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(54) Title: ORAL CARE COMPOSITION COMPRISING PHYTATES

(57) Abstract: Disclosed is an oral care composition comprising: (i) an organic polyphosphate or a water-soluble salt thereof, said polyphosphate or said salt having average chain length of at least 4; (ii) an inorganic polyphosphate or a water-soluble salt thereof, other than amonofluorophosphate or a tripolyphosphate, having average chain length of at least 4; where weight ratio of the organic phosphate to inorganic phosphate is 1:10 to 1:0.05,wherein water activity of said composition is not greater than 0.82, wherein said inorganic polyphosphate is sodium hexametaphosphate and said composition is a toothpaste.

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ORAL CARE COMPOSITION COMPRISING PHYTATES

Field of the Invention

The present invention relates to oral care compositions to whiten the teeth by removing stains.

Background of the Invention

Colour of our teeth is influenced by their intrinsic colour and the presence of any extrinsic stains thereon. Stains are a result of adsorption of materials into the pellicle on the surface of enamel. Factors responsible for it include improper brushing techniques, smoking, consumption of coloured foods (e.g., red wine), age and the use of certain cationic agents like chlorhexidine or salts of tin and iron. The chromogens in beverages are responsible for causing dental stains and they include tannins composed of polyphenols such as catechins. These materials generate color due to the presence of conjugated double bonds and are believed to interact with the tooth surface via an ion exchange mechanism. People have strong desire for whiter teeth. This desire has given rise to a growing trend of whitening products which range from toothpastes to mouthwashes and chewing gums.

Traditional tooth whitening methods involve bleaching or removal of stains using abrasives.

Toothpastes meant for whitening rely on an optimization of abrasive and chemical components for removal of stains. During brushing, these abrasive particles get temporarily trapped between the toothbrush and the stained surface (of teeth) to abrade away the stains. Chemical ingredients may also be used, usually in conjunction with abrasive particles, and these include calcium chelators, polymers, surfactants, enzymes and oxidising agents. A variety of stain-preventing oral care compositions are known. Likewise, are known, a variety of compositions that reduce the tendency of the teeth to get stained. Peroxides can bleach teeth, remove stains, and kill cariogenic bacteria. However, peroxides are highly reactive with common ingredients found in oral care compositions. Moreover, hydrogen peroxide can spontaneously decompose to form oxygen gas and water, so that on storage, the composition containers may bloat or leak. Consequently, the remainder of the formulation will have lower whitening and cleaning efficacy.

Generally, an oral care composition, such as toothpaste, is considered efficacious if it dislodges or removes stains every single time it is used.

WO2020/135952 A1 (Unilever) discloses an oral care composition of pH 6.0 and 9.0. comprising hexametaphosphate and Pluronic® type of polymers. The weight ratio of the polymer to hexametaphosphate is from 1:4 to 4:1.

WO2021063581 A1 (Unilever) discloses an oral care spray composition comprising a Pluronic® polymer and hexametaphosphate where weight ratio of the polymer to hexametaphosphate is from 1:5 to 5:1.

US20160331652 A1 (Lotte) discloses a stain-removing chewing gum containing 0.33% by weight to 2.0% by weight of sodium metaphosphate.

WO07111616 A1 (P&G) discloses oral care compositions containing a bleaching agent and polyphosphorylated compounds having at least 3 phosphate groups such as linear condensed polyphosphate polymers and phytate, for enhanced whitening and stain prevention of teeth.

US 2020/0046621 A1 (Kao) discloses an oral composition which selectively removes deposited stains present in the interprismatic space on the tooth enamel surface layer and prevents new stains from adhering and depositing thereon. The oral composition comprises phytic acid or a salt thereof and tripolyphosphoric acid and a specific polyvalent metal or a salt thereof in a molar amount of less than 0.1 times that of phytic acid and has a pH of 5.5 to 6.5.

US2015289961 A1 (Kao) discloses an adhesive sheet for teeth comprising a layer (A) that has a pH of 6.6 to 10.5 and a layer (B) that comprises phytic acid or hexamethaphosphoric acid, an organic acid having a pKa of from 4.5 to 7.0. The pH of layer B is from 3.5 to 6.5.

EP2741732 A1 (P&G, 2014)) discloses an oral care composition comprising a stannous ion source a zinc ion source, a phytic acid or a phytic acid salt; characterised in that the phytic acid or phytic acid salt has an average IPn of greater than 5.2. Stains and astringency, typically associated with toothpastes, are avoided.

US2010086498 A1 (P&G) discloses toothpastes that include a phytic acid and an inorganic phosphate other than HMP.

