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## COATING FOR MEDICAL COMPOUND

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This invention relates to coatings for medical compounds, and more particularly to a coating which is so formed and compounded as to enable the medical compounds coated therewith to pass through the human system to points where the application of the medicine they contain is desired, the coating being so formed and compounded as to enable the time of the breaking or splitting of the coating from the medical compound as desired.

This application is a continuation in part of my application, Serial No. 648,823, filed December 24, 1932, for Coating for medical compound.

In the application of medicine, particularly where the application is desired at points other than in the stomach and digestive tracts, difficulty has been encountered due to the fact that most of such medicines when passing through the digestive tracts of the stomach are attacked by the digestive secretions of the stomach and are there destroyed so that the application of the medicine never reaches the point desired.

It is therefore an object of this invention to provide a means of protecting medical compounds or medicines so that they may be taken by a patient and protected from the digestive secretions of the stomach and digestive tracts to deliver the medical compound or medicine to the point where its application is desired by providing a protective media for such medicine or medical compound which is so compounded and it is of such composition that the time of its disruption or breaking down can be determined so that the liberation of medicine or medical compound may be timed for the point of digestive or colonic tracts at which the application of the medicine is desired.

Another object of this invention is to provide a coating for medical compounds which includes a substance which has the property of expansion on absorption of moisture mixed with a relatively high melting point oil or wax, the melting point being materially above the temperature of the human body, and which coating, when applied to medical compounds, protects the medical compounds until the coating mechanically splits from the medical compound due to the absorption of water in the first substance to cause bursting mechanically of the applied coating.

Another object of this invention is to provide a coating for medical compounds which includes a base in the form of a substance or mixture of substances which are insoluble and indigestible, or substantially indigestible, or which base may include a mixture of substances so compounded as to render the result of the mixture or compound substantially indigestible and to which base is added a substance which, under the influence of water or aqueous solutions will cause the coating formulated of the mixture of the base and substance to be changed in structural characteristics to permit a release of the medicant enclosed within the coating.

Another object of this invention is to provide a coating including a base of the character above set forth to which is added a substance either hygroscopic in character, effervescent in character, or of a nature soluble under the influence of water so that when the coating is subjected to the influence of water, the coating is either mechanically split away due to the expansion of the hygroscopic substance, the action of effervescent substance, or is honeycombed or made porous by the dissolving away of the soluble substance incorporated therein.

Other objects and advantages of this invention it is believed will be apparent from the following detailed description of a preferred embodiment thereof.

I have discovered that coatings for medical compounds may be formed of a base and a mechanically acting agent and that the medicants when coated with such a coating may be protected by the action of the digestive secretions enabling the medicant to be delivered into such part of the intestinal tract as desired and there liberated, and that such coatings may be formulated as a coating formed of a base including, for example, stearic acid, carnauba wax, petroleum jelly, to which may be added such hygroscopic substances as agar, powdered elm, cinnamon bark, cornmeal and kaolin, or substances which will effervesce under the influence of moisture such as a mixture of tartaric acid and sodium bicarbonate, or any other mixture of substances, or substance, which will, under the influence of water, eliminate the gas, or any substance which, when added to the base and subjected to the influence of water or aqueous solution, will dissolve away from the base such, for example, as sodium chloride, ordinary sugar, or other soluble substances.

The base utilized in preparing the coating may be a base of the character above set forth, or may be a base formulated of such substances as shellac, nitrated cellulose products such as the lacquers, gum mastic, gum benzoin, or other substances which in themselves are substantially unattacked by the digestive secretions and are of a nature such that moisture does not readily penetrate therethrough.

I have also discovered that the time at which the breaking down of the coating from the medical compound may be determined or timed by the base picked, the hygroscopic or other substance utilized for addition with the base, the proportions of the base and mechanically acting substance, and where a compounded base is utilized such, for example, as the base formulated of stearic acid, carnauba wax and petroleum jelly the proportions of said substances such

as the proportions of stearic acid, carnauba wax and petroleum jelly as compounded to form the base.

I have also discovered that the time of the mechanical breaking up of the coating to liberate the medicant may be determined by the particular oil or wax utilized in the preparation of the compounded base, and that such oils or waxes are resistant to moisture and as their melting temperature varies.

While this invention is not intended to be limited thereby, the following are given as examples of coatings which have been prepared in accordance with my invention:

(1) The base formulated of substantially the following composition:

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|---|---|
| Stearic acid | 7½ pounds |
| Carnauba wax | 2½ pounds |
| Petroleum jelly | 3 ounces |

(2) A base formulated of white shellac, arsenic free such, for example, as is commonly used in the preparation of food products.

