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COMPOSITION FOR ALLEVIATION OF THE TOBACCO HABIT

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1 Claim. (Cl. 167—55)

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The present invention relates to pharmaceutical compositions and more particularly to a palliative preparation and method of alleviating habits involving the use of tobacco.

Individuals using tobacco frequently desire to terminate its use for health, social, or economic reasons. It is well known that the smoking, chewing, or snuffing of tobacco for protracted periods makes the termination of its use difficult and in some instances almost impossible. The sedative effect on the nervous system approaches a requirement when relied upon for any considerable length of time.

Many preparations have been devised to combat tobacco habits. These prior efforts have generally been directed to the concurrent use of tobacco and an emetic or other substance causing nausea or ill feeling to the end of building up a psychological response to tobacco that makes the same undesirable through its continued association with an undesirable. Not only have such preparations proved unpopular because of the lack of desire on the part of the individual deliberately to make himself ill to cure the habit but has proved ineffective in many instances even when faithfully employed.

An object of the present invention is, therefore, to provide a new and improved preparation directed to the alleviation and/or termination of tobacco habits in all of their forms.

Another object is to provide a pharmaceutical preparation which combats the tobacco habit without imparting nausea or other ill effects to the user and which is of itself a source of healthful food values when taken internally.

Another object is to provide a composition of the type described which is available in liquid or solid form at the convenience of the user.

Another object is to provide a composition of the character described which is substantially tasteless and may be taken internally by application to tobacco being smoked, chewed, or snuffed without any objectionable flavor to the user and even without the user being conscious of the employment of the composition.

Another object is to provide a composition containing ingredients adapted to relax nervous tension and thus to obviate this basis of a desire for tobacco.

Another object is to provide a composition containing an ingredient affecting the taste buds when taken orally so as to alter the apparent tobacco flavor.

Another object is cooperatively to employ ingredients directed to the calming of nervous tension and ingredients having a tonic effect on the human system conducive to improved health and thus directed to the long term removal of a desire to soothe the nerves by indulging in the tobacco habit.

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Another object is to destroy alkaloidal nicotine in the system of a patient desiring to cure the tobacco habit so as to obviate its ill effects.

A further object is to provide a preparation of the character described which is economical, effective, and in all respects pleasant to use.

Still further objects and advantages will become apparent in the subsequent description in the specification.

The composition includes a plurality of ingredients mixed in proportions obtaining a fluid mixture that is pleasant to the taste, that contains health promoting vitamins, and which diminishes or obviates the desire to use tobacco. The liquid preparation in preferred form contains a plurality of vitamins, glucose for sweetening, aromatics or other flavoring, and lobeline-sulfate. As will subsequently be described, the vitamins have an important effect in addition to their health-giving properties and with the lobeline sulfate comprise the active ingredients of the composition of the present invention. As an example, each fluid ounce of a successful embodiment of the present invention contains the following ingredients in the amounts indicated:

Thiamine hydrochloride (vit. B_1)	7.5	mg.
Riboflavin (vit. B_2)	7.5	mg.
Nicotinamide	18.75	mg.
Pyridoxine hydrochloride (vit. B_6)	18.75	mg.
Lobeline sulfate	4.2	mg.
Glucose	10.5	mg.
Aromatics	Sufficient quantity for flavoring	

The ingredients listed are readily dissolved in water in the quantities set forth and remain in solution in the water indefinitely under normal room temperatures. The quantity and type of aromatics or flavoring employed and the amount of glucose, can, of course be varied to suit individual taste preferences. For example, small quantities of peppermint with the glucose can readily hide the bitter taste of the lobeline sulfate. In the preparation of commercial forms of the subject invention the materials listed above are dissolved in a quantity of water sufficient to form one fluid ounce. The vitamins employed are preferably first dissolved in the water and the lobeline sulfate subsequently dissolved in the aqueous vitamin solution. The glucose and aromatics are added at any time

desired. Only a simple mixing operation is required to dissolve the ingredients in the quantities suggested in water.

