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(54) Title: USES OF COCA LEAF OR VALERIAN ROOT TO REDUCE BITTERNESS IN FOODS CONTAINING UNSWEETENED CACAO

(57) Abstract: Products that are sugar-free, or are low in sugar, are disclosed herein that comprise extracts from the leaves of the Erythroxylum plant, and one or more plant products, such as cocoa powder or cola flavoring, wherein the perceived bitterness of the plant product(s) is reduced.

Uses of Coca Leaf or Valerian Root to Reduce Bitterness in Foods Containing Unsweetened Cacao

1 Background of the Invention

[0001] The reference to, or discussion of, any prior-published document or any other historical or factual background in this specification is in no way an acknowledgment that the document or background necessarily is prior art.

1.1 Chocolate, Kuna Indians, and a Long and Healthy Life

1.1.1 Cocoa/Cacao—Wonder Drug of the 21st Century.

[0002] Note: The word "cocoa" and its Spanish equivalent, "cacao", are used interchangeably herein. In addition, unless otherwise indicated the word "or" is used herein in its non-exclusive sense, e.g., "A or B" can refer to either A only, B only, or A and B taken in combination.

[0003] While cocoa contains caffeine (along with many nutrients such as flavonols, and flavor compounds (*see Schieb2000*), one important alkaloid it contains is a homologue of caffeine, theobromine. (The free tertiary amine in theobromine is methylated in caffeine.) Generally, cocoa powder comprises between about 2 % and about 10 % theobromine.

[0004] In recent years, various studies have shown that consumption of cocoa can result in dramatic decreases in the rates of heart disease, cancer, diabetes and other health problems (*see Franco2013*). In 2007, scientists in Panama studied the country's Kuna Indians, who consume large amounts of cocoa, typically consuming, each day, approximately 900 millig of flavonols in cocoa, as well as much theobromine. The result of the study was that deaths due to heart disease and cancer dropped by a factor of ten, and deaths due to diabetes dropped by a factor of four (*see Bayard2007*). While these effects cannot be solely attributed to the cocoa diet, nevertheless there is a great positive health contribution from the consumption of cocoa. Another study

published in 2008 reported that drinking two cups of hot chocolate a day may help older people increase blood flow in the brain (*see Sorond2008*). Still another study published in 2010 reported that theobromine-enriched cacao lowered central systolic blood pressure (*see Bogard2010*). Yet another study published in 2007 reported that regular consumption of dark chocolate that is rich in flavonols provides the benefit of lower arterial stiffness (*see Vlach2007*). And still another study published in 2013 reported that theobromine seems to be the chemical in cacao whose consumption leads to increases in serum-HDL (the so-called "good" cholesterol) concentrations (*see Neufin2013*). Mars, the chocolate company, has patented the use of some cacao extracts as anti-tumor agents (*see* U.S. Patent 7,820,713). Similarly, another chocolate company, Barry Callebaut, patented the use of some cacao extracts for treating prostate cancer (*see* U.S. Patent 8,435,576).

[0005] These disease reduction properties makes cocoa an ideal natural medicine that is also an inexpensive food—a nutraceutical. Any medicine having the biological properties of cocoa would be eagerly adopted by millions of people around the world, because everyone loves the popular form of cocoa, chocolate. But there is a problem: Raw cocoa has a very bitter taste, which makes regular consumption difficult.

[0006] In fact, most forms of chocolate that are consumed are rich in sugar and fat (*see* Table).

Table 1: Representative Chocolates

Product	**Serving (g)**	**Fat (g)**	**Sugar (g)**	**Fat/Sugar**
Bremer Hachez D'Arriba 77 %	19	8	68 %	40 %
Cake Boss Chocolate Frosting	35	10	20	86 %
Dagoba 74 % Dark	56	24	14	68 %
Dove Silky Smooth Dark	42	14	19	78 %
Endangered Species 88 % Dark	43	20	5	58 %
Equal Exchange 71 % Dark	37	16	10	70 %

Continued ...

Product	Serving (g)	Fat (g)	Sugar (g)	Fat/Sugar
Equal Exchange 80 % Extra Dark	37	18	7	68 %
Equal Exchange Organic Hot Cocoa	17	0	11	64 %
Ferrero's Nutella	37	12	21	89 %
Ghiradelli Double Choc Brownie Mix 32	3.5	18	67 %	
Ghiradelli Double Hot Chocolate	351.5	27	81 %	
Ghiradelli Unsweet Baking Bar	42	22	0	52 %
Godiva 85 % Extra Dark	40	21	5	65 %
Godiva 70 % Dark	40	17	11	70 %
Godiva 31 % Milk	40	13	20	82 %
Green & Blacks Organic Dark	35	15	9	68 %
Hershey's Hot Fudge	37	4	17	57 %
Hershey's Special Dark	41	12	2180 %	
Hershey's Special Dark Frosting	34	5	18	67 %
Hershey's 2 %-Fat Chocolate Milk	38	5	25	78 %
Hudson Valley 70 % Delightfully Dark 48	16	16	66 %	
Keebler Dark Fudge Stripes	31	7	12	61 %
Lindt 70 % Dark	40	19	12	78 %
Mars' 3 Musketeers Bar	54	7	36	80 %
Nabisco Chocolate Oreo	30	7	13	66 %
Nabisco Chips Ahoy Chunky	32	8	12	62 %
Nestle's Nesquick Powder	32	1	2684 %	
Newman's Own 70 % Super Dark	64	28	16	68 %
Scharffen Berger 82 % Extra Dark	43	19	8	63 %
Smuckers Hot Fudge	38	3.5	17	54 %

Continued ...

Product	Serving (g)	Fat (g)	Sugar (g)	Fat/Sugar
Swiss Miss Milk Hot Chocolate	34	3	21	70 %
Swiss Miss Dark Hot Chocolate	35	3.5	19	64 %
Theo Chocolate 70 % Organic Dark	42	16	12	66 %
Theo Chocolate Rich Dark Drinking	31	8	17	80 %
Tootsie Roll	32	2.5	16	58 %
Vermont Nut Free Dark	46	17	21	82 %
Xocai Dark Nuggets	36	13	12	70 %
Lily's Sweets Dark	40	14	6	50 %

[0007] The bitterness of cacao is so great that Hershey's recipe for "Perfectly Chocolate" hot cocoa recommends, for two such tablespoons of cacao powder, adding two tablespoons of sugar and one cup of milk (which adds additional sugar, and some fat) to reduce bitterness. The American Heart Association recommends about two tablespoons (25 g) of sugar per day for women and girls, and three tablespoons a day (38 g) for men and boys, as total consumption of sugar from all foods. Eating just one chocolate product from the Table per day, or a cup of hot chocolate, comes close to this total limit.

[0008] The sugar and fat used to make cocao palatable are known to be damaging to health when consumed in large quantities, thereby canceling the benefits of cocoa's flavonols and theobromine. A study published in 2012 by the American Diabetes Association estimated that 20 % of all of the money spent in the United States for health care is spent on people with diagnosed diabetes, 60 % of the costs of which are paid for by the United States government.One major cause of diabetes, especially type 2 (adult-onset) diabetes is over-consumption of a food drug, sugar, especially sugar that is added to popular consumer drinks such as sodas and fruit juices, and consumption of artificial sweeteners that can induce future consumption of sugar.

[0009] Sugar-free, fat-free forms of cacao, such as HERSHEY'S UNSWEETENED COCOA®, are very bitter to taste or drink, making mass consumption literally

unpalatable. In most supermarkets, the shelf space devoted to unsweetened cacao products is a small fraction of the shelf devoted to chocolate products with much fat and sugar. In most nutritional supplement stores, such as those licensed under the trade name "GNC", there are very few, if any, products containing ample amounts of cacao for its coronary, diabetic or cancer health benefits. Others have failed to create chocolate products that use sweeteners and fats as embellishments, as opposed being to essential ingredients. All of these failures, despite the tremendous funds available in the $100-billion chocolate industry, illustrate the need for new ways to experience the benefits of cacao without its bitterness.

1.2 Failures of Sugar Substitutes to Displace Sugar

[0010] Companies such as Coca Cola and Pepsi (which control one third of the global market for soft drinks) would be just as glad to make all of their profits from selling sugar-free sodas and juices, as contrasted to obtaining most of their profits from selling diabetes-inducing, sugar-based (typically the controversial corn-based fructose) sodas and juices (in 2013, the top five officers of Coca-Cola and of PepsiCo jointly earned $104 million, much for selling fructose soda). There is no business conspiracy here, but rather an extremely complicated problem of biochemical engineering—how do you make a chemical substitute that tastes exactly like sugar, but isn't sugar, especially a profitable substitute that is not bitter, that is not cancerous, and/or is not toxic?

