

DESCRIPTION

TITLE OF THE INVENTION:

ORAL COMPOSITION

5

Field

[0001] The present invention relates to an oral composition.

Background

10 [0002] Condensed phosphate is known to be effective in inhibiting staining on teeth, but it has the problem of being highly irritating to the oral mucosa, especially in liquid formulations such as mouthwashes. Patent Literature 1 discloses the use of certain flavor ingredients for

15 relief of irritation caused by pyrophosphate and polyphosphate. Patent Literature 2 proposes a formulation containing hydroxyalkylcellulose to control viscosity for eliminating irritation as well as masking of irritation with flavor ingredients.

20 Citation List

Patent Literature

[0003] Patent Literature 1: Japanese Patent Application Laid-open No. 2011-98917

Patent Literature 2: Japanese Patent No. 6217628

25 Summary

Technical Problem

[0004] However, the technology of the Patent Literature 1 was not effective enough in oral compositions, especially in liquid formulations. In particular, there still remains

30 a problem in terms of persistence of irritation relief, especially strong irritation remained in formulations such as mouthwashes and mouth sprays not requiring rinsing with water. In addition, the technology of the Patent

Literature 2 has issues such as reduced palatability and incapability to mask for a long period of time.

[0005] The present invention is aimed at providing an oral composition capable of reducing the irritant feeling
5 resulting from an irritant agent such as an inhibitor against staining on the teeth while exhibiting the original effect of the irritant agent.

Solution to Problem

[0006] The present invention provides the following [1]
10 to [11].

[1] An oral composition comprising: an ingredient (A): one or more selected from a condensed phosphoric acid, phytic acid, and salts thereof; and an ingredient (B): (N-(2-(2-pyridinyl)ethyl)-2-isopropyl-5-
15 methylcyclohexanecarboxamide).

[2] The oral composition according to [1], wherein the ingredient (A) is one or more selected from pyrophosphoric acid, tripolyphosphoric acid, hexametaphosphoric acid, ultraphosphoric acid, phytic acid, and salts thereof.

20 [3] The oral composition according to [1] or [2], wherein the composition contains the ingredient (A) at 0.05 to 2% by mass.

[4] The oral composition use according to any one of [1] to [3], wherein the composition contains the ingredient (B)
25 at 0.00001 to 0.01% by mass.

[5] The oral composition according to any one of [1] to [4], the composition further comprising an ingredient (C): one or more selected from organic acids with 3 to 6 carbon atoms and salts thereof.

30 [6] The oral composition according to [5], wherein the ingredient (C) is one or more selected from malic acid, tartaric acid, citric acid, lactic acid, succinic acid, fumaric acid, and salts thereof.

[7] The oral composition according to [5] or [6], wherein the composition contains the ingredient (C) at 0.05 to 3% by mass.

[8] The oral composition according to any one of [1] to [7], wherein the composition is a liquid oral composition.

[9] An irritant reliever for an irritant ingredient in an oral composition, the irritant reliever comprising (N-(2-(2-pyridinyl)ethyl)-2-isopropyl-5-methylcyclohexanecarboxamide).

[10] The irritant reliever according to [9], wherein the irritant ingredient is one or more selected from a condensed phosphoric acid, phytic acid, and salts thereof.

[11] An oral composition comprising an irritant ingredient and (N-(2-(2-pyridinyl)ethyl)-2-isopropyl-5-

methylcyclohexanecarboxamide).

Advantageous Effects of Invention

[0007] The present invention provides an oral composition capable of inhibiting staining on the teeth and the irritant feeling while sustaining the inhibitory effects, as well as enabling the user to feel these effects from spread of good flavor development of good flavoring and improved appearance stability of the formulation.

Brief Description of Drawings

Description of Embodiments

[0008] The present invention will be described in detail below with reference to preferred embodiments. In this description, ingredient contents refer to a supplied amount of each ingredient used for composition preparation.

[0009] [1. Oral composition]

An oral composition according to the present invention contain ingredients (A) and (B), and may further contain an ingredient (C). The ingredients (A) through (C) are described below.

[0010] <Ingredient (A)>

The ingredient (A) is one or more type selected from a condensed phosphoric acid, phytic acid, and salts thereof. The ingredient (A) allows the oral composition to provide
5 an inhibitory effect on staining.

[0011] Examples of the condensed phosphoric acid include: linear polyphosphoric acids such as pyrophosphoric acid, tripolyphosphoric acid and tetrapolyphosphoric acid; cyclic polyphosphoric acids such as trimetaphosphoric acid,
10 tetrametaphosphoric acid and hexametaphosphoric acid; and netlike polyphosphoric acids such as ultraphosphoric acid. Pyrophosphoric acid or tripolyphosphoric acid is preferred as the linear polyphosphoric acid. Hexametaphosphoric acid is preferred as the cyclic polyphosphoric acid. The linear
15 polyphosphoric acid is preferred as the condensed phosphoric acid. The condensed phosphoric acid and phytic acid can be served as salts thereof. Examples of the salts include: inorganic base salts such as alkali metal salts (e.g., sodium salt and potassium salt), alkaline earth
20 metal salts (e.g., calcium salt and magnesium salt), copper salts, zinc salts, aluminum salts and ammonium salts; and organic base salts such as triethylammonium salts, triethanolammonium salts, pyridinium salts and diisopropylammonium salts. The salts are preferably the
25 alkali metal salts or the alkaline earth metal salts, more preferably the sodium salts, the potassium salts or the calcium salts, still more preferably the sodium salts or the potassium salts. The ingredient (A) preferably includes at least one or more type of linear polyphosphoric
30 acid and phytic acid, more preferably includes one or more type of pyrophosphoric acid, the sodium tripolyphosphate and phytic acid.

