

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
10 June 2004 (10.06.2004)

PCT

(10) International Publication Number
WO 2004/047545 A1

(51) International Patent Classification⁷: **A23G 3/00**

(21) International Application Number:
PCT/EP2003/013240

(22) International Filing Date:
25 November 2003 (25.11.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
02 258 085.6 25 November 2002 (25.11.2002) EP

(71) Applicant (for all designated States except US): **PHARES
PHARMACEUTICAL RESEARCH N.V.** [NL/NL]; P.O.
Box 6052, Emancipatie Boulevard 31, Curaçao (AN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **VAN LEIGH,
Daryl, Louis** [GB/GB]; 111 Littlebrook Avenue, Bucks
SL2 2PD (GB). **LEIGH, Mathew, Louis, Steven**
[GB/CH]; Hardstrasse 111, CH-4052 Basel (CH). **LEIGH,
Steve** [GB/NL]; P.O. Box 2943, 1000 CX Amsterdam
(NL).

(74) Agents: **SCHREIBER, Wolfgang, F.** et al.; Riederer
Hasler & Partner AG, Elestastrasse 8, CH-7310 Bad Ragaz
(CH).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD,
SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,
US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: CONFECTIONERY COMPOSITION

(57) Abstract: The invention provides a novel functional confectionery or confunctionery composition in a sealed pack, which may be stimulation sweets and in the form of solid compacts, or the like, preferably bilayered, for dissolution in the mouth for extended supply of energy and stimulant from external and endogenous sources, comprising (a) at least one sugar selected from the group consisting of mono, di and polysaccharides or preferably combinations thereof with trehalose, (b) at least one stimulant in a therapeutic amount or in combination with biologically active compound/ s, (c) a plurality of solid compacts, (d) each compact comprising a sub therapeutic unit dose of the said stimulant. Furthermore, there may be fewer undesirable properties normally associated with stimulation compositions consumed in a single shot in water.



WO 2004/047545 A1

CONFECTIONERY COMPOSITION

FIELD OF THE INVENTION

- 5 This invention relates to functional confectionery compositions in the form of compacts that provide energy and stimulation.

BACKGROUND OF THE INVENTION

- 10 Glucose is the basic energy provider to the body. It is directly absorbed from the gastrointestinal (GI) tract and transported in the circulation to provide immediate energy in metabolic processes or stored as other forms of energy. In fatigue states the requirement for glucose increases and if this is not readily available, physical functions may deteriorate rapidly with diminishing
- 15 mental acuity and wakefulness. Direct intake of sugar and particularly glucose helps combat fatigue. Furthermore, compounds such as the xanthines, particularly caffeine may promote wakefulness directly through central nervous system (CNS) stimulation, and indirectly through mobilisation of insulin which increases cellular uptake of glucose. Energy boosting products
- 20 essentially containing glucose and sugars are widely used as drinks, snacks and sweets to prevent fatigue. Alternatively, products containing a therapeutic dose of a stimulant such as caffeine in a tablet are also available. However, all these products suffer from one or more disadvantages. Drinks may be inconvenient or impractical to use and the ones with caffeine are
- 25 likely to promote more frequent micturation or diuresis when consumed freely. Tablets taken with water are not suitable for frequent administration because of side effects from overdose. Furthermore, caffeine in a 'therapeutic' dose form is more of a pharmaceutical rather than a confectionery product and may require licensing approval for sales through retail outlets. Although
- 30 there is no official dose recommendation for caffeine, it is generally regarded

CONFIRMATION COPY

that an 'arbitrary' dose of 30 mg to 50 mg is an effective amount. It is also known that much larger amounts of caffeine, over 1000 mg may be ingested daily and up to 5600 mg may be consumed without serious adverse effects.

- 5 Notwithstanding that caffeine is a natural stimulant with a wide therapeutic window, caffeinated sweets that may be ingested freely may present safety concerns. Therefore, the object of this invention is at least two fold. Firstly, to improve and extend the oral bioavailability of caffeine and energy producing sugar combinations with improved delivery to enable maximum oral and
- 10 mucosal absorption in the form of compacts /sweets so that a unit dose of less than 30 mg or lower may be an effective amount as a stimulant. Secondly, to provide stimulation compositions in the form of sweets comprising caffeine or a stimulant within an effective and safe dose range in sealed unit packs, thereby avoiding or minimising the risk of caffeine overdose through over
- 15 indulgence. Preferably, the sweets are in the form of compacts in a visually attractive and distinctive bicoloured, bilayer presentation which further enables active compounds, incompatible or different ingredients and flavours to be contained in separate layers.
- 20 EP 0 716,853 describes caffeine tablets with 100 mg to 700 mg caffeine in each in a slow release solid matrix form for extended release in the GI tract.

