Covitota sci

**COMMONWEALTH OF AUSTRALIA**

**APPLICATION FOR PATENT**

I/We (a) BARNANGEN AB

(b) Insert FULL name(s) of applicant(s)

(c) Insert FULL address(es) of applicant(s)

(d) Insert: FULL title of invention

(e) Insert: complete specification

(f) Insert: number, country, and filing date of each basic application

20450/76

Gustavslundsvagen 145-163,
Bromma, Sweden

hereby apply for the grant of a Patent for an invention entitled

"SWEETENER AND ITS USE."

which is described in the accompanying (c) complete specification.

(Note: The following paragraph applies only to Convention applications)

This application is a Convention application based on the basic application(s) for a patent or similar protection identified by number, country, and filing date as follows:

(a) 75-14030-1 Sweden 11 December, 1975

Address for Service: PHILLIPS ORMONDE AND FITZPATRICK
Patent and Trade Mark Attorneys
37-41 Queen Street
Melbourne, Australia

Dated (h) 8 December, 1976

(a) PHILLIPS ORMONDE AND FITZPATRICK
Attorneys for:
BARNANGEN AB

(b) Signature of applicant(s)

(c) Corporate seal if any

Note: No legalization or other witness required.

PHILLIPS, ORMONDE AND FITZPATRICK
Patent and Trade Mark Attorneys
DECLARATION FOR A PATENT APPLICATION

In support of the (a) convention application made by (b) BARNANGEN AB

20450/76

(hereinafter called “applicant(s)” for a patent (c) for an invention entitled (d) "SWEETENER AND ITS USE"

1/Wx (e) Björn J:son Stampe, Director of Barnangen AB, of Gustavslundsvagen 145-163, Bromma, Sweden.

Peter Glas, of Nassel-tigen 89, Vallingby, Sweden.

Dick Ahlgren, of Vinterbrinksvagen 21, Jalsjobaden, Sweden.

do solemnly and sincerely declare, as follows:

1. I am authorized to make this declaration on behalf of the applicant(s).

2. (or where the applicant(s) is/are not the actual inventor(s))

Peter Glas, of Nassel-tigen 89, Vallingby, Sweden.

Dick Ahlgren, of Vinterbrinksvagen 21, Jalsjobaden, Sweden.

is/are the actual inventor(s) of the invention and the facts upon which the applicant(s) is/are entitled to make the application are as follows:

The applicant is the assignee of the invention from the said actual inventors.

(Note: Paragraphs 3 and 4 apply only to Convention applications)

3. The basic application(s) for patent or similar protection on which the application is based is/are identified by country, filing date, and basic applicant(s) as follows:

SWEDEN

11 December, 1975

BARNANGEN AB

4. The basic application(s) referred to in paragraph 3 hereof was/were the first application(s) made in a Convention country in respect of the invention the subject of the application.

PHILLIPS ORMONDE & FITZPATRICK

TO: The Commissioner of Patents
The expression "edible products" is intended to cover all sort of products intended for oral administration and containing a sweetener, i.e. having a sweet taste.

In this disclosure the expression "a sorbitol-stabilizing amount" regarding the amount of xylitol used in the product refers to the amount of xylitol preventing significant decomposition of the sorbitol when contacted by the saliva.

CLAIM 9. The use of xylitol and sorbitol in combination as a non-cariogenic principal sweetener in edible products (as hereinbefore defined), said combination comprising sorbitol and a sorbitol-stabilising amount (as hereinbefore defined) of xylitol.
The following statement is a full description of this invention, including the best method of performing...
The present invention relates to edible products containing as a principal sweetener a combination of certain sugar alcohols, to the use of the combination of such sugar alcohols as a non-cariogenic principal sweetener in edible products, and to a method of preparing the sweetener.

