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ORAL COMPOSITION CONTAINING CRYSTALLINE CELLULOSE SURFACE-TREATED WITH WATER-SOLUBLE SUBSTANCE

BACKGROUND OF THE INVENTION

Field of the Invention

[0001]

The present invention relates to an oral hygiene composition. More particularly, the present invention relates to an oral hygiene composition, particularly a dentifrice composition, which contains microcrystalline cellulose which is surface-treated with a water-soluble substance and displays excellent shape retention and dispersibility in the oral cavity.

Description of the Related Art

[0002]

It is required for a dentifrice composition to have not only utility as an auxiliary cleaning agent for a toothbrush, but also utility as a preparation for oral cavity health, to have positive effects of prevention/treatment of diseases in the oral cavity, prevention of malodor of the oral cavity and whitening exerted by blending ingredients having various actions. However, even if such the ingredients having various actions are blended, the utilities are not sufficiently exerted unless the ingredients are immediately dispersed throughout the oral cavity when the dentifrice composition is applied to the oral cavity, and thus the

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object cannot be achieved.

[0003]

In general, a dosage form of a composition suited to disperse such the ingredients throughout the oral cavity is a liquid oral hygiene composition. However, a liquid oral hygiene composition entails the problem that it is difficult to physically remove dental plaques and stains since an abrasive is not usually blended.

[0004]

In contrast, a toothpaste can be easily blended with an abrasive to form a formulation suited to physically remove dental plaques and stains using together with use of a toothbrush (JP-A No. 2004-10576). However, since a large amount of a thickener is blended so as to prevent solid-liquid separation of the abrasive and the resultant toothpaste has comparatively high viscosity, a level of brushing time is required so as to disperse blended ingredients throughout the oral cavity.

[0005]

Therefore, there is a problem that expected action for the blended ingredients is not sufficiently exerted when the brushing time of users of a toothpaste is short or the brushing technique is poor. Since most dentifrice ingredients are washed away after cleaning, it is desirable that pharmacologically active ingredients acting on biotissues are immediately dispersed throughout the oral cavity when the toothpaste is used so as to make the contact

time with the target tissues as long as possible. In order to stably place a dentifrice on a toothbrush and use it in a preferred manner, it is required to have high shape retention and low stringiness, which conflict with high dispersibility.

[0006]

Therefore, there is a need for a dentifrice composition which is blended with an abrasive, particularly a dentifrice composition in which various ingredients are immediately dispersed throughout the oral cavity after the dentifrice composition is applied while maintaining physical properties such as high shape retention and low stringiness, allowing for sufficient realization of their action.

It is usually considered that the amount of a thickener blended is decreased to form a composition having low viscosity so as to prepare a composition having high dispersibility. However, a composition having low viscosity has a problem that ingredients such as an abrasive become sedimented thereby causing deterioration of stability of the composition and sinking between brushes when placed on a toothbrush, and also spinning occurs and thus a feeling for use deteriorates.

SUMMARY OF THE INVENTION

[0007]

An object of the present invention is to provide an oral hygiene composition which has high shape retention and low stringiness, and also enables blended ingredients to

disperse immediately throughout the oral cavity.

[0008]

In light of the above-stated circumstances, the present inventors have intensively studied and found that such an object can be achieved by blending microcrystalline cellulose which is surface-treated with a water-soluble substance, and thus the present invention has been completed.

[0009]

That is, the present invention provides:

[1] An oral hygiene composition comprising microcrystalline cellulose surface-treated with a water-soluble substance;

[2] The oral hygiene composition according to aforementioned [1], wherein the water-soluble substance is a water-soluble polymer;

[3] The oral hygiene composition according to aforementioned [2], wherein the water-soluble polymer is at least one selected from the group consisting of a carboxymethyl cellulose salt, methylcellulose, hydroxyethylcellulose, xanthan gum, Sterculia urens gum, dextrin, carrageenan and alginate;

[4] The oral hygiene composition according to any one of aforementioned [1] to [3], wherein the surface-treated microcrystalline cellulose contains microcrystalline cellulose and a water-soluble substance in a ratio of microcrystalline cellulose to the water-soluble substance within a range from 1:1 to 50:1 (weight ratio);

[5] The oral hygiene composition according to

aforementioned [4], wherein the water-soluble polymer is sodium carboxymethyl cellulose and contains in a ratio of microcrystalline cellulose to sodium carboxymethyl cellulose within a range from 3:1 to 30:1 (weight ratio);

[6] The oral hygiene composition according to aforementioned [4], wherein the water-soluble polymer is xanthan gum or dextrin and contains in a ratio of microcrystalline cellulose to xanthan gum and dextrin within a range from 3:1 to 30:1 (weight ratio);

[7] The oral hygiene composition according to any one of aforementioned [1] to [6], which further contains a pharmacologically active ingredient;

[8] The oral hygiene composition according to aforementioned [7], wherein the pharmacologically active ingredient is one or more selected from the group consisting of an antimicrobial agent, an enzyme, a zinc compound, a vitamin and a derivative thereof, a fluoride compound, an amino acid, types of collagen, 6-aminocaproic acid, allantoin and a derivative thereof, dihydrocholesterol, glycyrrhizates, glycyrrhetinic acid, glycerophosphate, chlorophyll, potassium nitrate and sodium chloride;

[9] The oral hygiene composition according to aforementioned [7], wherein the pharmacologically active ingredient is one or more selected from the group consisting of cetylpyridinium chloride, triclosan, vitamin E and a derivative thereof, sodium fluoride, tranexamic acid and glycyrrhizate;

[10] The oral hygiene composition according to any one of aforementioned [1] to [9], which further contains an abrasive;

[11] The oral hygiene composition according to any one of aforementioned [1] to [10], which further contains a surfactant;

[12] The oral hygiene composition according to any one of aforementioned [1] to [11], wherein viscosity at 30°C of the composition is from 5 to 20 Pa·s; and

[13] The oral hygiene composition according to any one of aforementioned [1] to [12], which is used by ejecting on a toothbrush from a pump container.