[0011] For chocolate, this failure of others is discussed in a journal article, "Industrial manufacture of sugar-free chocolates—applicability of alternative sweeteners and carbohydrate polymers as raw materials in product development" (*see Aidoo2013*). The problem for the $100 billion chocolate industry (for which use of sweeteners and fats is essential) seeking increased sales due to the growing recognition of the health benefits of cacao, is to find a solution for developing cacao-based food products for which sweeteners and fat are inessential.

1.2.1 Artificial Sweeteners

[0012] For over 50 years, beverage and flavoring companies have been trying to make sugar inessential by substitution with chemicals having similar sweetness but metabol-

ically more favorable properties. While many alternatives are chemically interesting, some commercially successful, all have failed to fully replace sugar in terms of taste. Starches are just sugars with delayed onset. The sugar alcohols (sorbitol, maltitol, xylitol, erythitol, polyglycitol, isomalitol, etc.- all a class of polyols), while tasty, have a tendency to cause gastric distress (flatulence, diarrhea, etc.) when consumed in quantity (limiting the popularity of their use, e.g., in chocolate products (*see, e.g.,* U.S. Patent 5,490,996). The artificial sweeteners have their failures. Cyclamates were banned for being cancerous and are slightly bitter. Saccharin was banned (then approved) for being cancerous and is slightly bitter. Dulcin was banned for being cancerous though isn't bitter. Aspartame (better known as NUTRASWEET® or EQUAL®) is commercially popular, has a slight bitter taste (as does a relative sweetener, neotame), and can be of harm to those suffering from phenylketonuria (a harm shared with a new relative, advantame). Sucralose (better known as SPLENDA®) has health concerns (it is an organochlorine, as is DDT and dioxin) and impurity concerns (presence of lead and arsenic at microgram levels). Another popular sweetener, acesulfame, has its impurity concerns (presence of methylene chloride, a carcinogenic solvent used during manufacturing) and like the banned cyclamates and saccharin contains a sulfur atom which contributes bitterness.

[0013] Another group of sweeteners that have failed to displace sugar are plant-derived. Neohesperidin dihydrochalcone, derived from citrus plants, while approved in Europe has not been approved in the United States (one problem is that under some conditions it causes nausea and migraines). Glycirrhizin (derived from licorice root) is sweet, though not typically used as a sweetener. Stevia (in particular the glycoside Rebaudioside A, "Reb A") is the latest "fad" sugar, though has its bitterness problems. Reb A is bitter in large amounts; indeed one patent, U.S. 8,119,821 claims an artificial sweetener to make stevia less bitter, while the structurally related sweeter Rebaudioside D ("Reb D") is expensive because it occurs in small amounts, and was originally banned by the FDA for being possibly carcinogenic. Other trending sweeteners from plants, little used so far, are mogrosides derived from the monk fruit (popular in China), and monatin, which is derived from a South African shrub,

and miraculin from the fruit of *Synsepalum dulcificum* (which temporarily turns sour tastes into sweet tastes).

1.2.2 Artificial Sweeteners and Weight Gain

[0014] Beyond using artificial sweeteners to avoid the diabetes-inducing effects of sugar consumption, is the use of artificial sweeteners to avoid the weight-gaining effects of sugar consumption. Ironically, in 2013, Susan Swithers of Purdue University, argued that in some cases, artificial sweeteners can lead to weight gain, by disturbing the brain's and body's ability to count calories, thus causing the consumer to eat more sugared products to get the dopamine and calories that the artificial sweeteners don't provide (*see Swith2013*).

1.2.3 Bitter Blockers

[0015] Much work has gone into developing chemicals that block bitterness, while not necessarily adding sweetness. While there are some very effective bitter blockers, they are not commercially used, because of the difficulty or high cost of obtaining or producing these chemicals. These chemicals include riboflavin binding protein, phosphatidic acid–β-lactoglobulin (PA-LG) (known since the 1990s), and neodiosmin, Or artificial bitter blockers have proven not to be commercially useful, for example, U.S. Patent 6,942,874 (issued to Linguagen in 2005) for a bitter blocker based on uridine 5′-monophosphate, a derivative of the naturally occurring adenosine 5′-monophosphate, which partially blocks bitterness.

1.3 Failure of Others

1.3.1 Failure to use Erythroxylum Extracts Other Than as a Stimulant

[0016] For thousands of years, indigenous people of the Andean regions of South America have chewed on coca leaves (plant genus Erythroxylum, some strains of which are *Erythroxylum coca* (much grown in Bolivia) and *Erythroxylum novogranatense* (much grown in Peru and Colombia), and have drunk coca teas (mate de coca), both of which can lead to absorption of one or more coca alkaloids, a mild stimulant to the human body, a stimulus similar to a cup of coffee or green/black tea (a cup of mate de

coca contains about five milligrams of organic coca alkaloids). The mild stimulation of coca leaf is due in part to the main coca alkaloid, benzoylmethylecgonine, which medically is a stimulant and not a narcotic. Mate de coca is (legally) sold in Peru, Bolivia, and Colombia, and can be bought in Chile, Argentina, and Ecuador.

[0017] What others have failed to do is to use *Erythroxylum* extracts and derivatives for non-stimulant purposes that are significant, for example, to manufacture food products with significant medical benefits, and that are commercially successful. U.S. Patent 4,696,819 teaches using alkaloid-free coca extracts as an appetite suppressant, but there is little evidence it had any commercial success. What little technology has been developed for processing *Erythroxylum* extracts teaches away from using the alkaloids in the extract by removing the coca alkaloids, for example, U.S. Patent 4,956,429 (*Method of making a coca leaf extract*—for cola beverages). This failure of others includes not recognizing the use of one or more Erythroxylum extracts as a debittering agent (i.e., bitter inhibiting, bitter blocker) for consumer food products. A 2011 thesis nowhere mentions the use of Erythroxylum extracts as a debittering agent for functional beverages such as cacao [*see Gaude2011*]. This failure of others has led to the failure of innovation in the use of *Erythroxylum* extracts in consumer products. Indeed, some research denies any nutritional use of coca leaves [e.g., *see Penny2009*]. Even the largest users of coca leaf in beverages, companies such as Coca Cola and PepsiCo, have failed to manufacture beverages using cocaine-free extracts of coca leaf that are free of sweeteners. A Bolivian attempt to recreate the original cocaine-containing Coca Cola, Efilacoc's COCAREAL, is made using coca leaves and contains the traditional sugar.

[0018] Another failure of others, since Alfred Niemann's observations in 1860, that the coca leaf alkaloid, benzoylmethylecgonine (the main alkaloid in coca leaves), "... *produces temporary insensibility on the part of the tongue with which it comes in contact* ...", or William Martindales observations in his 1892 book, Coca and Cocaine (page 45), "*The benumbing effect on the tongue – dulling its sensibility – I find is much greater on chewing a fresh living leaf than that produced by a number of dried leaves.*",

has been to fail to apply this observation to problems of taste chemistry relevant to consumer food products. Indeed, this effect has been observed many times, but these observations failed to motivate development of consumer food products. Another failure of others since 1860 has been to determine the bitterness of which specific foods are diminished when coca alkaloids are present, nor have others since 1860 determined the minimum amounts of alkaloid needed for such desensitizing in commercial food products, nor have they determined how to cost effectively provide such amounts in commercial food products.

[0019] Previous use of coca extracts in products containing cacao has been for cacao products that by weight are at least 50% sugar and fat, for example, as seen in U.S. Patent 4,882,181 (claim 9), or as seen in tourist treats in Cuzco, Peru, such as chocolate-covered coca leaves.

[0020] Clearly, it would be beneficial to have substances and methods that enable consumers to enjoy the health benefits of cacao without enduring its bitter taste. The present invention addresses these and other needs.

2 Summary of the Invention

[0021] The present invention provides substances and methods that enable the consumption of cacao without excessive bitterness, thereby enabling wider use of this important substance.

[0022] In some embodiments, consumption of cacao is made possible with products comprising unsweetened cacao and extracts of coca leaf. In other embodiments, extracts of plants such as Valerian root are used in place of, or combined with, extracts of coca leaf.

[0023] These and other aspects and advantages of the invention will become apparent upon reading the following Detailed Description.