[0012] The ingredient (A) may be only one type selected

from the condensed phosphoric acids, phytic acid and the salts thereof, or a combination of two or more types thereof. The combination of two or more types is preferably a combination of two or more types selected from the polyphosphoric acids and salts thereof. This combination preferably contains one or more type of the linear polyphosphoric acids and salts thereof. Examples of such combinations include: a combination of one or more type of the linear polyphosphoric acids and salts thereof, and one or more type selected from the cyclic polyphosphoric acids, the netlike polyphosphoric acids and salts thereof; and a combination of two or more types selected from the linear polyphosphoric acids and salts thereof. The condensed phosphoric acid, phytic acid or a salt thereof as the ingredient (A) can be blended in a mixture formed together with phosphoric acid or a phosphorate other than the condensed phosphoric acid, phytic acid or a salt thereof, in the oral composition.

[0013] The amount of the ingredient (A) is typically 0.05% by mass or more, preferably 0.1% by mass or more with respect to total amount of the oral composition. This amount allows for better inhibitory effect on staining. The upper limit is typically 2% by mass or less, preferably 1% by mass or less. This amount enables the oral composition to provide reduced irritation feeling on oral mucosa while inhibiting decrease in its spread of flavor and appearance stability. Therefore, the amount of the ingredient (A) is typically 0.05 to 2% by mass, preferably 0.1 to 1% by mass.

[0014] <Ingredient (B)>

The ingredient (B) is (N-(2-(2-pyridinyl)ethyl)-2-isopropyl-5-methylcyclohexanecarboxamide). The ingredient (B) included enables the oral composition to inhibit

irritation feeling from generating in oral mucosa while sustaining the inhibition of the irritation feeling.

[0015] The amount of ingredient (B) is not particularly limited, but is typically 0.00001% by mass or more, preferably 0.0001% by mass or more with respect to the total amount of the oral composition. This enables the oral composition to inhibit stimulus from generating in oral mucosa while sustaining the inhibition of stimulus. The upper limit is typically 0.01% by mass or less, preferably 0.005% by mass or less. This can inhibit strong irritation feeling from developing while preventing spread of flavor from decreasing. Therefore, the amount of ingredient (B) is typically 0.00001 to 0.01% by mass, preferably 0.0001 to 0.005% by mass.

[0016] The ratio (A/B) of the amount of ingredient (A) to the amount of ingredient (B) is typically 20 or more, preferably 50 or more, more preferably 80 or more. The upper limit is typically 30000 or less, preferably 20000 or less, and more preferably 10000 or less.

[0017] <Ingredient (C)>

The ingredient (C) is one or more type selected from organic acids with 3 to 6 carbon atoms and salts thereof. When containing the ingredient (C), the oral composition can exhibit better inhibitory effect on staining.

[0018] Examples of the organic acids with 3 to 6 carbon atoms include monocarboxylic acid, dicarboxylic acid, tricarboxylic acid and oxycarboxylic acid. The organic acid with 3 to 6 carbon atoms is preferably malic acid, tartaric acid, citric acid, lactic acid, succinic acid or fumaric acid. Examples of the salts include: inorganic base salts such as alkali metal salts (e.g., sodium salt and potassium salt), alkaline earth metal salts (e.g., calcium salt and magnesium salt) and ammonium salts; and

organic base salts such as triethylammonium salts, triethanolammonium salts, pyridinium salts and diisopropylammonium salts. The salts are preferably the alkali metal salts or the alkaline earth metal salts, more preferably the sodium salts, the potassium salts or the calcium salts, still more preferably the sodium salts or the potassium salts.

[0019] The amount of ingredient (C) is typically 0.05% by mass or more, preferably 0.1% by mass or more with respect to the total amount of the oral composition. This enables to further improve the inhibitory effect on staining. The upper limit is typically 3.0% by mass or less, preferably 1.5% by mass or less. The amount exceeding 3.0% by mass may decrease appearance stability and spread of flavor. Therefore, the amount of ingredient (C) is typically 0.05 to 3% by mass, preferably 0.1 to 1.5% by mass.

[0020] <Dosage form>

The dosage form of the oral composition is not particularly limited, but may be a solid form, paste or a liquid form, for example, preferably the liquid form. In this description, the liquid dosage form of the oral composition is referred to as a liquid oral composition. The liquid oral composition can be prepared as a mouthwash (e.g., oral rinse and mouth rinse), liquid toothpaste, a mouth freshener or the like, and can be a concentrated type as required. The oral composition can be any of pharmaceuticals, quasi-pharmaceutical products, cosmetics and food products.

[0021] <Optional ingredient>

In addition to the above ingredients, the oral composition according to the present invention may contain any optional ingredient other than the above ingredients as

required. The optional ingredient can be selected according to the application and dosage form of the oral composition, as appropriate. When the oral composition is liquid, examples of the optional ingredient include
5 surfactants, wetting agents, pH adjusters, preservatives, sweeteners, flavors, active ingredients and solvents. When the oral composition is not liquid, examples of the ingredient other than the optional ingredients described above include binders and abrasives. The content of the
10 optional ingredient is not particularly limited, and can be set within such a typical amount employed for the oral composition as not to impair the effects of the present invention.

