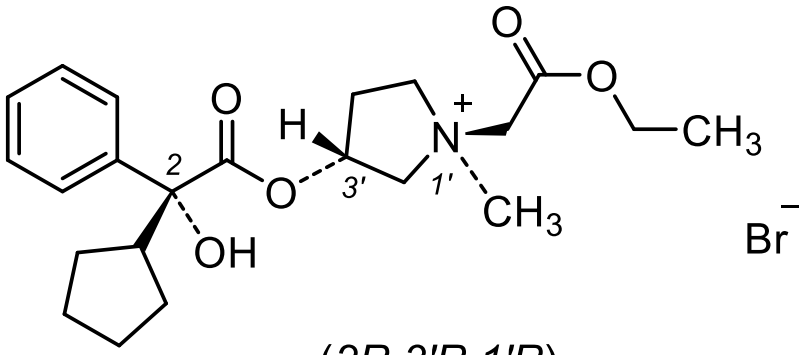
[CLAIMS]

[Claim 1]

A crystalline form of the compound (I) (sofpironium bromide) represented by the formula (I), wherein the crystalline form is a cocrystal (Form CO) comprising the compound (I-a) represented by the formula (I-a) and the compound (I-b) represented by the formula (I-b) at a ratio of 1:3, and characterized by showing peaks at 5.9 ± 0.2°, 7.6 ± 0.2°, 11.0 ± 0.2°, and 22.2 ± 0.2° as diffraction angles 2θ in the powder X-ray diffraction spectrum.

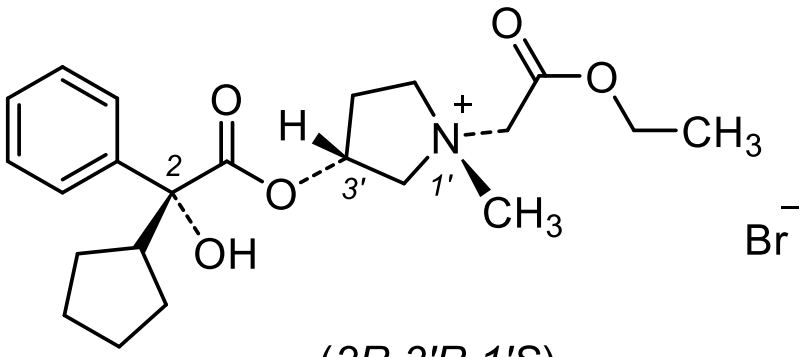
[Chem. 1]



(I-a)

(2R,3'R,1'R)

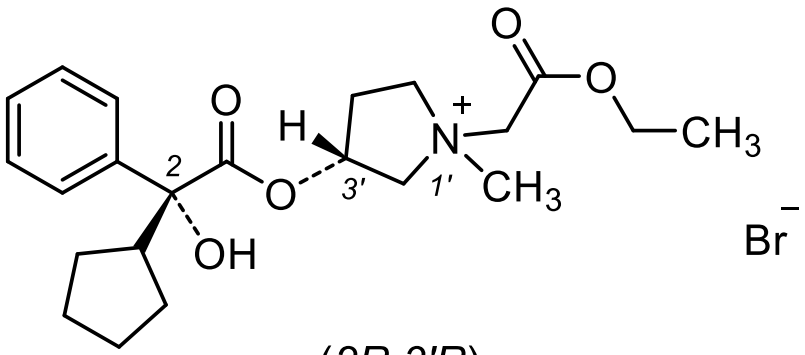
[Chem. 2]



(I-b)

(2R,3'R,1'S)

[Chem. 3]



(I)

(2R,3'R)

[Claim 2]

A crystal mixture comprising the crystalline form of the compound (I) according to claim 1.

[Claim 3]

A crystal mixture according to claim 2 further comprising a crystalline form of the compound (I-a).

[Claim 4]

A crystal mixture according to claim 3, wherein the crystalline form of the compound (I-a) is characterized by showing peaks at 7.1 ± 0.2°, 21.4 ± 0.2°, 22.3 ± 0.2°, and 24.5 ± 0.2° as diffraction angles 2θ in the powder X-ray diffraction spectrum.

[Claim 5]

A crystal mixture according to claim 3, characterized by showing peaks at 5.9 ± 0.2°, 7.2 ± 0.2°, 7.7 ± 0.2°, 11.1 ± 0.2°, 22.3 ± 0.2°, and 24.6 ± 0.2° as diffraction angles 2θ in the powder X-ray diffraction spectrum.

[Claim 6]

A method for preparing the crystalline form of the compound (I) according to any one of claims 1 to 5, comprising the following steps:

Step C: the step of preparing a suspension of the compound (I) in a solvent containing at least one of the solvents selected from ethyl acetate and methyl t-butyl ether, and stirring the suspension for at least 1 hour, and

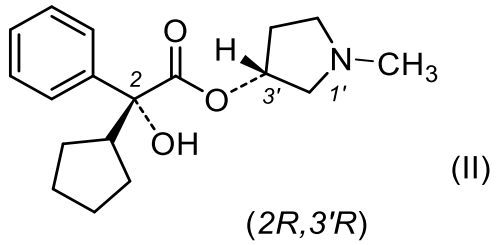
Step D: the step of filtering the aforementioned suspension of the compound (I) to obtain the crystalline form of the compound (I).