By scientific research it has been made clear that ordinary sugar, i.e. saccharose, has a decisive influence on the presence of caries. In this connection it is known that ordinary sugar in its decomposition results in the formation of acid in the plaque layer of the teeth. This results in decrease of pH and calcium hydrogen phosphate is dissolved from the enamel if pH decreases below about 5.5. The result of this is creation of holes in the teeth. On the other hand it is a fact that increased pH, namely a pH exceeding about 5.5, results in precipitation of calcium hydrogen phosphate on the surface of the teeth resulting in a possible remineralization where cavities already have formed as a result of acid attack. The higher the pH, at any rate up to about 8, the larger the precipitation of calcium hydrogen phosphate. Thus, at a pH of about 8 remineralization of the tooth enamel takes place at a maximum rate.

It must be noted, however, that the pH about 5.5 in the dental plaque is in no way a specific limit, since as is well known to every chemist raising of pH above said limit does not immediately eliminate all hydrogen phosphate ions to result in a positive effect in the form of remineralization. As is clear from the titration curve of phosphoric acid (see for example Latimer, Hildebrand,
there is around said pH-value always an equilibrium between dihydrogen phosphate ions and hydrogen phosphate ions. This also, of course, means that all measures bringing about an increase in pH will have a positive effect to the dental plaque even if well above the pH-value about 5.5.

Against the background of the above finding it has been motivated to look for other naturally occurring sugar types and to investigate their odontological effects. In the organic chemistry different types of sugars have been known for decades, inter alia fructose, sorbitol and xylitol. However, the substitute for saccharose should to the maximum possible extent have a similar sweetness, the same agreeable taste and should be practically odourless. It is also, of course, essential that the substitute has normal metabolic reaction routes in the organism and must thus not when taken in great amounts result in abnormal blood and urinary values.

It is known, particularly from certain Finnish investigations carried out at the Odontologic Institution in Turku that the sugar alcohol xylitol is a highly preferred non-cariogenic sugar. Thus, it seems that the use of xylitol as a sweetener instead of saccharose reduces the caries frequency significantly. When compared to the result of using sorbitol as a sweetener it has been found that xylitol is less cariogenic than sorbitol. However, in view of the fact that xylitol is an expensive sugar due to high manufacturing costs, it has not been possible to replace the cheaper sugars to the extent desirable.
In U.S. patent 3,291,079 there is disclosed a humectant sweetener for use in oral hygiene compositions characterized by containing at least about 5% by weight of xylitol. In Example I there is described a composition containing inter alia xylitol and sorbitol in a weight ratio of 5:1.1.9. In Example II the weight proportions are 10:7. The composition disclosed in said patent specification is said to prevent caries and to keep moisture so that clogging of the opening of an open tooth paste tube will be prevented. However, the disclosure of said U.S. patent is completely silent with regard to the effect of the composition on the dental plaque pH. This is, of course, to be expected, since an oral hygiene composition is in the first place not to be expected to have any decisive influence of the dental plaque pH due to the fact that the duration of contact is always very short. As is clear to all versed in the art, the impact of the characteristics of a sweetener on the dental plaque conditions will be much more significant in connection with the administration of edible products which will be contacted by the saliva for a longer period of time. In particular, this is the case with regard to so-called stimulants, i.e. sweets of different kinds.

In connection with studies performed on compositions containing both xylitol and sorbitol as regards their behaviour in contact with human saliva, a highly surprising discovery has been made. It has thus been found that the content of xylitol may be reduced significantly while maintaining a high pH in the dental plaque under prolonged contact of the composition with the teeth.
It is known that the hexol sorbitol when contacted by the saliva is decomposed under some acid formation, which will be shown more in detail below, thereby unfavourably affecting the teeth when orally administered. The surprising discovery in connection with experiments carried out is the fact that proportionwise only a small amount of xylitol will eliminate this unfavourable effect of the sorbitol.

Although the invention is not to be bound to any theory, it looks as though xylitol hampers the decomposition of sorbitol by the cariogenic bacteria strain streptococcus mutans. The inhibiting effect of the xylitol seems to be due to inducement of sorbitol-decomposing enzyme(s) rather than direct inhibition on induced enzyme(s).

