[Designation of Document] Claims

[Claim 1]

An agent which is a sedative comprising, as an active ingredient, methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate or a salt thereof, wherein the dosing rate of the active ingredient by intravenous administration is about 0.3 mg to about 40 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 2]

The agent according to claim 1, wherein the active ingredient is methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate.

[Claim 3]

The agent according to claim 1 or 2, which is used for the induction and/or maintenance of general anesthesia.

[Claim 4]

The agent according to claim 3, which is used for (1) the induction and maintenance of general anesthesia, or (2) the induction of general anesthesia.

[Claim 5]

The agent according to claim 3 or 4, which is used in combination with a narcotic analgesic and optionally a muscle relaxant.

[Claim 6]

The agent according to claim 4, which induces loss of consciousness in the patient within about 180 seconds after the intravenous administration is started.

[Claim 7]

The agent according to claim 4, wherein the agent is used for the induction and maintenance of general anesthesia, and the intravenous administration includes a two-step administration process.

[Claim 8]

The agent according to claim 7, wherein the two-step administration process comprises: a first step for the induction of general anesthesia, in which the dosing rate of the active ingredient by intravenous administration is about 3 mg to about 40 mg/hour/kg in a patient who needs a treatment with the agent; and a second step for the maintenance of general anesthesia, in which the dosing rate of the active ingredient by intravenous administration is about 0.3 mg to about 2.5

mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 9]

The agent according to claim 8, wherein, in the first step, the dosing rate of the active ingredient by intravenous administration is about 4 mg to about 30 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 10]

The agent according to claim 9, wherein, in the first step, the dosing rate of the active ingredient by intravenous administration is about 4 mg, about 6 mg, about 8 mg, about 12 mg, about 21 mg, or about 30 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 11]

The agent according to claim 10, wherein, in the first step, the dosing rate of the active ingredient by intravenous administration is about 6 mg or about 12 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 12]

The agent according to claim 9, wherein the patient is 20 years old or older and younger than 65 years old.

[Claim 13]

The agent according to claim 12, wherein, in the first step, the dosing rate of the active ingredient by intravenous administration is about 6 mg, about 12 mg, about 21 mg, or about 30 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 14]

The agent according to claim 13, wherein, in the first step, the dosing rate of the active ingredient by intravenous administration is about 6 mg or about 12 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 15]

The agent according to claim 9, wherein the patient is 65 years old or older.

[Claim 16]

The agent according to claim 15, wherein, in the first step, the dosing rate of the active ingredient by intravenous administration is about 4 mg, about 8 mg, or about 12 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 17]

The agent according to claim 15, wherein, in the first step, the dosing rate of the active ingredient by intravenous

administration is about 6 mg or about 12 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 18]

The agent according to claim 8, wherein, in the second step, the dosing rate of the active ingredient by intravenous administration is about 0.4 mg to about 2 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 19]

The agent according to claim 18, wherein the patient is 20 years old or older and younger than 65 years old.

[Claim 20]

The agent according to claim 19, wherein, in the second step, the dosing rate of the active ingredient by intravenous administration is about 0.8 mg to about 2 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 21]

The agent according to claim 19, wherein, in the second step, the dosing rate of the active ingredient by intravenous administration is about 0.4 mg to about 1 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 22]

The agent according to claim 19, wherein, in the second step, the dosing rate of the active ingredient by intravenous administration is about 1 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 23]

The agent according to claim 18, wherein the patient is 65 years old or older.

[Claim 24]

The agent according to claim 23, wherein, in the second step, the dosing rate of the active ingredient by intravenous administration is about 0.4 mg to about 1 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 25]

The agent according to claim 23, wherein, in the second step, the dosing rate of the active ingredient by intravenous administration is about 1 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 26]

The agent according to claim 4, wherein the agent is used for the induction of general anesthesia, and the intravenous

administration includes a one-step administration process.