It is well known that the habit-forming and health-deterring factor in tobacco is the nicotine $C_{10}H_{14}N_2$. The precise chemical or physiological effect of nicotine is not known. Its general effect is that of a strong sedative. The lobeline sulfate $(C_{22}H_{27}O_2N)_2.H_2SO_4$ of the above composition is employed as a counterirritant. When taken into the mouth and throat it acts upon taste buds normally subject to tobacco influence and imparts a substitute sensation thereto leaving the taste buds non-responsive to the alkaloidal nicotine taken into the system by smoking, chewing, or snuffing. The effect of the lobeline sulfate is apparently restricted to the taste buds of the nose and/or throat and has no other noticeable influences on the nervous system. The quantity of lobeline sulfate may be varied considerably without departing from the spirit or scope of the present invention. Sufficient quantity should be employed to have the desired result on the chronic user of tobacco and render the taste buds insensitive to tobacco. If so much lobeline sulfate is employed as to be objectionable to the user, gargling with water readily removes the excess inasmuch as lobeline sulfate is highly soluble. The proportions given above are recommended for average use. With the taste buds preconditioned so as to be non-responsive to nicotine, the desire to use tobacco is minimized and its use is entirely unsatisfactory because of the lack of flavor expected. This effect lasts for several hours after the use of lobeline sulfate.

The thiamine hydrochloride (vitamin B_1); riboflavin (vitamin B_2); nicotinamide; and pyridoxine hydrochloride (vitamin B_6) provide sources of highly desirable vitamins of healthful significance and when dissolved in water the aqueous solutions thereof constitute useful solvents for lobeline sulfate, glucose, and aromatics but the utility of the vitamins in the subject compositions is of greater significance.

The reaction of an alkali and thiamine hydrochloride is well known. If, for example, sodium hydroxide is added to thiamine hydrochloride, the sodium hydroxide liberates the hydrochloride resulting in a neutral monochloride. Further addition of the sodium hydroxide begins to liberate the strong quaternary base which goes over rapidly into the neutral pseudo-base or carbinol. In alkaline solution, quaternary thiazoles such as this undergo ring-opening forming an acidic sulfhydryl group which is then neutralized by the alkali forming a sodium compound. It is known that the objectionable material in tobacco is alkaloidal nicotine. The thiamine hydrochloride in the composition of the present invention reacts with the alkaloidal nicotine in a similar manner. It is believed that the alkaloidal nicotine neutralizes the thiamine hydrochloride forming a thiamine monochloride. Further, the alkaloidal nicotine is believed to produce hydroxyl ions so that the reaction with the thiamine hydrochloride may go through the quaternary base to the neutral pseudo-base or carbinol.

The alkaline hydrolysis of riboflavin is known to yield urea. It is believed that the alkalinity of the alkaloidal nicotine results in urea on contact with riboflavin within the human system. Thus riboflavin like thiamine hydrochloride has a destructive effect upon the alkaloidal nicotine.

Alkaloidal nicotine has a similar reaction on pyridoxin hydrochloride as upon thiamine hydrochloride effecting a neutralization of the pyridoxin hydrochloride and a release of the pyridoxin. Pyridoxin is known to oxidize nicotine in the body but is perhaps less effective in this regard than riboflavin which decomposes nicotine by oxidation very rapidly. Strong oxidizing agents oxidize nicotine to nicotinic acid. Weak oxidizing agents oxidize nicotine to form nicotyrine.

The effects of the vitamins in the composition of the present invention upon alkaloidal nicotine residually present in the system or because of concurrent use of tobacco may be briefly summarized as follows:

1. Alkaloidal nicotine is changed to nicotine hydrochloride by the thiamine hydrochloride.
2. Alkaloidal nicotine is changed to nicotine hydrochloride by pyridoxin hydrochloride.
3. Alkaloidal nicotine is oxidized by riboflavin to either or both nicotyrine or nicotinic acid.
4. Alkaloidal nicotine is oxidized by pyridoxin to either or both nicotyrine or nicotinic acid.

