ORAL COMPOSITIONS

TECHNICAL FIELD

The present invention relates to oral compositions such as liquid dentifrices, toothpastes and mouthwashes, which provide an anticalculus benefit.

BACKGROUND OF THE INVENTION

Dental calculus, or tartar as it is sometimes called, is a deposit which forms on the surfaces of the teeth at the gingival margin. Supragingival calculus appears principally in the areas near the orifices of the salivary ducts; e.g., on the lingual surfaces of the lower anterior teeth and on the buccal surfaces of the upper first and second molars, and on the distal surfaces of the posterior molars.

Mature calculus consists of an inorganic portion which is largely calcium phosphate arranged in a hydroxyapatite crystal lattice structure similar to bone, enamel and dentine. An organic portion is also present and consists of desquamated epithelial cells, leukocytes, salivary sediment, food debris and various types of microorganisms.

As the mature calculus develops, it becomes visibly white or yellowish in color unless stained or discolored by some extraneous agent. In addition to being unsightly and undesirable from an aesthetic standpoint, the mature calculus deposits are regarded by many as a constant source of mechanical irritation of the gingiva.

A wide variety of chemical and biological agents have been suggested in the art to retard calculus formation or to remove calculus after it is formed. Mechanical removal of this material periodically by the dentist is, of course, routine dental office procedure.

The chemical approach to calculus inhibition generally involves chelation of calcium ion and/or crystal growth inhibition which prevents the calculus from forming and/or breaks down mature calculus by removing calcium.

The prior art discloses a number of chelating agents for this purpose. British Patent 490,384, February 15, 1937, discloses oral compositions containing ethylenediaminetetraacetic acid, nitrilotriacetic acid and related compounds as anticalculus agents. U.S. Patent 3,678,154, July 18, 1972 to Widder et al. discloses oral compositions containing certain polyphosphonates and fluoride. U.S. Patent 3,737,533, June 5, 1973 to Francis discloses oral compositions containing certain carbonyl diphosphonates.

In addition to the above references, the prior art discloses dentifrices and mouthwashes containing soluble pyrophosphate salts which have been included for a variety of purposes. Included among such references are U.S. Patent 2,941,926, June 21, 1960 to Salzmann et al. which discloses dental powders containing chlorophyll and pyrophosphate salts. U.S. Patent 3,137,632, June 16, 1964 to Schiraldi discloses toothpastes containing pyrophosphate salts. U.S. Patents 3,927,201 and 202, December 16, 1975 to Baines et al. and Harvey et al., respectively, disclose toothpastes which utilize soluble pyrophosphates as abrasives. U.S. Patents 4,244,931, January 13, 1981 and 4,247,526, January 27, 1981 to Jarvis et al. disclose pyrophosphate salts in dicalcium phosphate systems. Jap. Patent Application Disclosure No. 4945-1974 discloses soluble pyrophosphates in a variety of dentifrice systems. U.S. Patent 4,333,551, April 6, 1982 to Parran discloses tetraalkali metal salts in mouthwash compositions.

In addition to the use of the above mentioned materials the use of certain acrylic acid polymers and other agents have also been disclosed for use as anticalculus agents. Included among such agents are polyelectrolytes such as copolymers of maleic anhydride and ethylene disclosed in U.S. Patent 3,429,963, February 25, 1969 to Shedlovsky. Shedlovsky also discloses polyacrylic acid having an average molecular weight of 1500 and

greater. Other references disclosing polyacrylic acids in oral compositions are South African Patent 720898, September 12, 1972 which discloses such acids having a molecular weight of from 1000 to 2,000,000; and U.S. Patent 4,304,766, December 8, 1971 to Chang discloses polyacrylic acid having a molecular weight in the range of 2,000 to 4,000,000 for use as a membrane to prevent the elution from teeth of previously applied agents. Finally U.S. Patent 3,956,480, May 11, 1976 discloses complexes of anionic polymers (e.g., acrylic acid) and a cationic therapeutic agent (e.g., chlorhexidine) as anticalculus agents.