[0010]

The inventions according to aforementioned [1] and [2] of the present application can impart high shape retention and low stringiness, and also enable various blended ingredients to immediately disperse throughout the oral cavity when it is applied to the oral cavity, without causing sinking between brushes when placed on a toothbrush, thus providing an oral hygiene composition which can be used in an excellent feeling for use, and also can sufficiently exert action of various ingredients.

The inventions according to aforementioned [3] and [4] of the present application can impart higher dispersibility, higher shape retention and lower stringiness than those in the case of the invention according to aforementioned [1], thus making it possible to provide an oral hygiene

composition which can exert action of various blended ingredients during the application within a shorter time, and can also impart an excellent feeling for use.

The inventions according to aforementioned [5] and [6] of the present application enable a pharmacologically active ingredient and an abrasive to easily disperse throughout the oral cavity within a short time because of lower stringiness than that in the case of the aforementioned inventions, thus making it possible to provide an oral hygiene composition which can sufficiently exert action of active ingredients throughout the oral cavity, and also can easily remove dental plaques and stains adhered to the tooth surfaces within a short time and can also impart an excellent feeling for use.

The inventions according to aforementioned [7] to [9] of the present application enables various pharmacologically active ingredients to easily disperse throughout the oral cavity, thus making it possible to provide an oral hygiene composition which can effectively wash the oral cavity and can prevent or treat diseases in the oral cavity more effectively.

The inventions according to aforementioned [10] and [11] of the present application can provide an oral hygiene composition which can be formulated into various forms when compared with the aforementioned inventions, and also can easily remove dental plaques and stains adhered to the tooth surface within a short time and has excellent storage stability.

The invention according to aforementioned [12] of the present application can impart viscosity in a given range when compared with the aforementioned inventions, thus making it possible to provide an oral hygiene composition in a new dosage form, which enables various blended ingredients to easily disperse throughout the oral cavity, and is also excellent in a feeling for use.

The invention according to aforementioned [13] of the present application can provide an oral hygiene composition which can always eject a given amount of the composition without varying the amount depending on individuals, and also can exert the expected effects of the composition since the composition is applied by ejecting on a toothbrush from a pump container when compared with the aforementioned inventions.

DETAILED DESCRIPTION OF THE INVENTION

[0011]

Microcrystalline cellulose which is surface-treated with a water-soluble substance (hereinafter may be referred to as "surface-treated microcrystalline cellulose") to be used in the oral hygiene composition of the present invention is originally treated by coating the surface of microcrystalline cellulose having low affinity with water with a water-soluble substance so that microcrystalline cellulose is dispersed in a colloidal form in water by stirring in water as a result of swelling and dissolution of

the water-soluble substance, although microcrystalline cellulose alone is precipitated in water. Surface-treated microcrystalline cellulose can be produced, for example, by hydrolyzing a high-purity pulp to extract crystalline regions and wet-mixing with the water-soluble substance, followed by drying, and usually has a granular form.

[0012]

Microcrystalline cellulose of surface-treated microcrystalline cellulose is produced by extracting crystalline regions of cellulose which is obtained by acid hydrolysis or alkali hydrolysis of pulp fibers of plants, followed by purification. When surface-treated microcrystalline cellulose is dispersed in water, the average particle diameter thereof is within a range from 1 to 50 μm, preferably from 1 to 12 μm, and more preferably from 3 to 10 μm.

[0013]

The water-soluble substance to be used in a surface treatment of microcrystalline cellulose includes polymers and sugar alcohols, for example, cellulose derivatives such as a carboxymethyl cellulose salt, hydroxyethyl cellulose, etc.; microbiological polymers, such as xanthan gum, etc.; natural polymers such as Sterculia urens gum, dextrin, carrageenan, etc.; and sugar alcohols such as sorbitol, xylitol, erythritol, isomalt, etc. These water-soluble substances can be used alone or in combination of two or more. Among these water-soluble substances, anionic polymers such as sodium

carboxymethyl cellulose, xanthan gum, Sterculia urens gum, etc. are preferred, sodium carboxymethyl cellulose is most preferred.

[0014]

The ratio of microcrystalline cellulose and the water-soluble substance constituting surface-treated microcrystalline cellulose, microcrystalline cellulose:the water-soluble substance (total amount of one or more), is within a range from 1:1 to 50:1 (weight ratio), preferably from 3:1 to 30:1, more preferably from 4:1 to 20:1, and most preferably from 5:1 to 15:1. When the ratio of microcrystalline cellulose is more than the above range, dispersion of microcrystalline cellulose becomes insufficient when contacted with water, resulting in low shape retention. In contrast, when the ratio of microcrystalline cellulose is less than the above range, viscosity increases and thus it becomes impossible to provide an oral hygiene composition having high dispersibility.

As surface-treated microcrystalline cellulose, for example, those with various grades such as Ceolus® RC series (average particle diameter: 8 to 10 μm) and SC series (average particle diameter: 7 to 9 μm) commercially available from Asahi Kasei Chemicals Corporation, etc. can be used. Also, Avicel® RC series, CL series and SG series, commercially available from FMC corporation and Neocel® commercially available from Mingtai Chemical Co., Ltd. can be used.