The present invention provides new and improved edible products comprising as a principal sweetener sorbitol and a sorbitol-stabilizing amount of xylitol. Said sorbitol-stabilizing amount is suitably up to about 50% by weight based on the combined weight of sorbitol and xylitol, and a particularly preferred upper limit is about 25% by weight. With regard to the lower limit of the percentage of xylitol a practical minimum amount is at least about 1% by weight and a particularly preferred weight ratio of xylitol:sorbitol is about 1:30 to about 1:6.

Among edible products of the invention so-called stimulants are preferred; sweets of different kinds, especially chewing gums.

The invention also provides for the use of
xylitol and sorbitol in combination as a non-cariogenic principal sweetener in edible products, the combination comprising sorbitol and a sorbitol-stabilizing amount of xylitol.

Furthermore, the invention provides for a method of preparing a sweetening non-cariogenic composition, comprising mixing sorbitol and a sorbitol-stabilizing amount of xylitol up to about 50% by weight of the combined weight of sorbitol and xylitol. The amount of xylitol is suitably within the range about 1% by weight to about 25% by weight on the same basis.

One object of this invention is thus to provide a non-cariogenic sweetener which can replace saccharose and other similar sweeteners and which does not possess the deleterious effects of saccharose on the teeth. Another object of the invention is to provide edible products containing such sweetener as a principal sweetener. Yet another object of the invention is to provide edible products containing sorbitol in combination with a sorbitol-stabilizing amount of xylitol.

A still further object of the invention is to provide a method of producing such a sweetening non-cariogenic composition. An additional object of the invention is to provide for the use of xylitol and sorbitol in combination as a non-cariogenic principal sweetener in edible products.

Other objects of the invention will become apparent hereinafter, and still other objects will be obvious to one skilled in the art.

The invention thus involves edible products.
comprising as a principal sweetener sorbitol and a sorbitol-stabilizing amount of xylitol. In this disclosure, the expression "edible products" is intended to cover all sort of products intended for oral administration and containing a sweetener, i.e. having a sweet taste. Among such products there may be mentioned desserts, bakery products, sweets, artificial juices and safts etc. Products of particular interest are so-called stimulants, such as different kinds of sweets, i.e. lollipops, lozenges, chocolate, candies, marmalade, chewing gums etc. The chewing gum type of products are of particular interest, from obvious reasons, since such products are in long time contact with the saliva and have an extended retention time in the mouth. It is to be noted that although chewing gums are not normally swallowed they are considered to be of the edible type and thus covered by the invention.

In this disclosure the expression "a sorbitol-stabilizing amount" regarding the amount of xylitol used in the product refers to the amount of xylitol preventing significant decomposition of the sorbitol when contacted by the saliva. This minimum amount providing sorbitol stabilization may be easily estimated from case to case by practical experiments and no absolute lower limit regarding the xylitol fraction can thus be given. Generally, the xylitol content based on the combined weight of sorbitol and xylitol should, however, exceed about 1% by weight and suitably be at least about 3% by weight.

As has been indicated already, this invention
is particularly applicable to edible products which have a long retention period in the oral cavity when administered. Chewing gums are a good example of such products and other examples are tablets of different kinds. Among products where this invention is applicable there may be mentioned also different kinds of so-called quasi-medicinal products, like for instance cough drops, cough elixirs or syrups etc. Such products are frequently taken at bed time, i.e. after the teeth being brushed, and will thus cause long-time action on the dental plaque. Quite generally, in this disclosure is meant by long-time contact in connection with extended retention periods for the products to be orally administered, periods of at least a few minutes. It will be understood to those skilled in the art that the time of contact of the product in question is not solely decisive of the resulting effect on the dental plaque but also, of course, the physical character of the product. Thus, when eating for example a tough and sticky caramel or toffee the deleterious effect of the product will be present much longer than the time it takes to consume the product as such.