- DE 3,821,374 relates to coffee sweets with skimmed milk, whole milk and and/or creamer of vegetable origin, and in addition sugar/sweetener. In
- 25 accordance with the desired taste, greater or lesser portions of coffee are selected for the base mass.

- WO 00/13522 describes a comestible delivery system which is a chewy nougat composition prepared by positively hydrating a mixture of
- 30 components including a hydro bonding compound, a saccharide based

material and a bio-affecting substance having poor organoleptic characteristics to form a mass without need for cooking to drive off moisture.

US 4,452,821 describes confectionery compositions comprising caffeine in a solid wax mixture incorporated into a confectionery base.

US 6,024,988 discloses caffeine in taste masked form by virtue of particle size characteristics whilst US 6,627,234 is concerned with compositions containing caffeine added to the coating material.

10

Clearly, the prior art does not include stimulation sweets described in the present invention. Confectionery products e.g. mints, may contain caffeine but they are outside the parameters described in this invention. Furthermore, mints are in a separate functional group clearly for mouth freshening and do not claim the benefits of novel energy providing sugar compositions particularly with trehalose. Furthermore, bilayered presentations of sweets in the form of compacts are unique and have not been described before.

The typical caffeine content of some known proprietary products is listed below. For comparison the caffeine content of daily beverages is also shown.

Caffeine Tablets

Pro-Plus [®] :	Caffeine 50 mg. Recommended dose 1 to 2 tabs. Not to exceed 2 tablets in 1 hour and 12 tablets in 24 hours.
Pep [®] :	Caffeine alkaloid BP 30 mg. 2 tablets up to three times a day.

Stimulation Drinks (250 ml can)

Red Bull [®] :	80 mg
-------------------------	-------

Dark Dog®:	80 mg
V:	50mg
Red Devil®:	80 mg
Spiked Silver®:	80 mg

5

Soft drinks

Coca Cola®:	66 mg (330 ml can)
-------------	--------------------

10 Hot drinks (per 100 ml)

Roast coffee:	up to 100 mg
Instant coffee:	up to 60 mg
Decaffeinated coffee:	up to 3 mg
Tea:	up to 60 mg

15

SUMMARY OF THE INVENTION

20 The invention is in the area of 'functional confectionery' and 'stimulation sweets'. It straddles nutraceutical and confectionery compositions and combines the functional benefits of a nutraceutical with the pleasurable and flavoursome properties of a confectionery. The invention provides a controlled supply of energy sugars and at least one stimulant compound for

25 maintaining a wakeful and alert state and improving cognitive ability.

In one aspect the invention relates to a functional confectionery composition in a pack containing stimulation sweets in the form of compacts comprising:

- 30
- i) sugars selected from the group consisting of mono, di and poly saccharides,
 - ii) a stimulant.

The invention further describes a functional confectionery composition which may be stimulation sweets in the form of compacts in a pack comprising;

- i) sugars selected from the group consisting of mono, di and poly saccharides,
- 5 ii) 0.1 to 10 mg caffeine in each sweet,
- iii) an effective amount of caffeine.

The invention further describes a functional confectionery composition which may be stimulation sweets in the form of compacts in a pack comprising;

10

- i) trehalose,
- ii) 0.1 to 10 mg caffeine in each sweet.

Preferred embodiments of the invention comprise a functional confectionery composition in a pack containing 5 to 100 compacts.

In another aspect the invention describes a method to extend the beneficial effects from at least one energy provider in association with at least one stimulant agent. Furthermore, the invention minimises acute overdose of stimulant by providing a functional composition in a sealed unit pack comprising a total amount of a stimulant equivalent to between 1 to 20 doses. For caffeine, each dose in the pack comprises an effective dose which may be 10 mg, preferably 20 mg, most preferably between 30 mg to 50 mg of caffeine which is subdivided into a plurality of compacts. Each compact contributes a smaller, sub unit dose of said stimulant/s in association with said immediate and extended energy providers in the form of mono, di and poly saccharides and excipients. Preferably, the sealed pack contains between 5 to 100 said compacts each containing a smaller amount or sub unit dose of caffeine making up a total which is an effective unit dose.

30

In a further aspect, the invention relates to confectionery compositions or sweets which may be compacts with at least two visually distinct layers.

DETAILED DESCRIPTION OF THE INVENTION

5

The invention concerns a confectionery composition which conveys a functional benefit. A new term 'confectionery' is used in this specification to describe a category in confectionery that is between a nutraceutical/ pharmaceutical and a confectionery product, combining the functional
10 properties of nutraceuticals with the pleasurable and 'more-ish' qualities of confectionery compositions. In contrast to pharmaceutical compositions confectionery compositions are most edible and suitable for unrestricted sale to the general public in all types of retail outlets. The category includes sugar products, chocolates, drinks, beverages, etc. A confectionery product
15 is essentially a confectionery which comprises at least one biologically active compound that has some physiological or pharmacological but above all, functional benefits.