3 Detailed Description of Some Embodiments of the Invention

[0024] The present invention provides materials and methods for reducing the bitterness of some consumable plant products, such as cacao. More specifically, the materials and methods provided by the invention enable the reduction of cacao's bitterness without using sugars and fats in amounts that are substantially deleterious to human health. Still more specifically, the materials and methods provided by the invention enable the reduction of cacao's bitterness without using sugars and fats in substantial amounts. Thus, those having ordinary skill in the art will understand from the present Disclosure that the present invention substantially removes the barriers to obtaining the significant health benefits of plant products such as cacao.

3.1 Glossary

[0025] The following terms are used herein as defined below unless specifically stated otherwise:

Extract of Cocoa Leaf As used herein, the phrase "extract of coca leaf" (and its semantic equivalents) refers to one or more chemical constituents of the leaves of coca plants. Such chemicals can be obtained by extraction from coca leaves, e.g., by brewing coca leaves in water, or chemical extraction with solvents. Such chemicals can also be from synthetic sources, such as chemical synthesis or biological production, e.g., using recombinant genetic methods.

Cacao As used herein, the term "cacao" (and its semantic equivalents) refers to cacao that is obtained from the cacao tree (*Theobroma cacao*), and cacao that is bioengineered and/or chemically engineered.

3.2 Cocoa-Containing Foods and Beverages Containing Coca Extracts

[0026] The present invention provides materials, compositions, and methods that provide food containing unsweetened cocao that are less bitter, and therefore more

palatable or less deleterious to a consumer's health, than the same food in absence of the invention.

[0027] In a first aspect, the present invention provides a cacao-based foodstuff having reduced bitterness to taste. In one embodiment, the cocao-based foodstuff of the invention comprises unsweetened cacao and at least one coca alkaloid. The unsweetened cacao and the total weight of the coca alkaloid(s) are combined in a coca alkaloid:cacao weight ratio that reduces substantially the bitterness of the foodstuff in the absence of the at least one coca alkaloid. In another embodiment, the weight ratio is approximately equal to or less than the coca alkaloid:cacao weight ratio defined by the weight of the greatest legally permissible amount of the at least one coca alkaloid to the weight of the unsweetened cacao. In a more specific embodiment, the ratio is equal to or less than about 0.001.

[0028] In another embodiment, the cacao-based foodstuff of the invention comprises:

C grams of unsweetened cacao;

A grams of at least one coca alkaloid, said at least one cocoa alkaloid being effective to reduce the bitterness of said unsweetened cacao;

F grams of fat and S grams of sweeteners;

wherein $(F + S) \lesssim C$.

In more specific embodiments, the ratio $A/(C + F + S) \lesssim 0.003$.

[0029] Any unsweetened cacao can be used with the present invention. The following illustrative examples mostly use HERSHEY'S UNSWEETENED COCOA POWDER®, but satisfactory results can be obtained by using other commercial sources, such as, for example and not limitation, CADBURY'S BOURNVILLE COCOA®, MADISA'S CELINDA COCOA®, or any other unsweetened cocoa powder product or industrial supply for large-scale manufacturing of the products disclosed herein. Still other cocoa powders include GOURMET BITTER CHOCOLATE® (sold by Good Food S.A. in Chile), and MARCO POLO AND COPACABANA BITTER

CHOCOLATES® (sold by ICB S.A. in Chile), as well as unsweetened cocoa powders available in the United States from Navitas, Rapunzel, Equal Exchange and Lake Champlain Chocolates. Some embodiments use unsweetened cocoa where the cocoa is not prepared using the Dutch process (i.e., wherein the cocoa is treated with an alkalizing agent to make it less bitter at the expense of reducing levels of flavonols).

[0030] In some embodiments, the coca alkaloid is derived from a natural source. In some embodiments, the natural source is at least one member of the plant genus *Erythroxylum*. In more specific embodiments, The member is selected from the group consisting of: *Erythroxylum coca, Erythroxylum novogranatense, and Erythroxylum brevipes*. As will be appreciated by those having ordinary skill in the art, there are over 50 species of the *Erythroxylum* genus, the species of many of which contain coca alkaloids. Two main species, *Erythroxylum coca* and *Erythroxylum novogranatense*, are cultivated for the coca in their leaves. Another species, *Erythroxylum brevipes* is also thought to contain coca alkaloid in its leaves, though most wild species that contain coca alkaloids have lower concentrations. The leaves of *E. coca* and *E. novogranatense* are used to make a variety of coca teas, many of which can be used to produce the products disclosed herein.

[0031] Commercial sources are available for coca alkaloid. Some brands of mate de coca include HERBI®, DELISSE®, and ANDES SPIRIT® (manufactured in Peru), and WINDSOR®, ECOCARANAVI®, and NOVOANDINA® (manufactured in Bolivia). Coca teas are readily available for sale in Peru and Bolivia, available for sale in Chile, Colombia and (northern) Argentina. Other countries either permit, or ignore, the importation of small amounts of coca tea for personal use, including the United States. These other countries also more readily allow the importation of coca teas that have had much of the coca alkaloid removed.

[0032] In some embodiments, the brewing times for coca tea bags are between about 3 min and about 5 min. Brewing times for coca leaves are between about 10 min and about 20 min. Brewing temperatures can range from about 70 °C to about 100 °C, as with other teas, though some suggest that temperatures no more than about 90 °C

extract the most nutrients and flavor, which is easy to achieve at high altitudes such as in the Andes, where water boils at about 89 °C. So-called "cold-brewing" can be used as well. Brewing temperature does not significantly affect the reduction of bitterness; so that products that combine unsweetened cacao, and coca, can be made for use at room temperature, or below, such as candy bars or beverages.

[0033] As an alternative method of preparation, one can add a small amount, for example, about $1/8^{\text{th}}$ of a tablespoon of liquid coca leaf extract to the hot water, or an equivalent amount of coca leaf flour, as long as the liquid extract or powder similarly decreases bitterness. One manufacturer of a liquid extract of coca leaves is the International Coca Research Institute, in La Paz, Bolivia.

[0034] Leaves of some species of *Erythroxylum* (for example, *E. Coca*) contain a variety of psychoactive or aromatic alkaloids which can be used in the products disclosed herein, including, but not limited to cocoa alkaloids selected from the group consisting of: benzoylmethylecgonine, ecognine, methylecgonine cinnamate (cinnamoylcocaine), benzoylecgonine (mostly a metabolite), truxillines (cocamine is $\alpha-$truxilline), hydroxytropacocaine, tropacocaine, hygrine (which has a slight burning taste), cuscohygrine, dihydrocuscohygrine, and nicotine, as well as their analogs. The first three alkaloids tend to dominate, in a ratio which can be 9:3:2 or 8:2:2. Such alkaloids (and other chemicals, for example, flavorings, vitamins, minerals, etc.) can be extracted from the leaves, can be chemically synthesized, or can be synthesized by modified organisms such as algae (*see Leo2009*, incorporated herein by reference), before being added to the products disclosed herein.

[0035] A 1996 NIH study [see Jenkins1996] measured the coca alkaloids released from coca leaves when brewing tea, analyzing both coca leaves from Peru and Bolivia. After three minutes of brewing at 94 °C, an average of approximately 4 mg to 5 mg of benzoylmethylecgonine was released, increasing to 5 mg to 6 mg if brewed to up to 15 min. A typical brew of a typical coca tea will typically release 5 mg of benzoylmethylecgonine. Similarly the study found an average of approximately 1.5 mg to 2.5 mg of ecgonine methyl ester released, an average of approximately 0.1 mg to 0.9 mg of

benzoylecgonine, and an average of approximately 0.1 mg of trans-cinnamoylcocaine. Smaller amounts of other alkaloids were reported in this study, and have been reported in other studies.

[0036] Some embodiments include at least one flavor enhancing agent. One family of chemicals derived from coca leaves, typically as breakdown products when consumed, are methyl benzoate, methyl cinnamate and the dimethyl ester of truxillic acid (*see, e.g.,* U.S. Patent 4,260,517). One or more of these, and other coca aroma chemicals, can be obtained from an extract of coca leaves, or can be obtained synthetically, for use in some of the products disclosed herein.

