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SUPPOSITORY MEDICATION

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My invention relates to a medicament and especially to a medicament intended for suppository use. More particularly, my invention lies in the discovery of a new base for suppository medication.

Suppositories are used for various types of medical treatment, including local treatment, as in hemorrhoidal and other rectal conditions, vaginitis, cervicitis, leucorrhea, and the like; treatment of constipation, as, for example, by glycerin or soap suppositories; and absorption, either for purposes of narcosis, alimentation or for ultimate effect upon more remote organs.

At present, the materials more commonly used as suppository vehicles or bases are glycogelatin, soap, cocoa butter and the like. The material most frequently used is cocoa butter. These oily or fatty compositions are unsatisfactory in many respects. In the case of certain medicaments they so mask or hinder the action of the drugs as to render the results obtained inaccurate, unreliable and even nonexistent.

Cocoa butter is used principally because of its low price and convenient melting point. However, upon melting it produces an odorous yellow oil which tends to leak out of the body. Furthermore, the oily nature protects any water soluble constituents which may be included in the suppository from solution in the aqueous body fluids, and holds oil soluble medicaments in firm solution so that they are not readily available to the part to be treated. Also, the addition of many common medicaments, particularly insoluble solids such as bismuth or zinc compounds, raises the melting point of cocoa butter so that the suppository does not readily melt in the body and the medicament is not released but is held in agglomerated lumps of the cocoa butter and is thus excreted. In extreme cases such suppositories may be excreted whole.

On the other hand, some attempts have been made to use ointments for rectal and vaginal medication, but these require special packages and applicatory devices.

According to the present invention, a new type of suppository vehicle has been discovered which is free of the disadvantages described above and which possesses many features which render suppository medication more efficacious and reliable.

The primary object of the invention then is to provide a suppository base which is not of an oily or fatty nature, and which may therefore carry medicaments in such a condition that they are readily available to the body.

A further object is to provide a material of this type which is capable of absorbing a comparatively large quantity of water and of automatically forming an emulsion with the water, thereby swelling to a bulk several times greater than its original volume.

Still another object is to provide a suppository base which may be used in spite of the addition of medicaments which may raise the melting point thereof.

A further purpose is to provide such a base which is of neutral reaction so that no irritation can occur.

I have discovered that the above advantages may be obtained by the use of stearic esters of glycerin or glycols as a base. The preferred material is the stearic ester of propylene glycol. This material as commercially obtained melts in the neighborhood of 37° C. or close to the body temperature. However, it is also possible to use glycerin mono-stearate, ethylene glycol stearate, diethylene glycol stearate and other stearic esters of glycerin or glycols, particularly such as are rendered self-emulsifying in warm water, when used with a small proportion of an emulsifying agent. Furthermore, the esters of other fatty acids, such as palmitic, myristic, lauric, or the like, might be employed.

According to the preferred embodiment of my invention, the base also includes an emulsifying agent. Such agent should preferably be one which is not an irritant and does not have an unpleasant odor, and is moreover, without action on the medicament, and I have found that triethanolamine stearate is most satisfactory for this purpose. However, other agents such as sodium or potassium stearate, stearates of ethylamine and diethylamine, monoethanolamine and diethanolamine stearates, as well as the palmitates and oleates corresponding to the stearates mentioned, might be used.

According to the preferred form of the invention, I utilize as a preliminary basis about 95% propylene glycol ester and about 5% triethanolamine stearate. However, this proportion is not critical and the emulsifying agent may be used in amounts of from 1 to 10% or even in greater quantities.

In addition, if it is desirable to adjust the pH value of the product, stearic acid may be added in an amount from 5 to 20% of the base material.