The effects of alkaloidal nicotine on the vitamins may be summarized as follows:

1. Thiamine hydrochloride is changed to neutral thiamine monochloride and perhaps by further alkalization due to the alkaloidal nicotine to carbinol.
2. Pyridoxin hydrochloride is changed to pyridoxin.
3. Riboflavin is believed to form urea by the action of alkaloidal nicotine.

The resultant forms of the nicotine after the action of the vitamins thereon are neither harmful to the human system in the quantities formed nor do they have the sedative effect of the alkaloidal nicotine. Further, the materials formed of the vitamins are neither harmful nor present in large amounts after the interaction with the alkaloidal nicotine. Obviously it is desirable to employ the vitamins in excess so that the alkaloidal nicotine will be combined with the vitamins to the point of exhaustion thereof. Any excess of the vitamins is of course of beneficial effect on the human system.

The composition of the present invention has a pH value of approximately 4.4. It has been found that approximately 100 grams of the liquid composition are sufficient to neutralize .248 gram of alkaloidal nicotine to a neutral point of pH 7.0. Inasmuch as the actual quantities of alkaloidal nicotine in the system are quite small it is apparent that relatively small quantities of the composition are adequate for the purpose. It has been found in actual use, for example, that three or four drops of the composition placed on the end of a cigarette or tobacco inserted into the mouth for smoking is adequate to accomplish the purpose of the composition for a period of three or four hours thereafter. If the composition is taken directly in liquid form a half a teaspoon is adequate for a four-hour period.

In treating a patient to terminate the tobacco habit, it is usually desirable to place the composition on the tobacco being employed so that it is passed into the mouth. The lobeline sulfate immediately acts upon the taste buds as set forth above and they are so conditioned that the influence of nicotine thereon is not noticed and thus the patient does not achieve the taste experience he expects and desires. The smoking or chewing of tobacco to which a few drops of the composition have been applied thus is an accept-

able and highly successful step in the curing of the habit. The lobeline sulfate so influences the taste buds as to stop the desire for tobacco and the vitamins break down the alkaloidal nicotine residual in the system and/or newly acquired. Attention is respectfully called to the fact that no particular taste is apparent to the user that he would consider in any sense objectionable and certainly no nausea or illness is caused by the composition of the present invention.

Although the explanation of the operation of the composition of the present invention accords with the results achieved, it is to be understood that the present invention is not to be limited to such explanation. In actual case tests covering many months of experience, patients having used large quantities of tobacco for years have been cured of the tobacco habit almost immediately. The initial administration of the composition terminates the taste for tobacco for a period of several hours and each subsequent administration of the composition tends to continue this effect. The action of the vitamins removes the alkaloidal nicotine from the system and precludes the accumulation of additional quantities thereof thus removing a source of sedative effect tending to make the patient nervous between periods of smoking.

As set forth, the composition is conveniently available in liquid form and may be taken independently or, if the patient desires, concurrently with the use of tobacco. The liquid is readily incorporated in tablet or lozenge form as is well known in medicaments.

Although the present invention has been described in a practical and possibly preferred form, it is to be understood that departures may be made therefrom within the scope of the present

invention which is not to be limited to the details disclosed herein, but is to be accorded the full scope of the claim so as to embrace any and all equivalent compositions and methods.

Having described my invention, what I claim as new and desire to secure by Letters Patent is:

A tobacco habit treating composition comprising a liquid mixture including substantially about 4.2 milligrams of lobeline sulfate, 7.5 milligrams of thiamine hydrochloride, 7.5 milligrams of riboflavin, 18.75 milligrams of nicotinamide, 18.75 milligrams of pyridoxine hydrochloride, and a quantity of water sufficient to form one fluid ounce.

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