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DESCRIPTION

DISINFECTANT ANTISEPTIC COMPOSITION FOR THROAT

Technical Field

5 The present invention relates to a disinfectant antiseptic composition for throat which has a sweet taste, has reduced irritation and burning feeling, has excellent feeling upon use and has good compositional stability.

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Background Art

 Examples of the known disinfectant antiseptic for throat which comprises povidone-iodine as an effective ingredient include a mouth wash which is used in the
15 form of an aqueous diluted solution and a paint preparation, such as Lugol's solution, which is to be applied directly to the throat, as well as liquid preparations which have recently been put on the market and are adhered directly to the throat by using a simple
20 spray-type or discharge-type container.

 These disinfectant antiseptics for throat, however, are accompanied with the problem that owing to their pungent taste, irritation and burning feeling, susceptible people thereto such as infants, children or
25 the aged suffer from choking, coughing or vomiting when

they are applied, and therefore the efficacy cannot be so sufficiently obtained as expected.

5 In addition to the side effects such as itchy and burning feeling caused by effective iodine in povidone-iodine, which are the causes relating to the povidone-iodine itself, an amount of a volatile substance contained in the preparation and the irritation due to the base ingredient are considered to have influences on the above problem.

10 The problem of povidone-iodine itself must be overcome in designing of its preparation. On the other hand, the ingredients to be incorporated in the preparation have been limited in view of the properties and stability of effective iodine.

15 When an ingredient generally employed for pharmaceutical use, for example, a sweetening agent such as sucrose, fructose, glucose, lactose or maltose is incorporated to mask the irritation of a povidone-iodine preparation, these incorporated ingredients are
20 decomposed competitively with the povidone-iodine present as an effective ingredient. In the case where a flavor is incorporated, it is only effective when added in a large amount, but the addition in a large amount causes problems in solubility, taste and feeling upon
25 use.

An object of the present invention is therefore to provide a disinfectant antiseptic composition for throat which is excellent in feeling upon use and in which povidone-iodine is stable.

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Disclosure of the Invention

With the foregoing in view, the present inventors were intrigued by the finding that licorices have a sweet taste and corrigent property and studied the possibility of the incorporation of various licorices, ingredients extracted therefrom, salts thereof and the like in a povidone-iodine solution. As a result, it has been found that a disinfectant antiseptic composition for throat, which is excellent in feeling upon use and is stable, can be obtained by using povidone-iodine and dipotassium glycyrrhizinate in combination, without affecting the sterilizing effects of povidone-iodine. Thus, the present invention has been completed.

The addition of licorices is effective for the improvement in the feeling upon use, but lowers the activity of effective iodine and thereby makes it impossible to obtain sterilizing effects. It is therefore difficult to practice their incorporation. Concerning glycyrrhizinic acid, monoammonium glycyrrhizinate, monopotassium glycyrrhizinate, glycyrr-

rhetic acid, stearyl glycyrrhetinate and glycyrrhetinyl stearate, these cannot attain the intended improvement of feeling upon use due to their poor solubility. It is therefore difficult to practice their incorporation. Concerning disodium 3-succinyl-oxyglycyrrhetinate, it lowers the activity of effective iodine so that sterilizing effects cannot be obtained. It is therefore difficult to practice its incorporation.

The present invention is therefore to provide a disinfectant antiseptic composition for throat which comprises povidone-iodine and dipotassium glycyrrhizinate.

Brief Description of the Drawings

FIG. 1 illustrates an influence of pH on the stabilities of effective iodine and dipotassium glycyrrhizinate

Best Modes for Carrying Out the Invention

In the disinfectant antiseptic composition of the present invention for throat, it is preferred to incorporate povidone-iodine in an amount of from 0.05 to 1 w/v%, particularly from 0.3 to 0.6 w/v% based on the total composition.

In addition, it is preferred to incorporate dipotassium glycyrrhizinate in an amount of from 0.05 to 1 w/v%, particularly from 0.2 to 0.4 w/v% based on the total composition. Within this range, the composition having a sweet taste and being excellent in feeling upon use can be obtained.