[0037] In another embodiment, chemical analogs of coca alkaloids, with similar taste-altering effects, are mixed with the cocoa foodstuff of the invention. A list of many such analogs is available at: `http://en.wikipedia.org/wiki/List\_of\_cocaine\_analogues`, incorporated herein by reference in its entirety and for all purposes). Any such synthetic versions of coca alkaloids, with similar taste-altering effects, can be used in the products disclosed herein, either solely or in combination with other chemicals found in the extracts of coca leaf. One family of such synthetic versions is disclosed in U.S. Patent 8,557,842, "Cocaine analogs and methods of preparation and uses thereof", which is enclosed herein by reference in its entirety and for all purposes. Another family of cocaine analogs is disclosed in U.S. Patent 6,472,422, which is enclosed herein by reference in its entirety and for all purposes. Methylecgonine, or its precursor, carbomethoxytropinone, can be starting points for synthetic coca alkaloids that are preferably non-addictive, non-water-soluble, and non-regulated, while making unsweetened cacao less bitter. Other chemical pathways for reaching methylecgonine and related chemicals, can also be starting points for synthesis of these derivatives. And much like coca alkaloids, derivatives preferably should be non-sedatives.

[0038] Other herbs can be effective de-bittering agents, for example, for use in mixtures with unsweetened cacao. One such herb is valerian root. While valerian root can appreciably reduce the bitter smell and bitter taste of cacao (for example, in

hot water, mixing two tablespoons of cacao with 900 mg or 1.35 g of valerian root powder), it also can significantly reduce the "chocolate" taste of cacao (using 450 mg of valerian root powder minimally reduces the bitterness of cacao), which can reduce the commercial appeal of the mixture for its "chocolate" taste. Further, in significant quantities, valerian root acts as a sedative. However, another embodiment of the products disclosed herein, for example as a nighttime beverage before sleep, comprises cacao and valerian root, and optionally flavorings such as one or more fruit flavorings, and optionally extract of coca leaf. Similarly, approximately 2.7 g of, for example, Nature's Bounty Green Tea Extract achieves a similar effect when added to cacao as does adding about half as much Valerian root to cacao. Similarly, approximately 2.7 g of Nature's Bounty Green Tea Extract achieves a similar effect when added to cacao as does adding about half as much Valerian root to cacao. Similarly, approximately 2 g of, for example, Gaia Herb's Hibiscus Flower Extract achieves a similar effect when added to cacao. Also, brewing six bags of, for example Herbi's Una de Gato tea and mixing in unsweetened cacao, achieves a similar effect of diminishment of bitterness and "chocolate" taste.

[0039] Thus, in some embodiments, the foodstuff provided by the invention comprises:

C grams of unsweetened cacao;

V grams of Valerian root;

F grams of fat and S grams of sweeteners; and

where $(F + S) \lesssim C$.

In more specific embodiments described by this formula, the ratio $V/C \gtrsim 0.04$.

[0040] Four key factors in food products are taste, aroma, appearance and texture. The use of chemical extracts of coca leaf to make cacao less bitter retains much of the taste, aroma and appearance of "chocolate". Discussed below are additives that can be added to the products disclosed herein to provide these four key factors to satisfy a variety of consumer preferences.

[0041] Another embodiment of the present invention includes a combination of cocoa, extract of coca leaf and quinoa powder, to provide some texture. Quinoa has many nutrients, including protein, while having little fat, no cholesterol, and no sugar (which is also mostly true for unsweetened cocoa powder, which has a bit of fat), but instead it has starches. Any other plant-derived protein that is low in sugar and fat can be used with, or as a substitute for, quinoa powder, preferably proteins derived from plants that are free of gluten.

[0042] In another embodiment, low- or non-fat milk powder is added to cocoa and powdered coca tea extract, the mixture of which is added to hot water. In another embodiment, low- or non-fat milk is heated (to about 100 °C, but brewing temperatures can range from about 70 °C to about 100 °C, as with other teas), to which the cocoa and coca tea leaf extract can be added. In more specific embodiments, protein sources that do not bind to flavonols are used for the products disclosed herein. Another protein source can be collagen hydrolyzates, such as VITAGEL® collagen from Biogel AG (Switzerland). The milk source typically will be cow milk, but milk from another animal (for example, from a buffalo, goat, sheep or llama) can be used, or from a plant-derived milk-like beverage (for example, plants such as almonds, coconuts, soy, rice, flax, or hemp). For those embodiments that make use of protein sources with fats, an emulsifier such as lecithin, gum Arabic, sodium phosphate, or polysorbate 60 can be added.

[0043] Some embodiments of the foodstuff provided by the invention further comprise P grams of at least one protein; wherein the ratio $A/(C+F+S+P)$ is approximately equal to or less than the ratio of the maximum allowable amount of coca alkaloid that can be legally used in said foodstuff.

[0044] As will be appreciated by those having ordinary skill in the art, the use of an added protein source in the embodiments just mentioned, such as, but not limited to, quinoa or amaranth, soy, powdered egg whites, spirulina, or whey and casein—the protein components of milk, allows the addition of more coca leaf extract while staying under U.N. regulations on the amount of such extracts in a medicine. For

example, one embodiment disclosed herein combines one tablespoon of cocoa with the coca leaf extract equivalent to brewing two bags of tea in hot water. In some cases, this leads to double the amount of coca alkaloid as allowed by international law. However, adding in one tablespoon of a protein source such as quinoa brings the ratio of cacao/protein to coca alkaloid below international limits. For example, for some embodiments of the products disclosed herein, for every C grams of cocoa and P grams of protein and A grams of alkaloid, that $A/(C+P) \lesssim 0.001$.

[0045] One problem with most artificial sweeteners, beyond their bitter taste, is that their sweetness falsely signals the body that calories are being consumed, when they are not. This can lead to the body seeking calories elsewhere, so that in some cases, use of artificially sweetened foods and beverages, counterintuitively, can lead to weight gain despite the absence of sugar and its calories. Adding protein to combinations of coca tea extract and chocolate, in addition to the nutrition value of protein, also does not falsely signal the body with regards to calories. Protein is a source of calories without sugar, and better, more calories are required to process proteins than sugars (carbohydrates) and fats. Additionally, protein reduces appetite, per calorie, more than sugars/carbohydrates and fats.

[0046] Some embodiments of the invention include sugar. While cocoa and coca leaf extract, and any other ingredients, can be combined and consumed without sugar, some sugar can be added as a sweetener without subtracting much in the way of health benefits. While a typical canned (or bottled) beverage contains over 40 g of sugar, the products disclosed herein can have added a small amount of sugar, for example, approximately 1 teaspoon of sugar, which weighs 4.2 g (corresponding to 15 calories), which is a small fraction of the 40 g to 60 g of sugar in a typical can of soda or juice. This amount of natural sweetener can also be provided by approximately one teaspoon of, for example, agave, jaggery, honey, molasses, or syrup; or from about a half of cup of skimmed milk (or its equivalent in powdered form of one tablespoon of whole or skim milk powder). A new natural sweetener can be used, tagatose, which is 92 % as sweet as sugar, but only has one third of the calories of sugar. Tagatose,

for example, can replace sugar 1:1 in terms of weight (slightly less sweetness), or 1:1 in terms of calories (about three times as sweet). Many other medicines make use of sugar, typically a few grams per 5 mL, a greater use of sugar than adding a few grams of sugar to 15 mL to 30 mL (1 to 2 tablespoons) to the cocoa-based medicines disclosed herein. To the same extent, inulin can be added to the products disclosed herein. Inulin can be a slightly sweet replacement for sugar, fat and flour in food products, as well as being a soluble fiber, though in large quantities can lead to gas and bloating. A variety of starches (polysaccharides) such as cornstarch or tapioca, as well as starch sugars such as maltodextrin, can be used to add both some sweetness, some thickness or make food products creamier.

[0047] In some embodiments, gelatin is added to the products disclosed herein. Gelatin, a mixture of peptides and proteins produced by partial hydrolysis of collagen, is about 13 % sugar by weight. Thus it can be a source of protein and sugar for the products disclosed herein. For people who avoid food products derived from meat, such as gelatin, agar agar (or agar), derived from seaweed or algae, can be used. In Asian countries, agar is a popular ingredient in a variety of candies and deserts. Agar is known for use as a food thickener, a source of fiber, a fat substitute, and because it absorbs water in the stomach, it creates a feeling of being more full with less food.

[0048] In some embodiments, alitame is added to the foodstuffs of the invention. Alitame ($C_{14}H_{25}N_3O_4S$) is an artificial sweetener similar to aspartame, with two important properties—it has no bitter aftertaste and it has no phenylalanine (for which a number of health related issues have been raised). Alitame can be added to the products disclosed herein.

