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3,383,237

TABLET COATING

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5 Claims. (Cl. 117—105.1)

ABSTRACT OF THE DISCLOSURE

Pharmaceutical tablets are coated by spraying a solvent-free molten liquid onto the tablets while tumbling in a rotating tablet coating pan until the desired thickness of coating is on the tablets and thereafter cooling the coated tablets while continuing their tumbling action to harden the molten coating material, the coating material being composed of 60 to 90 percent by weight of a polyethylene glycol having an average molecular weight within the range 1000 to 9000 and 10 to 40 percent by weight of a non-toxic resin which is miscible with the polyethylene glycol at temperatures within the range of 45° C. to 200° C., the preferred resins being shellac and sucrose benzoate.

This invention relates to new methods of coating pharmaceutical dosage forms such as tablets, granules, capsules, and the like and to novel compositions for such use.

Considerable work has been done in recent years in developing film coatings for pharmaceutical tablets. The old conventional sugar coating process has many disadvantages, particularly in the time and labor consumed in developing a satisfactory coating. Thin film coatings of organic polymers make possible a reduction in size of the dosage form with attendant reduction of package size, shipping weight, and ease of administration. These advantages have stimulated considerable research and development work and satisfactory film coatings of pharmaceutical elegance have been produced.

Unfortunately, it is necessary that most of the newer film coatings be applied with the film forming material dissolved or suspended in volatile solvents such as acetone, chloroform, alcohol, or the like. While these solvents evaporate quickly and make it possible to complete the coating operation in a short period of time, they also have many disadvantages. These solvents evaporate quickly and large quantities are necessary. The solvents are either lost completely during the drying cycle or are partially recovered by means of expensive solvent recovery systems. Elaborate precautions are necessary to protect personnel from toxic effects due to inhalation. In many instances further precautions are necessary to protect against the hazards of explosion and fire. It is sometimes necessary to alternate the coating applications with drying cycles since the use of solvent tends to dissolve portions of the coating already applied resulting in sticking and clumping of tablets in the coating pan.

The new coating process of the present invention employs film coating formulae which do not require the use of volatile organic solvents. The superior film coatings provided by the process of the present invention are tough and pharmaceutically elegant. They are economical in that no volatile solvents are employed and the hazards during manufacture of toxicity and explosion are obviated. The coatings are water soluble, may be colored with dyes, lakes, or pigments, and may be applied continuously without interruption for drying during the application. The new coatings of the present invention are economical and represent savings in material costs and labor.

The new coatings of the present invention comprise essentially polyethylene glycols having an average molec-

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ular weight of 1000 to 9000 modified with 10 to 40 percent by weight of natural or synthetic gum or resin such as shellac or sucrose benzoate, or mixtures thereof.

The process of the present invention is practiced by warming the tablets, capsules, or granules to 30° C. to 45° C. in a conventional tablet coating pan. The tablets may be warmed by heating the pan itself, by means of steam or hot water jacketing, or by the application of an open flame. Alternatively, the tablets may be preheated in an oven before introduction into the pan.

The coating compositions are melted and maintained in liquid state in a container or reservoir heated above the melting point of the coating composition. The melted coating composition is fed by gravity or suction through a heated pipe to a steam jacketed spraying head. A preferred form is a pneumatic spraying head which depends upon air pressure to break the melted liquid into a fine spray. Spraying heads designed for airless spraying are also suitable if means are provided for preventing congealing of the coating composition in the spraying head.

The hot melted spray is directed onto the warmed tablets while they are tumbling in a rotating coating pan. The temperature of the melted composition and the pressure applied to the spray nozzle are regulated so that the melted material congeals soon after striking the tablets. When the melting point of the coating composition is too high, the sprayed material congeals before it strikes the tablets and satisfactory coatings cannot be achieved. If the temperature of the melted coating composition is too high, the coating remains liquid long enough to cause clumping of the tablets.

As noted above, the basic coating compositions of the present invention comprise a polyethylene glycol of average molecular weight of from 1000 to 9000, preferably about 4000 modified with 10 to 40 percent by weight of dry shellac and/or sucrose benzoate. Other natural or synthetic resins or gums may be used as modifying agents if they are miscible in a molten state with the polyethylene glycol at temperatures within the range 45° to 200° C. Among these may be mentioned gum sandarac, mastic, copal, dammar, lignin, and rosin. Modified rosins such as the glycerol, pentaerythritol, and ethylene glycol esters of rosin or hydrogenated rosins as well as rosin modified maleates, may be used. Various alkyd and modified alkyd resins sold under a variety of tradenames such as Cellolyn and Petrex may also be used. Various synthetic resins such as the polymerized acrylates, polyacrylamides, polyvinyl pyrrolidones, polyvinyl alcohols, and ethylene oxide polymers may also be used to modify the hot melt coating. Gums such as chitin, larch arabogalactan and dextrans and derivatives of natural gums such as starch amylose and starch amylopectin can also be used as modifying agents providing that they meet the conditions described above. Solutions or dispersions of these essential components are prepared by simply combining the ingredients and applying heat until liquefaction occurs and mixing at a temperature of approximately 130° C. until a clear solution or dispersion results.