[0015]

The amount of surface-treated microcrystalline cellulose to be blended in the oral hygiene composition of the present invention is within a range from 0.5 to 10% by weight, preferably from 1 to 8% by weight, and more preferably from 3 to 7% by weight, based on the total amount of the composition. When the amount of surface-treated microcrystalline cellulose is less than 0.5% by weight, since it becomes impossible to impart favorable shape retention to the oral hygiene composition, it becomes difficult to determine an appropriate used amount as a result of sinking when it is placed on a toothbrush, and also dispersion in the oral cavity delays. In contrast, when the amount of surface-treated microcrystalline cellulose is more than 10% by weight, viscosity of the oral hygiene composition increases and dispersibility in the oral cavity deteriorates.

It is also possible to use two or more kinds of surface-treated microcrystalline cellulose each having a different raw material ingredient or composition in combination.

Viscosity at 20°C of a 1 wt% aqueous solution of surface-treated microcrystalline cellulose is usually within a range from 5 to 100 mPa·s when measured at a rotating speed of 20 rpm/min. using a Brookfield rotary viscometer and a rotor No. 1.

Usually, the average particle diameter after dispersion of surface-treated microcrystalline cellulose is preferably

within a range from 3 to 10 μm when measured by a laser diffraction/scattering type particle size distribution analyzer.

[0016]

Examples of ingredients, which are used in combination with aforementioned surface-treated microcrystalline cellulose in the oral hygiene composition of the present invention, and are favorably dispersed throughout the oral cavity include pharmacologically active ingredients, abrasives, surfactants, flavors, sweeteners, etc. When these ingredients can be favorably dispersed throughout the oral cavity, it is possible to exert action of these ingredients at broader sites for longer time.

[0017]

Among these ingredients, examples of the pharmacologically active ingredient include cationic antimicrobial agents, for example, quaternary ammonium salts such as cetylpyridinium chloride, benzethonium chloride, distearyldimonium chloride, stearalkonium chloride, steartrimonium chloride, steartrimonium chloride, laurylpyridinium chloride, etc., and biguanide-typed antimicrobial agents such as chlorhexidine dihydrochloride, chlorhexidine acetate, chlorhexidine digluconate, alexidine hydrochloride, alexidine acetate, alexidine gluconate, etc.; anionic antimicrobial agents such as sodium n-lauroyl sarcosinate; nonionic antimicrobial agents such as triclosan, O-cymen-5-ol, etc.; enzymes such as dextranase, amylase,

mutanase, lysozyme, lytic enzyme, protease, etc.; zinc compounds such as zinc oxide, zinc chloride, etc.; vitamin A such as retinol, vitamin B2 such as riboflavin, vitamin B6 such as pyridoxine, and vitamin B12 such as cyanocobalamin; vitamin E derivatives such as tocopheryl acetate, tocopheryl nicotinate, tocopheryl succinate, etc.; fluoride compounds such as sodium monofluorophosphate, potassium monofluorophosphate, sodium fluoride, potassium fluoride, ammonium fluoride, stannous fluoride, etc.; amino acids, collagens, 6-aminocaproic acid, allantoin and derivatives thereof, dihydrocholesterol, glycyrrhizates, glycyrrhetinic acid, glycerophosphate, chlorophyll, potassium nitrate, sodium chloride, etc. These pharmacologically active ingredients can be used alone or in combination of two or more, and the amount thereof is an amount which is usually considered that each pharmacologically active ingredient exerts the objective pharmacological effect.

[0018]

Examples of the abrasive include abrasive silicas such as abrasive precipitated silica, abrasive gel silica, etc., dicalcium phosphate dihydrate and anhydrous, calcium phosphate, tricalcium phosphate, calcium carbonate, calcium pyrophosphate, calcium silicate, aluminum hydroxide, alumina, aluminum silicate, pumice, insoluble sodium metaphosphate, trimagnesium phosphate, magnesium carbonate, calcium sulfate, poly(methyl methacrylate), bentonite, zirconium silicate, hydroxyapatite, synthetic resins, etc. Among these abrasives,

abrasive silica, dicalcium phosphate dihydrate and anhydrous, calcium carbonate, calcium pyrophosphate, aluminum hydroxide, alumina and hydroxyapatite are preferred, abrasive silica, dicalcium phosphate dihydrate and anhydrous, and alumina are more preferred, and abrasive silica is most preferred. These abrasives can be used alone or in combination of two or more, and the amount thereof is usually within a range from 4 to 40% by weight based on the total amount of the oral hygiene composition.

[0019]

Examples of the surfactant include higher alkyl sulfate salts having alkyl groups including 8 to 18 carbon atoms, such as sodium lauryl sulfate, sodium myristyl sulfate, etc.; and anionic surfactants such as N-long chain acyl amino acid salts, α-olefin sulfonates, higher fatty acid sodium monoglyceride monosulfates, N-methyl-N-palmitoyl taurides, sodium N-acylsarcosinates, N-acyl glutamates, sodium N-methyl-N-acyl taurates, sodium N-methyl-N-acyl aminopropionates, etc. In addition to the above anionic surfactants, surfactants generally used in the oral hygiene composition can be used and examples of such the surfactants include nonionic surfactants such as polyoxyethylene sorbitan esters of fatty acid (for example, Polysorbate 20, etc.), polyoxyethylene hydrogenated castor oil, lauramide MEA, myristamide MEA, polyoxyethylene higher alcohol ether, Poloxamer, alkylglycosides (for example, alkyl chain: about C8 to C16), polyglycerol esters of fatty acid (for example,

alkyl chain of the fatty acid moiety: about C8 to C16), sucrose esters of fatty acid (for example, alkyl chain of the fatty acid moiety: about C8 to C16), etc.; amphoteric surfactants such as N-alkyl diaminoethylglycines, alkyl betaines, fatty acid amidopropyl betaines (for example, alkyl chain of the fatty acid moiety: about C8 to C16), alkyl sulfobetaines, alkyl betaines, imidazolinium betaines, etc.; and cationic surfactants such as behentrimonium chloride, behentrimonium bromide, alkyldimethylammonium chloride, etc. These surfactants can be used alone or in combination of two or more, and the amount thereof is usually within a range from 0.001 to 5% by weight based on the total amount of the oral hygiene composition.