[Claim 27]

The agent according to claim 26, wherein the patient is 20 years old or older, and the dosing rate of the active ingredient by intravenous administration is about 6 mg or about 12 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 28]

An agent for inducing loss of consciousness in a patient within about 180 seconds after intravenous administration is started, wherein the agent is a sedative comprising, as an active ingredient, methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, and is used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction and maintenance of general anesthesia, the intravenous administration of the active ingredient comprises a two-step administration process, and in a first step for the induction of general anesthesia, the dosing rate of the active ingredient by intravenous administration is about 4 mg to about 30 mg/hour/kg in a patient who needs a treatment with the agent and in a second step for the maintenance of general anesthesia, the dosing rate of the active ingredient by intravenous administration is about 0.4

mg to about 2 mg/hour/kg in a patient who needs a treatment with the agent.

[Claim 29]

The agent according to claim 5 or 28, wherein the narcotic analgesic is remifentanil.

[Claim 30]

The agent according to claim 5, 28, or 29, wherein the muscle relaxant is rocuronium bromide.

[Claim 31]

The agent according to claim 1, 28, 29, or 30, wherein the incidence rate of hypotension as a side effect is less than 15%.

[Claim 32]

A sedative comprising, as an active ingredient, methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, which is used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction and maintenance of general anesthesia, wherein the intravenous administration of the active ingredient includes a two-step administration process, and in a first step for the induction of general anesthesia,

the dosing rate of the active ingredient by intravenous administration is about 6 mg/hour/kg in a patient who needs a treatment with the sedative and in a second step for the maintenance of general anesthesia, the dosing rate of the active ingredient by intravenous administration is about 0.4 mg to about 1 mg/hour/kg in a patient who needs a treatment with the sedative.

[Claim 33]

A sedative comprising, as an active ingredient, methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, which is used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction and maintenance of general anesthesia, wherein the intravenous administration of the active ingredient includes a two-step administration process, and in a first step for the induction of general anesthesia, the dosing rate of the active ingredient by intravenous administration is about 12 mg/hour/kg in a patient who needs a treatment with the sedative and in a second step for the maintenance of general anesthesia, the dosing rate of the active ingredient by intravenous administration is about 0.4 mg to about 1 mg/hour/kg in a patient who needs a treatment with the sedative.

[Claim 34]

A sedative comprising, as an active ingredient, methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, which is used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction of general anesthesia, wherein the dosing rate of the active ingredient by intravenous administration is about 6 mg/hour/kg in a patient who needs a treatment with the sedative.

[Claim 35]

A sedative comprising, as an active ingredient, methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, which is used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction of general anesthesia, wherein the dosing rate of the active ingredient by intravenous administration is about 12 mg/hour/kg in a patient who needs a treatment with the sedative.

[Claim 36]

A product comprising (1) a pharmaceutical composition comprising, as an active ingredient, methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, (2) a

container, and (3) an instruction, a manual, an appendix, or a product label, indicating that the active ingredient can be used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction and maintenance of general anesthesia by intravenous administration in a two-step administration process.

[Claim 37]

The product according to claim 36, wherein the two-step administration process includes: a first step for the induction of general anesthesia, in which the dosing rate is about 6 mg or about 12 mg/hour/kg in a patient who needs a treatment with the product; and a second step for the maintenance of general anesthesia, in which the dosing rate is about 0.4 mg to about 1 mg/hour/kg in a patient who needs a treatment with the product.

[Claim 38]

A product comprising (1) a pharmaceutical composition comprising, as an active ingredient, methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, (2) a container, and (3) an instruction, a manual, an appendix, or a product label, indicating that the active ingredient can be used in combination with a narcotic analgesic and optionally

a muscle relaxant for the induction of general anesthesia by intravenous administration at a dosing rate of about 6 mg or about 12 mg/hour/kg in a patient who needs a treatment of the product.