In this specification,

20 'stimulant' is a biologically active compound that stimulates the central nervous system (CNS) in a measurable way including but not limited to maintaining a wakeful and alert state or improving and enhancing cognitive functions.

'unit dose' is an effective amount of a biologically active compound or
25 therapeutic agent that has a stimulant function. This is an arbitrary or empirical amount generally recognised to be between 30 mg or 50 mg for caffeine base. It may be less than 30 mg, as little as 10 mg or even less. . The unit dose for other stimulants varies according to the compound.

'sub unit dose' is a smaller amount of the unit dose in milligrams of a
30 stimulant in each compact.

pack' is a primary pack or container for the compacts including but not limited to sachets, pouches, wrapped rolls, boxes and the like;

'compact' is a consolidated unit or sweet that is held or crunched in the mouth, prepared by shaping a bed of powder or granules in a die by applying
5 pressure through impact or extrusion;

'bilayer' describes a compact which is composed of at least two visually distinct layers.

The invention describes a novel functional confectionery composition for oral
10 administration in the manner of sweets, which may be a solid compact that is held or crunched in the mouth, for extended supply of energy and stimulant(s) from external and endogenous sources, comprising, (a) mixtures of mono, di, and poly saccharides, or combinations with trehalose, (b) at least one active component in a unit dose i.e. an effective amount which is
15 sub divided and distributed into (c) a plurality of solid compacts each comprising a smaller, sub unit dose of said stimulant (d) contained in a unit pack. Preferably, each compact comprises at least two layers which may differ in colour. The invention further allows biologically active compounds including but not limited to vitamins, minerals, appetite stimulants or
20 depressants, etc to be included in the composition.

According to the invention, the total daily amount of e.g. caffeine that may be ingested safely in the manner of sweets is defined by i). the amount of caffeine in each sweet, ii) the number of sweets in a sealed pack. Further, the
25 total caffeine delivered in a pack of sweets may be controlled within safe daily intake levels by selecting suitable values within the two parameters.

The amount of stimulant e.g. caffeine in each sweet in a sealed pack is most preferably not more than one fifth of the unit dose i.e. effective amount of
30 caffeine contained in the said pack. Preferably the pack contains between 5 to

100 said compacts. Generally, an effective dose of caffeine may be between 30 mg to 50 mg. It must be clearly understood that 30 mg -50 mg is an arbitrary range as there is no official recommended dose for caffeine. A unit dose of 10 mg or less than 10 mg in total in a pack may be an effective
5 amount if it is administered in an efficient manner. The present invention describes an improved delivery system to maximise stimulant effects in combination with energy providing sugars in a compact so that smaller unit doses may be effective. Using the invention it may be possible, where regulation permits, to administer more potent, or bitter and unpalatable
10 compounds, either on their own or preferably combined with caffeine in sub therapeutic amounts in each sweet for frequent or *prn* ingestion.

Thus, the invention overcomes the drawbacks of the known products because there may be fewer undesirable properties normally associated with
15 compositions which deliver a larger amount of a stimulant in one single dose or a shot. Furthermore, subdivision of an effective amount of a stimulant into a plurality of compacts, each comprising a smaller, subunit dose, allows consumption of the active compound more frequently in a palatable form over a longer time. Thus, rather than stressing the organism
20 with a sudden high concentration of the active compound, the level of the active compound may be maintained at an effective level for longer periods. Contrary to known pharmaceutical compositions containing a stimulant in an effective amount e.g. 30 mg to 50 mg in each tablet, the novel 'confunctionery' composition has a pleasant taste and is essentially a sweet and consumed in
25 the manner of sweets to be held in the mouth or crunched with minimal risks from over indulgence.

More specifically, the invention comprises a plurality of compacts, at least 5 in a sealed unit pack containing an effective amount of a stimulant

composition, wherein each sweet is preferably a bilayered compact formed by compression or moulding, comprising:

- i) at least one sugar selected from the group consisting of mono, di and poly saccharides as energy provider,
- 5 ii) a smaller amount of at least one natural or synthetic compound with safe and known CNS stimulant properties,
- iii) excipients such as artificial sweeteners, flavours and colouring.

A preferred monosaccharide is e.g. glucose and a particularly preferred
10 disaccharide is trehalose, whilst a preferred polysaccharide is maltodextrin. A preferred stimulant is a xanthine such as caffeine or a caffeine salt. Contrary to known caffeine tablets the novel 'confunctionery' composition has the pleasant taste of a sweet and may be consumed in the manner of sweets – as many or as few at a time. Due to the distribution of a unit dose of
15 caffeine into a number of tasteful compacts in a pack wherein each sweet contains a sub to unit dose or smaller amount of caffeine, the stimulating effect of caffeine may be prolonged by either ingesting one or more sweets in succession or spaced over a period according to requirements. The caffeine tablets of the prior art leave no choice but to administer a full therapeutic
20 dose of caffeine contained in one or two tablets to be taken with water. This mode of administration stresses the organism with a sudden rather high concentration of caffeine which may result in unwanted side effects or rapid clearance. It should be clearly understood that in place of or in addition to caffeine, other stimulant compounds including those with cognitive
25 enhancing properties may be used.