[0020]

Examples of the flavor include menthol, carvone, anethole, vanillin, benzyl succinate, eugenol, methyl salicylate, limonene, ocimene, n-decyl alcohol, citronellol, α-terpineol, methyl acetate, citronellyl acetate, methyl eugenol, cineol, linalool, ethyllinalool, thymol, thyme, nutmeg, spearmint oil, peppermint oil, star anise oil, fennel oil, lemon oil, orange oil, sage oil, rosemary oil, cinnamon oil, pimento oil, diatom oil, perilla oil, wintergreen oil, clove oil, eucalyptus oil, basil oil, tea tree oil, davana oil, etc. These flavors can be used alone or in combination of two or more, and the amount thereof is usually within a range from 0.01 to 5% by weight based on the total amount of the oral hygiene composition.

[0021]

Examples of the sweetener include saccharin, sodium saccharin, stevia extract, stevioside, acesulfame K, glycyrrhizin, glycyrrhizates, perillartine, thaumatin, aspartyl phenylalanine methyl ester, xylitol, paratinose, isomalt, erythritol, maltitol, etc. These sweeteners can be used alone or in combination of two or more, and the amount thereof is usually within a range from 0.001 to 50% by weight based on the total amount of the oral hygiene composition.

[0022]

The oral hygiene composition of the present invention can appropriately contain, in addition to the above ingredients to be blended for the purpose of favorably dispersing throughout the oral cavity, ingredients which are usually blended with the oral hygiene composition, for example, binders, humectants, pH adjusting agents, preservatives, colorants or pigments and water as long as the effects of the present invention are not deteriorated.

[0023]

Examples of the binder include cellulose derivatives such as hydroxyethylcellulose, hydroxypropylcellulose, a carboxymethylethyl cellulose salt, etc.; microbiological polymers, such as xanthan gum, etc.; natural polymers or natural rubbers, such as Sterculia urens gum, dextrin, carrageenan, tragacanth gum, arabic gum, gellan gum, etc.; synthetic polymers such as polyvinyl alcohol, polyvinyl pyrrolidone, etc.; inorganic binders such as thickening

silica, magnesium aluminum silicate, etc.; and cationic binders such as polyquaternium-10, etc. These binders can be used alone or in combination of two or more, and the amount thereof is usually within a range from 0.001 to 10% by weight based on the total amount of the oral hygiene composition.

[0024]

Examples of the humectant include glycerin, sorbitol, glycol, propylene glycol, butylene glycol, polyethylene glycol, polypropylene glycol, xylitol, maltitol, lactitol, isomalt, etc. These humectants can be used alone or in combination of two or more, and the amount thereof is usually within a range from 0.01 to 90% by weight based on the total amount of the oral hygiene composition.

[0025]

Examples of the pH adjusting agent include citric acid, phosphoric acid, malic acid, pyrophosphoric acid, lactic acid, tartaric acid, glycerophosphoric acid, acetic acid, nitric acid, silicic acid, gluconic acid, maleic acid, aspartic acid, succinic acid, glucuronic acid, fumaric acid, adipic acid, glutamic acid, or chemically-acceptable salts thereof, such as sodium and potassium salts, hydrochloric acid, sodium hydroxide, potassium hydroxide, sodium silicate, etc. These pH adjusting agents can be used alone or in combination of two or more so as to adjust the pH of the oral hygiene composition within a range from 5 to 9. The amount thereof is usually within a range from 0.01 to 5% by weight based on the total amount of the oral hygiene composition.

[0026]

Examples of the preservative include benzoic acid salts such as sodium benzoate, etc.; and paraben such as methylparaben, butylparaben, etc. These preservatives can be used alone or in combination of two or more, and the amount thereof is usually within a range from 0.01 to 3% by weight based on the total amount of the oral hygiene composition.

[0027]

Examples of the colorant or pigment include pigments such as titanium dioxide, ultramarines, iron blue, etc.; and authorized dyes such as CI 42090, CI 45430, CI 45410, CI 15850, CI 73360, CI 19140, Ci47005, etc. These colorants or pigments can be used alone or in combination of two or more.

[0028]

Viscosity at 30°C of the oral hygiene composition of the present invention is usually within a range from 5 to 50 Pa·s, preferably from 5 to 20 Pa·s, and more preferably from 7 to 15 Pa·s. As long as the effects of the present invention are not deteriorated, the oral hygiene composition can be formulated into forms such as dentifrice including toothpaste, gel, paste for oral cavity, cream, etc., and can be filled in containers such as tube, pump and squeeze bottle according to the form.

[0029]

When the oral hygiene composition of the present invention (particularly toothpaste composition) is used in a pump container, viscosity at 30°C is preferably adjusted

within a range from 5 to 20 Pa·s. The dentifrice composition having viscosity within the above range belongs to a dentifrice composition having low viscosity. Although a conventional toothpaste composition has viscosity of more than 20 Pa·s, dispersibility of the active ingredients can be improved by adjusting viscosity within a range of 20 Pa·s or less in the present invention. In an existing dentifrice composition, desirable shape retention cannot be imparted to the dentifrice composition only by decreasing viscosity. However, according to the present invention, dispersibility is improved by blending surface-treated microcrystalline cellulose while accomplishing shape retention in a low viscosity region. However, when viscosity is less than 5 Pa·s, sufficient shape retention cannot be obtained.