Colored coatings may be obtained by dissolving soluble dyes in the basic formulations such as, for example, 0.5 percent to 1 percent of D and C Yellow No. 11 or by suspending lakes such as D and C Red No. 30, or pigments such as iron oxide or opacifiers such as titanium dioxide at concentrations of approximately 0.5 percent to 1 percent by weight in the molten coating composition. Plasticizers such as cetyl alcohol, castor oil, polyethylene glycols having an average molecular weight below 1000, sucrose acetate isobutyrate, and other sucrose esters may be added as desired. The temperature of the coating composition is maintained during the spraying operation at between 60° C. to 130° C.

Placebo tablets were prepared using a mixture of 85 percent lactose, 10 percent corn starch, and 5 percent soluble starch. This mixture was blended, granulated with water, dried, placed through a No. 12 mesh screen, lubricated with 1 percent magnesium stearate and compressed into $\frac{7}{16}$ inch diameter tablets weighing 415 milligrams per tablet.

Three hundred grams (722 uncoated tablets) were placed in a stainless steel coating pan of 6½ inches in diameter and warmed to between 35° C. to 45° C. by applying heat to the rotating pan. At this point the heating was discontinued and the tablets were sprayed with a molten coating solution maintained at a temperature between 110° C. and 140° C. The coating composition had the following composition:

	Percent w./w.
Sucrose benzoate -----	10
Dry shellac -----	10
Cetyl alcohol -----	5
Polyethylene glycol 4000 -----	74.5
D and C Yellow No. 11 -----	0.5

It was prepared by placing the dry shellac in a container and heating to 120° C. followed by the addition of the sucrose benzoate, cetyl alcohol, polyethylene glycol, and dye, with stirring, until all of the materials were in solution. The solution was sprayed upon the tablets with the pan rotating at 43 r.p.m. through a steam jacketed pneumatic spray head $\frac{1}{4}$ JBCJ (Spraying Systems Company, Bellwood, Ill.), employing a No. 2850 fluid nozzle and No. 70 air nozzle. Low pressure steam is introduced into the steam jacketed spray head to keep the spray head hot during the spraying operation. Air at twelve pounds per square inch is introduced into the air intake orifice of the spray head. The molten coating material is continuously sprayed on the tablets until an increase in weight of 73.8 milligrams per tablet is obtained. This spraying operation requires approximately twenty minutes. The sprayed tablets are allowed to tumble in the rotating pan for approximately five minutes after the spraying operation in

order to cool them. The finished tablets had a pharmaceutically elegant appearance. The coating disintegrated in water within six minutes when tested by standard procedures.

What is claimed is:

1. A method of coating tablets which comprises spraying a molten composition free of volatile solvents at a temperature of between 60 and 130° C. onto tablets maintained at temperatures within the range 30° C. to 40° C. while tumbling said tablets in a rotating tablet coating pan until a desired thickness of coating has been applied and thereafter cooling said coated tablets while continuing tumbling them in said coating pan, said molten composition comprising 60 to 90 percent by weight of polyethylene glycol having an average molecular weight within the range 1000 to 9000 and 10 to 40 percent by weight of a non-toxic modifying agent of the group consisting of non-toxic synthetic and natural resins and gums miscible in a solution of polyethylene glycol at temperatures within the range 45° C. to 200° C.

2. A method in accordance with claim 1 in which the modifying agent is a member of the group consisting of a non-toxic grade of shellac and sucrose benzoate.

3. A method in accordance with claim 1 in which the resin is an edible grade shellac.

4. A method in accordance with claim 1 in which the resin is sucrose benzoate.

5. A method in accordance with claim 1 in which the non-toxic modifying agent of the coating composition is a mixture of an edible grade shellac and sucrose benzoate.

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UNITED STATES PATENT OFFICE
CERTIFICATE OF CORRECTION

Patent No. 3,383,237

May 14, 1968

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It is certified that error appears in the above identified patent and that said Letters Patent are hereby corrected as shown below:

Column 4, line 9, "30° C. to 40° C." should read -- 30° C. to 45° C. --; line 14, "90°" should read -- 90 --.

Signed and sealed this 13th day of January 1970.

(SEAL)

Attest:

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Commissioner of Patents