In spite of the many disclosures in the anticalculus area, the need for improved anticalculus products still exist. The prior art, while suggesting the use of polyacrylic acid polymers or copolymers in oral compositions, does not suggest the need for using such uncomplexed polymers within a particular molecular weight range to achieve maximum anticalculus efficacy.

It is an object of the present invention to provide compositions which deliver an effective anticalculus benefit.

It is a further object of the present invention to provide an effective anticalculus product utilizing polyacrylic acid polymers or copolymers having a mass average molecular weight of from 3500 to 7500.

It is a further object of the present invention to provide an anticalculus product which does not inhibit remineralization of the teeth.

It is still a further object of the present invention to provide an effective method for treating calculus.

It is still a further object of the present invention to provide compositions which are cosmetically acceptable.

These and other objects will become more clear from the detailed description which follows.

All percentages and ratios used herein are by weight unless otherwise specified.

SUMMARY OF THE INVENTION

The present invention embraces an oral composition comprising:

a) a safe and effective amount of a polyacrylic acid polymer or copolymer having a mass average molecular weight of from 3500 to 7500; and

b) a pharmaceutically acceptable carrier.

DETAILED DESCRIPTION OF THE INVENTION

The compositions of the present invention comprise particular polyacrylic acid polymers or copolymers and a pharmaceutically acceptable carrier.

By "safe and effective amount" as used herein, means sufficient compound to reduce calculus while being safe to the hard and soft tissues of the oral cavity.

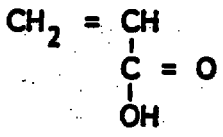
By the term "comprising", as used herein, is meant that various additional components can be conjointly employed in the compositions of this invention as long as the polyacrylic acid polymer or copolymer performs its intended functions.

By the term "carrier", as used herein, is meant a suitable vehicle which is pharmaceutically acceptable and can be used to apply the present compositions in the oral cavity.

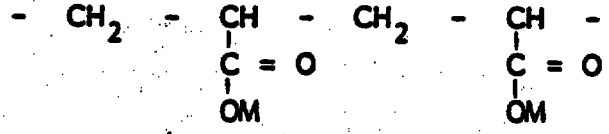
Polyacrylic Acid

The anticalculus agent useful in the compositions of the present invention are polyacrylic acid polymers or copolymers having a mass average molecular weight of from 3500 to 7500.

Polyacrylic acid polymers are staple items of commerce and are made by polymerizing acrylic acid,



to form the repeating chain



the repetition being sufficient to provide the molecular weight desired. M may be an alkali metal or ammonium ion or hydrogen.

Polymers of the type useful in the present invention are available from Rohm and Haas Company.

Copolymers of acrylic acid and other monomers may also be used in the present invention. Suitable other monomers include methacrylic acid, 2-hydroxypropyl acrylate, 3-hydroxypropyl methacrylate, 3-hydroxy propyl acrylate and acrylamide. It is preferred that with methacrylic acid, the number of acrylic acid units in the polymer be at least 50% of the total units present. With other monomers it is preferred that the percentage be at least 80%. Mixtures of other monomers may also be used.

While the molecular weight must be in the range of 3500 to 7500, preferably the molecular weight is from 4000 to 5500, most preferably from 4300 to 5200. A particularly preferred material is a polyacrylic acid polymer having a molecular weight of about 4500 which can be provided by Rohm and Haas carrying the identification LMW-45.

The amount of the polymer used in the present compositions is generally from about 2.5% to about 20%, preferably from about 3% to about 10%, most preferably from about 4% to about 8%. Mixtures of polyacrylic acid polymers or copolymers are also useful in the present invention.