[0049] Other embodiments of the invention include proteins that are naturally sweet (including without limitation monelin, thaumatin, pentadin, mabinlin, brazzein, and curculin). For example, monelin is derived from the West African shrub known as the serendipity berry, though its use in food products is limited as it is expensive to grow and extract. Thaumatin is derived from the West African katemfe fruit. Sclareolide, a herbal extract (e.g., from the clary sage plant), which has been used to

reduce somewhat the bitterness of bitterness of coffee (e.g., U.S. Patent 4,988,532) can also be added to products disclosed herein. Miraculin, derived from the Synsepalum dulcificum plant, can also be added to the products disclosed herein.

[0050] In another embodiments, the cacao products of the invention comprise cacao and coca leaf extract as described herein, but further include more sugar at the expense of decreasing the medical benefits of cacao consumption. Typically, chocolate products such as candy bars have three- to five times as much sugar and fat as cocoa; such products are essentially chocolate-flavored sugar and fat. Embodiments of products disclosed herein can include such ratios. Some more specific embodiments include ratios of sugar to cacao on the order of 1:1 without loss of much in the way of pleasurable taste.

[0051] In other embodiments, the foodstuffs of the invention include cocoa butter, its equivalent, or a combination thereof. The chocolate industry denotes two types of alternative fats—Cocoa Butter Equivalents (CBE) such as palm oil (with more CBEs specified in EU Directive 2000/36/EC), and Cocoa Butter Substitutes (CBS) of two types: lauric-based fats from oils of palm kernel or coconut, or non-lauric-based fats from oils of soy, cottonseed, peanut, rapeseed or corn. Additionally, artificial fats (also known as fat substitutes) can be added to the products disclosed herein, such as maltodextrin, pectin, sucrose polyesters, and altered triglycerides. Additionally, animal fats can be used, such as fish oil and butter.

[0052] The amounts of fat and sugar that can be added to the products disclosed herein can be chosen to meet the marketing needs of those producing some of the products disclosed herein. Less sugar and fat is needed than regular chocolate products, due to the de-bittering effects of extracts of coca leaves. One constraint for some of the products disclosed herein is that for every C grams of unsweetened cocoa and F grams of fat and S grams of sweeteners, that $(F + S) \lesssim C$.

[0053] One chemical that has had some commercial success when combined with cacao is inulin. Inulins are a group of naturally occurring polysaccharides produced by many plants such as chicory. While inulins comprise many fructose polymers, they

have a bond structure that makes them mostly not digestible, while contributing some sweetness and fewer calories, and while adding dietary fiber. Colorless and odorless, when it is mixed with liquid, it forms a gel and white creamy structure, similar to fat. It also can provide some health benefits, such as increasing calcium absorption and growth of beneficial intestinal bacteria. However, consumption of large quantities can lead to some gastrointestinal distress. Inulin can be added to some of products disclosed herein. Also that can be added to some of the products disclosed herein is agavin, a polymer of fructose molecules derived from the agave plant (used to make tequila), which also provides some sweetness, fiber, and is not readily absorbed by the body. Recent reports suggest that agavin can help trigger insulin production and lower blood sugar levels (at least in mice).

[0054] While salt consumption has been linked to problems with high blood pressure, in moderation (under approximately 3 g of sodium per day in a diet), salt is an excellent food seasoning. A bit of salt (especially low-sodium salt that includes potassium chloride) for example, $1/16^{\text{th}}$ of a teaspoon (approximately 150 mg of sodium), can be added to combinations of cocoa and coca leaf extract.

[0055] In some embodiments, the foodstuff provided by the invenion further comprises L grams of at least one liquid; wherein the ratio $A/(C+F+S+L)$ is approximately equal to or less than the ratio of the maximum allowable amount of coca alkaloid that can be legally used in said foodstuff, where A, F and S have the defintions given above. In more specific embodiments, the at least one liquid is selected from the group consisting of: water, green tea, black tea, coffee, animal milk, plant milk, and a fruit juice.

3.3 Related Products

[0056] Still other embodiments of the invention include sugar-free combinations that are made into food products such as candy bars or pudding. These additional ingredients can include soy, and any flour (horina) such as corn flour or wheat flour—any source of protein that is mostly free of far, sugar or cholesterol.

[0057] A variety of plant extracts, for example, the lemon flavoring obtained from lemon verbena (also known as hierba luisa), are, or can be, the basis of soda drinks. Much like sugar-free beverages can be prepared using unsweetened cocoa and coca tea extract, thus, in some embodiments coca tea extract is combined with such natural plant extracts in soda form that is without sugar or artificial sweeteners, for example, plants such as passion fruit or cranberry, as opposed to the current practice of preparing such sodas with sugar or artificial sweeteners.

[0058] There are other bitter foods, beyond cocoa, that have many nutritional benefits that can be made more consumable by decreasing their bitterness using the products and methods disclosed herein. One such food is the leaves of the moringa tree, a tree that is native to the Indian subcontinent. Gram for gram, moringa leaves can have 25 times the iron of spinach, 17 times the calcium of milk, 15 times the potassium of bananas, 10 times the vitamin A of carrots, and 9 times the protein of yogurt.

[0059] With the growing legalization and popularity, has arisen the growing interest in the medical benefits of marijuana, in particular benefits from the cannabinoid chemicals available from the plant, the most popular of which is (-)–Δ^9-tetrahydrocannabinol ("THC"), which is responsible for the psychoactive effects of the plant. However, there are dozens of other cannabinoids available from the plant, and one way to obtain the benefits of such cannabinoids without absorbing much THC, is the same way to obtain the benefits from the coca plant without absorbing much cocaine—drink tea as provided by the present invention. While there are a growing number of recipes for marijuana tea available on the Internet, all suffer from the same problems as does unsweetened cacao, the use of sugar (e.g., honey) or fat (e.g., butter) to mask some bitterness, though in the case of marijuana tea, the side-effect is more of a slight stinging sensation. Such marijuana tea can be made more pleasant by brewing in conjunction with coca tea. While coca tea typically takes 3 min to 6 min for a good brew, marijuana tea takes longer, for example, 12 min to 24 min. One exemplary brewing method is to put two bags of coca tea, and approximately one to two grams of marijuana, into a cup, add hot water, and allow to brew to taste for the marijuana.

3.4 Other Nutritional Supplements and Additives

[0060] A variety of other nutritional supplements and additives can be added to one or more of the products disclosed herein, especially those supplements and additives that do not significantly alter taste, and that do not add significant amounts of sugar or fats.

[0061] Present in coca leaves are a variety of vitamins (e.g., A, B1, B3, B6, C, biotin, carotenes) and minerals (e.g., calcium, copper, chromium, iron, magnesium, sodium), as well as other chemicals with positive health benefits, such as caffeine, nicotine and/or polyphenols. Additional amounts of any of these chemicals can be added to the products disclosed herein.

[0062] For many embodiments of food products disclosed herein, consumers of such food products will be exposed to minuscule amounts of the main coca alkaloid, benzoylmethylecgonine (which in acid form is the cocaine hydrochloride sold on the streets). The amounts in any one serving of such food products will be similar to that of a few cups of coca tea—five to ten milligrams of benzoylmethylecgonine. Little of the benzoylmethylecgonine is absorbed into the blood while in the oral cavity, and when swallowed, little of the benzoylmethylecgonine is absorbed into the blood while in the gastrointestinal tract (typically on the order of 1 milligram, versus absorption on the order of 100 mg for a line of "coke"), since much of the benzoylmethylecgonine is broken down in the hot, wet, acidic environment of the stomach. This use of coca extract does not lead to addiction, and any slight "cravings" can be countered with addition of an over-the-counter nutritional supplement, n-acetylcysteine (and historically, there have been no reports of addiction to coca tea). N-acetylcystein, in multi-gram amounts, has been used to treat addictions to cocaine and tobacco, and in hundreds of milligrams to multi-gram amounts for other conditions (ibuprofen overdose, flu symptoms). Those amounts of n-acetylcysteine can be added to the produces disclosed herein.

[0063] Phytosterols are steroid compounds similar to cholesterol found in fruits and

vegetables with reported abilities to reduce LDL cholesterol (the "bad" cholesterol) and total plasma cholesterol levels. Recent phytosterol compositions have been engineered to be dispersed in water-based products (see U.S. Patent 8,460,738 and U.S. Patent Application 20120282368). Phytosterols can be added to the products disclosed herein.