In the following the invention will be described more in detail by examples. These examples are given by way of illustration only, and are not to be construed as limiting. Some of the examples are described in connection with the appended drawings, wherein:

Fig. 1 shows pH as a function of time during influence of human saliva on different types of sugars and sugar combinations;
Fig. 2 shows a corresponding diagram with pH as a function of time when using sorbitol only and sorbitol together with xylitol in accordance with the invention and for the purpose of comparison sucrose only and sucrose plus xylitol;

Fig. 3 shows pH as a function of the percentage of xylitol in a sorbitol-xylitol mixture when used in chewing gum; and

Fig. 4 shows the pH of the dental plaque before and after chewing a chewing gum in accordance with this invention.

In the examples below all figures relating to percentages relate to weight percentage if not otherwise indicated.

Example 1.

The experiments are carried out by cultivation in vitro in a test tube containing a conventional meat extract (DIFCO-bouillon) not resulting in acid formation and human saliva in the form of a mixture from three persons thus containing the cariogenic bacteria strain Streptococcus mutans. The test solutions are incubated at 37°C and sterilized, whereafter the pH is measured after varying times.

Through the experiments 1 ml 70-per cent sorbitol solution and 1 ml 50-per cent sucrose solution are used, and to 10 ml of bouillon containing 0.1 ml human saliva (90 \times 10^3 organisms per ml) together with sorbitol and sucrose, respectively, there are added varying amounts of 50 per cent xylitol solution. pH is measured after 16 hours and the results are given in the diagram of Fig. 1.
where also the xylitol fraction in per cent is given.

As is clear from Fig. 1 already addition of 3.5% of xylitol results in an essentially inhibited acid formation as compared to the acid formation resulting when using only sorbitol. For the sake of comparison there is shown also the result when using pure sugar, i.e. sucrose, and as seen from the diagram pH in this case is reduced to about 4.5.

Example 2.

The procedure of this example is the same as that described in Example 1, and in Fig. 2 the result of experiments carried out with sorbitol only, sorbitol plus xylitol (weight proportion xylitol:sorbitol about 5:12) and sucrose only and sucrose plus xylitol (weight proportion about 1:1) is given.

As is clear from the diagram of Fig. 2 the combination sorbitol-xylitol results in a drastic inhibition of acid formation as compared to sorbitol only. Moreover, the diagram shows that xylitol has no significant influence on the acid formation due to the presence of sucrose.

Example 3.

Preparation of ice chocolate.

An ice chocolate composition is made up from the following ingredients:

2 eggs
360 g sorbitol (100% pure)
40 g xylitol
60 g cacac
1 piece of vanilla bar, ground
350 g cocoa fat
These ingredients are intimately mixed to form a heterogeneous mixture which is distributed in suitable plastic containers for storage in refrigerator. The product obtained has a favourable appearance and a good taste.

**Example 4.**

**Sweet sponge cake.**

A mixture is prepared from the following constituents:

- 3 eggs
- 112 g sorbitol (100 % pure)
- 13 g xylitol
- 4 g lemon juice
- 225 g wheat flour
- 12 g baking powder
- 100 g water

The ingredients are intimately mixed to form a mass having a thick but flowing consistency. The mixture is poured into a baking mould and baked in an oven to form the cake. The cake obtained has a favourable consistency and a good taste.

**Example 5.**

**Black currant syrup.**

The following ingredients are intimately mixed:

- 1 kg black currants
- 1 kg water
- 200 g sorbitol (100 % pure)
- 10 g xylitol
- 0.5 g sodium benzoate

The syrup obtained when used is diluted with water.
(about 1:4 by volume) to form a good-tasting black currant drink.

**Example 6.**

**Chewy toffee.**

The following ingredients are intimately mixed to form a sticky mass:

- 200 g sorbitol (100 % pure)
- 10 g xylitol
- 125 g double cream
- 20 g cocoa
- 10 g butter
- 50 g chopped almonds

After setting the composition is cut into suitable pieces having a sticky consistency when chewed and a favourable taste.