A base composed of 82% propylene glycol stearate, 2%–3% triethanolamine, and about 15% stearic acid forms an eminently satisfactory suppository material. It consists normally of a white, or ivory colored, waxy solid, which softens

or melts at body temperature. The material possesses the property of absorbing comparatively large quantities of water as referred to its own size and of forming an emulsion automatically with warm aqueous fluids so that it swells to a bulk several times its original volume. When the material is inserted into the body, the movement of the muscles and tissues, whether voluntary or involuntary, will cause a maceration or agitation of the suppository resulting in the formation of a large soft mass in the form of a hydrophilic ointment or cream. Such a mass will more readily fill the anal canal, or spread into the various cavities of the passages into which it is inserted, and will tend to coat the mucosa with a protective layer. Such a material is itself extremely satisfactory for the treatment of constipation, as opposed to other bulk-producing compounds which are ordinarily introduced by the mouth.

Where glycerin or other glycol stearates are used, which have higher melting points around 45° C., and above, it may be necessary to add materials which will lower the melting point of the base, such as cocoanut oil, petrolatum, mineral oil, and the like. However, such substances should not be added in quantities large enough to prevent emulsification unless sufficient emulsifying agent is also included to overcome this difficulty. This in turn should not be great enough to render the reaction too alkaline and irritant.

Such a material is further eminently satisfactory for introducing medicaments into the various body passages. In the case of insoluble medicaments, these will be dispersed in finely divided condition through the emulsion which is formed and will thus be brought into effective contact with the various parts to be treated. Water soluble medicaments will be immediately dissolved, liberated and extracted through the absorption of water owing to the hydrophilic property of the base, and are thus rendered available to the tissues. Any oils or fats which may have been incorporated are emulsified and thus held in a condition for effective action, and cannot leak or seep out. Such suppositories are thus available for use at any time and are not restricted to recumbent cases.

The action of insoluble medicaments is normally to raise the melting point of materials such as I have described. However, as the actual melting of the base is not absolutely necessary, (self-emulsification readily taking place) large proportions of such insoluble medicaments may now be used without detriment and with greater efficiency.

Various types of medicaments may be used, and the present invention is not limited to any particular type. For example, astringents, antiseptics, emollients, healing adjuncts and local analgesics may be incorporated. In suppositories to be used for the treatment of hemorrhoidal conditions, such compounds as zinc oxide, compounds of bismuth (as the resorcinate, gallate, subcarbonate, iodide or iodotannate), boric acid, ephedrine, ichthyol, tannic acid and the like may be included. A particular formula for such use might be as follows:

	Per cent
"Airol" compound (6% bismuth sub-gallate and 4% bismuth oxyiodide)	10
"Thigenol" (polymerized sulpho-oleic acid)	5
"Larocaine" (p-aminobenzoyl - 2,2 - dimethyl-3-diethylamino propanol)	1
"Syntropan" (3-diethylamino - 2,2 - dimethyl-propanol ester of tropic acid)	½
Base q. s. to 100%	

While I have described herein some embodiments of my invention, I wish it to be understood that I do not intend to limit myself thereby except within the scope of the appended claims.

I claim:

1. A plastic medicinal object comprising a fatty acid ester of a substance selected from the group consisting of glycerin and glycols.

2. A suppository comprising an ester of a fatty acid selected from the group consisting of stearic, palmitic, myristic and lauric acids and of a substance selected from the group consisting of glycerin and glycols.

3. A suppository comprising a fatty acid ester of propylene glycol.

4. A plastic medicinal object comprising the stearic ester of propylene glycol.

5. A suppository comprising a stearic ester of a substance selected from the group consisting of glycerin and glycols together with an emulsifying agent.

6. A suppository comprising the stearic ester of propylene glycol together with an emulsifying agent comprising a substance selected from the group consisting of stearates, palmitates and oleates of amines, diamines and triamines.

7. A suppository comprising the stearic ester of propylene glycol and triethanolamine stearate.

8. A suppository comprising from 90 to 99% stearic ester of propylene glycol and from 1 to 10% of triethanolamine stearate.

9. A suppository comprising a base material consisting essentially of from 90 to 99% stearic ester of propylene glycol and from 1 to 10% triethanolamine stearate, and stearic acid in an amount from 5 to 20% of the base.

10. A suppository comprising a base of a stearic ester of a substance selected from the group consisting of glycerin and glycols, an emulsifying agent for such base, and a medicament incorporated in the base.

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