US2007/122358 A1 (P&G) discloses toothpastes that contain phytic acid and TSPP.

CN109223642 A (Cai Yinzhong) discloses a mouthwash that contains phytic acid and HMP.

CN106821902 A (LI DAXING) discloses a traditional Chinese medicine toothpaste containing traditional Chinese medicine liquid, 0.5 to 1 wt% sodium phytate, 0.3 to 0.5 wt% sodium hexametaphosphate and 2 to 4 wt% silica.

However, there is need for efficacious composition that is not only effective each time it is used but also has a longer-term efficacy, i.e., the ones which not only provide stain release immediately on use but continue to provide stain repellency or anti-stain benefits.

Summary of the Invention

We have surprisingly determined that at least some of the problems can be solved by way of the present invention.

Disclosed is an oral care composition comprising:

(i) an organic polyphosphate or a water-soluble salt thereof, said polyphosphate or said salt having average chain length of at least 4;

(ii) an inorganic polyphosphate or a water-soluble salt thereof, other than a monofluorophosphate or a tripolyphosphate, having average chain length of at least 4; where weight ratio of the organic phosphate to inorganic phosphate is 1:10 to 1:0.05, wherein water activity of said composition is not greater than 0.82, wherein said inorganic polyphosphate is sodium hexametaphosphate and said composition is a toothpaste.

In the context of the invention, the "water activity" (a) of the composition is defined as a=p/p o where p is the measured partial pressure of the solution and po is the partial pressure of distilled deionised water. Unless stated otherwise, all water activities are quoted are at ambient temperature. Further references to water activity (or relative humidity, where relative humidity (RH) = 100 a) can be found in Morris, C. and Leech, R., "Natural and Physical Preservative Systems", Curry, J. "Water Activities and Preservatives", Cosmet. Toilet. 100, 53-55, and Christian, J.H.B., "Reduced Water Activity". In:Silliker, J.H. (ed) "Microbial ecology of Foods", vol. 1, Academic Press, New York, pp170-192.

Compositions according to the invention have a water activity of not greater than 0.82, preferably less than 0.7 to 0.81, more preferably less than 0.74 to 0.80.

Yield stress is useful a rheological indicator of consumer perception if the toothpaste appears to be too runny and may be perceived to be less effective with inappropriate sensory. On application of a stress the toothpaste sample behaves as an elastic solid at lower values resisting the flow. Further on reaching a critical value defined as yield stress, the sample starts to flow and the viscosity falls rapidly. Yield stress has been measured by stress sweep measurements using an Anton Paar rheometer with a cone and plate geometry. All measurements were made at 25°C.

It is preferred that yield stress of the composition of the invention is from 250 to 500 Pa.

Detailed Description of the Invention

These and other aspects, features and advantages will become apparent to those of ordinary skill in the art from a reading of the following detailed description and the appended claims. For the avoidance of doubt, any feature of one aspect of the present invention may be utilised in any other aspect of the invention. The word "comprising" is intended to mean "including" but not necessarily "consisting of" or "composed of." In other words, the listed steps or options need not be exhaustive. It is noted that the examples given in the description below are intended to clarify the invention and are not intended to limit the invention to those examples per se. Similarly, all percentages are weight/weight percentages unless otherwise indicated. Except in the operating and comparative examples, or where otherwise explicitly indicated, all numbers in this description and claims indicating amounts of material or conditions of reaction, physical properties of materials and/or use are to be understood as modified by the word "about". Numerical ranges expressed in the format "from x to y" are understood to include x and y. When for a specific feature multiple preferred ranges are described in the format "from x to y", it is understood that all ranges combining the different endpoints are also contemplated.

"Oral care composition" refers to a composition for which the intended use can include oral care, oral hygiene, or oral appearance, or for which, the intended method of use can comprise administration to the oral cavity. In some embodiments, an oral care composition is not intentionally swallowed, but is rather retained in the oral cavity for a time sufficient to affect the intended utility. The oral care compositions as disclosed herein may be used in nonhuman mammals such as companion animals (e.g., dogs and cats), as well as by humans. In some embodiments, the oral care compositions as disclosed herein are used by humans.

pH profile

The oral care composition of the invention provides a pH of a solution of 1 wt.% of the oral care composition in water as measured at 25°C of from 6.0 to 10.0, preferably from 6.5 to 9.5, more preferably 6.5 to 9.0, even more preferably from 7.0 to 8.0.