As dipotassium glycyrrhizinate, those listed in the Japanese Pharmacopoeia Codex or in The Japanese Standards of Cosmetic Ingredients can be employed.

The disinfectant antiseptic composition of the present invention for throat can be prepared by dissolving povidone-iodine and dipotassium glycyrrhizinate in a base ingredient (solvent). Examples of the base ingredient (solvent) include water, ethanol, propylene glycol and glycerin. They can be used singly or in combination.

In the disinfectant antiseptic composition of the present invention for throat, it is possible to incorporate, in addition to the above essential ingredients, active ingredients represented by flavoring agents or flavors such as fennel oil, spearmint oil, *dl*-camphor oil, *l*-menthol, cinnamon oil, peppermint oil, vanillin and strawberry extract; and anti-inflammatory agent such as lysozyme chloride, allantoin and tranexamic acid, within an extent not damaging the

efficacy of the present invention. Furthermore, iodized alkali metals such as potassium iodide can be added as a solubilizing agent.

Furthermore, when the pH of the disinfectant antiseptic composition of the present invention for throat falls within a range of from 3 to 5, particularly, from 3.5 to 4.5, both povidone-iodine and dipotassium glycyrrhizinate have improved their stability. Therefore, the pH within the above range is preferred. Examples of the pH adjuster suitable for use in the adjustment of pH include inorganic acids such as hydrochloric acid, boric acid and phosphoric acid; organic acids such as acetic acid, lactic acid and citric acid; and buffers such as ascorbic acid, disodium citrate, potassium dihydrogenphosphate and aminoacetic acid. These pH adjusters may be added in an amount necessary to adjust the pH to a target value.

To prepare the disinfectant antiseptic composition of the present invention for throat, it is preferred to dissolve povidone-iodine and dipotassium glycyrrhizinate in a solvent such as a mixed solution of ethanol and water, adding to the resulting solution another solvent such as concentrated glycerin, stirring the resulting mixture and then adjusting the pH of the reaction mixture to 3-5 by a pH adjuster.

Examples

The present invention will next be described in detail by the following examples. It should however be borne in mind that this invention is by no means
5 limited to or by the examples.

Example 1

Disinfectant antiseptics for throat which have the compositions as shown in Table 1 were prepared and an organoleptic test by taste was conducted. The
10 results are shown in Table 2.

(Preparation Process)

In a mixed solution of ethanol and purified water, povidone-iodine, dipotassium glycyrrhizinate, potassium iodide and *l*-menthol were dissolved. To the
15 resulting solution, concentrated glycerin and hydrochloric acid were added, followed by stirring. Purified water was then added to the reaction mixture to give a total volume of 100 ml.

(Method of Organoleptic Test)

Each of the disinfectant antiseptics was filled
20 in a simple spray-type container (25 ml). Seven participants in this test sprayed it directly to their own throats twice and its taste was ranked by "unpleasant pungent taste", "pungent taste", "slight pungent
25 taste", "slight sweet taste", "sweet taste" and "un-

pleasant sweet taste". The results were indicated by each number of the participants belonging to any one of the above ranks.

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Table 1

Ingredient	Invention Product				Comparative product
	1	2	3	4	
Povidone-iodine	0.45 g	0.45 g	0.45 g	0.45 g	0.45 g
Dipotassium glycyrrhizinate	0.05 g	0.2 g	0.5 g	1.0 g	-
Potassium iodide	1.0 g	1.0 g	1.0 g	1.0 g	1.0 g
2-menthol	0.3 g	0.3 g	0.3 g	0.3 g	0.3 g
Concentrated glycerin	80.0 g	80.0 g	80.0 g	80.0 g	80.0 g
Ethanol	15.0 g	15.0 g	15.0 g	15.0 g	15.0 g
Hydrochloric acid	q.s.*	q.s.*	q.s.*	q.s.*	q.s.*
Purified water	100 ml in total	100 ml in total	100 ml in total	100 ml in total	100 ml in total