[Claim 39]

An instruction, a manual, an appendix, or a product label, indicating that methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate can be used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction and maintenance of general anesthesia by intravenous administration in a two-step administration process.

[Claim 40]

The instruction, manual, appendix, or product label according to claim 39, wherein the two-step administration process includes: a first step for the induction of general anesthesia, in which the dosing rate is about 6 mg or about 12 mg/hour/kg in a patient who needs a treatment with the compound; and a second step for the maintenance of general anesthesia, in which the dosing rate is about 0.4 mg to about 1 mg/hour/kg in a patient who needs a treatment with the compound.

[Claim 41]

An instruction, a manual, an appendix, or a product label, indicating that methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate can be used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction of general anesthesia by intravenous administration at a dosing rate of about 6 mg or about 12 mg mg/hour/kg in a patient who needs a treatment with the compound.

[Claim 42]

The use of an effective amount of methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate or a salt thereof in the manufacture of a sedative to treat the state of consciousness of a patient intravenously, wherein the dosing rate of the active ingredient by intravenous administration is about 0.3 mg to about 40 mg/hour/kg.

[Claim 43]

Methyl

3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate or a salt thereof for inducing a sedative state, wherein the dosing rate of the compound by intravenous administration is about 0.3 mg to about 40 mg/hour/kg in a patient who needs a treatment with the compound.

[Claim 44]

Use of methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate or a salt thereof for producing a sedative, wherein the dosing rate of the compound by intravenous administration is about 0.3 mg to about 40 mg/hour/kg in a patient who needs a treatment with the compound.

Claims

[Claim 1]

Use of a compound in the manufacture of a sedative, wherein the compound is methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate or a salt thereof, the dosing rate of the compound by intravenous administration is about 0.3 mg/hour/kg to about 40 mg/hour/kg in a patient, the intravenous administration includes a two-step administration process and the use is for the induction and maintenance of general anesthesia.

[Claim 2]

Use of an effective amount of a compound in the manufacture of a sedative to treat the state of consciousness of a patient intravenously, wherein the compound is methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate or a salt thereof, the dosing rate of the compound by intravenous administration is about 0.3 mg/hour/kg to about 40 mg/hour/kg, the intravenous administration includes a two-step administration process and the use is for the induction and maintenance of general anesthesia.

[Claim 3]

The use according to claim 1 or 2, wherein the compound is methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate.

[Claim 4]

The use according to any of claims 1 to 3, wherein the use is in combination with a narcotic analgesic and optionally

a muscle relaxant.

[Claim 5]

The use according to any of claims 1 to 3, wherein loss of consciousness in the patient is induced within about 180 seconds after the intravenous administration is started.

[Claim 6]

The use according to any of claims 1 to 3, wherein the two-step administration process comprises: a first step for the induction of general anesthesia, in which the dosing rate of the compound by intravenous administration is about 3 mg/hour/kg to about 40 mg/hour/kg; and a second step for the maintenance of general anesthesia, in which the dosing rate of the compound by intravenous administration is about 0.3 mg/hour/kg to about 2.5 mg/hour/kg.

[Claim 7]

The use according to claim 6, wherein, in the first step, the dosing rate of the compound by intravenous administration is about 4 mg/hour/kg to about 30 mg/hour/kg.

[Claim 8]

The use according to claim 7, wherein, in the first step, the dosing rate of the compound by intravenous administration is about 4 mg/hour/kg, about 6 mg/hour/kg, about 8 mg/hour/kg, about 12 mg/hour/kg, about 21 mg/hour/kg, or about 30 mg/hour/kg.

[Claim 9]

The use according to claim 8, wherein, in the first step, the dosing rate of the compound by intravenous administration is about 6 mg/hour/kg or about 12 mg/hour/kg.

[Claim 10]

The use according to claim 7, wherein the patient is 20 years old or older and younger than 65 years old.