Organic polyphosphate

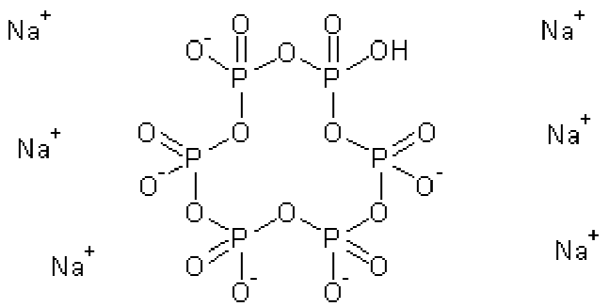
Oral care compositions of the invention comprise an organic polyphosphate or a water-soluble salt thereof, said polyphosphate or said salt having average chain length of at least 4. It is preferred that the composition comprises 0.01 to 5 wt% organic polyphosphate, more preferably 0.05 to 4 wt%, further preferably 0.15 to 3 wt% and most preferably 0.15 to 2 wt%. It is preferred that the organic polyphosphate is phytic acid. In this case, it is in the acid form. Phytic acid, also known as myo-inositol hexaphosphate, or inositol hexaphosphoric acid, is a biodegradable chelating agent in liquid form with chelating performance comparable to that of EDTA. Herein, the term "phytate" includes phytic acid and its salts as well as the other polyphosphorylated inositol compounds.

When the organic polyphosphate is in the form of water-soluble salt, it preferably is an alkali metal salt or an alkaline earth metal salt. Preferably the salt is at least one of sodium phytate, potassium phytate, magnesium phytate, calcium phytate, stannous phytate, zinc phytate, copper phytate or ferrum phytate.

Inorganic polyphosphate

Oral care compositions of the invention comprise an inorganic polyphosphate or a water-soluble salt thereof, having average chain length of at least 4. It is preferred that the composition comprises 0.1 to 5 wt% inorganic polyphosphate, more preferably 0.5 to 4 wt%, further preferably 0.5 to 3 wt% and most preferably 0.5 to 2 wt%.

The inorganic phosphate is sodium hexametaphosphate. It has the structure:



The inorganic polyphosphate or a water-soluble salt thereof is other than a monofluorophosphate or a tripolyphosphate.

The weight ratio of the organic phosphate to inorganic phosphate is 1:10 to 1:0.05, more preferably 1:7 to 1:0.1.

Further ingredients

The oral care composition of the invention preferably comprises one or more of the ingredients discussed hereinafter. The exact ingredients and the dosage (wt%) would depend on the nature and type of the oral care composition. For example, a toothpaste invariably comprises an abrasive. The oral care composition is a toothpaste.

As the composition is a toothpaste, it preferably comprises 20 to 60 wt% of one or more humectants.

Humectants are generally included in toothpastes for a soft a supple mouth feel. Humectants also reduce the tendency of toothpastes to lose moisture. It is preferred that the humectant is at least one of glycerine, sorbitol, maltitol and xylitol. Sorbitol is available as a 70% aqueous solution. Preferably the compositions comprise glycerine and sorbitol for a lubricated mouth feel, but their cumulative levels do not exceed the disclosed upper limit. Lower humectant content provides an effective way to reduce the cost of the product.

When the composition of the invention is a toothpaste, it preferably comprises an abrasive. The primary function of cleaning agents or abrasives is to provide the necessary cleaning and stain removal to the toothpaste formulation. Typically, cleaning is directly correlated to the abrasivity of silica on tooth dentin and measured by RDA. In other words, the higher the RDA, the higher the abrasivity. Even though this is typically true, some of our cleaning grades can provide high cleaning efficiency at reduced level of abrasion when compared with competitive cleaning grades.

In one aspect the abrasive is silica. Such toothpastes are usually transparent or translucent gels. The term gel indicates physical appearance of the compositions. The gel compositions are transparent to light, i.e., they allow visible light to pass through. Clear gel toothpastes are popular with consumers. When the oral care composition of the invention is a toothpaste in the form of a gel, it is preferred that the compositions do not comprise more than 5 wt%, preferably

more than 2 wt% and more preferably more than 1 wt% calcium-based abrasive. That might affect the transparent nature of the compositions.

On the other hand, there are some other types of dentifrice compositions that are not gels but are opaque. That is because such compositions usually contain opacifying ingredients such as chalk or other calcium-based abrasives.

Preferably the composition comprises 5 to 20 wt% silica. Further preferably the composition the silica consists of medium- and high-abrasive silica, where medium-abrasive silica is in majority. Their relative proportions may vary. Preferably the abrasive silica is low refractive index silica with an apparent refractive index in the range of 1.41 to 1.47, preferably 1.435 to 1.445, preferably having a weight mean particle size of between 5 and 15 μm, a BET (nitrogen) surface area of between 10 and 100 m^2/g and an oil absorption of about 70 to 150 $cm^3/100$ g. Examples of suitable low refractive index abrasive silicas having an R.I. of between 1.435 and 1.445 are Tixosil 63 and 73 ex Rhone Poulenc; Sident 10 ex Degussa; Zeodent 113 ex Zeofinn; Sorbosil AC 77 ex Ineos having an R.I. of approximately 1.440. Other examples include the Sylodent® and Syloblanc® range of abrasive silicas from Grace.

