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LAXATIVE TABLET CONTAINING DI-OCTYL SODIUM SULFOSUCCINATE

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4 Claims. (Cl. 167—82)

This invention relates to, and has for its object, the provision of pharmaceutical tablets, and a method of preparing same.

According to the present invention, the pharmaceutical tablets contain as the principal active ingredient dioctyl sodium sulfosuccinate.

Heretofore it was known that the powerful surface tension reducing effects of certain esters of the sulfodicarboxylic acids (e.g. dioctyl sulfosuccinate) enabled their use as fecal softeners. Thus dioctyl sodium sulfosuccinate has enjoyed widespread use, both prophylactically and therapeutically, as a fecal softener and as an adjunct in the treatment of constipation.

It has been found to be especially desirable to administer this compound in tablet form, inasmuch as the liquid and capsule embodiments are unsatisfactory in appearance and taste. However, in preparing a tablet containing the desired amount of dioctyl sodium sulfosuccinate, it was found that the use of conventional methods resulted in a tablet that was too large for comfortable oral administration.

By use of the process of this invention, as compared with conventional methods, approximately two times the amount of dioctyl sodium sulfosuccinate can be readily incorporated in a tablet, thereby enabling the inclusion of the desired amount of the sulfosuccinate in a tablet of approximately-reduced size.

The process of this invention essentially comprises mixing the dioctyl sodium sulfosuccinate with talc and comminuting said mixture, which results in a finely-divided free-flowing granular material that does not mat, cake or ball up, thereby enabling the preparation of a suitable granulation for the manufacture of tablets.

In preparing this mixture it has been found to be advantageous to mix a quantity of talc equal to about 10–20% (by weight) of the dioctyl sodium sulfosuccinate with said compound prior to the comminution thereof. In other words, the sulfosuccinate and talc are employed in the ratio of 90–80 to 10–20 parts by weight.

The association of talc with the dioctyl sodium sulfosuccinate prior to the comminution thereof, and in such large amounts (e.g. about 15%), represents a departure from the standard method of preparing tablet-granulations, in that heretofore talc has been added to a tablet granulation at the final mix in amounts of a different order (e.g. about 2–5%), and for a different purpose, namely for the sole purpose of preventing the binding (sticking) of the finished tablet in the machine die. In the present invention, the talc is used to enable the dioctyl sodium sulfosuccinate to be suitably prepared for a tablet granulation. The talc enables the preparation of a finely-divided free-flowing granular material capable of being mixed with the conventional excipients or diluents such as lactose, magnesium hydroxide, magnesium trisilicate, aluminum hydroxide and anhydrous calcium silicate

or, other components if desirable. Addition of more talc at the final mix stage is also desirable when substantial amounts of diluents and/or other components are included in the tablet composition. This addition of talc is desirably made in a quantity such that it is present in the final granulation in about 10–20%, and especially about 15%, of said granulation (and hence is present in the tablets in the same percentage).

The following example is illustrative of the invention:

599.66 grams of dioctyl sodium sulfosuccinate is mixed with 105.82 grams of talc, by sprinkling the talc evenly over the wax-like masses of the sulfosuccinate. The talc-covered dioctyl sodium sulfosuccinate is then mixed mechanically (e.g. in a Hobart mixer) until the talc is completely incorporated on the wax-like mass (approximately 5 minutes). The mixed material is then placed in a comminuting machine (e.g. W. J. Fitzpatrick Co., Chicago, Ill., Model D comminuting machine operated at impact forward, medium speed, 2200 r.p.m., and employing a No. 4 stainless steel (18–8) round 18 gauge (¼" hole) screen). The product of the comminution is a finely divided powder (8 or 10 mesh) which, due to the incorporation of the talc previously, does not mat, cake, or ball up. This powder, if kept dry, will remain free flowing indefinitely.

To 705.5 gm. of the free flowing finely divided powder is added 1079.5 gm. of lactose with mechanical mixing for approximately 10 minutes. This mixture is then treated with a 10% (w./v.) gelatin solution until the powdered mix is visibly dampened (approximately 100 cc. is needed). The damp mass, after being forced through a 3¾ sieve (3¾ holes per square inch) in a granulating machine (e.g. a Stokes oscillating granulator) is dried at 150° F. for 12 to 16 hours. The dry granulated material is again put through a granulator using a No. 12 stainless steel screen. The product thereof is mixed in a mechanical mixer for about 10 minutes with 200 gm. of talc, and the material then compressed on a tablet machine, resulting in the production of approximately 5000 tablets. The tablets are either coated in the conventional manner or, left uncoated, each tablet containing about 120 mg. of dioctyl sodium sulfosuccinate.

The tablets of this invention are superior to capsule or liquid embodiments of dioctyl sodium sulfosuccinate with respect to stability, palatability and appearance (on standing, and when being dispensed). Furthermore, the use of the composition of this invention and the method described herein enables the production of a tablet of small size which contains the desired therapeutic quantity of the active ingredient.

The invention may be variously otherwise embodied within the scope of the appended claims.

What is claimed is:

1. A pharmaceutical tablet, containing dioctyl sodium sulfosuccinate as the principal active ingredient, and talc, the latter being present in at least 10% and not more than 20% by weight of the dioctyl sodium sulfosuccinate.

2. A composition suitable for the preparation of a tablet granulation, essentially comprising a finely-divided, free-flowing mixture of dioctyl sodium sulfosuccinate and talc, in a ratio of 90–80 to 10–20 parts by weight.

3. A composition suitable for the preparation of a tablet granulation, essentially comprising a finely-divided, free-flowing mixture of dioctyl sodium sulfosuccinate and talc, the latter being present in about 15% by weight of the dioctyl sodium sulfosuccinate.

4. In the method of preparing a dioctyl sodium sulfosuccinate tablet, the step which essentially comprises mixing dioctyl sodium sulfosuccinate with talc in a ratio of

90–80 to 10–20 parts by weight prior to comminution of the sulfosuccinate.

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UNITED STATES PATENT OFFICE

CERTIFICATE OF CORRECTION

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It is hereby certified that error appears in the printed specification of the above numbered patent requiring correction and that the said Letters Patent should read as corrected below.

Column 1, line 41, for "approximately-reduced" read -- appropriately-reduced.

Signed and sealed this 1st day of March 1960.

(SEAL)
Attest:

KARL H. AXLINE
Attesting Officer

ROBERT C. WATSON
Commissioner of Patents