of Patent Literatures 1 and 2 are incorporated herein by reference.

[0003]

However, there is no description about which of such an enormous number of pyridylaminoacetic acid compounds has an especially excellent intraocular pressure lowering effect and may be used as a therapeutic or preventive agent for glaucoma, and there is no description at all about how the intraocular pressure lowering effect is influenced by the content of the compounds.

[Citation List]

[Patent Literature]

[0004]

[Patent Literature 1] US Patent Application Publication No. 2012/0190852

[Patent Literature 2] US Patent Application Publication No. 2011/0054172

[Summary ~~of Invention~~]

[0005]

An object of the present ~~invention~~ disclosure is to find which of an enormous number of pyridylaminoacetic acid compounds has an especially excellent intraocular pressure lowering effect and may be used as a therapeutic or preventive agent for glaucoma or ocular hypertension or an intraocular pressure lowering agent, and to find how the found compound is used and/or what dose of the compound is administrated to a patient (mainly, a human) in order to obtain an effective therapeutic or preventive effect.

[0006]

To achieve the above-described objects, the present

inventors have conducted earnest studies. As a result, the inventors have found out that isopropyl(6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino)acetate or a salt thereof (hereinafter also referred to as "present compound") has a particularly excellent intraocular pressure lowering effect and may be used as a therapeutic or preventive agent for glaucoma or ocular hypertension or an intraocular pressure lowering agent. Further, the inventors have found out that a somewhat low content of the present compound exhibits a more excellent intraocular pressure lowering effect than a high content of the present compound, and surprisingly, an especially excellent intraocular pressure lowering effect is exhibited when one or two drops of an eye drop containing the present compound at a concentration of from 0.001 to 0.01% (w/v), preferably from 0.001 to 0.003% (w/v) are instilled to a human once or twice a day, and such a usage and/or dose achieves an effective therapeutic or preventive effect, and the inventors have brought the present ~~invention~~ disclosure into completion. As employed herein, the term "% (w/v)" refers to the mass (g) of an effective ingredient (here, the present compound) or an additive (e.g. a surfactant, etc.) contained in 100 mL of an ophthalmic solution. For example, 0.01% (w/v) of the present compound means that the content of the present compound in 100 mL of the ophthalmic solution is 0.01 g.

Specifically, the present ~~invention~~ disclosure can relate to the following~~s~~.

[0006a]

In one aspect of the present disclosure, there is provided a pharmaceutical preparation for use in the treatment or prevention of glaucoma or ocular hypertension, comprising 0.001

to 0.003% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

[0006b]

In another aspect of the present disclosure, there is provided a use of the pharmaceutical preparation disclosed herein in the manufacture of a medicament for the treatment or prevention of glaucoma or ocular hypertension.

[0007]

There is also provided the following:

(1) A pharmaceutical preparation for treatment or prevention of glaucoma or ocular hypertension, comprising 0.001

The present ~~invention~~ disclosure provides a pharmaceutical preparation for treatment or prevention of glaucoma or ocular hypertension, or for lowering of intraocular pressure, in which the present compound contains the dose described in (1) to (15) and/or is administrated according to the usage described in (1) to (15) thereby to have an excellent intraocular pressure lowering effect for a patient, particularly a human.

The present ~~invention~~ disclosure also provides a method for treatment or prevention of glaucoma or ocular hypertension, and a method for lowering an intraocular pressure, using the pharmaceutical preparation.

The present ~~invention~~ disclosure further provides a method for using the present compound in order to prepare the pharmaceutical preparation for treatment or prevention of glaucoma or ocular hypertension, or for lowering of intraocular pressure.

[Description of Embodiments]

[0009] Embodiments of the present invention will be described in detail below.

Isopropyl(6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino)acetate or a salt thereof, contained in a therapeutic or preventive agent for glaucoma or ocular hypertension or an intraocular pressure lowering agent (hereinafter also referred to as "medicament"), according to the present invention, can be prepared by a method described in US Patent Application Publication No. 2012/0190852 (Patent Literature 1), a typical method in the technical field thereof, or the like.

[0010]

A salt of

[Claims]

(Claim 1) A pharmaceutical preparation for treatment or prevention of glaucoma or ocular hypertension, comprising 0.001 to 0.01% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl] (pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 2) The pharmaceutical preparation of Claim 1, comprising 0.001 to 0.003% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl] (pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 3) The pharmaceutical preparation of Claim 1, comprising 0.0011 to 0.0030% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl] (pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 4) The pharmaceutical preparation of Claim 1, comprising 0.0011 to 0.0029% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl] (pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 5) The pharmaceutical preparation of Claim 1, comprising 0.0013 to 0.0027% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl] (pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 6) The pharmaceutical preparation of Claim 1, comprising 0.0015 to 0.0025% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl] (pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino)

acetate, or a salt thereof.