(3) A base formulated of the lacquers such, for example, as the nitro-cellulose lacquers ordinarily used in the paint industry, or for the preparation of such substances as cellophane wrappings.

To the base (1) as above set forth, I prefer to add a hygroscopic substance such as agar, powdered elm, powdered cinnamon bark, cornmeal, thyme or kaolin, and as an illustrative example of such a composition, I prefer to add approximately 13% anhydrous agar powdered to 60–80 mesh where it is desired to liberate the medicant in the ileocolic valve to produce a coating which will break at approximately three to three and one-half hours after ingestion. If it is desired to cause the coating to break quicker after ingestion or for, say, in approximately one and one-half hours' time, the percent of agar is increased to 25 to 30% by weight. The coating as thus formulated, including the base of stearic acid, carnauba wax, petroleum jelly and agar, is preferably prepared as follows:

The carnauba wax, stearic acid and petroleum jelly are placed in a container and melted and the powdered agar in the desired percent is added to the melted mixture and the mixture is preferably allowed to congeal. The mixture is then pulverized to where it will pass through a 24 mesh screen.

The tablets of the medicant are prepared and coated with an adhesive substance such as shellac to which a solvent has been added to render it fluid. The powdered coating is then powdered over the tablets. A mass of the tablets are then placed in a pan and rolled over by revolving the pan. The applications of the powdered coating are preferably repeated until a sufficient quantity of the coating has been caused to adhere to the medicant and the number of applications of coating may likewise be utilized for determining the period of time at which the coating will break away and liberate the medicant in the intestinal tract. Under ordinary circumstances it is preferable to repeat the powdered coating three times, following the procedure as above outlined.

The above is merely the preferred process of applying the coating to the medicants and where the medicant is not in any way injured by heat, the medicant may be coated by dipping it in the molten coating which is then prepared without allowing it to congeal and the reducing of it to a pulzerized mass.

After the tablets are formulated as above set forth by the application of the powdered coating thereto, it is preferable to seal the tablets by passing the tablets under a flame or under a direct blast of intense heat so as to quickly fuse the coating together.

The sealing as above set forth may likewise be resorted to for determining the period of time at which the coating will break in the intestinal tract as, for example, the unsealed tablets will break more quickly and the degree to which the tablets are sealed or the coating is fused may be utilized for determining the period at which the coating will mechanically break away from the medicant.

When utilizing other substances than agar in the percent of the above compounds, the percent of such substances may be varied in accordance with the power which they will exhibit under the influence of moisture to cause the coating to be split away. Some such hygroscopic substances will require a greater percentage in the completed tablet than does agar. For example, it has been found that the percentage of the hygroscopic substance kaolin must be increased materially beyond the percentage of agar utilized under the ordinary conditions. The percentage of hygroscopic substance, however, will vary as the bases vary. In the utilization of other bases such, for example, as white shellac, the following example is given as illustrative:

The medicant tablets are prepared and are coated with the white shellac. A quantity of powdered agar alone is then sprinkled over the shellac-coated tablets and the tablets are rolled in a pan, and by repeated applications of shellac and powdered agar, the coating is built up of sufficient density and thickness to enable a control to be had for the time at which the coating will split away under the influence of the aqueous solutions of the intestinal tract.

In the utilization of such bases as the lacquers, a similar process would be employed and either agar or other of the hygroscopic substances would be employed, determined by the conditions and time under which it was desired that the coating split from the medicant.

In the use of substances which are effervescent in character in the place of those which are hygroscopic in character, the following is given as an example:

To the base formulated of celluloid dissolved in methyl acetone, add sodium bicarbonate and tartaric acid, and while the coating thus prepared is still fluent, the medicant is dipped therein and as the solvent evaporates, it leaves the coating of the celluloid including the tartaric acid and sodium bicarbonate.

Repeated applications of this coating may be made by repeated dipping of the tablets until the desired thickness and density of coating is built up. Other methods, however, may be employed for applying coatings of this character under the influence of moisture as the moisture penetrates the substances such as the celluloid coating; the mixture of tartaric acid and sodium bicarbonate acting together to liberate the carbon dioxide and cause the coating of the celluloid to be mechanically liberated from the medicant.