**Example 7.**

**Hard candy.**

The following ingredients are intimately mixed:

- 90 % sorbitol (100 % solid basis) in the form of a 70 % aqueous solution
- 9 % xylitol
- 1 % flavouring agents

The mixture is heated to boiling forming a sticky mass, which is formed into pieces of candy, for example by pouring into moulds. The hard candy obtained has a good taste.

**Example 8.**

**Tablets.**

A tablet composition is prepared from the following ingredients:
Gummi Arabiaum 50 %
Sorbitol 40 % (100 % solids basis) in the form of a 70 % aqueous solution

5 Xylitol 8 %
Flavouring agents 2 %

The mixture obtained is poured into starch moulds to form tablets having a good taste and a favourable consistency.

Example 9.
Chewing gum.

A chewing gum composition is prepared starting from the following ingredients:

<table>
<thead>
<tr>
<th>Ingredient</th>
<th>Amount</th>
</tr>
</thead>
<tbody>
<tr>
<td>Gum base</td>
<td>30.0 %</td>
</tr>
<tr>
<td>Sorbitol (70 % aqueous solution)</td>
<td>15.0</td>
</tr>
<tr>
<td>Sorbitol (100 % pure powder)</td>
<td>44.55</td>
</tr>
<tr>
<td>Xylitol</td>
<td>7.5</td>
</tr>
<tr>
<td>Glycerine</td>
<td>1.60</td>
</tr>
<tr>
<td>Flavouring agents</td>
<td>1.35</td>
</tr>
</tbody>
</table>

The ingredients are intimately mixed by needing at about 50 °C and are then extruded and rolled into bands which are severed into suitable pieces. The chewing gum obtained is extremely well-tasting and has a favourable consistency when chewed.

The chewing gum under the above example is made subject to testing and is compared to a conventional chewing gum not containing xylitol. This conventional chewing gum is made in the same manner as described above except that no xylitol is added whereas the amount of sorbitol powder is increased correspondingly to 52 %.
over, in order to establish the minimum amount of xylitol in chewing gum resulting in the desired pH-increase, different chewing gum compositions were made wherein the ratio of xylitol to sorbitol was varied.

In Fig. 3 the plaque pH as a function of percentage of xylitol (based on the combined weight of xylitol and sorbitol) is shown. The diagram is obtained by measuring the plaque pH after chewing 10 pieces of chewing gum of the invention, the measurements being made about 10 minutes after chewing the final gum piece. As is seen from the diagram the plaque pH starts to increase at a percentage of xylitol of about 3.5 and that after a fairly rapid increase the pH reaches a constant level which is largely independent of further increase of the xylitol percentage. This is a highly surprising discovery which was not at all anticipated by those skilled in the art. In practice, this finding means that the substantially cheaper sugar alcohol sorbitol may replace a large fraction of xylitol without resulting in any substantial change in plaque pH as compared to the use of xylitol alone.

In Fig. 4 there is shown comparison between chewing gums containing xylitol as per the above described Example 9 and chewing gums excluding xylitol while correspondingly increasing the amount of sorbitol. To the left in the diagram there is shown the plaque pH before starting chewing, whereas to the right in the diagram there is shown the plaque pH 10 minutes after chewing the last piece of gum out of 10 pieces chewed in one day.
In Fig. 4 the dashed lines relate to xylitol-containing chewing gum, whereas the uninterrupted lines relate to xylitol-free chewing gum. The reason why the initial plaque pHs vary is that different individuals show different plaque pHs in dependence of different oral bacterial conditions. It is seen from the diagram that those individuals having a high initial plaque pH will be subject to a larger decrease in plaque pH when using xylitol-free chewing gum whereas those individuals having a lower initial plaque pH are not significantly affected with regard to plaque pH when chewing xylitol-free chewing gum. However, irrespective of initial plaque pH chewing of a xylitol-containing gum always results in an improvement in that the final pH of the plaque is always significantly higher than that obtained after chewing a gum not containing xylitol.