Pharmaceutically Acceptable Carrier

The carrier for the polyacrylic acid polymer or copolymer can be any vehicle suitable for use in the oral cavity. Such carriers include the usual components of mouthwashes, toothpastes, tooth powders, prophylaxis pastes, lozenges, gums and the like and are more fully described hereinafter. Dentifrices and mouthwashes are the preferred systems.

The abrasive polishing material contemplated for use in the present invention can be any material which does not excessively abrade dentin. These include, for example, silicas including gels and precipitates, calcium carbonate, dicalcium orthophosphate dihydrate, calcium pyrophosphate, tricalcium phosphate, calcium polymetaphosphate, insoluble sodium polymetaphosphate, hydrated alumina, and resinous abrasive materials such as particulate condensation products of urea and formaldehyde, and others such

as disclosed by Cooley et al. in U.S. Patent 3,070,510, December 25, 1962. Mixtures of abrasives may also be used.

Silica dental abrasives, of various types, can provide the unique benefits of exceptional dental cleaning and polishing performance without unduly abrading tooth enamel or dentin. Silica abrasive materials are also exceptionally compatible with sources of soluble fluoride. For these reasons they are preferred for use herein.

The silica abrasive polishing materials useful herein, as well as the other abrasives, generally have an average particle size ranging between about 0.1 and 30 microns, preferably 5 and 15 microns. The silica abrasive can be precipitated silica or silica gels such as the silica xerogels described in Pader et al., U.S. Patent 3,538,230, issued March 2, 1970 and DiGiulio, U.S. Patent 3,862,307, June 21, 1975.

Preferred are the silica xerogels marketed under the tradename "Syloid" by the W. R. Grace & Company, Davison Chemical Division. Preferred precipitated silica materials include those marketed by the J. M. Huber Corporation under the tradename, "Zeodent", particularly the silica carrying the designation "Zeodent 119". These silica abrasives are described in U.S. Patent 4,340,583, July 29, 1982.

The abrasive is preferably present at a level of from about 6% to about 70%, preferably from about 15% to about 25% when the dentifrice is a toothpaste. Higher levels, as high as 90%, may be used if the composition is a toothpowder.

Flavoring agents can also be added to dentifrice compositions. Suitable flavoring agents include oil of wintergreen, oil of peppermint, oil of spearmint, oil of sassafras, and oil of clove. Sweetening agents which can be used include aspartame, acesulfame, saccharin, dextrose, levulose and sodium cyclamate. Flavoring and sweetening agents are generally used in dentifrices at levels of from about 0.005% to about 2% by weight.

Dentifrice compositions can also contain emulsifying agents. Suitable emulsifying agents are those which are reasonably stable and foam throughout a wide pH range, including non-soap anionic, nonionic, cationic, zwitterionic and amphoteric organic synthetic detergents. Many of these suitable surfactants are disclosed by Gieske et al. in U.S. Patent 4,051,234, September 27, 1977.

It is common to have a water-soluble fluoride compound present in dentifrices in an amount sufficient to give a fluoride concentration of from about 0.0025% to about 5.0% by weight, preferably from about 0.005% to about 2.0% by weight, to provide additional anticaries effectiveness. Preferred fluorides are sodium fluoride, stannous fluoride, indium fluoride, and sodium monofluorophosphate. Norris et al., U.S. Patent 2,946,735, issued July 26, 1960 and Widder et al., U.S. Patent 3,678,154, issued July 18, 1972 disclose such salts as well as others.

Water is also present in the toothpastes of this invention. Water employed in the preparation of commercially suitable toothpastes should preferably be deionized and free of organic impurities. Water generally comprises from about 10% to 50%, preferably from about 20% to 40%, by weight of the toothpaste compositions herein. These amounts of water include the free water which is added plus that which is introduced with other materials such as with sorbitol.