*: an amount to adjust the pH to 4

Table 2

Answer (number)	Invention Product				Comparative product
	1	2	3	4	
Unpleasant pungent taste	0	0	0	0	1
Pungent taste	0	0	0	0	4
slight pungent taste	1	0	0	0	2
slight sweet taste	3	1	1	0	0
Sweet taste	3	6	6	6	0
Unpleasant sweet taste	0	0	0	1	0

As apparent from Table 2, it was found that a comparative product not containing dipotassium glycyrrhizinate had a pungent taste and was inferior in feeling upon use, while each of the invention products containing dipotassium glycyrrhizinate in an amount of from 0.05 to 1.0 w/v% had a sweet taste and showed excellent feeling upon use.

Example 2

Disinfectant antiseptics for throat having the compositions shown in Table 3 were prepared respectively in a similar manner to Example 1. Upon preparation, they were adjusted to pH 2 to 6. They were stored at 60°C for one week and an influences of pH on the stabilities of effective iodine and dipotassium glycyrrhizinate were studied. The results were indicated by residual ratios in FIG.1.

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Table 3

Ingredient	Invention Product	
	5	6
Povidone-iodine	0.2 g	0.2 g
Dipotassium glycyrrhizinate	0.2 g	0.2 g
Potassium iodide	1.0 g	1.0 g
<i>l</i> -menthol	0.3 g	0.3 g
Concentrated glycerin	80.0 g	80.0 g
Ethanol	15.0 g	15.0 g
pH adjuster	Hydrochloric acid	Citric acid
Purified water	100 ml in total	100 ml in total

As apparent from the results shown in FIG. 1, within the pH range of from 3 to 5, the disinfectant antiseptics according to the present invention showed deterioration in the stability of effective iodine with the tendency of pH to an alkaline side, while it showed deterioration in the stability of dipotassium glycyrrhizinate with the tendency of pH to an acidic side. Thus, they showed conflicting stability behaviors. It is possible, however, to obtain sufficient stability when the pH is within the above range.

Example 3

Disinfectant antiseptics for throat having the formulation shown in Table 4 were prepared in a similar manner to Example 1 and an organoleptic test by taste was conducted also in a similar manner to Example 1. The results are shown in Table 5.

Table 4

Ingredient	Invention Product			
	7	8	9	10
Povidone-iodine	0.05 g	0.1 g	0.7 g	1.0 g
Dipotassium glycyrrhizinate	0.2 g	0.2 g	0.2 g	0.2 g
Potassium iodide	1.0 g	1.0 g	1.0 g	1.0 g
<i>l</i> -menthol	0.3 g	0.3 g	0.3 g	0.3 g
Concentrated glycerin	80.0 g	80.0 g	80.0 g	80.0 g
Ethanol	15.0 g	15.0 g	15.0 g	15.0 g
Hydrochloric acid	q.s.*	q.s.*	q.s.*	q.s.*
Purified water	100 ml in total	100 ml in total	100 ml in total	100 ml in total

*: an amount to adjust the pH to 4

Table 5

Answer (number)	Invention Product			
	7	8	9	10
Unpleasant pungent taste	0	0	0	0
Pungent taste	0	0	0	0
Slight pungent taste	0	0	0	0
Slight sweet taste	0	1	0	2
Sweet taste	7	6	7	5
Unpleasant sweet taste	0	0	0	0

As apparent from the results in Table 5, the invention products each have a sweet taste and is excellent in feeling upon use, and furthermore they have excellent stability.

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Industrial Applicability

The disinfectant antiseptic of the present invention for throat has a sweet taste, has reduced irritation and burning feeling, is excellent in feeling upon use and has compositional stability.