[0022] (Surfactant)

15 Examples of the surfactant include anionic surfactants, nonionic surfactants, cationic surfactants, and ampholytic surfactants. The surfactant preferably includes at least one of the anionic surfactant and nonionic surfactant. When containing the anionic
20 surfactant, the oral composition can further improve inhibitory effect on staining. When containing the nonionic surfactant, the oral composition can achieve a solubilizing effect for oil-soluble components.

[0023] Examples of the anionic surfactant include alkyl
25 sulfates, N-acylamino acid salts, α -olefin sulfonates, N-acyl sulfonates, N-acyl taurates, and sulfates of glycerol fatty acid esters. The anionic surfactant is preferably alkyl sulfate or N-acyl taurate. Examples of the alkyl sulfates include alkyl sulfates with 12-14 carbon atoms
30 (e.g., alkali metal salts of lauryl sulfate and myristyl sulfate). Examples of the N-acylamino acid salts include acylamino acid salts with having saturated or unsaturated hydrocarbon groups (with linear or branched chain having 8

to 18, preferably 12 to 16 carbon atoms, for example) such as acylglutamates and acylsarcosine salts. Examples of the acyl taurates include N-acylmethyl taurates with saturated or unsaturated hydrocarbon groups (with linear or branched chain having 8 to 18, preferably 12 to 16 carbon atoms, for example). Examples of the α -olefin sulfonates include α -olefin sulfonates with 10 to 16 carbon atoms, such as tetradecene sulfonates. The salt is preferably the alkali metal salt such as sodium salt or potassium salt.

10 [0024] The amount of anionic surfactant is typically 0.01% by mass or more with respect to the total amount of the oral composition. This amount allows for better inhibitory effect on staining. The upper limit is typically 3% by mass or less, preferably 0.5% by mass or less, and more preferably 0.3% by mass or less. This enables to prevent the strong irritant feelings from developing. Therefore, the amount of the anionic surfactant is typically 0.01 to 3% by mass, preferably 0.01 to 0.5% by mass, and preferably 0.01 to 0.3% by mass.

20 [0025] Examples of the nonionic surfactants include: polyoxyethylene fatty acid esters such as polyoxyethylene hardened castor oil, polyoxyethylene glycerol fatty acid esters and polyoxyethylene sorbitan fatty acid esters; polyoxyethylene higher alcohol ethers such as polyoxyethylene alkyl ethers; sugar alcohol fatty acid esters; sorbitan fatty acid esters; polyglycerol fatty acid esters; and polyoxyethylene sorbitan fatty acid esters. The average number of moles of ethylene oxide added to the polyoxyethylene hydrogenated castor oil is typically 20 to 150 moles, preferably 20 to 130 moles, more preferably 40 to 110 moles.

[0026] The amount of nonionic surfactant is typically 0.1% by mass or more, preferably 0.3% by mass or more, with

respect to the total amount of the oral composition. The upper limit is typically 1% by mass or less, preferably 0.8% by mass or less. These ranges allow for better solubilization of oil-soluble ingredients. Therefore, the amount of nonionic surfactant is preferably 0.1 to 1% by mass, preferably 0.3 to 0.8% by mass.

[0027] Examples of the cationic surfactant include quaternary ammonium compounds such as alkylammonium compounds and alkylbenzylammonium compounds. Examples of the amphoteric surfactants include alkylamidobetaine-type amphoteric surfactants; acetic acid betaine acamphoteric surfactants such as alkyldimethyl amino acetic acid betaine and fatty acid amidopropyldimethyl amino acetic acid betaine; and imidazoline-type amphoteric surfactants such as N-fatty acid acyl-N-carboxymethyl-N-hydroxyethylethylenediamine salts.

[0028] (Wetting agent)

When contained in the oral composition, the wetting agent can further improve usability. Examples of the wetting agent include sugar alcohols such as sorbitol, erythritol, maltitol, lactitol and xylitol; and polyhydric alcohols such as glycerin, propylene glycol, ethylene glycol and polyethylene glycol. The polyhydric alcohol is preferably glycerin or propylene glycol. The sugar alcohol is preferably sorbitol or xylitol.

[0029] The amount of wetting agent is typically 3% by mass or more, preferably 5% by mass or more, with respect to the total amount of the oral composition. The upper limit is typically 40% by mass or less, preferably 30% by mass or less, and more preferably 15% by mass or less. These ranges allow for further improvement in superior usability. Therefore, the amount of wetting agent is typically from 3 to 40% by mass, preferably 3 to 30% by

mass, more preferably 5 to 15% by mass.