(Claim 7) The pharmaceutical preparation of Claim 1, comprising 0.0010% (w/v), 0.0011% (w/v), 0.0012% (w/v), 0.0013% (w/v), 0.0014% (w/v), 0.0015% (w/v), 0.0016% (w/v), 0.0017% (w/v), 0.0018% (w/v), 0.0019% (w/v), 0.0020% (w/v), 0.0021% (w/v), 0.0022% (w/v), 0.0023% (w/v), 0.0024% (w/v), 0.0025% (w/v), 0.0026% (w/v), 0.0027% (w/v), 0.0028% (w/v), 0.0029% (w/v) or 0.0030% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 8) The pharmaceutical preparation of Claim 1, comprising 0.0011% (w/v), 0.0012% (w/v), 0.0013% (w/v), 0.0014% (w/v), 0.0015% (w/v), 0.0016% (w/v), 0.0017% (w/v), 0.0018% (w/v), 0.0019% (w/v), 0.0020% (w/v), 0.0021% (w/v), 0.0022% (w/v), 0.0023% (w/v), 0.0024% (w/v), 0.0025% (w/v), 0.0026% (w/v), 0.0027% (w/v), 0.0028% (w/v), 0.0029% (w/v) or 0.0030% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 9) The pharmaceutical preparation of Claim 1, comprising 0.0011% (w/v), 0.0012% (w/v), 0.0013% (w/v), 0.0014% (w/v), 0.0015% (w/v), 0.0016% (w/v), 0.0017% (w/v), 0.0018% (w/v), 0.0019% (w/v), 0.0020% (w/v), 0.0021% (w/v), 0.0022% (w/v), 0.0023% (w/v), 0.0024% (w/v), 0.0025% (w/v), 0.0026% (w/v), 0.0027% (w/v), 0.0028% (w/v) or 0.0029% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 10) The pharmaceutical preparation of any one of Claims 1 to 9, in which a dosage form is an eye drop.

(Claim 11) The pharmaceutical preparation of any one of Claims 1 to 10, which is used to be instilled into the eye once or twice a day.

(Claim 12) The pharmaceutical preparation of any one of Claims 1 to 11, which is used to be instilled into the eye in a dose of one or two drops.

(Claim 13) The pharmaceutical preparation of any one of Claims 1 to 12, which is used to be instilled into the eye once a day in a dose of one drop.

(Claim 14) A method for treatment or prevention of glaucoma or ocular hypertension, in which the pharmaceutical preparation of any one of Claims 1 to 10 is instilled into the eye once or twice a day.

(Claim 15) A method for treatment or prevention of glaucoma or ocular hypertension, in which the pharmaceutical preparation of any one of Claims 1 to 10 is instilled into the eye in a dose of one or two drops.

(Claim 16) A method for treatment or prevention of glaucoma or ocular hypertension, in which the pharmaceutical preparation of any one of Claims 1 to 10 is instilled into the eye once a day in a dose of one drop.

[Claims]

(Claim 1) A pharmaceutical preparation for use in the treatment or prevention of glaucoma or ocular hypertension, comprising 0.001 to 0.003% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino)acetate, or a salt thereof.

(Claim 2) The pharmaceutical preparation of Claim 1, comprising 0.0011 to 0.0030% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino)acetate, or a salt thereof.

(Claim 3) The pharmaceutical preparation of Claim 1, comprising 0.0011 to 0.0029% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino)acetate, or a salt thereof.

(Claim 4) The pharmaceutical preparation of Claim 1, comprising 0.0013 to 0.0027% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino)acetate, or a salt thereof.

(Claim 5) The pharmaceutical preparation of Claim 1, comprising 0.0015 to 0.0025% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino)acetate, or a salt thereof.

(Claim 6) The pharmaceutical preparation of Claim 1, comprising 0.0010% (w/v), 0.0011% (w/v), 0.0012% (w/v), 0.0013% (w/v), 0.0014% (w/v), 0.0015% (w/v), 0.0016% (w/v), 0.0017% (w/v),

0.0018% (w/v), 0.0019% (w/v), 0.0020% (w/v), 0.0021% (w/v), 0.0022% (w/v), 0.0023% (w/v), 0.0024% (w/v), 0.0025% (w/v), 0.0026% (w/v), 0.0027% (w/v), 0.0028% (w/v), 0.0029% (w/v) or 0.0030% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 7) The pharmaceutical preparation of Claim 1, comprising 0.0011% (w/v), 0.0012% (w/v), 0.0013% (w/v), 0.0014% (w/v), 0.0015% (w/v), 0.0016% (w/v), 0.0017% (w/v), 0.0018% (w/v), 0.0019% (w/v), 0.0020% (w/v), 0.0021% (w/v), 0.0022% (w/v), 0.0023% (w/v), 0.0024% (w/v), 0.0025% (w/v), 0.0026% (w/v), 0.0027% (w/v), 0.0028% (w/v), 0.0029% (w/v) or 0.0030% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 8) The pharmaceutical preparation of Claim 1, comprising 0.0011% (w/v), 0.0012% (w/v), 0.0013% (w/v), 0.0014% (w/v), 0.0015% (w/v), 0.0016% (w/v), 0.0017% (w/v), 0.0018% (w/v), 0.0019% (w/v), 0.0020% (w/v), 0.0021% (w/v), 0.0022% (w/v), 0.0023% (w/v), 0.0024% (w/v), 0.0025% (w/v), 0.0026% (w/v), 0.0027% (w/v), 0.0028% (w/v) or 0.0029% (w/v) of isopropyl (6-{[4-(pyrazol-1-yl)benzyl](pyridin-3-ylsulfonyl)aminomethyl}pyridin-2-ylamino) acetate, or a salt thereof.

(Claim 9) The pharmaceutical preparation of any one of Claims 1 to 8, in which a dosage form is an eye drop.

(Claim 10) The pharmaceutical preparation of any one of Claims 1 to 9, wherein said pharmaceutical preparation is to be instilled into the eye once or twice a day.

(Claim 11) The pharmaceutical preparation of any one of Claims 1 to 10, wherein said pharmaceutical preparation is to be instilled into the eye in a dose of one or two drops.

(Claim 12) The pharmaceutical preparation of any one of Claims 1 to 11, wherein said pharmaceutical preparation is to be instilled into the eye once a day in a dose of one drop.

(Claim 13) Use of the pharmaceutical preparation of any one of Claims 1 to 12 in the manufacture of a medicament for the treatment or prevention of glaucoma or ocular hypertension.