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CLAIMS

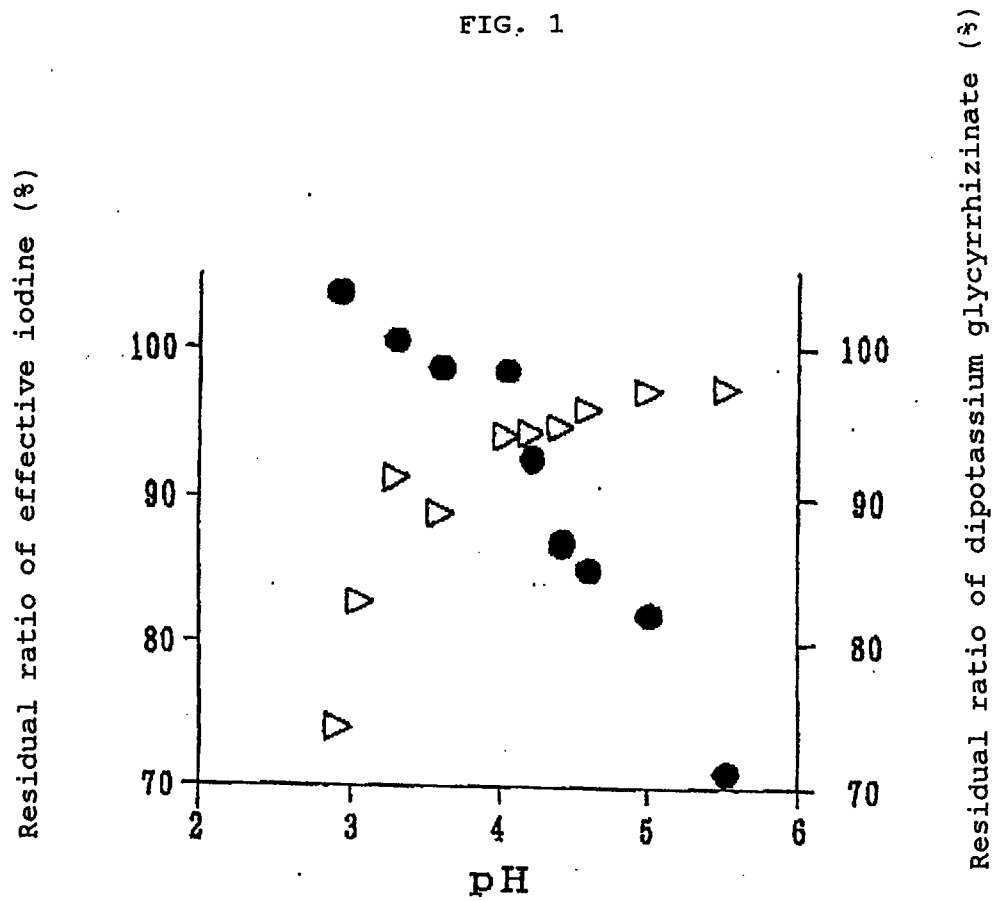
- 1 1. A disinfectant antiseptic composition for
2 throat, which comprises povidone-iodine and dipotassium
3 glycyrrhizinate.
- 1 2. A composition according to claim 1, which
2 comprises 0.05 to 1 w/v% of povidone-iodine and 0.05 to
3 1 w/v% of dipotassium glycyrrhizinate.
- 1 3. A composition according to claim 1 or 2,
2 which has pH of from 3 to 5.
- 1 4. A composition according to any one of claims
2 1 to 3, further comprising a solvent.
- 1 5. A composition according to claim 4, wherein
2 the solvent is at least one solvent selected from
3 water, ethanol, propylene glycol and glycerin.
- 1 6. A composition according to any one of claims
2 1 to 5, further comprising an ingredient selected from
3 a solubilizing agent, flavoring agent, flavor and anti-
4 inflammatory agent.

ABSTRACT

This invention relates to a disinfectant antiseptic composition for throat, which comprises povidone-iodine and dipotassium glycyrrhizinate. The composition is excellent in feeling upon use and has good stability.

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FIG. 1



● Residual ratio of effective iodine (%)

▷ Residual ratio of dipotassium glycyrrhizinate (%)