Further preferably the oral care compositions comprise 2 to 15 wt% thickening silica. The primary function of thickening silica is to build viscosity, without increasing the level of abrasion (RDA) in the overall formulation. Also, they can be used as texturing agents in formulations that use alternative abrasives other than silica. Our grades of thickening silicas can be categorized by their physical characteristics. These physical characteristics have effect on the rheological properties of the formulation. For example, and perhaps more relevantly, the higher the oil absorption of the thickener, the higher the viscosity imparted to the formulation. In addition to having a substantial impact on the viscosity of the toothpaste system, the thickening silica also plays a role in the mouthfeel of the paste. If the level of the cleaning agent in the system is low, than the formulator may wish to use a less efficient thickener to achieve an overall solids level consistent with the desired mouthfeel. In general, the paste formulation should include at least 15% up to 30% total silica concentration. The split of this percentage between thickener and cleaning agent depends on the choice of silicas, desired cleaning level, etc.

This is especially the case when the compositions comprise silica as the abrasive. A wide variety of thickening silicas are commercially available to choose from. When present, preferred thickening silicas include AEROSIL® T series from Degussa or the CAB-O-SIL® series from Cabot Corporation, silica gels such as the SYLODENT® or SYLOX® series from W. R. Grace &

Co or precipitated silica such as ZEOTHIX® 265 from J. M. Huber Corporation. Useful silica thickeners also include ZEODENT® 165, ZEODENT® 163 and/or 167 and ZEOFREE® 153, 177, and/or 265 silicas, all available from J. M. Huber Corporation. Other preferred thickening silicas include MFIL®, MFIL®-P (From Madhu Silica), SIDENT® 22 S and AEROSIL® 200 (Ex. Evonik Industries), SYLODENT® and PERKASIL® thickening silicas from WR Grace & Company and Tixosil® 43 and 331 from Rhodia, synthetic finely divided pyrogenic silica such as those sold under the trademarks SYLOID® 244, SYLOID® 266 and AEROSIL® D-200.

Alternatively, the oral care compositions of the invention comprise calcium -based abrasive. It is particularly preferred abrasive is fine ground natural chalk (FGNC). It is obtained from limestone or marble. FGNC may also be modified chemically or physically by coating during or after milling by heat treatment. Typical coating materials include magnesium stearate and oleate. The morphology of FGNC may also be modified during the milling process by using different milling techniques, for example, ball milling, air-classifier milling or spiral jet milling. FGNC can be used as the sole calcium containing abrasive. However, FGNC can also be used with other calcium containing abrasives to balance the abrasion.

Other preferred calcium-based abrasives include dicalcium phosphate (DCP), calcium pyrophosphate and precipitated calcium carbonate (PCC). When a combination of Calcium-based abrasives is used, it is preferred that FGNC is 35 to 100 %, more preferably 75 to 100 % and especially from 95 to 100 % of the total abrasive. In such cases, the balance, most preferably, is PCC.

Other abrasives can also be used depending upon the intended degree of abrasion and the composition of the paste. These include synthetic abrasive polishing agents such as amorphous precipitated silica and silica gels. Other abrasives include magnesium carbonate, sodium metaphosphate, potassium metaphosphate, zirconium silicate, potassium metaphosphate, magnesium orthophosphate, tricalcium phosphate, magnesium orthophosphate, trimagnesium phosphate, aluminum silicate, zirconium silicate and perlite.

Surfactants

Oral care compositions, especially toothpastes generally contain a surfactant, also commonly referred to as sudsing agent. Suitable surfactants are those which are reasonably stable and provide foam throughout a wider pH range. It is preferred that compositions comprise an anionic surfactant.

Anionic surfactants useful herein include the water-soluble salts of alkyl sulfates having from 8 to 20 carbon atoms in the alkyl radical (e.g., sodium alkyl sulfate) and the water-soluble salts of sulfonated monoglycerides of fatty acids having from 8 to 20 carbon atoms. Sodium lauryl sulfate and sodium coconut monoglyceride sulfonates are examples of anionic surfactants of this type.

Preferably the oral care compositions comprise 0.25 to 12 wt%, more preferably from 0.5 to 8 wt%, and most preferably from 1 to about 6 wt% anionic surfactants.