[0030] (pH adjuster)

When contained in the oral composition, the pH adjuster ensures pH stability of the formulation. The
5 aforementioned ingredients (A) and (C) of the present invention also act as pH adjusters in the composition. But, any optional pH adjuster can be used further as required. Examples of the optional pH adjuster mentioned above include phthalic acid, orthophosphoric acid, acetic
10 acid, carbonic acid, ribonucleic acid, and salts thereof (that are the same preferred examples as in the ingredient (A), preferably potassium salt, sodium salt or ammonium salt), sodium hydroxide and hydrochloric acid. The optional pH adjuster is preferably orthophosphoric acid or
15 a salt thereof, more preferably disodium hydrogen phosphate, sodium dihydrogen phosphate, dipotassium hydrogen phosphate or potassium dihydrogen phosphate. For use of the optional pH adjuster, the amount thereof can be controlled to keep the pH at 5.5 to 8.5, preferably 6 to 8
20 at 25°C. The pH is controlled preferably with use of citric acid or a salt thereof, more preferably with use of orthophosphate in combination therewith. The pH was measured using a pH meter (model number HM-25R) manufactured by DKK-Toa Corporation, immediately after the
25 preparation of the oral composition. The measurement can be performed at 25°C 3 minutes after the preparation. Within the above pH range, the oral composition according to the present invention enables to reduce demineralization of tooth enamel while maintaining efficacy and stability.

30 [0031] (Preservative)

When containing the preservative, the oral composition can ensure the antiseptic power of the formulation. Examples of the preservative include paraoxybenzoate such

as methyl paraoxybenzoate and ethyl paraoxybenzoate; sodium benzoate; alkyl(diaminoethyl)glycine hydrochloride; and potassium sorbate.

[0032] (Sweetener)

5 When containing the sweetener, the oral composition can further improve usability. Examples of the sweetener include sugar alcohols such as xylitol, maltitol, erythritol, and sorbitol; and high-intensity sweeteners such as saccharin, aspartame, sucralose, acesulfame
10 potassium, stevia, neohesperidin hydrochalcone, glycyrrhizin, perilartin, p-methoxycinnamic aldehyde and somatin.

[0033] (Flavors)

 When containing the flavor, the oral composition can
15 further improve usability while reducing irritation at an early stage after the use. Examples of the flavor include flavors containing menthol and carvone. Any flavor can be selected as long as it is used for the oral composition. There is no particular limitation on the selection. The
20 contents of menthol and carvone in the flavor containing menthol and carvone is preferably 10 to 80% by mass. It is also possible to use essential oils such as peppermint oil, Japanese mentha oil and spearmint oil. The amount of flavor is typically 0.00001% by mass or more with respect
25 to the total amount of the oral composition. The upper limit is typically 3% by mass or less, but is not limited to any particular amount.

[0034] (Active ingredient)

 Examples of the active ingredient include: anti-
30 inflammatory agents such as tranexamic acid and epsilon-aminocaproic acid; enzymes such as dextranase, amylase, protease, mutanase, lysozyme and lytic enzyme; fluorine-containing compounds such as sodium fluoride, sodium

monofluorophosphate and stannous Fluoride; allantoin such as aluminium chlorohydroxyallantoin and allantoin, or derivatives thereof; vitamin C such as ascorbic acid; botanical extracts such as thyme, scutellaria root, clove and hybrid witchhazel; hyperesthesia suppressant such as strontium chloride, potassium nitrate and aluminum lactate; azulene, lysozyme chloride, dihydrocholesterol, glycyrrhetinate salts, glycyrrhetinic acid, hydrocholesterol, chlorophyll, sodium copper chlorophyllin, copper gluconate, carropeptide, water-soluble inorganic phosphate compounds, polyethylene glycol, polyvinylpyrrolidone, sodium lauroyl sarcosine, tartar inhibitors and plaque inhibitors. Any active ingredient can be selected as long as it is used for the oral composition. There is no particular limitation on the selection. The content of the above active ingredient can be set appropriately as an effective amount according to the usual methods.

[0035] (Solvent)

When the oral composition is a liquid dosage form, it typically contains a solvent. Examples of the solvent include water (e.g., purified water) and alcohol (e.g., lower monovalent alcohols such as ethanol). The solvent is typically water, and can be blended with alcohol as required. But, any solvent can be selected as long as it is used for liquid dosage form of the oral composition. There is no particular limitation on the selection. When ethanol is added as the solvent, the amount thereof is typically 30% by mass or less, preferably 20% by mass or less with respect to the total amount of the oral composition. Ethanol may not be added. This range enables to improve the feeling of halitosis reduction during use.

[0036] (Binder)

Any well-known conventional preferred organic binder can be used as the binder. Examples of the binder include polysaccharides, cellulose thickeners (e.g., carboxymethyl cellulose (CMC), hydroxyethyl cellulose, hydroxypropyl cellulose, hydroxypropyl methylcellulose, methylcellulose, cationized cellulose and the like), other polysaccharide thickeners (e.g., xanthan gum, guar gum, gellan gum, tragacanth gum, karaya gum, arabic gum, locust bean gum, carrageenan, sodium alginate), synthetic water soluble polymers (e.g., sodium polyacrylate, carboxyvinyl polymer polyvinylpyrrolidone, polyvinyl alcohol and propylene glycol alginate). It is possible to further contain an inorganic binder, such as thickening silica or aluminum silicate. When the binder is blended, the content thereof is typically 0.01 to 10% by mass with respect to the total amount of the oral composition.

