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Toothpaste Composition Comprising Tripolyphosphate Salt

The present invention relates to oral hygiene compositions, in particular compositions comprising a tripolyphosphate salt, which compositions are useful in the inhibition of dental calculus.

Several proposals for oral hygiene compositions comprising a tripolyphosphate salt as an anti-calculus agent have been made. For instance, EP-A-0 236 290 (to Monsanto) discloses dentifrices comprising inter alia a tripolyphosphate salt in combination with an abrasive which is calcium pyrophosphate, the pH of which is preferably less than 8. EP-A-0 295 116 (to Unilever) discloses anti-calculus compositions comprising a combination of a tripolyphosphate salt and zinc citrate as the anti-calculus agent whilst EP-A-0 254 452 (to Lion Corporation) discloses anti-calculus compositions comprising a combination of a tripolyphosphate salt and anethol as the anti-calculus agent. Each of the two latter applications describes an example comprising a silica abrasive, with sodium tripolyphosphate included at 5% and 1.5% by weight of the composition, respectively. In neither case is any teaching provided with respect to the pH of the composition.

US 4 627 977 (to Colgate-Palmolive) discloses anti-calculus compositions comprising a linear molecularly dehydrated polyphosphate salt such as a tripolyphosphate in which the otherwise observed enzymatic hydrolysis of the anti-calculus agent by

phosphatase enzymes present in saliva is reduced by including a mixture of a source of fluoride ions and a synthetic anionic linear polymeric carboxylate. The preferred pH of such compositions is in the rante 5.5 to 8. The patent includes an example comprising sodium tripolyphosphate at 5% by weight of the composition.

In addition, GB-A-2 200 551 (to Colgate-Palmolive) discloses compositions having anti-calculus and anti-plaque activity, the former being provided by a linear molecularly dehydrated polyphosphate salt which is preferably a pyrophosphate salt, and the latter being provided by a non-cationic anti-bacterial agent such as a hydroxydiphenylether, and preferably triclosan. The preferred pH for such compositions is in the range 5.5 to 8. No examples comprising a tripolyphosphate are provided.

In spite of the various proposals referred to above, as far as we are aware, no anti-calculus dentifrice comprising a tripolyphosphate salt such as sodium tripolyphosphate has yet been marketed. One particular problem to be overcome in developing a commercially viable composition is that of the storage stability of the composition.

This problem is addressed in EP-A-0 236 290. This application provides storage stability data on two toothpastes comprising a calcium polyphosphate abrasive and sodium tripolyphosphate at 5% by weight of the composition, but which differ in having pHs of 8.5 and 7.1. Under accelerated aging conditions, both toothpastes suffer a similar loss of about one third in the amount of sodium tripolyphosphate present,

suggesting that a higher pH per se is not a critical factor. This loss is considered to be unsatisfactory for a commercial product. JP-A-50161/88 (to Lion Corporation) suggests that storage stability may be improved by selecting as the abrasive certain silicas characterised by their surface area. There is however no discussion of the influence of pH or the amount of tripolyphosphate salt on storage stability. No storage stability data is provided in the other patent applications or patents referred to above.

It has now been found that the problem of storage stability may be remedied by providing a relatively large amount of the tripolyphosphate salt at a high pH, contrary to the teachings of the prior art.

Accordingly, in a first aspect, the present invention provides a toothpaste composition which comprises: an anti-calculus agent comprising at least about 4% by weight, based on the total weight of the toothpaste, of a water-soluble alkali metal tripolyphosphate salt; a dental abrasive other than calcium pyrophosphate; and an orally acceptable vehicle; the toothpaste having a pH of from 8 to 10.

Such a toothpaste is found to have sufficient storage stability for a commercially viable product.

The oral hygiene composition may be presented in any of the conventional formulations such as a dentifrice, including toothpaste, a mouthwash or a formulation that is chewed or sucked by the user such as a lozenge or a chewing gum. Suitably the oral hygiene composition is in the form of a toothpaste composition which comprises an abrasive.

It will be appreciated that the alkali metal tripolyphosphate salt is included in compositions of the invention as an anti-calculus agent. In some instances, the anti-calculus agent may consist essentially of the water-soluble alkali metal tripolyphosphate salt.

Suitable water-soluble alkali metal salts include sodium or potassium tripolyphosphate which may be used in the hydrated or unhydrated forms. Preferably the tripolyphosphate salt is present in at least 4%, more preferably from about 4 to about 6% by weight, based on the total weight of the composition.

Preferably the pH of the composition is from 8 to 10, more preferably from 8 to 9. When the composition comprises a compound of formula (I), a pH of above 8 is found to enhance the availability of the compound of formula (I). All references to the pH of the composition herein are references to the pH of the composition measured without dilution of the composition.

Suitable abrasives for use in the present invention include silica, plastics particles, alumina, calciuim carbonate, and zinc orthophosphate, and if a noncationic antibacterial agent as hereinbefore defined is present, calcium pyrophosphate. Silica is especially preferred.