[0030]

As the container of the toothpaste, for example, tube containers such as laminate tube and aluminum tube are commonly used. These tube containers have a mechanism in which contents are ejected through a container outlet when a compressive force is applied to the body by users using hands. However, the used amount varies with each user, or varies with the time even when it is used by the same user. In a dentifrice, particularly a dentifrice containing a pharmacologically active ingredient, it is important that the effective amount of the dentifrice is applied to the oral cavity. However, there is a problem that it is difficult to uniformly adjust the amount of the dentifrice per application

in the tube container and therefore problems arise with respect to an insufficient amount being used for brushing when there is a small amount of dentifrice and conversely economy problems caused by use of an amount of dentifrice above the effective amount when there is a large amount of the dentifrice.

[0031]

The pump container has an advantage that a uniform amount of the dentifrice is ejected by a single extrusion operation and the above problem such as non-uniform amount per one time can be solved. However, even if the toothpaste is contained in a general-purpose pump container such as a shampoo container, the inconvenience arises that the pumping portion does not smoothly return the toothpaste or it becomes impossible to eject it using a pump. Furthermore there is the a problem that a large amount of the toothpaste remains, resulting in poor economy since the toothpaste has adhesion and viscosity and also has characteristics that it contains a large amount of a powder. Therefore, it is not easy to use the pump container as the container of the toothpaste composition at present.

[0032]

However, the dentifrice composition of the present invention can be favorably stored in or ejected from a pump container. The dentifrice composition of the present invention has low viscosity and an appropriate thixotropic nature and is therefore easily ejected by pumping, and also

causes neither collapse of the shape nor sag from a toothbrush when it is placed on the toothbrush, and causes less adhesion of a powder due to drying in a pump delivery portion. Also in the pump container, the dentifrice composition is favorably accumulated to a pump suction port and is easily ejected from a pump. In the pump container, there often arise a convenience that a suction pressure enables a dentifrice as contents to cause reverse suction of a piston in the pump and the pumping portion does not smoothly return, or a convenience that the contents are partially solidified in the container or the pump mechanism and thus it becomes impossible to eject by means of pumping. However, the dentifrice composition of the present invention has low viscosity and favorable slipperiness and is therefore free from these inconveniences.

[0033]

Although there is no particular limitation on the kind of the pump container, the pump container preferably has a structure comprising at least two layers of an inflexible outer layer and a flexible inner layer in which the inner layer is compressed as contents are ejected, thus preventing air from entering into the content sealed portion (delaminated structure). With such a structure, the contents can be easily ejected by pressing using hands and fingers and a pharmacologically active ingredient can be stably maintained even after sealing since it is scarcely comes into contact with the air.

Next, the present invention will be described in more detail by way of examples, such are for illustrative purpose only and it is not to be construed restrictively.

Examples

[0034]

Experimental Example 1: Shape Retention and Stringiness of Composition

Preparation of Oral hygiene composition

An oral hygiene composition containing surface-treated microcrystalline cellulose to be used in the present invention (Example 1) and an oral hygiene composition (same raw materials and same blending composition) using microcrystalline cellulose and a water-soluble substance alone (Comparative Example 1) were prepared according to formulations shown in the following tables.

A laminate tube was filled with each prepared oral hygiene composition and then shape retention and stringiness were tested.

[0035]

Table 1

Ingredients	Example 1	Comparative Example 1
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose:sodium carboxymethyl cellulose = 89:11 (weight ratio))	5.6	-
Microcrystalline cellulose	-	5
Sodium carboxymethyl cellulose	-	0.6
Dicalcium phosphate dihydrate	30	30
Glycerin	20	20
Sodium lauryl sulfate	1.5	1.5
Flavor	1	1
Sodium saccharin	0.3	0.3
Purified water	balance	balance
Total	100	100
Shape retention (mm) (after 1 minute)	21	3
Stringiness (mm)	3.1	9.5
Viscosity (Pa·s)	36	6.4

[0036]

Evaluation of Shape Retention

A cap having an election port diameter of 3 mm was attached to a port of a laminate tube and an oral hygiene composition was ejected so as to be laid on a wire of a shape retention measuring device (rectangular metal rack in which wires are arranged in the form of a bar at different intervals). After a lapse of a predetermined time, a maximum distance between wires, at which the oral hygiene composition was not broken and dropped, was measured. With respect to one formulation, the measurement was repeated 5 times and an average distance between wires was calculated.

[0037]

Evaluation of Stringiness

After placing 50 mL of a prepared oral hygiene composition in a beaker, a stainless steel ball having a diameter of 16 mm was pressed into the position located 8 mm from the top face of the composition. The stainless steel ball was raised at a rate of 1,000 mm/min. and the oral hygiene composition adhered to the stainless steel ball was allowed to cause stringiness, and then a distance between the position of the stainless steel ball and the position of the top face of the composition when the thread was broken was measured. These results are shown in Table 1.

[0038]

As is apparent from the results of Table 1, the oral hygiene composition of Example 1 shows higher shape retention and lower stringiness than those of the oral hygiene composition of Comparative Example 1.

[0039]

Experimental Example 2: Dispersibility of Ingredients

Preparation of Oral hygiene composition

An oral hygiene composition using a microcrystalline cellulose surface-treated with a water-soluble substance to be used in the present invention (Example 2) and an oral hygiene composition (same raw materials) using a microcrystalline cellulose and a water-soluble substance alone so as to obtain same shape retention (Comparative Example 1) were prepared according to formulations shown in the following Table 2.