[0037] (Abrasive)

The abrasive can be either inorganic or organic abrasive. Examples of the inorganic abrasive include: abrasive silica such as precipitated silica, aluminosilicate, zirconsilicate, crystalline zirconium silicate, titanium-bound silica; calcium phosphate compounds such as dicalcium phosphate dihydrate or anhydrate, monocalcium phosphate, tricalcium phosphate, calcium pyrophosphate; calcium carbonate abrasives such as calcium carbonate; non-carbonate/non-phosphate calcium abrasives such as calcium hydroxide and calcium sulfate; aluminum materials such as aluminum oxide, aluminum hydroxide and alumina; silicate materials such as silica, zeolite, zirconium silicate; magnesium materials such as magnesium carbonate and magnesium tertiary phosphate; apatite materials such as hydroxyapatite, fluoroapatite, and calcium-deficient apatite; titanium materials such as

titanium dioxide, mica titanium and titanium oxide; and minerals such as bentonite. Examples of the organic abrasive include polymethyl methacrylate and synthetic resin abrasives. Among these, silica abrasives are preferred. When the abrasive is blended, the content is typically 70% by mass or less, preferably 50% by mass or less, more preferably 8 to 50% by mass or less with respect to the total amount of the oral composition.

[0038] The method of using the oral composition according to the present invention is not particularly specified. In the case of the liquid oral composition, the method may be a method of putting an appropriate amount into mouth and then rinsing the mouth for several tens of seconds. It is also possible to brush teeth after the mouthwash.

[0039] <Use>

When applied to teeth, the oral composition enables to inhibit staining, thereby being useful for use as the staining suppressant. There is no particular limitation on subjects. Examples of the subjects include subjects who want to remove staining resulting from foods and beverages such as black tea, green tea and coffee, and subjects who does not experience but want to prevent such a symptom.

[0040] <Method of producing oral composition>

The oral composition according to the present invention can be produced by mixing the above ingredients altogether. The order of mixing the ingredients is not particularly limited. But, it is preferable to mix them to obtain homogeneous mixture.

[0041] [2. Irritant reliever]

The above ingredient (B) (N-(2-(2-pyridinyl)ethyl)-2-isopropyl-5-methylcyclohexanecarboxamide) is useful as an irritant reliever for irritant ingredients contained in the

oral composition. Examples of the irritant ingredient include the above (A) ingredient, one or more type selected from the condensed phosphoric acid, phytic acid, and salts thereof, as well as irritant ingredients with structures similar to them. But, the irritant ingredient is not particularly limited as long as it is containable in the oral composition and generates irritation during use. The amount of the irritant reliever is such an amount as to reduce the irritation resulting from the irritant ingredient in the oral composition, and can be the amount specified as the amount of the above ingredient (B). The oral composition is the oral composition containing the irritant ingredient. When the irritant ingredient is the ingredient (A), the oral composition preferably contains the amount specified as the amount of the above ingredient (A). There is no particular limitation on dosage forms of the oral composition and any ingredient that can be contained as needed.

[0042] [3. Oral composition containing irritant ingredient]

The above (B) ingredient (N-(2-(2-pyridinyl)ethyl)-2-isopropyl-5-methylcyclohexanecarboxamide) is useful as the irritant reliever, thereby enabling to serve as essential ingredient of the oral composition together with the irritant ingredient. The irritant ingredient can be contained at the amount specified in explanations for the irritant reliever.

[Examples]

[0043] The present invention is described in detail below with reference to Examples. The following Examples are intended to suitably illustrate the present invention, and are not intended to limit the present invention. Unless otherwise specified, "%" means "% by mass". The

amounts of blended ingredients listed in the following table are values (AI) in terms of pure content. The amount of water (balance) includes the amount of water carried by each component.

- 5 [0044] Information on main raw ingredients used is as follows.
- Sodium pyrophosphate (manufactured by Taihei Chemical Industrial Co., Ltd.)
 - Evercool (registered trademark) 190 (N-(2-(2-
10 pyridinyl)ethyl)-2-isopropyl-5-methylcyclohexanecarboxamide, manufactured by Givaudan Japan)
 - Evercool (registered trademark) 180 ((1R,2S,5R))-N-(4-(cyanomethyl)phenyl)-2-isopropyl-5-methylcyclohexane-
15 carboxamide, manufactured by Givaudan Japan)
 - WS-3 (N-ethyl-p-menthane-3-carboxamide, manufactured by Symrise Japan)
 - Sodium lauroyl sarcosine (manufactured by Kawaken Fine Chemicals Co., Ltd.)
 - 20 - Glycerin (manufactured by Sakamoto Yakuhin Kogyo Co., Ltd.)
 - Sorbitol solution (manufactured by Mitsubishi Corporation Life Sciences Limited.)
 - Ethanol (manufactured by Japan Alcohol Corporation)
 - 25 - Sodium saccharin (manufactured by Aisan Chemical Industry Co., Ltd.)
 - Polyoxyethylene hydrogenated castor oil 100E.O. (manufactured by Nihon Emulsion Co., Ltd.)
 - Polyoxyethylene hydrogenated castor oil 60E.O.
30 (manufactured by Nihon Emulsion Co., Ltd.)
 - Sodium lauryl sulfate (manufactured by PT BASF Indonesia)
 - Citric acid (manufactured by Komatsuya Corporation)
 - Sodium citrate (manufactured by Komatsuya Corporation)

[0045] - Flavor

Flavors with compositions listed in Table 1 were used.