Some anionic surfactants, in particular, sodium lauryl sulphate itself have antibacterial effect. Such action provides some degree of instant antibacterial effect. However, this effect is generally very short-lived. Other surfactants like nonionic, amphoteric or zwitterionic surfactants may also be included.

Nonionic surfactants can be broadly defined as compounds produced by the condensation of alkylene oxide groups (hydrophilic in nature) with an organic hydrophobic compound which may be aliphatic or alkyl- aromatic in nature.

Examples of suitable nonionic surfactants include poloxamers (sold under trade name PLURONIC®), polyoxyethylene, polyoxyethylene sorbitan esters (sold under trade name TWEENS®), POLYOXYL® 40 hydrogenated castor oil, fatty alcohol ethoxylates, polyethylene oxide condensates of alkyl phenols, products derived from the condensation of ethylene oxide with the reaction product of propylene oxide and ethylene diamine, ethylene oxide condensates of aliphatic alcohols, long chain tertiary amine oxides, long chain tertiary phosphine oxides, long chain dialkyl sulfoxides, and mixtures of such materials.

Further preferably the oral care compositions of the invention comprises a thickening system which comprises thickening silica and at least one of carboxymethyl cellulose, xanthan gum or guar gum. Other binders and thickeners such as sodium carboxymethylcellulose, xanthan gum, gum arabic may also be included, as well as synthetic polymers such as polyacrylates and carboxyvinyl polymers such as Carbopol®. It is preferred that dentifrice compositions of the invention comprise a thickening system which comprises thickening silica and at least one of carboxymethyl cellulose, xanthan gum or guar gum.

Preferred oral care compositions comprise a binder, which lends a good structure to the paste. Cellulosic binders are especially preferred. Preferred cellulosic binders include cellulose ethers,

which include hydroxyethyl cellulose (HEC), hydroxypropyl cellulose (HPC), ethylhydroxyethyl cellulose (EHEC), carboxymethyl cellulose (CMC), carboxymethylhydroxyethyl cellulose (CMHEC), hydroxypropylhydroxyethyl cellulose (HPHEC), methyl cellulose (MC), methylhydroxypropyl cellulose (MHPC), methylhydroxyethyl cellulose (MHEC), carboxymethylmethyl cellulose (CMMC), hydrophobically modified carboxymethyl cellulose (HMCMC), hydrophobically modified hydroxyethyl cellulose (HMHEC), hydrophobically modified hydroxypropyl cellulose (HMHPC), hydrophobically modified ethylhydroxyethyl cellulose (HMEHEC), hydrophobically modified carboxymethylhydroxyethyl cellulose (HMCMHEC), hydrophobically modified hydroxypropylhydroxyethyl cellulose (HMHPHEC), hydrophobically modified methyl cellulose (HMMC), hydrophobically modified methylhydroxypropyl cellulose (HMMHPC), hydrophobically modified methylhydroxyethyl cellulose (HMMHEC), and hydrophobically modified carboxymethylmethyl cellulose (HMCMMC).

Other cellulosic binders include cationic hydroxyethyl cellulose (cationic HEC), cationic hydrophobically modified hydroxyethyl cellulose (cationic HMHEC) and microcrystalline cellulose.

A highly preferred binder is Sodium carboxymethyl cellulose (SCMC). Particularly preferred sodium carboxymethyl celluloses include those with degree of substitution of from 0.6 to 0.99, preferably from 0.7 to 0.95.

Preferred toothpaste compositions may also include one or more other thickening agents such as carboxyvinyl polymers which include carbomers which are commercially available from B. F. Goodrich as the CARBOPOL® series, including CARBOPOL® 934, 940, 941 and 956.

Other preferred grades include acrylates/C_{10-30} alkyl acrylate cross polymers which are commercially available as ULTREZ® 21, PEMULEN® TR-1, and PEMULEN® TR-2, from Noveon Corporation. Preferred compositions can include 0.05 to 10 wt%, more preferably 0.1 to 5 wt%, and even more preferably 0.25 to about 4 wt% of other thickening agents.

The oral care compositions of the invention may contain optional further ingredients such as cosmetically acceptable carriers like starch or sucrose.

Preferred compositions can have alkali metal silicate. The alkali metal is sodium or potassium, preferably sodium. Sodium silicate is generally available as 10 to 40 % aqueous solution, most common being 30 % solution. Sodium silicate is available as neutral sodium silicate or alkaline

sodium silicate. Preferred toothpastes have neutral sodium silicate. Sodium silicate is available with varying ratios of Na_2O: SiO_2.

