluoromethyl)phenyl}]1,3\text{-thiazol-2-yl}]carbamoyl\}pyrazin\text{-}2\text{-yl}\}$ piperidine-4-carboxylic acid, and

1- $\{5-[(5-[(2R)\text{-2-ethylpyrrolidin-1-yl}]methyl)\text{-}4-[4\text{-methoxy-3-(trifluoromethyl)phenyl}]1,3\text{-thiazol-2-yl}]carbamoyl\}pyrazin\text{-}2\text{-yl}\}$ piperidine-4-carboxylic acid.

[Claim 2] The compound or a salt thereof according to claim 1, wherein the compound is

1- $\{5-[(4-[3\text{-methoxy-5-(trifluoromethyl)phenyl}]5-[(2R)\text{-2-methylpiperidin-1-yl}]methyl)\text{-}1,3\text{-thiazol-2-yl}]carbamoyl\}pyrazin\text{-}2\text{-yl}\}$ piperidine-4-carboxylic acid.

[Claim 3] The compound or a salt thereof according to claim 1, wherein the compound is

1- $\{5-[(4-(4\text{-chloro-2-thienyl})5-[(2R)\text{-2-methylpyrrolidin-1-yl}]methyl)\text{-}1,3\text{-thiazol-2-yl}]carbamoyl\}pyrazin\text{-}2\text{-yl}\}$ piperidine-4-carboxylic acid.

[Claim 4] The compound or a salt thereof according to claim 1, wherein the compound is

1- $\{5-[(5-[(2R)\text{-2-ethylpyrrolidin-1-yl}]methyl)\text{-}4-[3\text{-fluoro-5-(trifluoromethyl)phenyl}]1,3\text{-thiazol-2-yl}]carbamoyl\}pyrazin\text{-}2\text{-yl}\}$ piperidine-4-carboxylic acid.

[Claim 5] The compound or a salt thereof according to claim 1, wherein the compound is

1- $\{5-[(5-[(2R)\text{-2-ethylpyrrolidin-1-yl}]methyl)\text{-}4-[4\text{-methoxy-3-(trifluoromethyl)phenyl}]1,3\text{-thiazol-2-yl}]carbamoyl\}pyrazin\text{-}2\text{-yl}\}$ piperidine-4-carboxylic acid.

[Claim 6] A pharmaceutical composition comprising the compound or a salt thereof according to claim 1, and a pharmaceutically acceptable excipient.

5 [Claim 7] The pharmaceutical composition according to claim 6, for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor.

10 [Claim 8] The pharmaceutical composition according to claim 6, for preventing or treating voiding dysfunctions or storage dysfunctions in underactive bladder, hypotonic bladder, acontractile bladder, detrusor underactivity, or neurogenic bladder.

15 [Claim 9] Use of the compound or a salt thereof according to claim 1, for the manufacture of a pharmaceutical composition for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor.

[Claim 10] Use of the compound or a salt thereof according to claim 1, for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor.

20 [Claim 11] The compound or a salt thereof according to claim 1, for preventing or treating bladder or urinary tract diseases, related to bladder contraction by a muscarinic M₃ receptor.