Silica abrasives are well known and commercially available, generally having an average particle size ranging between about 0.1 to about 30 microns, such as from about 5 to about 15 microns. Silica dental abrasives useful in the present invention include those marketed by the J.M. Huber Corporation under the trade name 'Zeodent' and the silica zerogels marketed by the W.R. Grace and Company, Davison Chemical Division under the trade name 'Syloid'. US 3 358 230 and US 3 862 307 describe silica dental abrasives that are useful in the toothpaste compositions according to the present invention. The silica abrasive may also be a naturally occurring amorphous silica such as diatomaceous earth. Suitable forms of diatomaceous earth are those marketed under the trade mark 'Celite' by Johns - Manville Products Corporation, for instance 'Celite Superfine Superfloss'.

Plastics dental abrasives are well known and are described in, for example, GB 939 230, GB 995 351 and GB 1 055 784, and US 3 151 027.

Alumina abrasives are well known and commercially available. Preferably the alumina abrasive may be treated with a solution of a surface-treating agent which may be an alkali metal silicate, hydrogen peroxide, an acid or an organophosphorus compound, of which an alkali metal silicate is especially preferred, as described in US 4 781 982 (to Aluminium Company of America).

A calcium carbonate abrasive is preferably used in conjunction with an

ionic agent to suppress the formation of free calcium ions, such as an alkali metal carbonate or bicarbonate, or mixture thereof, as described in EP 0 092 929 (to Beecham Group p.l.c.).

Generally, an amount of the dental abrasive suitable for use in the toothpaste composition of the present invention will be empirically determined to provide an acceptable level of cleaning and polishing, in accordance with the techniques well known in the art. Suitably, the abrasive will be present in from about 5 to about 60%, preferably from about 5 to about 30%, by weight of the toothpaste.

Advantageously, compositions of the present invention may further comprise a phosphatase enzyme inhibitor comprising a fluoride ion source, to optimise the anti-calculus activity of the compositions by inhibiting the enzymatic hydrolysis of the tripolyphosphate salt by salivary phosphatase enzymes. The fluoride ion source may be provided by an alkali metal fluoride, preferably sodium fluoride, an alkali metal monofluorophosphate, stannous fluoride and the like. Preferably, however, the fluoride ion source is an alkali metal fluoride, most preferably sodium fluoride, since this appears to provide enhanced storage stability as compared to other fluoride ion sources. The fluoride ion source serves as a phosphatase enzyme inhibitor, and in addition, the fluoride ion source may also provide an anti-caries effect. Preferably, the fluoride ion source will be used in an amount to provide an anti-caries effective amount and a phosphatase enzyme inhibiting amount, such as an amount sufficient to provide from about 25 ppm to about 3500 ppm, preferably about 1100 ppm, fluoride.
It will be appreciated that the fluoride ion source may be included in compositions of the invention to provide a phosphatase enzyme inhibitor. In some instances, the phosphatase enzyme inhibitor may consist essentially of a fluoride ion source.

Suitably, in compositions of the present invention, the orally acceptable vehicle may comprise a thickening agent, a binding agent and a humectant. Preferred thickening and binding agents include for example natural and synthetic gums such as xanthan gums, carageens, alginates, cellulose ethers and esters and silica. When the abrasive is silica, it is preferred to use a thickening silica as the thickening agent. Preferred

humectants include glycerin, sorbitol, propylene glycol and polyethylene glycol. A preferred humectant system consists of glycerin, sorbitol and polyethylene glycol.

In addition, the orally acceptable vehicle may optionally comprise surfactants, sweetening agents, flavouring agents, anticaries agents (in addition to the fluoride ion source provided as a phosphatase enzyme inhibitor), anti-plaque agents, anti-bacterial agents such as triclosan or cetyl pyridinium chloride, tooth desensitizing agents, colouring agents and pigments. Useful surfactants include the water-soluble salts of alkyl sulphates having from 10 to 18 carbon atoms in the alkyl moiety, such as sodium lauryl sulphate, but other anionic surfactants as well as non-ionic, zwitter-ionic, cationic and amphoteric surfactants may also be used.

When the preferred aqueous orally acceptable dental vehicle is employed, a toothpaste composition of the present invention suitably contains from about 10 to about 80% humectant, from about 0.25 to about 5% detergent, from 0 to about 2% sweeteners and flavouring agents together with water and an effective amount of binding and thickening agents, such as from about 0.1% to about 12%, to provide the toothpaste of the invention with the desired stability and flow characteristics.

Toothpaste compositions according to the present invention may be prepared by admixing according to conventional practice the tripolyphosphate salt, the dental abrasive and, if included, the fluoride ion source with the orally acceptable dental vehicle, which may be anhydrous but is preferably an aqueous orally acceptable dental vehicle, to form a storage stable semi-solid extrudable material useful as a toothpaste The pH thereof may be adjusted if necessary and desired, by the addition of, for instance sodium hydroxide. Preferably, the tripolyphosphate salt is in powder form when incorporated into the vehicle, as this tends to enhance the stability of the resulting toothpaste.