As an example of the coating where the base is utilized to which is added a water soluble substance, the following is given:

To the base, including the stearic acid, car-

nauba wax and petroleum jelly, may be added approximately 50% ordinary commercial salt (NaCl) and the coating applied to the tablets in substantially the same manner as when agar is incorporated with the same base. As the tablets containing such coating are subjected to the influence of water, the water soluble substance such, for example, as salt, is dissolved by the water, leaving the coating honeycombed or porous so that the medicant may be liberated through the openings formed through the coating by the dissolving away of the water soluble substance or where such tablets as those including agar are coated with such a substance, the expansion of the medicant itself by the water passing through the honeycomb through the coating may cause the coating to split off from the tablet.

The base as herein specified for mixing with the mechanical breaking agent which is composed of stearic acid, carnauba wax and petroleum jelly, is only one specific example of a base of this character. Another example might readily be given and other high melting point oils or waxes might substituted for stearic acid as utilized in forming the compounded coating such, for example, as hydrogenated vegetable oils which are not readily digestible, and other waxes might be utilized other than carnauba wax.

When utilizing a high melting point oil or wax such as stearic acid or highly hydrogenated vegetable oil, which substances are, at least to some degree, digestible, the petroleum jelly as utilized performs the function of adding resistance to the digestibility of the coating.

The base as utilized in the formation of the coating involving my invention is preferably a base either formed of a single substance or of a mixture of substances which is solid at temperatures above the temperature of the human body, is of a character substantially indigestible so that it is not digested away from the tablet in passing through the digestive tracts of the human system, and is resistant to the permeation of moisture so that the moisture will permeate into the coating slowly to act upon the mechanical breaking agent, enabling the time of disintegration of the coating to be determined. Where such substances are utilized which are highly resistant to the permeation of water, it will be necessary to employ larger proportions of mechanical breaking agents and the shellac of the base and the shellac of the mechanical breaking agent may obviously be resorted to in order to determine the time at which the coating will disintegrate under the influence of the aqueous solutions of the digestive and intestinal tracts of the human system.

While I have herein set forth many examples of the manner in which my invention may be carried out, these examples are given merely for the purpose of illustration and not for the purpose of limiting my invention to any of the specific embodiments thereof. Many other substances than those as herein set forth, either of hygroscopic, effervescent or soluble character, may be employed in the place and stead of those herein set forth and it is obvious that other bases which are substantially impervious to moisture or through which moisture slowly penetrates might be employed in combination with the substances, whether they be hygroscopic, effervescent or of a soluble nature.

My improved coating may consist of one or more base materials such as a high melting point oil, or a wax, or stearic acid, etc., which material or materials are combined with one or more of the hygroscopic, or the effervescent, or the dissolvable substances above enumerated, or substances in any of these classes.

Having fully decribed my invention, it is to be understood that I do not wish to be limited to the details herein set forth, but my invention is of the full scope of the appended claims.

I claim:—

1. An enteric coating for medicine intended for administration in the intestinal tract, comprising a substantially water insoluble and indigestible base composition having incorporated therein an agent for altering the physical structure of the coating to release the medicine in the intestines, said agent being acted upon by moisture to alter the coating as aforesaid independently of chemical reaction.

2. An enteric coating for medicine intended for administration in the intestinal tract, comprising a substantially water insoluble and indigestible base composition having incorporated therein an agent for altering the physical structure of the coating to release the medicine in the intestines, said agent being hygroscopic and expansive under the influence of moisture whereby to disrupt the coating independently of chemical action.

3. An enteric coating for medicine intended for administration in the intestinal tract, comprising a substantially water insoluble and indigestible base composition having incorporated therein an agent for altering the physical structure of the coating to release the medicine in the intestines, said agent being effervescent under the influence of moisture whereby to disrupt the coating independently of chemical action.

4. The coating as defined in claim 1 further characterized in that said agent is capable of being dissolved away from said base composition by the action of moisture whereby to render the coating porous.

5. An enteric coating as defined in claim 1, further characterized in that said agent is capable of mechanically disrupting the coating under the influence of moisture.

6. The coating as defined in claim 2 further characterized in that said agent comprises a ground agar.

7. The coating as defined in claim 1 further characterized in that said base composition comprises an oil product.

8. The coating as defined in claim 1 further characterized in that said base composition comprises a wax.

9. The coating as defined in claim 1 further characterized in that said base composition comprises a high melting point oil product and petroleum jelly.

10. The coating as defined in claim 1 further characterized in that said base composition comprises a high melting point wax and petroleum jelly.

11. The coating as defined in claim 1 further characterized in that said base composition comprises nitro-cellulose lacquer.

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