Preferred embodiments of the compacts have at least two or more layers. An embodiment of particular interest is a bilayered tablet comprising two halves with different colours. Furthermore, the two halves may contain either the
30 same or different components. In an exemplary embodiment, one half may

comprise caffeine or another stimulant whilst the other half may either comprise the same components or different components and flavours. The compacts may be round or oval or they may be polygonal. Preferred shapes are three to eight sided polygons, most preferred are six sided hexagonals.

- 5 The corners of the hexagon allow the sweet to be picked up more easily and prevent rolling. The invention includes a unit pack containing a functional confectionery sweet which may comprise a total amount of up to 1000 mg of caffeine, a sealed unit pack which is preferably a resealable gusset type, stand-up pouch fabricated from a 3 ply laminate and heat sealed.

10

Energy provider

- The range of saccharides in the composition is between about 10 wt% to 90 wt%, used on their own or preferably in combination. Examples of
- 15 immediate energy providers are monosaccharides e.g., glucose, fructose, maltose. Preferred ranges are between 10 wt% to 40 wt%. Examples of longer term energy providers are disaccharides such as sucrose, preferably trehalose and polysaccharides such as maltodextrins, and/or smaller amounts of polyols such as xylitol, mannitol, sorbitol, etc and mixtures thereof. The wt %
- 20 of trehalose may be within the range of 1 wt% to 90 wt%, preferably 10 wt % to 90 wt % and most preferably 15 wt% to 75 wt%. The ratio of monosaccharide to disaccharide is preferably 1 : 10 to 10 : 1.

Stimulant

25

- The stimulant may be a xanthine such as caffeine or its salt including taste masked caffeine, caffeine containing guarana, ginkgo biloba, phosphatidyl serine (PS), phosphatidyl inositol (PI), glycerophosphocholine and natural products such as gingseng and its alkaloids that are known to have a
- 30 stimulant effect on the CNS and used in products for general consumption. If

desired, the stimulant may be in a taste masked form that hides the bitter taste. Preferably, stimulants are used which do not have undue legal restrictions on their use. Caffeine and its salts, and caffeine containing natural products such as guarana or combinations are particularly preferred as
5 stimulant. Alternatively or in some cases additionally, functional components such as gingseng or a ginsenoside, gingo biloba or a ginkgolide may be used as a stimulant with the proviso that an amount recognised as an effective dose is sub-divided and distributed into at least 5 or more sweets in a unit pack, preferably in a resealable gusset pouch as in the case of caffeine illustrated as
10 a typical example. Compounds with CNS stimulant properties including cognitive enhancement may be used in much the same way preferably in combination with caffeine. It should be clearly understood that the amount of the stimulant depends on the compound and for highly potent compounds the amount contained in each sweet may be less than 1 microgram.
15 Combinations of caffeine and other stimulant compounds are particularly preferred. For example nicotine may be combined with caffeine in a sweet according to the invention.

Generally, the sub unit dose of caffeine in a single sweet may be between
20 0.1 mg to 10 mg, more preferably between 0.25 mg to 6 mg, most preferably 2 mg to 5 mg of caffeine alkaloid calculated on the anhydrous base.

The total amount or unit dose of caffeine contained in each sealed unit pack sold over the counter should not exceed 1000 mg, preferably a total amount of
25 not more than 500 mg, more preferably up to 250 mg, most preferably not exceeding 100 mg total caffeine content.

The caffeine content may be spread uniformly into up to 1000 individual compacts, preferably between 5 to 100 individual compacts, more preferably
30 between 10 to 50 individual compacts, most preferably between 10 to 30

sweets. The caffeine may be combined with other biologically active compounds.

5 The caffeine may be in any suitable or taste masked form. In terms of the particle size characteristics of the caffeine, 5% to 30% by weight may be retained on an 80 mesh sieve and at least 50% passes through.

10 The invention further describes a method of minimising the risks of acute overdose with a stimulant such as caffeine by providing in a sealed unit pack containing at least 5 sweets and an effective amount of caffeine in a unit dose, preferably a total amount of 10 mg or less to 1000 mg of caffeine, preferably between 20 mg to 500 mg, more preferably or 30 mg to 250 mg most preferably 50 mg to 100mg, which involves either lowering the amount of caffeine in each compact within the range of 0.1 mg to 10 mg, preferably
15 within the range of 0.25 mg to 6 mg and increasing the number of compacts; or reducing the number of compacts and increasing the amount of caffeine in each compact within the preferred range. Applying this method, effective dose levels for other stimulants will depend on the compound. By means of this strategy, acute overdose problems with caffeine or other stimulants from
20 a pack of sweets may be avoided whilst prolonging functionality to counter fatigue, maintain alertness, provide mental stimulation and enhance cognitive response in individuals in need thereof. In view of the pleasurable taste and 'more-ish' qualities of confectionery products this invention helps to regulate the amount of caffeine ingested within effective and safe levels in
25 each pack of stimulation sweets.