[0064] L-Theanine is a non-protein amino acid found most commonly in green tea. L-Theanine has been shown to increase production of dopamine in the brain. The science is still unclear as to theanine's effect on serotonin production, which would have it help reduce levels of perceived stress. L-Theanine can be added to the products disclosed herein.

[0065] Other nutritional supplements and additives that can be added to the products disclosed herein include: xanthohumol, a polyphenol with some anti-viral, anti-cancer, and anti-inflammatory properties; hesperidins, a citrus flavanone glycoside; glycomacropeptide (GMP), a protein source that does not contain phenylalanine and has hunger suppression effects; alpha lipoic acid, which may have blood sugar-regulating properties; a balanced mix (which can be in a ration between about 4:1 and about 1:4) of the fatty acids omega-3 (an anti-inflammatory, some such acids being ALA, EPA and DHA, and preferably free of mercury present in some fish used to prepare omega-3 oils) and omega-6 (an inflammatory) [*see Simop2008*]; soy lecithin - a popular food emulsifier (often in chocolate products), polysorbate 80, gum arabic, and other emulsifiers; tocopherol (a source of Vitamin E with freshening properties); vanilla/vanillin, which is rich in antioxidants; taurine, an amino acid added to energy drinks; artificial flavors; probiotic cultures such as those based on lactobacillus, and those based on the bifidobacteria genus, both of which have been reported to help break down cacao into anti-inflammatory compounds; and green tea extracts.

[0066] Carrageenan, $(C_{12}H_{17}O_{19}S_3)_n$ (its lambda form), is a non-caloric non-digestible soluble fiber that can also be added to the products disclosed herein. Carrageenan is a thickener that gives low-fat foods a fuller taste, while not altering taste, and is added to products such as yogurt, chocolate, ice cream, soups and toothpaste. Other

thickeners include alginate (from seaweed), pectin (from fruits) and alginate-pectin.

[0067] In another embodiment, cinnamon powder or liquid can be added to the cacao products disclosed herein, especially those with any sugar added. Some studies have shown that daily consumption of cinnamon can improve insulin resistance and blood glucose control.

[0068] The Center for Science in the Public Interest (CSPI) maintains a list of over 150 food additives, available at: `http://www.cspinet.org/reports/chemcuisine.htm\#safety-summary`. Safety ratings are provided as well. Any of these additives, not already mentioned above, can be used in some of the products disclosed herein.

3.5 Foodstuffs of the Invention as Vehicles for Delivering Medications

[0069] In some embodiments, the cacao-based foodstuffs of the invention, further comprise SP grams of at least one supplement selected from the group consisting of: phytosterols, L-theanine, xanthohumol, hesperidins, glycomacropeptide, alpha lipoic acid, omega-3 fatty acids, omega-6 fatty acids, soy lecithin, gum Arabic, polysorbate 80, tocopherol, vanilla, vanillin, taurine, artificial flavors, probiotic cultures, green tea extracts, carrageenan, cinnamon, saw palmetto, rhodiola, red yeast rice, strawberries, and ginseng; wherein the ratio $A/(C+F+S+SP)$ is approximately equal to or less than the ratio of the maximum allowable amount of coca alkaloid that can be legally used in said foodstuff.

[0070] Saw palmetto is a plant with a fruit that has been used as a medicine, with some reported successes, for treating conditions of the prostate. One form of saw palmetto for such use is powder in capsule which can be swallowed as is, or used to make a tea. Another embodiment of the products disclosed herein comprises mixing extracts of saw palmetto powder with cacao and extracts of coca leaf.

[0071] Coffee is one of the world's most popular drinks, and caffeine is the world's most widely consumed psychoactive drug (a methylxanthine very similar to chocolate's theobromine). In recent years, regular coffee consumption, preferably free of

sugar, has been linked to a variety of health benefits, including lowering the risks of liver disease and type 2 diabetes (see "11 reasons why you should drink coffee every day", `http://www.huffingtonpost.com/2013/10/17/coffee-health\-benefits\_n\_4102133.html`). A popular combination, not surprisingly, are mocha drinks—combinations of coffee and chocolate. Another embodiment of the products disclosed herein comprises mixing coffee with cacao and extracts of coca leaf.

[0072] A legal, non-addictive, prescription drug (Schedule IV, easy to obtain) of growing popularity for fighting fatigue and improving mental performance (much used by "Air Force pilots, emergency room doctors, and Silicon Valley entrepreneurs") is modafinil (brand name is Provigil), which acts similarly to an amphetamine. Extracts of the Rhodiola rosea plant provide effects similar to that of modafinil. Such extracts of Rhodiola can be accompanied with ginseng extracts to help reduce stress. Another embodiment of the products disclosed herein comprises mixing extracts of the rhodiola plant with cacao and extracts of coca leaf.

[0073] Red yeast rice is a reddish purple fermented rice, which acquires its color from being cultivated with the mold *Monascus purpureus*. A chemical obtained from *Monascus*, monacolin K, was shown to be identical to the cholesterol lowering chemical lovastatin (1200-2400 milligrams a day of red yeast rice can contain 5 milligrams of lovastatin, as opposed to the 20 to 80 milligrams a day prescribed medically). Additionally, in 2014, medical news reported that statins also help improve erectile dysfunction (ED), and that high doses of simvastatin helped with one form of MS. Another embodiment of the products disclosed herein comprises mixing extracts of the red yeast rice with cacao and extracts of coca leaf, with or without the monacolin K as regulated by local health authorities (in the U.S., the FDA bans red yeast rice with monacolin K).

[0074] Chocolate-covered strawberries has been a romantic favorite for centuries. Mixtures of chocolate and strawberry ice cream (usually with vanilla ice cream, and more fun with a banana to form the classic Banana Boat) have been popular for just as long as a summer treat. They mix well. Recent studies show that consumption of

large amounts of strawberry powder (for example, 60 grams per day) can reduce the risk of, or prevent, some types of cancer [*see Suh2012*]. Another embodiment of the products disclosed herein comprises mixing extracts of the strawberry with cacao and extracts of coca leaf.

[0075] Ginseng is a family of plants with fleshy roots which contain one or more ginsenosides, which have shown some effective in treating immune system problems and helping to improve blood sugar chemistry. While ginseng is popular in Asian cultures where it well known, it has had less acceptance in the Americas due to its unfamiliar flavors. However, a recent study showed that chocolate can be added to ginseng preparations to make them more palatable [*see Chung2012*]. Another embodiment of the products disclosed herein comprises mixing extracts of ginseng with cacao and extracts of coca leaf.

[0076] For many years, there has been a debate about the use of procaine as an anti-aging compound, a use put forth by a Romanian scientist, Ana Aslan in the 1950s, though has encountered FDA opposition for years. It is thought that such effects of procaine are due to its breakdown products, PABA (para-aminobenzoic acid) and DEAE (diethylaminoethanol). Closely related to DEAE is DMAE (dimethylaminoethnol). Another embodiment of the products disclosed herein comprises mixing PABA and DMAE (or DEAE where available) with cacao and extracts of coca leaf.

[0077] For products similar to those described in the last seven paragraphs, where coca extract and cacao is mixed with other natural materials that have health benefits, a variety of related products can be manufactured by substituting extracts of coca leaf with extracts of Valerian root, along with any flavorings such as for strawberry or vanilla or cherry.

[0078] For products similar to those described in the last eight paragraphs, a variety of related products can be manufactured by substituting extracts of Valerian root with extracts of Hibiscus flower, along with any flavorings such as for strawberry or vanilla or cherry. A variety of health benefits are obtained from Hibiscus, such as

providing diuretic effects, treating mild hypertension, and having bioflavonols that can prevent an increase in LDL cholesterol.

3.6 Commercial Production

3.6.1 Large Scale Manufacturing

[0079] The foodstuffs provided by the present invention can be produced on a large scale, i.e., a scale suitable for commercial distribution, using methods and materials familial to those having skill in the art. Any of a variety of coca leaves, for example, those used in brands of mate de coca, can be brewed on an industrial scale to prepare extracts of the coca leaf. For example, the coca leaves of the Yungas region of Bolivia, and the Truxillense variety of coca leaves grown in Peru, have a reputation for having a more pleasant taste. Coca leaves, in large quantities, can be brewed industrially, and the brew can be distilled and dried. The resulting liquid or powder form can then be mixed with cacao powder, and other ingredients, using any of a variety of mixers, such as jacketed Hobart mixers. Alternatively, liquid cacao can be mixed with the brewed mate, with the resulting mixture distilled and dried. Alternatively, liquid cacao can be mixed with a liquid extract of coca leaves, with the resulting mixture distilled and dried. Liquid or solid extracts of coca leaves can be added to traditional chocolate products, recipes and production methods, such as those disclosed in *Sugar Confectionery and Chocolate Manfaucture*, by R. Lees and E.B Jackson, first published in 1973, and incorporated herein by reference in its entirety and for all purposes.

