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US 5470565 A
WPI Abstract no 1997-161392/15 & JP9030943 A
(ASAHI OPTICAL)
WPI Abstract no 1995-379965/49 & JP7258054 A
(ITOEN)
WPI Abstract no 1992-136700/16 & JP4077424 A
(LOTTE)
WPI Abstract no 1991-143147/20 & JP3077817 A
(TAIYO KAGAKU)

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(54) Abstract Title
Mouthwash/breathfreshener

(57) A liquid mouthwash or breathfreshener having a pH in excess of 5.5 containing an effective quantity of epigallocatechin gallate in a liquid carrier stabilised by the presence of a solubilising agent, such as polysorbate 80. Green tea extract is used as the source of epigallocatechin gallate. The composition may also include a sweetener, colour and oil based flavourings. The liquid carrier is preferably glycerol or glycerol and alcohol based.

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Mouthwash/Breathfreshener

Background of the Invention

The present invention relates to Mouthwash/Breathfreshener preparations containing extracts of green tea (*Camellia sinensis*). The invention relates to liquid products for use
5 as either mouthwashes or breathfresheners. A mouthwash is a product designed to be used as a gargle and not swallowed. One of its functions is to freshen breath. A breathfreshener is a product designed to be sprayed into and retained within the oral cavity. The term Mouthwash/Breathfreshener as used herein refers to a liquid which is presented to the consumer for use in one or other of these purposes.

10 Technical Background

Mouthwashes and breath fresheners are products designed to address the symptoms of dental caries, the disease responsible for bad breath.

The popularity in Japan of drinks that are based on extracts of green tea is based on the traditional saying that “drinking green tea makes the mouth clean”. Research has shown
15 that the polyphenolic compounds, known as catechins, which are found in extracts of green tea are responsible for inhibiting the action of *Streptococcus mutans*, one of the bacteria responsible for dental caries. This research is described in a paper entitled *Antibacterial Substances in Japanese Green Tea Extract against Streptococcus Mutans, a Cariogenic Bacteria* and published in *Agric Biol Chem* **53** (9), pages 2307-2311, 1989 by
20 Senji Sakanaka, Mujo Kim, Makoto Taniguchi and Takehiko Yamamoto and another paper entitled *Anticaries Effects Of Polyphenolic Compounds From Japanese Green Tea* published in *Caries Research* (1991); **25**: 438-443 by S. Otake, M Makimura, T Kuroki, Y Nishihara and M Hirasawa.

Eight principle catechins are to be found in green tea extracts. These are Catechin gallate

(CG), Epicatechin gallate (ECG), Gallocatechin gallate (GCG), Epicatechin (EC), Epigallocatechin gallate (EGCG), Catechin (C), Epigallocatechin (EGC) and Gallocatechin (GC). Of these, EGCG and EC are described by Otake et al above as having the most substantial anticaries and deodorising effect. More specifically, the paper reports that EGCG at a concentration of 166.7 micrograms per ml caused 90 plus% inhibition of water-insoluble glucan synthesis from sucrose by *Streptococcus mutans*.

Technical problem

While, in Japan, the green tea drinks are made immediately prior to consumption, in the West it is more acceptable for users to have a pre-prepared bottled mouthwash/breathfreshener.

The technical problem consists in providing a liquid mouthwash/breathfreshener formulation that contains extracts of green tea that have an acceptable shelf life. Catechins are most stable in aqueous based media in an environment of pH 3 to 4. However, a liquid of that acidity would be detrimental to the teeth of the user. Standard mouthwash/breathfreshener is typically neutral and has a pH in excess of 5.5 and preferably of 6 to 6.5. In a neutral carrier the catechins become unstable and therefore the liquid loses its anticaries and deodorising effect very rapidly.

Solution of the Invention

The present invention provides a liquid mouthwash/breathfreshener having a pH in excess of 5.5 comprising an effective quantity of Epigallocatechin gallate in a carrier comprising at least and preferably more than 0.5% w/w of a solubilising agent, such as, but not restricted to, nonionic surfactants, for example, the polysorbates which are a series of mixtures of fatty acid esters of sorbitol and its anhydrides copolymerised with approximately 20 moles of ethylene oxide for each mole of sorbitol and its anhydrides, in combination with a suitable solvent or solvents, such as, but not restricted to, glycerol

and alcohol.

The effect of a solubilising agent, such as polysorbate 80 also known as Polyoxyethylene (20) sorbitan mono-oleate is to increase the stability of the catechin and provide a product which has a catechin content that remains at effective levels for a period of time to allow the product to be manufactured and sold with a commercially acceptable shelf life.

The shelf life is increased with the amount of solubilising agent, included in the composition. However, as the proportion of solubilising agent increases, the taste becomes unpalatable and it is found that a content of about 3 per cent is the maximum tolerable amount in a mouthwash formulation and about 5 per cent is the maximum tolerable amount in a breathfreshener.

Although solubilising agents, such as polysorbate 80, are widely used in medicinal products it has not previously been appreciated that their use in a mouthwash/breathfreshener composition using green tea extract as its active ingredient will allow the catechins to remain stable in a neutral liquid.

The mouthwash/breathfreshener of the present invention does not require the addition of a preservative.