[0040]

Table 2

Ingredients	Example 2	Comparative Example 2
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose:sodium carboxymethyl cellulose = 89:11 (weight ratio))	4	-
Microcrystalline cellulose	-	3.56
Sodium carboxymethyl cellulose	-	2.04
Silica	10	10
Glycerin	30	30
Sorbitol	20	20
Sodium lauryl sulfate	1	1
Cetylpyridinium chloride	0.1	0.1
Tranexamic acid	0.1	0.1
Dipotassium glycyrrhizate	0.1	0.1
Vitamin E (tocopheryl acetate)	0.1	0.1
Triclosan	0.1	0.1
Sodium fluoride	0.2	0.2
Flavor	1	1
Sodium saccharin	0.2	0.2
Purified water	balance	balance
Total	100	100
Shape retention (after 20 seconds)	12	12
Stringiness	3.1	48.0
Viscosity (Pa·s)	12	30

[0041]

As is apparent from the results shown in Table 2, the oral hygiene composition of Example 2 has remarkably low stringiness and lowered viscosity when compared with the oral hygiene composition of Comparative Example 2, although these are oral hygiene compositions prepared so as to have equivalent shape retention.

[0042]

Dispersibility Test 1

Each of 4 g of oral hygiene compositions of Example 2 and Comparative Example 2 prepared was placed in a test container and 12 mL of an artificial saliva (50 mM KCl, 1 mM KH_2PO_4, 1 mM $CaCl_2$, 0.1 mM $MgCl_2$) was added, followed by shaking in a shaker (250 times/min.) for 5 seconds to 5 minutes. The solution obtained after shaking was filtered and methanol was added to make 25 mL. The solution was filtered again using a membrane filter and the filtrate was taken as a sample solution. Separately, to 2 mL of a 4-fold slurry solution of an oral hygiene composition, methanol was added to make 25 mL, and the filtrate obtained by filtration with a membrane filter was taken as a standard solution. The amount of cetylpyridinium chloride of each solution was determined by HPLC and a filtered elution rate (%) = (amount of cetylpyridinium chloride in sample solution)/(amount of cetylpyridinium chloride in standard solution) was calculated. The results are shown in Table 3.

[0043]

Table 3

Shaking time	Elution rate (%)	
	Example 2	Comparative Example 2
5 seconds	1	1
15 seconds	5	1
30 seconds	22	2
60 seconds	20	3
180 seconds	85	8
300 seconds	100	11

[0044]

As is apparent from the results shown in Table 3, the oral hygiene composition of Example 2 showed enhanced dispersibility from 5 seconds after shaking and is completely dispersed after 5 minutes. In contrast, the oral hygiene composition of Comparative Example 2 showed low dispersibility. After 5 minutes when the oral hygiene composition of Example 2 was completely dispersed, only 11% of the oral hygiene composition was dispersed.

[0045]

Preparation of Oral hygiene composition

Oral hygiene compositions using microcrystalline cellulose surface-treated with a water-soluble substance to be used in the present invention (Examples 3 and 4) and an oral hygiene composition (same materials) using microcrystalline cellulose and a water-soluble substance alone so as to obtain same shape retention (Comparative Example 3) were prepared according to formulations shown in the following Table 4. Then, shape retention, stringiness and viscosity thereof were measured by the methods described above.

[0046]

Table 4

Ingredients	Example 3	Example 4	Comparative Example 3
Microcrystalline cellulose (xanthan gum and dextrin surface treatment, microcrystalline cellulose:xanthan gum:dextrin = 75:5:20 (weight ratio))	5	-	-
Microcrystalline cellulose (Sterculia urens gum and dextrin surface treatment, microcrystalline cellulose:Sterculia urens gum:dextrin = 80:10:10 (weight ratio))	-	5	-
Microcrystalline cellulose	-	-	3.75
Xanthan gum	-	-	0.6
Dextrin	-	-	1
Sorbitol	15	10	15
Propylene glycol	5	-	5
Butylene glycol	-	3	-
Cetylpyridinium chloride	0.1	0.1	0.1
Sodium saccharin	0.2	0.2	0.2
Dicalcium phosphate dihydrate	35	-	35
Silica	4	5	4
Calcium carbonate	-	30	-
Cocamidepropyl betaine	1	-	1
Alkylglycoside	-	2.5	-
Flavor	1	1	1
Purified water	balance	balance	balance
Total	100	100	100
Shape retention (after 20 seconds)	9	12	9
Stringiness (mm)	14.3	15.2	22.1
Viscosity (Pa·s)	22	35	33

[0047]

As is apparent from the results shown in Table 4, when microcrystalline cellulose surface-treated with a water-soluble substance is blended with the oral hygiene composition, viscosity becomes lower and stringiness is suppressed even in the case of having the same shape

retention as that of the oral hygiene composition blended with each material alone.

[0048]

In the same manner as in the dispersibility test 1, dispersibility of cetylpyridinium chloride as the active ingredient in the oral hygiene compositions of Examples 3 and 4 as well as Comparative Example 3 was evaluated. The results are shown in Table 5.

[0049]

Table 5

Shaking time	Elution rate (%)		
	Example 3	Example 4	Comparative Example 3
5 seconds	2	2	1
15 seconds	3	8	1
30 seconds	6	44	2
60 seconds	33	60	21
120 seconds	90	70	55
180 seconds	98	80	61

[0050]

As is apparent from the results shown in Table 5, the oral hygiene compositions of Examples 3 and 4 showed enhanced dispersibility from 5 seconds after shaking and most of them were completely dispersed after 3 minutes. In contrast, the oral hygiene composition of Comparative Example 3 showed low dispersibility. After 3 minutes when the oral hygiene composition of Example 3 was almost completely dispersed, only 61% of the oral hygiene composition was dispersed.

[0051]

Dispersibility Test 2

In order to compare a difference in dispersibility in a short shaking time, dispersibility of each active ingredient of the oral hygiene compositions of Example 2 and Comparative Example 2 was examined under the same conditions except that a rotating speed of a shaker was changed to 320 times/min. The results are shown in Table 6.