[Claim 11]

The use according to claim 10, wherein, in the first step, the dosing rate of the compound by intravenous administration is about 6 mg/hour/kg, about 12 mg/hour/kg, about 21 mg/hour/kg, or about 30 mg/hour/kg.

[Claim 12]

The use according to claim 11, wherein, in the first step, the dosing rate of the compound by intravenous administration is about 6 mg/hour/kg or about 12 mg/hour/kg.

[Claim 13]

The use according to claim 7, wherein the patient is 65 years old or older.

[Claim 14]

The use according to claim 13, wherein, in the first step, the dosing rate of the compound by intravenous administration is about 4 mg/hour/kg, about 8 mg/hour/kg, or about 12 mg/hour/kg.

[Claim 15]

The use according to claim 13, wherein, in the first step, the dosing rate of the compound by intravenous administration is about 6 mg/hour/kg or about 12 mg/hour/kg.

[Claim 16]

The use according to claim 6, wherein, in the second step, the dosing rate of the compound by intravenous administration is about 0.4 mg/hour/kg to about 2 mg/hour/kg.

[Claim 17]

The use according to claim 16, wherein the patient is 20 years old or older and younger than 65 years old.

[Claim 18]

The use according to claim 17, wherein, in the second step, the dosing rate of the compound by intravenous administration is about 0.8 mg/hour/kg to about 2 mg/hour/kg.

[Claim 19]

The use according to claim 17, wherein, in the second step, the dosing rate of the compound by intravenous administration is about 0.4 mg/hour/kg to about 1 mg/hour/kg.

[Claim 20]

The use according to claim 17, wherein, in the second step, the dosing rate of the compound by intravenous administration is about 1 mg/hour/kg.

[Claim 21]

The use according to claim 16, wherein the patient is 65 years old or older.

[Claim 22]

The use according to claim 21, wherein, in the second step, the dosing rate of the compound by intravenous administration is about 0.4 mg/hour/kg to about 1 mg/hour/kg.

[Claim 23]

The use according to claim 18, wherein, in the second step, the dosing rate of the compound by intravenous administration is about 1 mg/hour/kg.

[Claim 24]

Use of a compound in the manufacture of a sedative for inducing loss of consciousness in a patient within about 180 seconds after intravenous administration is started, wherein the compound is comprising methyl 3-[(4S)-8-bromo-1-methyl-6-(2-pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, and wherein the compound is used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction and maintenance of general anesthesia, the intravenous administration of the compound comprises a two-step administration process, and in a first step for the induction of general anesthesia, the dosing rate of the compound by intravenous administration is about 4 mg/hour/kg to about 30 mg/hour/kg in the patient and in a second step for the maintenance of general anesthesia, the dosing rate of the compound by intravenous administration is about 0.4 mg/hour/kg to about 2 mg/hour/kg in the patient.

[Claim 25]

The use according to claim 4 or 24, wherein the narcotic analgesic is remifentanil.

[Claim 26]

The use according to claim 4, 24, or 25, wherein the muscle relaxant is rocuronium bromide.

[Claim 27]

The use according to claim 1, 2, 24, 25, or 26, wherein the incidence rate of hypotension as a side effect is less than 15%.

[Claim 28]

Use of a compound in the manufacture of a sedative, wherein the compound is methyl 3-[(4S)-8-bromo-1-methyl-6-(2-

pyridinyl)-4H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]propanoate benzenesulfonate, and wherein the compound is used in combination with a narcotic analgesic and optionally a muscle relaxant for the induction and maintenance of general anesthesia, wherein the intravenous administration of the compound includes a two-step administration process, and in a first step for the induction of general anesthesia, the dosing rate of the compound by intravenous administration is about 6 mg/hour/kg or about 12 mg/hour/kg in a patient and in a second step for the maintenance of general anesthesia, the dosing rate of the compound by intravenous administration is about 0.4 mg/hour/kg to about 1 mg/hour/kg in the patient.