Sodium silicate with Na_2O: SiO_2 ratio in the range of 3.0 to 3.8 is preferred, more highly preferred range being 3.25 to 3.5. Preferred toothpastes include 0.1 to 5 wt% silicate (on dry weight basis). Thus, a 30 % solution of sodium silicate is added to the composition in an amount in the range of 0.3 to 16 wt%.

Flavours such as peppermint and spearmint oils may also be included, as well as preservatives, colouring agents, pH adjusting agents, sweetening agents and so on. Suitable flavoring components include oil of wintergreen, oil of peppermint, oil of spearmint, clove bud oil, menthol, anethole, methyl salicylate, eucalyptol, cassia, 1-menthyl acetate, sage, eugenol, parsley oil, oxanone, alpha-irisone, marjoram, lemon, orange, propenyl guaethol, cinnamon, vanillin, ethyl vanillin, heliotropine, 4-cis-heptenal, diacetyl, methyl-para-tert-butyl phenyl acetate, and mixtures thereof. Coolants may also be part of the flavor system. Preferred coolants are the paramenthane carboxyamide agents such as N-ethyl-p-menthan-3-carboxamide (known commercially as "WS-3®") and mixtures thereof. The flavour is generally from 0.001 to 5 wt%.

Anti-caries agents such as sodium- and stannous fluoride, aminefluorides, monosodiumfluorophosphate, casein, plaque buffers such as urea, pyruvates, arginine, small peptides, calcium lactate, calcium glycerophosphate, strontium polyacrylates may also be included. A preferred anti-caries agent is sodium monofluorophosphate. Other optional ingredients include vitamins such as Vitamin C, and plant extracts. Desensitising agents such as potassium bicarbonate, potassium oxalate, potassium nitrate as well as strontium salts may also be included.

Buffers and salts to buffer the pH and ionic strength of the compositions may also be included. Liposomes and other encapsulates may also be used to improve delivery or stability of active ingredients.

Furthermore, the oral care compositions may comprise anti-calculus agents such a alkali metal pyrophosphates, hypophosphite-containing polymers, organic phosphonates and phosphor-citrates.

Other optional ingredients that may be included are e.g. bleaching agents such as peroxy compounds e.g. potassium peroxy diphosphate, effervescing systems such as sodium bicarbonate/citric acid systems and colour change systems.

The compositions of the invention may also contain coloured particles suspended therein, e.g. coloured silica agglomerates or other coloured particles to impart a "speckled" appearance to the dentifrices. The oral care compositions may also contain stripes of a coloured paste, to provide for a visually clear gel-type dentifrice with coloured stripes or a separate coloured phase

Toothpastes with calcium containing abrasives especially chalk are prone to bacterial growth. Certain preservatives, e.g., methyl, ethyl, butyl, propyl and isopropyl esters of parahydroxybenzoic acid may be particularly useful against bacterial growth. A mixture of methyl, ethyl, butyl and propyl esters of parahydroxybenzoic acid is particularly preferred.

The activity of this mixture can be enhanced by adding phenoxyethanol. Formaldehyde and dimethyl hydantoin are other preferred preservatives. Preservatives are generally included at 0.005 to 0.8 wt%.

The oral care composition of the present invention is prepared by conventional methods of making oral care compositions. Such methods include mixing the ingredients under moderate shear and atmospheric pressure.

Typically, the composition is packaged.

In toothpaste or gel form, the composition may be packaged in a conventional plastic laminate, metal tube or a single compartment dispenser. The same may be applied to dental surfaces by any physical means, such as a toothbrush, fingertip or by an applicator directly to the sensitive area.

The composition can be effective even when used in an individual's daily oral hygiene routine. For example, the composition may be brushed onto the teeth. The composition may be used daily, for example for use by an individual once, twice or three times per day.

Therapeutic treatments can be summarized as being measures directed to the maintenance (prophlyaxis) or restoration (therapy) of health. 'Therapy' is concerned with bringing a body from a pathological state back to its normal, healthy state and with preventing a pathological state.

Treatment by therapy is defined as any treatment which is designed to cure, alleviate, remove or lessen the symptoms of, or prevent or reduce the possibility of contracting any disorder or malfunction of the human or animal body.

The packaged product

In accordance with another aspect is disclosed a packaged product comprising the aqueous gel composition of the invention. The gel composition is preferably packaged in a collapsible tube such that it becomes easy to dispense a small amount without much dexterity. Alternatively, the composition is packaged in another type of container, such as a bottle or a jar, preferably with suitable means for dispensing a known quantity of the composition. The pack may be further packed in a suitable secondary package like a carton or a box, preferably with useful information about the contents and instructions for use.

The invention will now be further described with reference to the following non-limiting examples.