[Claim 7]

A method for preparing the crystalline form of the compound (I) according to any one of claims 1 to 5, comprising the following steps:

Step A: the step of reacting the compound (II) represented by the formula (II):

[Chem. 4]



(II)

(2R,3'R)

with ethyl bromoacetate in a solvent to prepare a reaction mixture containing the compound (I),

Step B: the step of filtering the aforementioned reaction mixture to obtain the compound (I),

Step C': the step of preparing a suspension of the compound (I) in a solvent containing at least one of the solvents selected from ethyl acetate and methyl t-butyl ether, and stirring

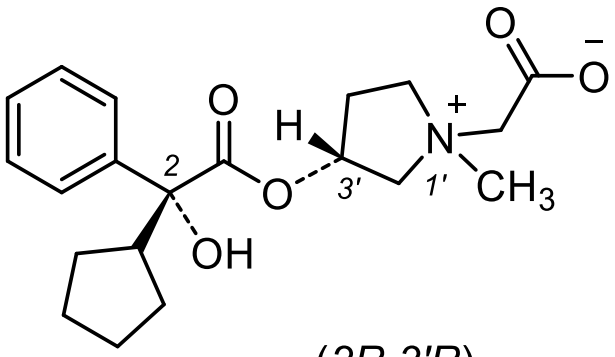
the suspension for at least 1 hour, and

Step D: the step of filtering the aforementioned suspension of the compound (I) to obtain the crystalline form of the compound (I).

[Claim 8]

A crystalline form of the compound (I) according to any one of claims 1 to 5, wherein a content of the compound (III) represented by the following formula (III):

[Chem. 5]



(III)

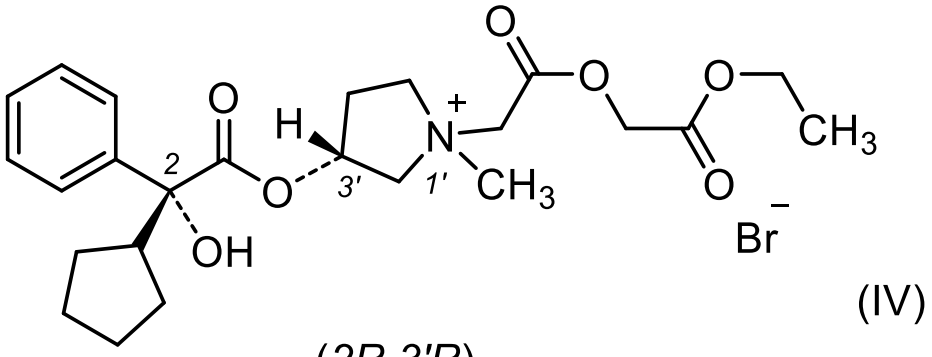
(2*R*,3′*R*)

is not more than 0.5 w/w % based on a content of the compound (I).

[Claim 9]

A crystalline form of the compound (I) according to any one of claims 1 to 5, wherein a content of the compound (IV) represented by the following formula (IV):

[Chem. 6]



(IV)

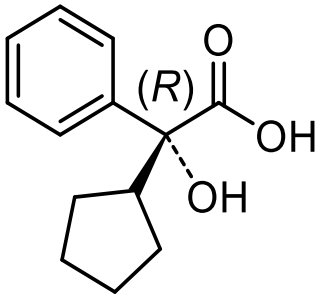
(2*R*,3′*R*)

is not more than 0.5 w/w % based on the content of the compound (I).

[Claim 10]

A crystalline form of the compound (I) according to any one of claims 1 to 5, wherein a content of the compound (V) represented by the following formula (V):

[Chem. 7]



(V)

is not more than 0.5 w/w % based on the content of the compound (I).

[Claim 11]

A crystalline form of the compound (I) according to any one of claims 1 to 5, wherein each of contents of the compounds (III), (IV), and (V) is not more than 0.5 w/w % based on the content of the compound (I), and purity of the compound (I) is not less than 98.0 w/w %.

[Claim 12]

A crystalline form of the compound (I) according to any one of claims 1 to 5, wherein, based on the content of the compound (I), each of contents of the compounds (III), (IV), and (V) is not more than 0.5 w/w %, total content of impurities is not more than 2.0 w/w %, and purity of the compound (I) is not less than 98.0 w/w %.

[Claim 13]

A crystalline form of the compound (I) according to any one of claims 1 to 5, wherein, based on the content of the compound (I), each of contents of the compounds (III), (IV), and (V) is not more than 0.15 w/w %, total content of impurities is not more than 1.0 w/w %, and purity of the compound (I) is not less than 99.0 w/w %.

[Claim 14]

The crystalline form of the compound (I) according to any one of claims 8 to 13, comprising the compound (I-a) and the compound (I-b) at a content ratio of 40:60 to 20:80.

[Claim 15]

A method for preparing a pharmaceutical composition comprising mixing the crystalline form of the compound (I) according to any one of claims 1 to 5, or any one of claims 8 to 13 and a pharmaceutically acceptable carrier.

[Claim 16]

A method for preparing a pharmaceutical composition for therapeutic and/or prophylactic treatment of hyperhidrosis, comprising mixing the crystalline form of the compound (I) according to any one of claims 1 to 5, or any one of claims 8 to 13 and a pharmaceutically acceptable carrier.

[Claim 17]

A method for preparing an anticholinergic agent comprising the crystalline form of the compound (I) according to any one of claims 1 to 5, or any one of claims 8 to 13 and a pharmaceutically acceptable carrier.

[Claim 18]

Use of the crystalline form of the compound (I) according to any one of claims 1 to 5, or any one of claims 8 to 13 in the manufacture of a medicament for the treatment of hyperhidrosis.

[Claim 19]

Use of the crystalline form of the compound (I) according to any one of claims 1 to 5, or any one of claims 8 to 13 in the manufacture of a medicament for the treatment of primary axillary hyperhidrosis or primary palm hyperhidrosis.