[0052]

Table 6

	Pharmacologically active ingredient	Cetylpyridinium chloride	Triclosan	Vitamin E (Tocopheryl acetate)	Sodium fluoride	Tranexamic acid	Dipotassium glycyrrhizate	Average (%)
Sample	Time (seconds)	Elution rate (%)	Elution rate (%)	Elution rate (%)	Elution rate (%)	Elution rate (%)	Elution rate (%)	
Example 2	15	45	41	42	57	49	45	47
	30	52	49	50	62	55	52	54
	60	63	61	62	72	66	63	65
	120	74	70	71	85	77	73	75
	180	80	75	77	89	83	78	80
Comparative Example 2	15	7	7	7	12	8	8	8
	30	10	12	12	16	13	13	13
	60	28	29	29	33	31	30	30
	120	41	44	45	45	46	44	45
	180	54	56	56	55	58	57	56

[0053]

As is apparent from the results shown in Table 6, since the oral hygiene composition of Example 2 showed enhanced dispersibility immediately after shaking and enabled various blended ingredients to immediately disperse throughout the oral cavity when it was applied to the oral cavity, it can be used in an excellent feeling for use and can sufficiently exert the effects of various ingredients.

[0054]

As a result of total consideration of the results of Experimental Examples 1 and 2, the oral hygiene compositions blended with microcrystalline cellulose surface-treated with a water-soluble substance of the present invention have higher shape retention and lower stringiness than those in the case of the oral hygiene composition blended with he same raw material ingredients in the same ratio and amount. All of these oral hygiene compositions of Examples can be extruded from a pump container and are suited for use as an oral hygiene composition for a pump.

[0055]

Example 5

Toothpaste

Ingredients	Amount (% by weight)
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose:sodium carboxymethyl cellulose = 85:15 (weight ratio))	3.0

Hydroxyethyl cellulose	1.0
Lauryl glucoside	3.0
Decyl glucoside	1.0
Calcium hydrogenphosphate	30.0
Cetylpyridinium chloride	0.1
Pyridoxine hydrochloride	0.1
Sodium monofluorophosphate	0.72
Flavor	1.0
Sodium saccharin	0.1
Titanium dioxide	0.3
Propylene glycol	3.0
Glycerin	20.0
Purified water	balance
Total	100

[0056]

Example 6

Toothpaste

Ingredients	Amount (% by weight)
Microcrystalline cellulose (xanthan gum surface treatment, microcrystalline cellulose:xanthan gum = 75:5)	3.0
Decyl glucoside	2.0
Cocamidopropyl betaine	1.0
Silicic anhydride	30.0
Sodium carboxymethyl cellulose	2.0
Vitamin E (tocopheryl acetate)	0.05
Sodium fluoride	0.2
Methylparaben	0.2
Flavor	1.0
Sodium saccharin	0.1
Titanium dioxide	0.3
Sorbitol	30.0
Purified water	balance
Total	100

[0057]

Example 7

Toothpaste

Ingredients	Amount (% by weight)
Microcrystalline cellulose (dextrin surface treatment, microcrystalline cellulose:dextrin = 80:10)	2.0
Sucrose ester of lauric acid	2.0
Calcium pyrophosphate	35.0
Gellan gum	0.5
Sodium chloride	15.0
Tranexamic acid	0.1
Flavor	1.0
Sodium saccharin	0.1
Titanium dioxide	0.3
PEG-8	5.0
Purified water	balance
Total	100

[0058]

Example 8

Toothpaste

Ingredients	Amount (% by weight)
Microcrystalline cellulose (Sterculia urens gum surface treatment, microcrystalline cellulose:Sterculia urens gum = 80:10)	2.0
Decaglycerin laurate ester	2.0
Calcium carbonate	25.0
Hydroxypropylmethylcellulose	1.0
Isopropylmethyl phenol	0.05
PEG-60 hydrogenated castor oil	1.0
Sodium polyoxyethylene (2E.O.) alkyl (C_{12-14}) sulfosuccinate	1.0
Stevia extract	0.1
Flavor	1.0
Sodium saccharin	0.1
Titanium dioxide	0.3
Glycerin	10.0
Xylitol	10.0
Purified water	balance
Total	100

[0059]

Example 9

Toothpaste

Ingredients	Amount (% by weight)
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose:sodium carboxymethyl cellulose = 80:20)	1.5
Algin	1.0
Sodium polyacrylate	0.5
β-glycyrrhetinic acid	0.01
Allantoin	0.02
Angelica acutiloba root extract	0.01
Aluminum hydroxide	15.0
Hyroxyapatite	5.0
Tetrasodium pyrophosphate	5.0
Zeolite	2.0
Maltitol	3.0
Dextranase	0.1
Sodium benzoate	0.1
Alkylglycoside	2.0
Hydroxyethylimidazolinium betain	0.02
Malic acid	0.05
Potassium hydroxide	0.02
PCA ethyl cocoyl arginate	0.01
PEG-60 hydrogenated castor oil	1.0
Flavor	1.0
Purified water	balance
Total	100

[0060]

Example 10

Toothpaste

Ingredients	Amount (% by weight)
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose:sodium carboxymethyl cellulose = 85:15)	2.0
Carrageenan	1.5
Sodium lauroyl sarcosinate	1.3
Polyglycerol esters of fatty acid	1.0

Calcium carbonate	20.0
Sodium polyphosphate	3.0
Benzethonium chloride	0.01
Polyethylene glycol	1.0
6-aminocaproic acid	0.05
Zinc oxide	0.5
Flavor	1.0
Isomalt	1.0
Xylitol	2.0
Ultramarines	0.01
Erythritol	5.0
Sodium silicate	0.1
Purified water	balance
Total	100

[0061]