The sweetening strength of the composition according to this invention when based on only the combination xylitol-sorbitol seems to be of the same order of magnitude as that of ordinary sugar. Thus, the composition can be used to completely replace ordinary sugar in conventional sweet products, such as the above-identified types of sweets. The amount used is in no way critical and is only dependent on the degree of sweetness desired in the product in question. In other respects the composition may be used mainly in the same manner as usual sugar.

It is to be understood that the invention is not to be limited to the compositions or procedures shown and described, as obvious modifications and
equivalents will be apparent to those skilled in the art, and the invention is therefore to be limited only by the scope of the appended claims.
The claims defining the invention are as follows:

1. Edible product (as hereinbefore defined) containing as a principal sweetener sorbitol and a sorbitol-stabilising amount (as hereinbefore defined) of xylitol.

2. Product according to claim 1, wherein said sorbitol-stabilising amount is up to about 50% by weight based on the combined weight of sorbitol and xylitol.

3. Product according to claim 2, wherein said sorbitol-stabilising amount is up to 25% by weight.

4. Product according to claim 3, wherein said sorbitol-stabilising amount is at least 1% by weight.

5. Product according to any of claims 1-4, wherein the weight ratio of xylitol:sorbitol is 1:30 to 1:6.

6. Product according to any of claims 1-5, in the form of a stimulant (as hereinbefore defined).

7. Product according to claim 6, wherein the stimulant is a chewing gum.

8. Product according to any of claims 1-5, in the form of a sweet.

9. The use of xylitol and sorbitol in combination as a non-cariogenic principal sweetener in edible products (as hereinbefore defined), said combination comprising sorbitol and a sorbitol-stabilising amount (as hereinbefore defined) of xylitol.

10. The use according to claim 9, wherein the edible product is a stimulant (as hereinbefore defined).

11. The use according to claim 10, wherein the stimulant is a chewing gum.

12. The use according to claim 9, wherein the edible product is a sweet.

13. The use according to any of claims 9-12, wherein
said sorbitol-stabilising amount is up to 50% by weight based on the combined weight of sorbitol and xylitol.

14. The use according to claim 13, wherein said sorbitol-stabilising amount is up to 25% by weight.

15. The use according to claim 14, wherein said sorbitol-stabilising amount of xylitol is at least 1% by weight.

16. The use according to any of claims 9-15, wherein the weight ratio of xylitol:sorbitol is 1:30 to 1:6.

17. A method of preparing a sweetening non-cardiogenic composition, comprising mixing sorbitol and a sorbitol-stabilising amount (as hereinbefore defined) of xylitol, preferably up to 50% by weight of the combined weight of sorbitol and xylitol, to form the desired composition.

18. A method according to claim 17, wherein said sorbitol-stabilising amount of xylitol is up to 25% by weight.

19. A method according to claim 17 or 18, wherein said sorbitol-stabilising amount of xylitol is at least 1% by weight.

20. A method according to any of claims 17-19, wherein the weight ratio of xylitol:sorbitol is within the range 1:30 to 1:6.

21. A method according to claim 17 substantially as hereinbefore described with reference to any one of the examples.

22. Edible product according to claim 1, substantially as hereinbefore described with reference to any one of the examples.

DATED: 30 April, 1979
PHILLIPS CURRANDE AND FITZPATRICK
Attorneys for:
BARNANGEN AB
Fig. 1

- 15% Xylitol
- 26% Xylitol
- 7% Xylitol
- 3.5% Xylitol
- Sorbitol
- Sucrose

pH

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 h
**Fig. 1**

- **15% Xylitol**
- **26% Xylitol**
- **7% Xylitol**
- **3.5% Xylitol**
- **Sorbitol**
- **Sucrose**
Fig. 2

Fig. 4

- B = Sorbitol
- A = Sorbitol + Xylitol
- D = Sucrose
- C = Sucrose + Xylitol
Fig. 3

The graph shows the pH levels (y-axis) in relation to the percentage of xylitol (x-axis). The pH values range from 6.30 to 6.60, and the xylitol percentages range from 0% to 50%. The graph indicates a steep increase in pH starting at around 4.87% xylitol, followed by a plateau.

(Xylitol)