Typically the recommended dose and frequency for caffeine tablets such as Pro-Plus® is 50/100 mg at hourly intervals with water. Popular drinks provide in excess of 50 mg of caffeine with each consumption whilst coffee
30 can contain more than 500 mg per serving. Unexpectedly, the present

invention is more effective in promoting a state of wakefulness. This may be because of, a) the use of smaller or sub-therapeutic amounts of caffeine in subdivided unit doses, b) the sustained and more effective manner in which the caffeine is delivered through oral mucosa when it is held or crunched in the mouth. The absorption of caffeine from oral mucosa is very high.
5 Furthermore, oral mucosal absorption avoids first pass metabolism and leads to a much higher bioavailability compared to absorption from the GI tract. Therefore even smaller, sub therapeutic amounts of caffeine may be as effective as giving larger amounts with drinks which are rapidly cleared after
10 ingestion.

The invention provides a more effective and improved delivery of energy providers and stimulant because of i) the type of energy providers particularly trehalose on its own or in combination with other sugars for
15 immediate and sustained effects, ii) the extended manner in which an effective amount of stimulant is released following oral mucosal and GI absorption from a plurality of sub therapeutic unit doses, to provide optimal bioavailability in terms of AUC, Cmax and Tmax parameters, iii) the application of bilayered compaction technology for slow dissolution and
20 possible taste masking, further facilitating oral mucosal transport, iv) the use of trehalose which has reduced cariogenic properties.

The invention provides consumer safeguards to limit caffeine overdose whilst maintaining the appeal of sweets by selecting a combination of an amount of
25 caffeine in each sweet and the number of sweets contained in a pack, so that the total amount of caffeine in each pack available to the public is kept well within safe but comestible and effective levels. To illustrate the point, up to 20 packs of sweets each pack containing 25 sweets with 50 mg total caffeine must be consumed to provide about 1000 mg of caffeine – an amount below
30 the maximum daily intake level without serious adverse effects. Clearly

ingesting this amount of caffeine contained in 500 sweets in a day in this manner is highly unlikely. Therefore the consequence of consuming 500 sweets over a short time is self limiting. More usually, a pack of 25 sweets containing about 50 mg of caffeine in total is likely be consumed over a
5 period, thereby providing a practical and pleasurable method of providing functional benefits throughout the day.

Compact

10 The preferred weight of each compact is between 100 mg to 1000 mg, typically between 250 mg to 750 mg. The preferred shape of the compact is a bi-convex hexagon to provide maximum surface area for mucosal contact and absorption. Preferably the compacts have at least two bilayers. The compacts or sweets may be formed either by direct compression or wet granulation
15 and other methods which are familiar to those skilled in the art.

Each compact comprises 0.1 mg to 10 mg, preferably between 0.25 mg to 6 mg caffeine and/or other stimulant/s in combination with at least one instant energy provider which is a monosaccharide and one intermediate energy
20 provider that is a disaccharide in the ratio of 1:9 to 9:1 by weight. According to the invention, the combination of a stimulant in each sweet and the number of sweets constitute an effective therapeutic amount in a sealed pack. Optionally flavours, colours and excipients including artificial sweeteners may be included.

25

Compacts that have a dissolution rate of about 1 minute preferably up to 2 to 5 minutes, are preferred. This is achieved by preparing compacts, preferably with a crushing strength between 100 and 120 Newton using a Schleuniger-4M hardness tester. Crushing strengths within approximately this range
30 allows either slow dissolution or crunching in the mouth and facilitates oral

mucosal delivery. Furthermore, for providing an immediate supply of energy, glucose is preferred. Trehalose, either in crystalline or amorphous forms is used for a somewhat slower release of energy in the GI tract. Although trehalose may be used on its own, combinations of sugars with trehalose are particularly preferred because they may be less cariogenic.

The invention provides stimulation sweets in a pack for controlled supply of energy sugars and stimulant in tandem by making glucose available immediately, trehalose which is less cariogenic, after breakdown to glucose, in association with caffeine. The effects persist beyond the time that each compact dissolves in the mouth, either held or crunched. Thus the total amount of energy providers and stimulant delivered by a plurality of compacts consumed over a longer time may be prolonged. It may be up to an hour or more depending on the number of sweets that are ingested. This type of continuous delivery and supply of energy providers in association with stimulant may be more effective to overcome or avoid fatigue and promote wakefulness compared to a similar amount of sugars and caffeine that is taken in one shot in a drink.