Examples

Example 1: Composition of prior art

An oral care composition as per CN106821902 A was prepared. The formulation was as per Table 1.

Table 1

Ingredient	Wt%
Water & other minors	57.0
Glycerin	18.0
Sorbitol	15.0
SCMC	0.5
Xanthan gum	0.5
SLS	2.0
Silica (abrasive)	4.0
PEG	1.5
Hexametaphosphate	0.5
Sodium phytate	1.0

Relevant observations were as follows:

Water activity was found to be much higher than 0.82. The yield stress was 3 to 10 Pa.

Example 2: Performance of compositions of the invention v/s comparative compositions

The experiments were performed on Hydroxyapatite (HAP) discs because they are most suited for this purpose. The discs were immersed in aqueous solution of a toothpaste composition followed by gentle brushing using a toothbrush. The discs were then rinsed with clean water and stained by keeping submerged in 2% aqueous solution of tea for 10 minutes.

This step of staining was repeated twice.

All the discs turned dark brown. At this stage the L*a*b* values were determined. Absorbance measurement over the entire visible color spectrum were obtained using the CIELAB color scale (Commission International de L'Eclairage, 1978 and 1986) with a SpectroShade® Micro spectrophotometer (MHT, Italy). This scale quantifies color according to three parameters, L* (lightness-darkness); a* (red-green); and b* (yellow-blue) with increasing L* indicating a more aesthetically pleasing and desirable colour (whiter teeth).

After the initial values were recorded, the discs were immersed in aqueous solution of the toothpaste composition to be tested. Then the discs were gently brushed using a toothbrush. The discs were then rinsed with clean water and the L*a*b* values were determined again.

The L*, a*, b* values were measured on CM-2600d Spectrophotometer (Spectral) from Konica Minolta Sensing value and calculated using the following formula:

$$\Delta E = \sqrt{\Delta L^2 + \Delta a^2 + \Delta b^2}$$

ΔL = L1-L0, Δa = a1 - a0, Δb = b1 - b0, where L1, a1 and b1 are the color index of HAP discs treated by samples, and L0, a0 and b0 are the color index of blank HAP discs.

The *ΔE* values were considered an indication of stain removal; higher the better.

The stained discs were then cleaned by immersing the disc in aqueous solution of the toothpaste composition. Then the discs were gently brushed using a toothbrush. The discs were then rinsed with clean water and L*a*b* values of HAP discs were determined again. This was termed as the first cycle of treatment after which the absorbance measurements were repeated to determine the change in L* value so that the difference could be determined.

After recording the L* value, the series of steps starting from (re) application of the selected composition and ending with the measurement of L*, were repeated. This was done until there was data pertaining to four cycles of treatment.

Details of the compositions are included in Table 2. Some observations are recorded in Table 3 while the others are shown in Table 4.

TABLE 2

Example no.	1	2	3	4	5	6	7	8	9	10	11
Sorbitol (70%)	45.0	45.0	45.0	45.0	45.0	45.0	45.0	45.0	45.0	45.0	45.0
Thickening Silica	8.0	8.0	8.0	8.0	8.0	8.0	8.0	8.0	8.0	8.0	8.0
Abrasive Silica (med)	8.5	8.5	8.5	8.5	8.5	8.5	8.5	8.5	8.5	8.5	8.5
Abrasive Silica (high)	1.6	1.6	1.6	1.6	1.6	1.6	1.6	1.6	1.6	1.6	1.6
SLS	1.7	1.7	1.7	1.7	1.7	1.7	1.7	1.7	1.7	1.7	1.7
Sodium Phytate	5.0	4.25	3.75	2.5	1.25	0.75	0	0	2.5	0	1.25
SHMP	0	0.75	1.25	2.5	3.75	4.25	5	0	0	2.5	1.25
SCMC 9H	0.8	0.8	0.8	0.8	0.8	0.8	0.8	0.8	0.8	0.8	0.8
NaOH solution (10%)	Until pH =7										
Water and others	To 100 wt%										

TABLE 3

Example	1	2	3	4	5	6	7	8	9	10	11
Na-Phytate	5.0	4.25	3.75	2.5	1.25	0.75	-	--	2.5	--	1.25
HMP	--	0.75	1.25	2.5	3.75	4.25	5.0	--	--	2.5	1.25
Ratio*		1:0.17	1:0.33	1:1	1:3	1:5.6	--	--	--	--	1:1
ΔE	21.84	20.29	17.58	18.46	14.66	9.8	23.17	40.8	22.0	22.0	20.0

Note: Phytate and HMP were cumulatively at 5.0 or 2.5 wt% in the oral care composition. *Ratio means weight ratio of Sodium phytate to SHMP

The water activity co-efficient of all the aforesaid compositions was 0.82. Yield stress of the composition was in the range of 250 to 500 Pa.