In preparing toothpastes, it is necessary to add some thickening material to provide a desirable consistency. Preferred thickening agents are carboxyvinyl polymers, carrageenan, hydroxyethyl cellulose and water soluble salts of cellulose ethers such as sodium carboxymethyl cellulose and sodium carboxymethyl hydroxyethyl cellulose. Natural gums such as gum karaya, gum arabic, and gum tragacanth can also be used. Colloidal magnesium aluminum silicate or finely divided silica can be used as part of the thickening agent to further improve texture. Thickening agents in an amount from 0.5% to 5.0% by weight of the total composition can be used.

It is also desirable to include some humectant material in a toothpaste to keep it from hardening. Suitable humectants include glycerin, sorbitol, and other edible polyhydric alcohols at a level of from about 15% to about 70%.

Another preferred embodiment of the present invention is a mouthwash composition. Conventional mouthwash composition components can comprise the carrier for the antimicrobial of the present invention. Mouthwashes generally comprise from about 20:1 to about 2:1 of a water/ethyl alcohol solution and preferably other ingredients such as flavor, sweeteners, humectants and sudsing agents such as those mentioned above for dentifrices. The humectants, such as glycerin and sorbitol give a moist feel to the mouth. Generally, on a weight basis the mouthwashes of the invention comprise 5% to 60% (preferably 10% to 25%) ethyl alcohol, 0% to 20% (preferably 5% to 20%) of a humectant, 0% to 2% (preferably 0.01% to 0.15%) emulsifying agent, 0% to 0.5% (preferably 0.005% to 0.06%) sweetening agent such as saccharin, 0% to 0.3% (preferably 0.03% to 0.3%) flavoring agent, and the balance water. The amount of antimicrobial agent in mouthwashes is typically from about .01 to about .5% by weight.

Suitable lozenge and chewing gum components are disclosed in U.S. Patent 4,083,955, April 11, 1978 to Grabenstetter et al.

The pH of the present compositions and/or its pH in the mouth can be any pH which is safe for the mouth's hard and soft tissues. Such pH's are generally from about 3 to about 10, preferably from about 4 to about 8.

METHOD OF MANUFACTURE

The carrier compositions of the present invention can be made using methods which are common in the oral products area.

For example toothpaste compositions may be prepared by mixing part of the humectant and water together and heating to 66-71°C. The fluoride source, if present, is then added along with the sweetener, the polyacrylic acid polymer or copolymer, the opacifier and the flavor. To this mixture is added the abrasive which is mixed in well. The thickener is then slurried with

the remainder of the humectant and milled prior to being added to the other components.

The following examples further describe and demonstrate preferred embodiments within the scope of the present invention.

EXAMPLE I

The following composition is representative of the present invention.

Component	Weight %
Sorbitol (70% aqueous solution)	35.000
Water	14.771
PEG-6[1]	1.000
Silica Dental Abrasive[2]	20.000
Sodium Fluoride	0.243
Titanium dioxide	0.500
Sodium saccharin	0.286
Sodium alkyl sulfate (27.9% aqueous solution)	4.000
Flavor	1.040
Carboxyvinyl Polymer[3]	0.300
Carrageenan[4]	0.800
Polyacrylic acid[5] (34% aqueous solution)	22.060
	100.000

[1]PEG-6 - Polyethylene glycol having molecular weight of 600.

[2]Precipitated silica identified as Zeodent 119 offered by J. M. Huber.

[3]Carbopol offered by B. F. Goodrich Company.

[4]Iota Carrageenan offered by Hercules Chemical Company

[5]Polyacrylic acid polymer having a mass average molecular weight of about 4500 offered by Rohm and Haas.

EXAMPLE II

This composition is another example of the present invention.

Component	Weight %
Sorbitol as in Example I	35.000
Water	15.073
Sodium Fluoride	0.243
PEG-6	1.000
Carrageenan	0.800
Sodium saccharin	0.280
Titanium dioxide	0.500
Flavor	1.044
Silica Dental Abrasive as in Example I	20.000
Sodium alkyl sulfate as in Example I	4.000
Polyacrylic acid (34%) as in Example I	22.060
	100.000

The compositions of Example I and II are effective anticalculus products as well as cosmetically acceptable.