Unit pack

Each unit pack may comprise 5 to 100 individual compacts, preferably 10 to 50 bilayered compacts packed inside a sealed unit pack or container suitable for general retail. Preferably the pack is a resealable gusset pouch fabricated from a 3 ply laminate material. The invention reduces the risks associated with acute overdosing by either lowering the amount of caffeine or a stimulant in each compact and increasing the number of compacts or by reducing the number of compacts and increasing the sub unit dose in each so that the total amount of caffeine or a stimulant in an effective unit dose in a sealed pack amounts to not more than 10 mg (or less) to 1000 mg of

caffeine, preferably between 20 mg to 500 mg, more preferably or 30 mg to 250 mg, most preferably 50 mg to 100 mg of caffeine. It should be clearly understood that the invention also covers a unit pack wherein the compacts or the like comprise sweet compositions further comprising biologically active materials such as vitamins, functional ingredients, minerals, etc combined with caffeine.

In the following example the typical contents of the components of one exemplary embodiment of the invention and the contents range of the components are shown.

EXAMPLE 1

	TYPICAL	RANGE
Caffeine BP	0.4 wt %	0.01 wt % - 10 wt %
15 Guarana	0.1 wt %	0.05 wt % - 1.0 wt %
Fruit acid	4.1 wt %	2.0 wt % - 10.0 wt %
Glucose	15.0 wt %	5.0 wt % - 25.0 wt %
Trehalose dihydrate	15.0 wt %	10.0 wt % - 75 wt %
Sucrose	60.0 wt %	10.0 wt % - 70 wt %
20 Flavour	2.0 wt %	0.1 wt % - 5 wt %
*Red/Blue	0.15 wt %	0.1 wt % - 1.0 wt %
Excipients/lubricants	ad 100 wt %	ad 100 wt %

* Allura red/Indigo carmine

25

The components are blended using triturates of caffeine and either red or blue colour to obtain homogeneous distribution. Separate amounts of the red and the blue powder mixtures are introduced into the dies of a bilayered Fette tablet machine. The weight of each bilayered compact is about 500 mg and typically contains about 2 mg +/- 10% caffeine. 25 sweets are filled into a

30

stand-up gusset type pouch. The total amount of caffeine in each pack is about 50 mg delivered with about 11 gm of mono and disaccharides as energy providers.

- 5 Alternatively, the components in the red and blue powder blends may be varied in terms of the active components and/or the saccharides, or flavours to obtain 2 different compositions in each half.

Example 2

10 Component A

Caffeine 0.5 wt %

Component B

PS 1.2 wt %

Component C

- 15 Trehalose anhydrous 50.0 wt %
 Glucose 10.0 wt %
 Sucrose 25.0 wt %
 Maltodextrin 10.0 wt %
 Flavours 4.0 wt %
 20 Red/blue 0.5 wt %

Component A comprising caffeine is mixed uniformly with half the wt of powders in C and the red colour.

- Component B containing PS is uniformly incorporated as a triturate into C,
 25 using the remaining amount of C and the blue colour.

250 mg quantities of the red and blue powder compositions comprising caffeine and PS respectively are compressed into bilayered sweets as in Ex 1. 500 mg of the bilayered compact comprises a red half with about 2.5 mg caffeine and a blue half with about 6 mg PS.

50 bilayered sweets each containing 2.5 mg caffeine and 6 mg PS in separate halves are filled into a resealable gusset pouch as before. Alternatively each half may contain both caffeine and PS. Each pack contains a total of 125 mg caffeine and 300 mg PS. The minimum effective dose of PS is about 100 mg.

5

Other stimulants may be incorporated in the 2 halves with the same or different saccharide mixtures as in Example 1 or 2 to prepare similar or different combinations of stimulant and sugar. It should be understood that the examples given set out typical compositions and the range of components that may be employed. In the examples caffeine is used either alone or in combination with PS. Other types of stimulants may be used in place of caffeine. The invention further allows combinations of stimulants with biologically active compounds which are not stimulants. Whilst the broad range of the stimulant should fall within 0.01 wt % to 10 wt % of the composition, the preferred range will depend on the activity of the compound. Preferably this should be in the region of 0.1 wt % to 1 wt % of the weight of each compact. However the amount used in each stimulation sweet depends on the total amount of the effective dose that is contained in each sealed pack. The preferred example is a bilayered compact where one half of the compact is red and the other half is blue, other colours may be employed. Each half of the compact may contain caffeine or stimulant and sugars in similar or different combinations. In the example shown above, the total weight of the compact is about 500 mg.