Toothpaste compositions of the present invention may also be prepared in the form of a paste of a uniform colour or in the form of a striped toothpaste. A suitable aparatus for filling toothpaste tubes with striped toothpaste is described in GB 962 757. In accordance with this patent, toothpastes of different colours are fed through separate tubes of a bundle

of tubes that is inserted into a toothpaste container and gradually moved relative to the container as the container is filled.

In a further aspect, the present invention provides for the use of a composition as hereinbefore defined for inhibiting dental calculus.

The invention will now be illustrated by the following examples:

Example 1

A toothpaste was prepared from the following ingredients, sodium tripolyposphate being in powder form when combined with the other ingredients:

	% w/w
Polyethylene glycol (PEG-8)	3.00
Xanthan Gum	0.70
D + C Red No. 30 Lake	0.02
FD + C Blue No. 1 (0.2%)	0.17
D + C Yellow No. 10 (0.20%)	0.24
Sodium Fluoride	0.24
Sorbitol (70%)	29.61
Sodium Saccharin	0.21
Thickening Silica	8.00
Abrasive Silica	14.00
Titanium Dioxide	0.96
Glycerine 99%	10.00
Sodium Tripolyphosphate (Food Grade)*	5.00
Sodium Hydroxide (25%)	1.80
Flavour	0.80
Sodium Lauryl Sulphate	1.15
Deionized Water to	100.00

* Food grade has a nominal purity of 92%.
Initial pH 8.4

Example 2

Toothpastes A (as per Example 1), B, C, and D were prepared using a silica dental abrasive, sodium tripolyphosphate (STP), and sodium fluoride in an amount to provide 1100 ppm fluoride, in an aqueous orally acceptable vehicle. The amounts of STP and the pH of the toothpastes were varied as shown in the Table below:

Table

Tooth-paste	pH*	STP %	% STP As % Of Initial STP After			
			1 year	2 year	3 year	5 year
A	9	4.3	98	96	94	91
B	7	4.3	96	93	89	85
C	9	3.0	90	80	69	59
D	7	2.8	85	70	55	39

*The pH's reported are nominal values.

The data presented in the Table were derived from storage stability tests on actual samples of the four toothpastes, with the storage stabilty data regressed to provide predicted stability over four years of storage at room temperature with a confidence level of 95%.

As can be seen from the table, toothpaste A which contains a large amount of STP and has a high pH is the most stable of the four toothpastes.

In comparison, either a large amount of STP (B) alone or a high pH (C) alone provides inferior storage stability.

Unexpectedly, the use of a large amount of STP and a high pH in combination with a silica dental abrasive and a fluoride ion source provides sufficient storage stability for a commercial toothpaste.

* C L M S *

Claims

1. A toothpaste composition which comprises: an anti-calculus agent comprising at least about 4% by weight, based on the total weight of the toothpaste, of a water-soluble alkali metal tripolyphosphate salt; a dental abrasive other than calcium pyrophosphate; and an orally acceptable vehicle; the toothpaste having a pH of from 8 to 10.

2. A composition as claimed in claim 1 in which the abrasive is selected from silica, plastics particles, alumina, calcium carbonate or zinc orthophosphate.

3. A composition as claimed in claim 1or 2 in which the abrasive is present in from about 5 to about 60% by weight of the toothpaste.

4. A composition as claimed in any one of claims 1 to 3 in which the abrasive is silica and the orally acceptable vehicle comprises a thickening silica.

5. A composition as claimed in any one of claims 1 to 4 in which the anti-calculus agent consists essentially of the water-soluble alkali metal tripolyphosphate salt.

6. A composition as claimed in any one of claims 1 to 5 in which the alkali metal tripolyphosphate salt is sodium or potassium tripolyphosphate.

7. A composition as claimed in any one of claims 1 to 6 in which the alkali metal tripolyphosphate salt is present in from about 4 to about 6% by weight of the composition.

8. A composition as claimed in any one of claims 1 to 7 in which the pH of the composition is from 8 to 9.

9. A composition as claimed in any one of claims 1 to 8 further having a phosphatase enzyme inhibitor comprising a fluoride ion source.

10. A composition as claimed in claim 9 in which the phosphatase

enzyme inhibitor consists essentially of a fluoride ion source.

11. A composition as claimed in claim 9 or claim 10 in which the the fluoride ion source is an alkali metal fluoride.

12. A composition as claimed in claim 11 in which the alkali metal fluoride is sodium fluoride.

13. A composition as claimed in any one of claims 9 to 12 in which the fluoride ion source provides from about 25 ppm to about 3500 ppm of fluoride.

14. A composition as claimed in any preceding claim in which the orally acceptable vehicle comprises a humectant system which consists of glycerin, sorbitol and polyethylene glycol.

15. A composition as defined in any preceding claim in the form of a striped toothpaste.

16. A toothpaste composition as defined in any one of the preceding claims for use in therapy.

17. A process for the preparation of a composition as defined in any one of claims 1 to 15 which process comprises admixing the ingredients in the appropriate quantities and if necessary or desired, adjusting the pH.

18. A composition substantially as hereinbefore described with reference to Example 1.