In the above compositions the abrasive may be replaced by other abrasives such as calcium carbonate, calcium pyrophosphate, tricalcium phosphate, dicalcium othophosphate dihydrate and hydrated alumina with similar results obtained. Similarly other thickeners such as gum arabic and carboxymethyl cellulose may be used as well as other fluoride sources such as stannous fluoride, potassium fluoride, indium fluoride, zinc fluoride and sodium monofluorophosphate. Silicas are the preferred abrasives when fluoride sources are used in the compositions. Other acrylic acid polymers or copolymers having molecular weights in the range of 3500 to 7500 may also be used in place of the 4500 molecular weight material.

EXAMPLE III

The following mouthwash composition is another composition of the present invention.

Component	Weight %
SDA 40 Alcohol	8.00
Flavor	0.08
Emulsifier	0.08
Sodium Fluoride	0.05
Glycerin	10.00
Sweetener	0.02
Benzoic acid	0.05
Sodium hydroxide	0.20
Dye	0.04
Water	66.78
Polyacrylic acid (34%) as in Example I	14.70
	100.00

EXAMPLE IV

The following is a lozenge composition of the present invention.

Component	Weight %
Sorbitol	17.5
Mannitol	17.5
Starch	13.6
Sweetener	1.2
Flavor	11.7
Color	0.1
Polyacrylic acid (34%) as in Example I	12.8
Corn syrup	balance

EXAMPLE V

The following is a chewing gum composition of the present invention.

Component	Weight %
Sorbitol crystals	38.44
Paloja-T gum base[1]	20.00
Sorbitol (70% Aqueous solution)	20.00
Mannitol	10.00
Glycerin	7.56
Flavor	1.00
Polyacrylic acid as in Example I	3.00
	100.00

[1]Supplied by L. A. Dreyfus Company

The compositions of Examples III, IV and V are also effective anticalculus products and are cosmetically acceptable.

* C L M S *

CLAIMS

1. An oral composition effective in reducing calculus comprising:
   (a) a safe and effective amount of an anticalculus agent selected from polyacrylic acid polymers, copolymers of polyacrylic acid and another monomer and mixtures thereof; and
   (b) a pharmaceutically acceptable carrier
   wherein said anticalculus agent has a mass average molecular weight of from 3500 to 7500.

2. An oral composition according to Claim 1 wherein the amount of anticalculus agent is from 2.5% to 20%.

3. An oral composition according to Claim 1 or 2 wherein the molecular weight of the anticalculus agent is from 4000 to 5500.

4. An oral composition according to any of Claims 1 to 3 wherein the copolymer comprises acrylic acid and a monomer selected from methacrylic acid, 2-hydroxypropyl acrylate, 3-hydroxypropyl acrylate, 3-hydroxypropyl methacrylate, acrylamide and mixtures thereof.

5. An oral composition according to any of Claims 1 to 4 in the form of a toothpaste.

6. An oral composition according to Claim 5 which contains from 3% to 10% of the anticalculus agent.

7. An oral composition according to Claim 6 wherein the anticalculus agent is a polyacrylic acid polymer having a molecular weight of from 4300 to 5200.

8. An oral composition according to any of Claims 5 to 7 which contains a silica dental abrasive.

* C L M S *

9. An oral composition according to any of Claims 5 to 8 which in addition contains a soluble fluoride source.

10. An oral composition according to any of Claims 1 to 4 in the form of a mouthwash.

11. An oral composition according to Claim 10 wherein the amount of anticalculus agent is from 2.5% to 20%.

12. An oral composition according to Claim 10 or 11 wherein the anticalculus agent is a polyacrylic acid polymer.

13. An oral composition according to any of Claims 1 to 4 which is in the form of a lozenge or a chewing gum.