TABLE 4

Example	wt% of the ingredient in the composition	ΔE [anti-stain]	ΔE [2-cycles]
8	Control	40.80	27.94
1	Phytate 5%	21.84	13.24
7	HMP 5%	20.00	14.58
9	Phytate 2.5%	22.00	15.70
10	HMP 2.5%	22.00	14.13
11	HMP + Phytate 2.5%	20.00	9.68
4	HMP + Phytate 5%	14.6	5.75

The observations recorded in Table 3 indicate that HMP and phytate, together, provide superior whitening efficacy (lower the ΔE whiter the HAP disc). Two polyphosphates are used in combination to demonstrate a synergistic benefit. It was observed that ratio of 1:0.17 and 1:0.33 provided maximum whitening supported by low ΔE values.

The data in Table 4 indicates that use of polyphosphate in oral care formulation (toothpaste) provides superior stain cleaning as well as stain prevention (anti-stain). A combination of organic and inorganic polyphosphate provides superior synergistic teeth whitening effect.

CLAIMS

1. An oral care composition comprising:
   (i) an organic polyphosphate or a water-soluble salt thereof, said polyphosphate or said salt having average chain length of at least 4;
   (ii) an inorganic polyphosphate or a water-soluble salt thereof, other than a monofluorophosphate or a tripolyphosphate, having average chain length of at least 4;
   where weight ratio of the organic phosphate to inorganic phosphate is 1:10 to 1:0.05, wherein
   water activity of said composition is not greater than 0.82, wherein said inorganic polyphosphate is sodium hexametaphosphate and said composition is a toothpaste.

2. A composition as claimed in claim 1 wherein at 25°C, the yield stress of said composition is from 250 to 500 Pa.

3. A composition as claimed in claim 1 or 2 wherein said weight ratio of the organic phosphate to inorganic phosphate is 1:7 to 1:0.1.

4. A composition as claimed in any of claims 1 to 3 wherein said composition comprises 0.01 to 5 wt% organic polyphosphate.

5. A composition as claimed in any of claims 1 to 4 wherein said composition comprises 0.1 to 5 wt% of said inorganic polyphosphate.

6. A composition as claimed in any of claims 1 to 5 wherein said water-soluble salt of organic polyphosphate is an alkali metal salt or an alkaline earth metal salt.

7. A composition as claimed in any of claims 1 to 6 wherein the organic polyphosphate is phytic acid.

8. A composition as claimed in any of claims 1 to 7 wherein said composition comprises 6 to 20 wt% abrasive.

9. A composition as claimed in claim 8 wherein said abrasive is silica.

10. A composition as claimed in any of claims 1 to 9 wherein said composition comprises 10 to 45 wt% humectant.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2022/080901

A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K8/24 A61K8/55 A61Q11/00
ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
A61K A61Q

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 2010/086498 A1 (HAUGHT JOHN CHRISTIAN [US] ET AL) 8 April 2010 (2010-04-08) example IIIe -----	1-10
Y	US 2007/122358 A1 (WANG XIAOLI [CN] ET AL) 31 May 2007 (2007-05-31) examples 1-4, 7-9 -----	1-10
Y	DATABASE WPI Week 201930 Thomson Scientific, London, GB; AN 2019-134678 XP002806689, & CN 109 223 642 A (CAI Y) 18 January 2019 (2019-01-18) WPI abstract ----- -/--	1-10

[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance;; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
8 February 2023	16/02/2023

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INTERNATIONAL SEARCH REPORT

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PCT/EP2022/080901

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	DATABASE WPI Week 201752 Thomson Scientific, London, GB; AN 2017-472398 XP002806690, & CN 106 821 902 A (LI D) 13 June 2017 (2017-06-13) cited in the application WPI abstract -----	1-10

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2022/080901

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2010086498 A1	08-04-2010	AU 2009318056 A1	27-05-2010
		BR PI0920909 A2	29-12-2015
		CA 2742823 A1	27-05-2010
		CN 102215808 A	12-10-2011
		EP 2346475 A1	27-07-2011
		ES 2626230 T3	24-07-2017
		JP 2012508741 A	12-04-2012
		PL 2346475 T3	31-08-2017
		RU 2011120268 A	27-12-2012
		SG 171355 A1	28-07-2011
		US 2010086498 A1	08-04-2010
		WO 2010059289 A1	27-05-2010
US 2007122358 A1	31-05-2007	NONE	
CN 109223642 A	18-01-2019	NONE	
CN 106821902 A	13-06-2017	NONE	