25 The preferred unit pack is a resealable gusset pouch. A preferred pouch material is an aluminium/plastic composite. The size of the pouch measures approximately 50 mm - 80 mm width by 60 mm to 100 mm length. The pouch is heat sealed after filling. 10 -20 unit packs are assembled into a secondary pack for point of sale (POS) display and merchandising.

30

The invention provides a novel functional confectionery or confuctionery composition in a sealed pack, which may be stimulation sweets and in the form of solid compacts, or the like, preferably bilayered, for dissolution in the mouth for extended supply of energy and stimulant from external and
5 endogenous sources, comprising (a) at least one sugar selected from the group consisting of mono, di and polysaccharides or preferably combinations thereof with trehalose, (b) at least one stimulant in an effective amount or unit dose, or in combination with biologically active compound/s, (c) a plurality of solid compacts, (d) each compact comprising a sub unit dose of
10 the said stimulant. Furthermore, there may be fewer undesirable properties normally associated with stimulation compositions consumed in a single shot in water.

CLAIMS

1. A functional confectionery composition in a pack containing
5 stimulation sweets in the form of compacts comprising:
 - i) sugars selected from the group consisting of mono, di and poly saccharides,
 - ii) a stimulant.
- 10 2. The composition of claim 1 comprising:
 - i) sugars selected from the group consisting of mono, di and poly saccharides,
 - ii) 0.1 to 10 mg caffeine in each sweet,
 - 15 iii) an effective amount of caffeine.
3. The composition according to claim 1 comprising,
 - i) trehalose,
 - ii) 0.1 to 10 mg caffeine in each sweet.
- 20 4. The composition of any one of claims 1 - 3, wherein the pack contains between 5 to 100 sweets.
5. The composition of claim 1, wherein the stimulant is caffeine base or a salt equivalent thereof, the mono saccharide is glucose, the
25 disaccharide is selected from the group consisting of sucrose, fructose, and trehalose, and the polysaccharide is maltodextrin.
6. The composition of any one of the preceeding claims, which comprises up to 100 mg caffeine base or a salt equivalent thereof in a pack.

7. The composition of any one of the preceeding claims, wherein each compact is composed of at least two layers.
8. The composition of claim 7, wherein the compact comprises 0.25 mg to
5 6 mg caffeine base or a salt equivalent thereof.
9. The composition of any one of the preceeding claims, wherein each compact weighs between about 100 mg and about 1000 mg.
- 10 10. The composition of claim 9, wherein the compact has a round, oval or polygonal shape.
11. The composition of claim 10 , wherein the compact has a hexagonal shape.
- 15 12. The composition of claim 1 wherein the pack is a sealed unit pack comprising a total amount of 30 mg to 250 mg caffeine base or a salt equivalent thereof homogeneously distributed between 20 - 50 compacts each comprising between 0.25 mg to 6 mg caffeine or its salt.
- 20 13. The composition of claim 1, wherein the pack is a re-sealable gusset pouch fabricated from a 3 ply laminate material or re-sealable sachet measuring about 50 mm - 80 mm width by about 60 mm - 100 mm length.
- 25 14. The composition of claim 13, wherein the gusset pouch is heat sealed after filling.

15. The composition of claim 1 wherein the compacts are of a configuration designated to be held or crunched in the mouth to enable maximum mucosal contact.
- 5 16. The composition of claim 1, wherein the compacts have at least two layers and comprise two halves, containing one of the same or different components of the composition.
- 10 17. The composition of claim 16, wherein the two halves have different colours.
18. The composition of any one of the preceeding claims in the form of individual compacts with at least two visually distinct layers.
- 15 19. A method of providing 30 mg up to 100 mg of caffeine in a confunctionery product in a re-sealable unit pack which comprises providing caffeine in combination with at least one energy provider that is a mono, di and poly saccharide or combinations with trehalose, sub dividing and distributing the caffeine in combination with the
20 energy provider/s between 5 to 100 compacts each comprising a sub therapeutic unit dose of 0.25 mg to 6 mg caffeine.
20. The method according to claim 19 of minimising the risks of overdose by providing a sealed unit pack comprising 5 to 100 stimulation
25 sweets containing between 30 mg to 250 mg caffeine, said method involves either lowering the amount of caffeine in each compact within the range of 0.25 mg to 6 mg, and increasing the number of compacts, or reducing the number of compacts and increasing the amount of caffeine in each compact within from 0.25 mg to 6 mg.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/13240

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A23G3/00

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)

IPC 7 A23G

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 practical, search terms used)

EPO-Internal, WPI Data, PAJ

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DE 23 36 106 A (LEIPZIGER SUESSWARENBETRIEBE V) 13 February 1975 (1975-02-13)	1-6, 9, 10, 15, 19, 20
Y	page 2, line 6 -page 3, line 18 ----	7, 8, 11-14, 16-18
Y	EP 0 716 853 A (NESTLE SA) 19 June 1996 (1996-06-19) cited in the application claims 1,3-5,11-19; figure 1 ----- -/-	7, 8, 11-14, 16-18