[0046]

Table 1 Composition of Flavors (% by mass)

Ingredient name	Content (% by mass)
Peppermint oil	30
Japanese mentha oil	10
Menthol	30
Anethole	5
Ethanol	25
Total	100

5 [0047] - Purified water (Kozakai pharmaceutical Co., Ltd.)

The other ingredients conforming to the Japanese Standards of Quasi-drug Ingredients 2006 were used.

[0048] [Examples 1 to 20 and Comparative Examples 1 to 10 4]

<Method of preparing mouthwash>

The mouthwashes were prepared by uniformly mixing ingredients altogether according to the compositions listed in Tables 2-5 (unit for ingredient contents in the 15 tables: % by mass). Specifically, an oil phase was prepared by adding POE hydrogenated castor oil to ethanol, stirring and then dissolving the flavor. Then, the oil phase was added to an aqueous phase in which the other ingredients were dissolved in purified water. Then, the 20 oil phase was homogenously mixed with the aqueous phase with ThreeOne motor (BL1200, HEIDON, Shinto Scientific Co., Ltd.) to prepare the mouthwash. The pH of the prepared mouthwash solution was 6.5 to 8.0 at 25°C.

[0049] <Evaluation Method>

25 (1. Inhibitory effect on staining)

An untreated hydroxyapatite pellet (HAP) surface (HOYA

Corporation, 7 mm in diameter × 3.5 mm thick) was treated with an abrasive paper, cleaned in an ultrasonic cleaner, and used for measurement of initial color difference using a colorimeter (UV-1800, Shimadzu Corporation). Next, HAP
 5 was immersed at 37°C in salivary supernatant at 37°C for 30 min, and then immersed in the following conditions: (1) 1% mucin artificial saliva solution (at 50°C for 10 minutes); (2) 1% albumin artificial saliva solution (at 50°C for 10 minutes); (3) sample (at room temperature for 30 seconds);
 10 and (4) stain solution (black tea powder 1% aqueous solution) (at 50°C for 10 minutes) repeatedly 10 times. After colored, HAP was washed with water, dried and then used for the measurement of the color difference. From Δb in the $L^*a^*b^*$ color system, the staining inhibition rate was
 15 calculated using the following formula. The "control" in the equation refers to a control obtained through a series of operations except that purified water was used instead of the sample. Δb was obtained by the color difference measurement for this HAP in the same way.

20 [0050] A series of experimental operations were conducted under $N = 3$ for each of Examples and Comparative examples. Then, the staining inhibition rate was calculated for each HAP using the following formula to determine its average value, for the purpose of evaluating
 25 the inhibitory effect on staining in terms of the following evaluation criteria.

[0051] (Formula)

Staining inhibition rate (%) = $\{1 - (\text{amount of color difference change in sample } \Delta b / \text{amount of color difference change in control } \Delta b)\} \times 100$
 30

[0052] Determination criteria for inhibitory effect on staining

+++ : Staining inhibition rate of 90% or more and 100%

or less.

++: Staining inhibition rate of 70% or more and less than 90%.

5 +: Staining inhibition rate of 50% or more and less than 70%.

±: Staining inhibition ratio of 30% or more and less than 50%.

-: Staining inhibition ratio less than 30%

[0053] (2. Eliminated irritation feeling)

10 The irritation intensity was evaluated in the following four levels, after 20 mL of the mouthwash was taken into the mouth and then held for rinsing for 20 seconds. Average score from 10 persons was indicated by ++, +, ± and - according to the following criteria.

15 [0054] Evaluation criteria for eliminated irritation feeling

4 points: No irritation feeling

3 points: Little irritation feeling

2 points: Moderate irritation feeling

20 1 point: Very strong irritation feeling

Determination criteria for eliminated irritation feeling

++: Average score of 3.5 or more and 4.0 or less

+: Average score of 3.0 or more and less than 3.5

±: Average score of 2.0 or more and less than 3.0

25 -: Average score less than 2.0

[0055] (3. Persistence of eliminated irritation feeling)

After 20 mL of the mouthwash was taken into the mouth and then held for rinsing for 20 seconds, the persistence time of eliminated "irritation feeling" was evaluated in the following four levels, and the average score obtained from 10 persons was indicated by ++, +, ±, and - according to the following criteria.

[0056] Evaluation criteria for persistence of eliminated

irritation

4 points: 25 minutes or more (No irritation feeling)

3 points: 15 minutes or more and less than 25 minutes

2 points: 5 minutes or more and less than 15 minutes

5 1 point: Less than 5 minutes

[0057] Determination criteria for persistence of
eliminated irritation feeling

++: Average score of 3.5 or more and 4.0 or less

+: Average score of 3.0 or more and less than 3.5

10 ±: Average score of 2.0 or more and less than 3.0

-: Average score less than 2.0

[0058] (4. Goodness of spread of flavor)

After 20 mL of the mouthwash was taken into the mouth
and then held for rinsing for 20 seconds, the goodness of
15 spread of flavor during mouthwash was evaluated in the
following four levels, and the average score from 10
persons was indicated by ++, +, ±, and - according to the
following criteria.

Evaluation criteria for goodness of spread of flavor

20 4 points: Very good spread of flavor

3 points: Good spread of flavor

2 points: Not very good spread of flavor

1 point: Not good spread of flavor

[0059] Determination criteria for goodness of spread of
25 flavor

++: Average score of 3.5 or more and 4.0 or less

+: Average score of 3.0 or more and less than 3.5

±: Average score of 2.0 or more and less than 3.0

-: Average score of 1.0 or more and less than 2.0

30 [0060] (5. Evaluation of formulation appearance
stability)

The prepared formulation was filled into an 80 mL
capacity container and left to stand at -5°C for one month.