3.6.2 Packaging to Preserve Freshness of Coca Tea and Coca Extracts

[0080] Coca plants typically require five to six years before the leaves are sufficiently mature for consumption. Not all coca teas have the same tastes, and coca tea leaves can go stale (or be damaged after harvest by exposure to rain or a damp atmosphere, which can lead to growth of mold which breaks down alkaloids in the leaves). The

freshness of extracts from coca leaf is a factor in the masking of bitterness of cacao. Thus, one embodiment of the products disclosed herein is to seal a mixture of cocoa powder and coca leaf extract in a non-air-permeable packet, for example, the laminate of paper and plastic packet used for Tazo teas, or the packets used to package Swiss Miss Hot Chocolate. One such packet can be those similar to the Hot Cocoa K-cups used with Keurig brewing machines, which retail in 2013 for around US $0.75.

3.6.3 Acceptable Levels of Coca Alkaloid under Global Law

[0081] The UN regulations for use of coca alkaloids in medicinal products (*1961 Single Convention on Narcotic Drugs*), that can be exported from countries such as Bolivia and Peru, require that there be no more than 0.1 percent of coca alkaloid in the product. For example, the combination of two tablespoons of cacao and coca extracts from two tea bags of coca tea, satisfy this regulation. Two tablespoons of cacao powder weigh approximately 10 g. Thus, 0.1 % of that weight is 10 mg. One cup of coca tea made from one bag of coca tea contains approximately 5 mg of coca alkaloid (see, for example, "Identification and quantitation of alkaloids in coca tea", Forensic Science International, February 1996, 179–189), with two bags thus contributing 10 mg of coca alkaloid to the 10 g of cacao powder, with the limits of the regulations of the UN. Thus, in an alternative method of preparation, extracts of coca leaf can be added to cocoa in proportion allowed by UN regulation, for use in preparing the products disclosed herein. For such products, for every C grams of unsweetened cocoa, up to A grams of coca alkaloids can be used, where $A/C = 0.001$. Taste tests show that some prefer the combination of one tablespoon of cacao powder with two or more bags of coca tea, leading to an amount of a coca alkaloid that can be twice the global limit. Products based on this combination can be sold in countries where coca tea is now, or will be, legally sold (or additives can be added to reduce the ratio).

3.6.4 Regulated Retail Distribution

[0082] In some countries, some of the products disclosed herein will be required to be

sold as prescription medications, for example, sold at a pharmacy. One system for regulated retail distribution for some of the products disclosed herein comprise using retailers such as Starbucks, which already distribute teas and chocolate beverages at a large number of convenient locations, and which could apply to governmental bodies to operate a "mini-pharmacy" operation at their retail locations.

[0083] For example, Starbucks, at its manufacturing facilities, under government control, can prepare packets of mixtures of cacao, coca extract and other ingredients, and seal them for shipment to their retail locations, where at the retail location, a customer can order a beverage using the packets. Then, with or without a prescription (depending on local laws), customers can buy fully prepared versions of some of the products disclosed herein, presumably to consume at the retail location, or take back to their homes or offices to consume there.

3.7 Examples

[0084] The following examples are offered for the purpose of illustration and not limitation. The details of the examples do not in any way limit the description of the invention.

3.7.1 Example 1: General Beverage Preparation

1. Prepare hot water, typically to a temperature between 70 °C and 100 °C.
2. Brew four bags of coca tea in the hot water, typically for period of between 3 min and 6 min.
3. Remove the tea bags, and add two tablespoons of unsweetened cacao (approximately 1.8 g).
4. Stir until well mixed.
5. Optionally, add in sweeteners, flavorings, and nutritional supplements.
6. Drink.

3.7.2 Example 2: Dry Mix Powered Beverage

1. Using standard manufacturing techniques, prepare 148 g of unsweetened cocoa powder.

2. Brew 40 bags of coca tea in hot water at a temperature between 70 °C and 100 °C as described in Example 1. Separate the tea leaves and other solids from the liquid.

3. Dehydrate the liquid portion, leaving a powdered extract of coca leaf; or, obtain the equivalent weight of powdered extract from a commercial supplier.

4. Mix powdered extract with unsweetened cacao powder.

5. Optionally, add in and mix sweeteners, flavorings, and nutritional supplements.

6. Package for sale using standard packaging techniques.

3.7.3 Example 3: Use of Powered Beverage Product

1. Prepare hot water, typically to a temperature between 70 °C and 100 °C.

2. Add approximately two tablespoons of the powdered product of Example 2.

3. Stir until well mixed.

4. Optionally, add in sweeteners, flavorings, and nutritional supplements.

5. Drink.

3.7.4 Example 4: Beverage Product Preparation

1. Using standard manufacturing techniques, prepare, for example, 148 g of unsweetened cacao powder.

2. Mix 200 mg (40×5) of benzoylmethylecgonine with unsweetened cacao powder.

3. Optionally, add in and mix 80 mg (40 × 2) of ecgonine methyl ester.

4. Optionally, add in and mix 20 mg (40 × 0.5) of benzoylecgonine.

5. Optionally, add in and mix sweeteners, flavorings, and nutritional supplements.

6. Package for sale using standard packaging techniques.

3.7.5 Example 5: Hot Cocoa-Tea

[0085] A cup of hot cacao-tea was made using coca tea. First, to a cup of hot water was added four bags of HERBI® (Peru) or EcoCaranavi® (Bolivia) coca tea, and the tea bags were kept in the hot water for approximately four minutes. After boiling for the desired period the tea bags were removed, and two tablespoons of cocoa powder were mixed into the hot tea. The result was a chocolate-tasting drink, with both a less-intense and less-lingering bitterness taste. The beverage has a diminished smell of bitterness, the initial sip has a diminished bitterness, and subsequent sips have a diminished bitterness. With typical amounts of stirring, no clumping was observed.

3.7.6 Example 6: Taste Test

[0086] Each of four volunteer subjects was given about two tablespoons of unsweetened cocoa is about 350 mL of water at a temperature of about 90 °C. Each subject was allowed to drink the mixture and then asked to describe their experience of the taste of the drink. Each subject described the taste as bitter, some subjects complaining that the bitterness was particularly unpleasant.

[0087] The four subjects were then given the same amount of a cocoa-cacao preparation made as described in Example 1. Each subject tasted the preparation and was asked about their experience of the taste. Each subject noticed a greatly reduced bitterness, with one subject reporting that they found the drink especially enjoyable.

3.7.7 Example 7: Cold Brewing

[0088] Two bags of coca tea (e.g., ECOCARANAVI® brand) were brewed in two cups of cold water for approximately five hours while being stored in a refrigerator (approximately 5 °C. The brewed tea was removed from the refrigerator, and one tablespoon of unsweetened HERSHEY'S UNSWEETENED CACAO™as added. The resulting taste was less bitter than the taste of adding one tablespoon the cacao to two cups of cold water.

3.7.8 Example 8: Addition of Protein Supplement

[0089] To a beverage mixture as just described was added two tablespoons of soy powder. With reasonable amounts of stirring, no clumping was observed. There was little change in cocoa taste or bitterness levels, while adding some texture and a bit of graininess, with the soy also adding about two grams of protein and a gram of fat. Such mixtures using soy are a basis for more solids forms of some of the products disclosed herein. Alternatively, coca tea can be brewed in soy milk, to which cocoa powder is added.

3.7.9 Example 9: Use of Whole Coca Leaf

[0090] The contents of a bag of coca tea is basically finely ground up coca leaf. Twelve coca leaves were placed into a cup, to which was added hot water, and the leaves were allowed to brew for approximately 12 min. Then one tablespoon of unsweetened Hershey's cacao was added. A similar level of reduction of bitterness was achieved as compared to preparations using bags of coca tea.

3.7.10 Example 10: Use of Cocoa and Coca Flour (Harina)

[0091] Some companies produce coca flour (harina). For example, Inal Mama of Bolivia manufactures and sells COCA ZERO® coca flour. In one experiment, one

tablespoon of Hershey cocoa powder was mixed with one teaspoon of COCA ZERO coca flour, to which one cup of hot water was added. In a related experiment one tablespoon of cocoa powder was mixed with two teaspoons of COCA ZERO. The reduction of bitterness was similar to that found by using one or two tea bags, respectively. The taste of the mixture of cacao and coca flour was somewhat different than that of brewing with tea bags, as the coca flour contributes more leaf particulate to the mixture.