Example 11

Creamy dentifrice

Ingredients	Amount (% by weight)
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose:sodium carboxymethyl cellulose = 89:11)	1.5
Cetylpyridinium chloride	0.05
Dipotassium glycyrrhizate	0.1
Triclosan	0.1
Silica	10.0
Xanthan gum	0.5
Glycerin	40.0
Propylene glycol	3.0
Sodium lauryl sulfate	1.0
Titanium dioxide	0.5
Sodium saccharin	0.1
Tocopheryl nicotinate	0.2
PEG-60 hydrogenated castor oil	2.0
Flavor	1.0
Purified water	balance
Total	100

[0062]

Example 12

Creamy dentifrice

Ingredients	Amount (% by weight)
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose:sodium carboxymethyl cellulose = 90:10)	1.5
Cetylpyridinium chloride	0.05
Abrasive precipitated silica	8.0
Thickening silica	2.0
Xanthan gum	0.5
Sodium fluoride	0.2
Sorbitol	55.0
Propylene glycol	3.0
Sodium lauryl sulfate	1.5
Titanium dioxide	0.3
Sodium saccharin	0.1
Tocopheryl nicotinate	0.2
PEG-60 hydrogenated castor oil	1.0
Flavor	1.0
Purified water	balance
Total	100

[0063]

Example 13

Creamy dentifrice

Ingredients	Amount (% by weight)
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose:sodium carboxymethyl cellulose:xanthan gum:dextrin = 73:5:3:19)	2.5
Cetylpyridinium chloride	0.05
Calcium hydrogenphosphate	20.0
Thickening silica	4.0
Xanthan gum	0.7
Sodium monofluorophosphate	0.7
Sorbitol	30.0
Butylene glycol	3.0
Alkylglycoside	3.0
Titanium dioxide	0.2
Sodium saccharin	0.1

Angelica acutiloba root extract	0.1
Flavor	1.0
Purified water	balance
Total	100

[0064]

Example 14

Gel-like dentifrice

Ingredients	Amount (% by weight)
Microcrystalline cellulose (xanthan gum surface treatment, microcrystalline cellulose:xanthan gum = 75:5)	5.0
Myristic acid amidopropylbetain	0.5
Tetraglycerin laurate ester	1.0
Tocopheryl acetate	0.1
Glycerin	30.0
PEG-8	4.0
Butylene glycol	2.0
Flavor	1.0
Sodium saccharin	0.1
Disodium citrate	0.12
Sodium citrate	0.01
Purified water	balance
Total	100

[0065]

Example 15

Gel-like dentifrice

Ingredients	Amount (% by weight)
Microcrystalline cellulose (sodium carboxymethyl cellulose surface treatment, microcrystalline cellulose: sodium carboxymethyl cellulose = 89:11)	4.0
Chlorhexidine dihydrochloride	0.2
Decyl glucoside	1.0
Sodium fluoride	0.2
Glycerin	40.0
PEG-8	5.0

Butylene glycol	2.0
Propylene glycol	8.0
Flavor	1.0
Sodium saccharin	0.1
Disodium phosphate	0.12
Sodium phosphate	0.01
Purified water	balance
Total	100

[0066]

The present invention can be utilized as an oral hygiene composition such as a medicated dentifrice having the effect of preventing or treating diseases by enabling various blended ingredients, particularly pharmacologically active ingredient to effectively act on the affected portion in the oral cavity.

What is claimed is:

1. An oral hygiene composition comprising microcrystalline cellulose surface-treated with a water-soluble substance.

2. The oral hygiene composition according to claim 1, wherein the water-soluble substance is a water-soluble polymer.

3. The oral hygiene composition according to claim 2, wherein the water-soluble polymer is at least one selected from the group consisting of a carboxymethyl cellulose salt, methylcellulose, hydroxyethylcellulose, xanthan gum, Sterculia urens gum, dextrin, carrageenan and alginate.

4. The oral hygiene composition according to any one of claims 1 to 3, wherein the surface-treated microcrystalline cellulose contains microcrystalline cellulose and a water-soluble substance in a ratio of microcrystalline cellulose to the water-soluble substance within a range from 1:1 to 50:1 (weight ratio).

5. The oral hygiene composition according to claim 4, wherein the water-soluble polymer is sodium carboxymethyl cellulose and contains in a ratio of microcrystalline cellulose to sodium carboxymethyl cellulose within a range

from 3:1 to 30:1 (weight ratio).

6. The oral hygiene composition according to claim 4, wherein the water-soluble polymer is xanthan gum and dextrin and contains in a ratio of microcrystalline cellulose to xanthan gum and dextrin within a range from 3:1 to 30:1 (weight ratio).

7. The oral hygiene composition according to any one of claims 1 to 6, which further contains a pharmacologically active ingredient.

8. The oral hygiene composition according to claim 7, wherein the pharmacologically active ingredient is one or more selected from the group consisting of an antimicrobial agent, an enzyme, a zinc compound, vitamin and a derivative thereof, a fluoride compound, an amino acid, a type of collagen, 6-aminocaproic acid, allantoin and a derivative thereof, dihydrocholesterol, glycyrrhizates, glycyrrhetinic acid, glycerophosphate, chlorophyll, potassium nitrate and sodium chloride.

9. The oral hygiene composition according to claim 7, wherein the pharmacologically active ingredient is one or more selected from the group consisting of cetylpyridinium chloride, triclosan, vitamin E and a derivative thereof, sodium fluoride, tranexamic acid and glycyrrhizate.

10. The oral hygiene composition according to any one of claims 1 to 9, which further contains an abrasive.

11. The oral hygiene composition according to any one of claims 1 to 10, which further contains a surfactant.

12. The oral hygiene composition according to any one of claims 1 to 11, wherein viscosity at 30°C of the composition is from 5 to 20 Pa·s.

13. The oral hygiene composition according to any one of claims 1 to 12, which is used by ejecting onto a toothbrush from a pump container.