Then, the appearance and properties were evaluated by visual inspection.

[0061] Determination criteria for appearance stability of formulation

- 5 ++: No precipitate/turbidity is observed.
 +: Little precipitate/turbidity is observed.
 ±: Precipitates and turbidity are observed.
 -: Significant amounts of precipitate and turbidity
are observed.

[0062]

Table 2

		Example						
Composition		1	2	3	4	5	6	7
Ingredient A	Sodium pyrophosphate	0.5						
	Sodium triphosphosphate		0.5				0.1	0.5
	Hexametaphosphoric acid			0.5				
	Ultraphosphoric acid				0.5			
	Phytic acid					0.5		0.5
Ingredient B	Evercool 190	0.001	0.001	0.001	0.001	0.001	0.001	0.003
A/B		500	500	500	500	500	100	333
Optional ingredient	Glycerin	3	3	3	3	3	3	3
	Sorbitol	3	3	3	3	3	3	3
	Ethanol	5	5	5	5	5	5	5
	Hydrogenated castor oil POE(60)	1	1	1	1	1	1	1
	Disodium hydrogen phosphate	0.1	0.1	0.1	0.1	0.1	0.1	0.1
	Sodium dihydrogen phosphate	0.5	0.5	0.5	0.5	0.5	0.5	0.5
	Flavor	0.3	0.3	0.3	0.3	0.3	0.3	0.3
Purified water		Balance	Balance	Balance	Balance	Balance	Balance	Balance
Total (%)		100	100	100	100	100	100	100
Evaluation item	Inhibitory effect on staining	++	++	++	++	++	++	++
	Eliminated irritation feeling	++	++	++	++	++	++	++
	Persistence of eliminated irritation feeling	++	++	++	++	++	++	++
	Goodness of flavor development	++	++	++	++	++	++	++
	Evaluation of formulation appearance stability	++	++	++	++	++	++	++

[0063]

Table 3

		Example											
Composition		8	9	10	11	12	13	14					
Ingredient A	Sodium pyrophosphate			0.5		0.3		0.4					
	Sodium tripolyphosphate	0.05	2.0	1.0	0.2	0.2	0.1						
	Hexametaphosphoric acid												
	Ultraphosphoric acid			0.5				0.4					
Ingredient B	Evercool 190	0.0005	0.005	0.005	0.0001	0.005	0.00001	0.01					
A/B		100	400	400	2000	100	10000	80					
	Glycerin	3	3	3	3	3	3	3					
	Sorbitol	3	3	3	3	3	3	3					
	Ethanol	5	5	5	5	5	5	5					
Optional ingredient	Hydrogenated castor oil POE(60)	1	1	1	1	1	1	1					
	Disodium hygrogen phosphate	0.1	0.1	0.1	0.1	0.1	0.1	0.1					
	Sodium dihydrogen phosphate	0.5	0.5	0.5	0.5	0.5	0.5	0.5					
	Flavor	0.3	0.3	0.3	0.3	0.3	0.3	0.3					
	Purified water	Balance	Balance	Balance	Balance	Balance	Balance	Balance					
Total (%)		100	100	100	100	100	100	100					
Evaluation item	Inhibitory effect on staining	+	++	++	++	++	++	++					
	Eliminated irritation feeling	++	+	+	++	++	+	+					
	Persistence of eliminated irritation feeling	++	+	+	++	++	+	++					
	Goodness of flavor development	++	+	+	++	++	++	+					
	Evaluation of formulation appearance stability	++	+	+	++	++	++	++					

[0 0 6 4]

Table 4

		Example							
Composition		15	16	17	18	19	20		
Ingredient A	Sodium pyrophosphate								0.1
	Sodium triphosphosphate	0.2	0.15	2.0	0.8	0.5	0.2		
Ingredient B	Evercool 190	0.001	0.001	0.005	0.01	0.003	0.001		
	DL-Malic acid	0.3				2.0			
Ingredient C	Tartaric acid		0.3						
	Fumaric acid			0.1					
	Citric acid				0.3		0.1		
	Sodium citrate						0.2		
A/B		200	150	400	80	167	300		
B/C		0.003	0.003	0.005	0.03	0.0015	0.0033		
A/C		0.67	0.5	20	2.67	0.25	1		
	Glycerin	3	3	3	3	3	5		
Optional ingredient	Sorbitol	3	3	3	3	3	3		
	Ethanol	5	5	5	5	5	8		
	Hydrogenated castor oil POE(60)	1	1	1	1	1			
	Hydrogenated castor oil POE(100)						0.3		
	Disodium hydrogen phosphate	0.1	0.1	0.1	0.1	0.1			
	Sodium dihydrogen phosphate	0.5	0.5	0.5	0.5	0.5			
	Flavor	0.3	0.3	0.3	0.3	0.3	0.3		
	Sodium lauryl sulfate						0.05		
	Sodium lauroyl sarcosine						0.1		
	Sodium saccharin						0.01		
Total (%)		Balance	Balance	Balance	Balance	Balance	Balance	Balance	Balance
Evaluation item		100	100	100	100	100	100	100	100
	Inhibitory effect on staining	+++	+++	+++	+++	+++	+++	+++	+++
	Eliminated irritation feeling	++	++	+	+	++	++	++	++
	Persistence of eliminated irritation feeling	++	++	+	++	++	++	++	++
	Goodness of flavor development	++	++	+	+	+	+	+	++
Evaluation of formulation appearance stability		++	++	+	++	+	++	+	++