Preferably, the Epigallocatechin gallate is present as part of an extract of green tea.

Description of Preferred Embodiment

The active ingredient of the liquid mouthwash/breathfreshener is a green tea extract. A green tea extract containing a high proportion of EGCG, (Epigallocatechin gallate) is chosen. In a typical high EGCG content green tea extract, the EGCG content should comprise a minimum of 45 per cent of the total content of the eight principle catechins.

Using such a green tea extract with a catechin content of 2.0 per cent mouthwash/breathfreshener compositions having the following components shown as percentages were found to have an acceptable shelf life of at least 12 months and a tolerable taste and to be effective *in vitro* in significantly reducing the count of

5 *Streptococcus mutans* within 15 secs of contact (minimum log 3 reduction).

Mouthwash Formulation 1

| | |
|-------------------|-----------|
| Green tea extract | 3.0 |
| Polysorbate 80 | 2.5 |
| Glycerol | 20.0 |
| Flavour | 0.3 |
| Colour | <i>qs</i> |
| Water | 74.2 |

Mouthwash Formulation 2

| | |
|-------------------|-----------|
| Green tea extract | 3.0 |
| Polysorbate 80 | 2.0 |
| Glycerol | 12.0 |
| Alcohol | 10.0 |
| Flavour | 0.3 |
| Colour | <i>qs</i> |
| Water | 72.7 |

Breathfreshener Formulation 3

| | |
|-------------------|-----------|
| Green tea extract | 5.0 |
| Polysorbate 80 | 3.0 |
| Glycerol | 20.0 |
| Alcohol | 30.0 |
| Flavour | 1.25 |
| Sodium Saccharin | 0.25 |
| Colour | <i>qs</i> |
| Water | 40.5 |

Preservatives may be added to the formulation. However it is found that the presence of

10 some preservatives such as benzoate, sorbate, hydroxybenzoate made the formulation less stable than those which contained no preservatives. The example formulae given are without preservative, and satisfy the BP/EP Challenge Test for antimicrobial efficacy.

Claims

1. A liquid mouthwash/breathfreshener having a pH in excess of 5.5 comprising an effective quantity of Epigallocatechin gallate in a carrier comprising at least 0.5%
5 w/w of solubilising agent.
2. A liquid mouthwash/breathfreshener as claimed in claim 1, wherein the solubilising agent is a non-ionic surfactant.
3. A liquid mouthwash/breathfreshener as claimed in claim 1, wherein the solubilising agent is a polysorbate.
- 10 4. A liquid mouthwash/breathfreshener as claimed in claim 1, wherein the solubilising agent is polysorbate 80.
5. A liquid mouthwash/breathfreshener as claimed in claim 1, wherein the Epigallocatechin gallate is present as part of an extract of green tea.
- 15 6. A liquid mouthwash/breathfreshener as claimed in claim 1, wherein the liquid carrier includes glycerol or glycerol and alcohol.



INVESTOR IN PEOPLE

Application No: GB 0104047.6
Claims searched: 1-6

Examiner: Richard Seward
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Patents Act 1977 Search Report under Section 17

Databases searched:

UK Patent Office collections, including GB, EP, WO & US patent specifications, in:

UK CI (Ed.S): A5B BFA

Int CI (Ed.7): A61K 7/16, 7/26

Other: Online: CAS-ONLINE, EPODOC, WPI, PAJ, TXTUS0, TXTUS1, TXTUS2, TXTUS3, TXTEP1, TXTGB1, TXTWO1

Documents considered to be relevant:

| Category | Identity of document and relevant passage | Relevant to claims |
|----------|--|--------------------|
| X | EP 1013261 A1 (MITSUI) see pg 1 ll 38-46, pg 2 ll 5-45, pg 5 ll 28-31; Tables 1, 4, 7 & 8. | 1-6 |
| X,E | WO 01/17494 A1 (PROCTER & GAMBLE) see pg 3 ll 28-30, pg 4 ll 22 - pg 5 l 5, pg 6 l 18 - pg 7 l 2, pg 8 ll 30-33, pg 14 l 33 - pg 15 l 9, pg 15 ll 18-21. | 1-3, 5 |
| X | US 5470565 (MITSUI) see col 1 ll 8-14; Practical example 1 & Reference example 2. | 1-6 |
| X | WPI Abstract no 1997-161392/15 & JP9030943 A (ASAHI OPTICAL); see WPI and PAJ abstracts | 1-6 |
| X | WPI Abstract no 1995-379965/49 & JP7258054 A (ITOEN); see WPI and PAJ abstracts | 1-6 |
| A | WPI Abstract no 1992-136700/16 & JP4077424 A (LOTTE); see WPI and PAJ abstracts | |
| X | WPI Abstract no 1991-143147/20 & JP3077817 A (TAIYO KAGAKU); see WPI abstract | 1-6 |

X Document indicating lack of novelty or inventive step
Y Document indicating lack of inventive step if combined with one or more other documents of same category.

& Member of the same patent family

A Document indicating technological background and/or state of the art.
P Document published on or after the declared priority date but before the filing date of this invention.

E Patent document published on or after, but with priority date earlier than, the filing date of this application.