3.7.11 Example 11: Preparing Coca/Cocoa Frosting

1. 6 tablespoons of (cocoa) butter
2. 5 tablespoons of milk
3. 12 tablespoons of cocoa
4. coca leaf extract from 24 bags of coca tea, or the equivalent
5. 1 teaspoon vanilla

[0092] To prepare, cream the butter in a small mixing bowl. Add cocoa and coca extract, alternating with milk. Beat to spreading consistency (adding additional tablespoon of milk for creamier consistency). Blend in vanilla.

3.7.12 Example 12: Preparing Coca Chocolate

1. 12 tablespoons of (cocoa) butter
2. 10 tablespoons of milk
3. 32 tablespoons of cocoa
4. coca leaf extract from 64 bags of coca tea, or the equivalent
5. 4 tablespoons of flour (or milk powder)

[0093] To prepare, but cocoa and butter into a bowl, and blend them into a fine paste (either by hand, or with a food processor); you can melt the butter beforehand. Next, put one cup of water into a pot and the just prepared paste, and mix and heat until hot but not boiling. Next, put resulting liquid back into the bowl, and mix in milk, flour and coca leaf extract. Next, mix by hand or processor until you have a relatively smooth composition. Pour the mixture into molds of any shape, and allow to cool in a refrigerator for at least six hours.

4 Conclusion

[0094] Thus, the present invention will be seen by those having ordinary skill in the art to provide an important advance in food science and nutrition by enabling the production of foodstuffs having the considerable health benefits of cocoa without its bitterness. Using the disclosure herein, a wide variety of foodstuffs can be made, including, but not limited to, hot and cold beverages, food bars, cakes, candies, and the like. The foodstuffs can include additional beneficial substances, such as, but not limited to, proteins, medicaments, particularly natural medicaments, and vitamins.

[0095] The above description of the embodiments, alternative embodiments, and specific examples, are given by way of illustration and are not intended to be limited to the specific form set forth herein. Additionally, although a feature may appear to be described in connection with a particular embodiment, one skilled in the art would recognize that various features of the described embodiments can be combined in accordance with the invention. Moreover, aspects of the invention describe in connection with an embodiment may stand alone as an invention. Moreover, it will be appreciated that various modifications and alterations can be made by those skilled in the art without departing from the spirit and scope of the invention. The invention is not to be limited by the foregoing illustrative details and embodiments shown, but is to be accorded the widest scope consistent with the claims along with their full scope of equivalents. The appended patent claims are intended to be construed to include all such embodiments and equivalent variations.

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Claims

1. A cacao-based foodstuff having reduced bitterness to taste, comprising:

   C grams of unsweetened cacao;

   A grams of at least one coca alkaloid, said at least one coca alkaloid being effective to reduce the bitterness of said unsweetened cacao;

   F grams of fat and S grams of sweeteners;

   wherein $(F + S) \lesssim C$.

2. The cacao-based foodstuff of claim 1, wherein the ratio $A/(C + F + S) \lesssim 0.003$.

3. The cacao-based foodstuff of claim 1, wherein said at least one coca alkaloid is derived from a natural source.

4. The cacao-based foodstuff of claim 3, wherein said natural source is at least one member of the plant genus *Erythroxylum*.

5. The cacao-based foodstuff of claim 4, wherein said member is selected from the group consisting of: *Erythroxylum coca*, *Erythroxylum novogranatense*, and *Erythroxylum brevipes*.

6. The cacao-based foodstuff of claim 1, further comprising: at least one flavor enhancing agent selected from the group consisting of: methyl benzoate, methyl cinnammate, and truxillic acid dimethyl ester.

7. The cacao-based foodstuff of claim 1, wherein said at least one coca alkaloid is selected from the group consisting of: benzoylmethylecgonine, methylecgonine cinnamate, benzoylecgonine, truxilline, hydroxytropacocaine, tropacocaine, ecgonine, cuscohygrine, dihydrocuscohygrine, nicotine, hygrine, and analogs thereof effective to reduce bitterness of cacao, indivdually or in combination.

8. The cacao-based foodstuff of claim 1, wherein said fat is selected from the group consisting of cocoa butter, a milk fat, plant oil, an animal fat or a fat substitute.

9. The cacao-based foodstuff of claim 1, further comprising SP grams of at least one supplement selected from the group consisting of: phytosterols, L-theanine, xanthohumol, hesperidins, glycomacropeptide, alpha lipoic acid, omega-3 fatty acids, omega-6 fatty acids, soy lecithin, gum Arabic, polysorbate 80, tocopherol, vanilla, vanillin, taurine, artificial flavors, probiotic cultures, green tea extracts, carrageenan, cinnamon, saw palmetto, rhodiola, red yeast rice, strawberries, and ginseng; wherein the ratio $A/(C + F + S + SP)$ is approximately equal to or less than the ratio of the maximum allowable amount of coca alkaloid that can be legally used in said foodstuff.

10. The cacao-based foodstuff of claim 1, further comprising P grams of at least one protein; wherein the ratio $A/(C + F + S + P)$ is approximately equal to or less than the ratio of the maximum allowable amount of coca alkaloid that can be legally used in said foodstuff.

11. The cacao-based foodstuff of claim 10, wherein said at least one protein is derived from a protein source selected from the group consisting of: quinoa, amaranth, soy, powdered egg components, spirulina, whey and casein.

12. The cacao-based foodstuff of claim 1, further comprising L grams of at least one liquid; wherein the ratio $A/(C + F + S + L)$ is approximately equal to or less than the ratio of the maximum allowable amount of coca alkaloid that can be legally used in said foodstuff.

13. The cacao-based foodstuff of claim 12, wherein said at least one liquid is selected from the group consisting of: water, green tea, black tea, coffee, animal milk, plant milk, and a fruit juice.

14. A cacao-based foodstuff having reduced bitterness to taste, comprising:

C grams of unsweetened cacao;

V grams of Valerian root;

F grams of fat and S grams of sweeteners; and

where $(F + S) \lesssim C$.

15. The cacao-based foodstuff of claim 14, wherein the ratio $V/C \gtrsim 0.04$.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 14/48299

A. CLASSIFICATION OF SUBJECT MATTER
IPC(8) - A23G 1/48; A23L 1/221; A23L 1/236 (2014.01)
CPC - A23G 1/00; A23G 1/48; A23L 1/221; A23L 1/236
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 (8): A23G 1/48; A23L 1/221; A23L 1/236 (2014.01)
CPC: A23G 1/00; A23G 1/48; A23L 1/221; A23L 1/236

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
IPC(8):A23L 2/60; A23G 1/56 (2014.01)
CPC: A23L 2/60; A23L 1/22083

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
Google patents, Google scholar, Google web, PatBase, Proquest Dialog
cacao/cocoa; food/beverage/drink/product; reduce/less/decrease/low/inhibit/block; bitterness; debittering; alkaloid; Erythroxylum/coca; Valerian root; additive

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 2011/0086138 A1 (JIA et al) 14 April 2011 (14.04.2011) para [0016]; para [0030]; para [0053]; para [0069]	1-15
A	WO 2006/063219 A2 (KARWIC et al.) 15 June 2006 (15.06.2006) para [0010]; Abstract; para [0026]; para [0011]; para [0047]; para [0044]	1-15
A	US 2007/0077308 A1 (GINER) 05 April 2007 (05.04.2007) Abstract; para [0034]; para [0090]; claim 38	1-13
A	US 2007/0254068 A1 (NAIR et al.) 01 November 2007 (01.11.2007) Abstract; para [0025]	1-15
A	WO 2011/072224 A1 (DAVIS) 16 June 2011 (16.06.2011) para [0012]; Table B; claim 3; para [0036]	14-15

☐ Further documents are listed in the continuation of Box C. ☐

* Special categories of cited documents:
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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
19 November 2014 (19.11.2014)	12 DEC 2014
Name and mailing address of the ISA/US Mail Stop PCT, Attn: ISA/US, Commissioner for Patents P.O. Box 1450, Alexandria, Virginia 22313-1450 Facsimile No. 571-273-3201	Authorized officer: Lee W. Young PCT Helpdesk: 571-272-4300 PCT OSP: 571-272-7774