[0065]

Table 5

Composition		Comparative example			
		1	2	3	4
Ingredient A	Sodium tripolyphosphate		0.5	0.5	-
	Sodium pyrophosphate				0.5
Ingredient B or control ingredient	Evercool 190	0.001			
	Evercool 180			0.001	
	WS-3				0.001
	Glycerin	3	3	3	3
Optional ingredient	Sorbitol	3	3	3	3
	Ethanol	5	5	5	5
	Hydrogenated castor oil POE(60)	1	1	1	1
	Disodium hydrogen phosphate	0.1	0.1	0.1	0.1
	Sodium dihydrogen phosphate	0.5	0.5	0.5	0.5
	Flavor	0.3	0.5	0.5	0.5
	Purified water	Balance	Balance	Balance	Balance
Total (%)		100	100	100	100
Evaluation item	Inhibitory effect on staining	-	++	++	++
	Eliminated irritation feeling	++	-	-	±
	Persistence of eliminated irritation feeling	++	-	±	-

[0066] Comparative Examples 1 to 4 without containing either one of the ingredients A and B gave no inhibitory effect on staining, gave irritation feelings, or gave poorly persistent effect on irritation elimination, even if a little elimination of irritation is observed, whereas Examples 1 to 20 containing the ingredients A and B gave good results in terms of inhibitory effect on staining, elimination of irritation and persistent effect on irritation elimination as well as spread of flavor and formulation appearance stability. This demonstrates that the oral composition according to the present invention can inhibit staining on teeth and irritation feeling while sustaining these inhibitory effects.

[0067] An example of a toothpaste formulation is shown in Table 6.

[0068]

Table 6 Prescription examples (toothpaste)

		Prescription examples						
Composition (% by mass)		1	2	3	4	5	6	7
Ingredient A	Sodium pyrophosphate	0.5		0.5		0.5		0.5
	Sodium tripolyphosphate		0.5		0.5			
	Sodium phytate						0.5	
Ingredient B	Evercool 190	0.001	0.001	0.001	0.001	0.001	0.01	0.001
Optional ingredient	Abrasive silica	15	15		15	15	15	0
	Calcium carbonate			30				
	Sodium lauryl sulfate	1.5	1.5	1.5	1.5	1.5	1.5	1.5
	Sorbitol (70%)	25	25	25	25	25	25	50
	Propylene glycol	3	3	3	3	3	3	3
	Thickening silica	4	4	4	4	4	4	6
	Xanthan gum	1.5	1.5	1.5	1.2		1.5	1.5
	Sodium polyacrylate				0.3			
	Sodium carboxymethyl cellulose					1.5		
	Sodium fluoride	0.2	0.2		0.3	0.3	0.3	0.3
	Sodium monofluoride			0.6				
	Flavor	1	1	1	1	1	1	1
	Water	Balance	Balance	Balance	Balance	Balance	Balance	Balance
Total (% by mass)		100	100	100	100	100	100	100

CLAIMS

1. An oral composition comprising:
an ingredient (A): one or more selected from a
condensed phosphoric acid, phytic acid, and salts thereof;
5 and
an ingredient (B): (N-(2-(2-pyridinyl)ethyl)-2-
isopropyl-5-methylcyclohexanecarboxamide).
2. The oral composition according to claim 1, wherein the
10 ingredient (A) is one or more selected from pyrophosphoric
acid, tripolyphosphoric acid, hexametaphosphoric acid,
ultraphosphoric acid, phytic acid, and salts thereof.
3. The oral composition according to claim 1 or 2,
15 wherein the composition contains the ingredient (A) at 0.05
to 2% by mass.
4. The oral composition according to any one of claims 1
to 3, wherein the composition contains the ingredient (B)
20 at 0.00001 to 0.01% by mass.
5. The oral composition according to any one of claims 1
to 4, the composition further comprising an ingredient (C):
one or more selected from organic acids with 3 to 6 carbon
25 atoms and salts thereof.
6. The oral composition according to claim 5, wherein the
ingredient (C) is one or more selected from malic acid,
tartaric acid, citric acid, lactic acid, succinic acid,
30 fumaric acid, and salts thereof.
7. The oral composition according to claim 5 or 6,
wherein the composition contains the ingredient (C) at 0.05

to 3% by mass.

8. The oral composition according to any one of claims 1 to 7, wherein the composition is a liquid oral composition.

5

9. An irritant reliever for an irritant ingredient in an oral composition, the irritant reliever comprising (N-(2-(2-pyridinyl)ethyl)-2-isopropyl-5-(methylcyclohexanecarboxamide)).

10

10. The irritant reliever according to claim 9, wherein the irritant ingredient is one or more selected from a condensed phosphoric acid, phytic acid, and salts thereof.

15 11. An oral composition comprising an irritant ingredient and (N-(2-(2-pyridinyl)ethyl)-2-isopropyl-5-methylcyclohexanecarboxamide).