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

° Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *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
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *G* document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
22 April 2004	03/05/2004
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Guyon, R

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/13240

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 1 210 880 A (SAN EI GEN FFI INC) 5 June 2002 (2002-06-05) paragraphs '0587!', '!', '0276!; examples 5-2-1, 1-1-19 paragraphs '0585!', '0586!; examples 1-1-18 paragraphs '0111!', '0113!', '0197!', '0209!', '0212! paragraphs '0413!-'0446!', '0513!', '0532!-'0536!', '0587! ---	1-10, 15, 16, 18
X	US 6 224 872 B1 (ARIO TAKESHI ET AL) 1 May 2001 (2001-05-01) column 7, line 66 -column 8, line 67; claims 1, 7, 8, 12-16, 20; examples 1, 2, 4, 7 ---	1-6, 9, 10, 15
X	EP 1 177 728 A (SAN EI GEN FFI INC) 6 February 2002 (2002-02-06) paragraphs '0059!', '0003!', '0040!', '0045!', '0074!; claims 1, 14, 34-37; examples 36, 37, 49, 45, 47, 11 ---	1-6, 9, 10, 15
X	EP 0 437 927 A (WARNER LAMBERT CO) 24 July 1991 (1991-07-24) claims 4, 7, 9, 11, 12; example 1 ---	1, 7, 9, 10, 15-17
X	DE 38 21 374 A (NOLDE SYLVIA) 18 January 1990 (1990-01-18) cited in the application the whole document -----	1, 2

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/13240

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
DE 2336106	A	13-02-1975	DE 2336106 A1	13-02-1975
EP 0716853	A	19-06-1996	US 5744164 A	28-04-1998
			AT 201986 T	15-06-2001
			AU 694810 B2	30-07-1998
			AU 3854295 A	03-07-1996
			CA 2208149 A1	20-06-1996
			DE 69521328 D1	19-07-2001
			DE 69521328 T2	17-01-2002
			DK 789562 T3	03-09-2001
			EP 0716853 A1	19-06-1996
			EP 0789562 A1	20-08-1997
			ES 2158956 T3	16-09-2001
			GR 3036501 T3	30-11-2001
			HK 1000878 A1	26-10-2001
			WO 9618389 A1	20-06-1996
			IL 116066 A	31-10-2000
			JP 10510529 T	13-10-1998
			NZ 295245 A	28-01-1999
			PT 789562 T	30-10-2001
			RU 2144353 C1	20-01-2000
			TR 960542 A2	21-07-1996
			US 5700484 A	23-12-1997
			ZA 9510687 A	03-07-1996
EP 1210880	A	05-06-2002	JP 2000125807 A	09-05-2000
			JP 2000135058 A	16-05-2000
			JP 2000135062 A	16-05-2000
			JP 2000135055 A	16-05-2000
			JP 2000135049 A	16-05-2000
			JP 2000135066 A	16-05-2000
			JP 2000152757 A	06-06-2000
			JP 2000152764 A	06-06-2000
			JP 2000157193 A	13-06-2000
			JP 2000157184 A	13-06-2000
			JP 2000166462 A	20-06-2000
			JP 2000175631 A	27-06-2000
			JP 2000175668 A	27-06-2000
			JP 2000175647 A	27-06-2000
			JP 2000175630 A	27-06-2000
			JP 2000169876 A	20-06-2000
			JP 2000175648 A	27-06-2000
			JP 2000175649 A	27-06-2000
			AU 6366399 A	15-05-2000
			EP 1210880 A1	05-06-2002
			WO 0024273 A1	04-05-2000
			JP 2000197462 A	18-07-2000
			JP 2000197463 A	18-07-2000
			AU 6365999 A	15-05-2000
			JP 2000279104 A	10-10-2000
US 6224872	B1	01-05-2001	CN 1212882 A	07-04-1999
			DE 19834717 A1	04-02-1999
			JP 11100325 A	13-04-1999
			TW 546143 B	11-08-2003
EP 1177728	A	06-02-2002	AU 3680300 A	02-11-2000
			EP 1177728 A1	06-02-2002

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/13240

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 1177728	A		WO 0062628 A1	26-10-2000
EP 0437927	A	24-07-1991	AU 6707090 A	06-06-1991
			CA 2031051 A1	31-05-1991
			CN 1052025 A	12-06-1991
			EP 0437927 A2	24-07-1991
			FI 905870 A	31-05-1991
			IE 903381 A1	05-06-1991
			JP 3187345 A	15-08-1991
			NO 905100 A	31-05-1991
			PT 96031 A	13-09-1991
			ZA 9009608 A	30-10-1991
DE 3821374	A	18-01-1990	DE 3821374 A1	18-01-1990