Patented Feb. 10, 1931 1,791,878

UNITED STATES PATENT OFFICE

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WATER-OIL EMULSION AND SUSPENSION FOR MEDICAL PURPOSES AND PROCESS FOR MANUFACTURING THE SAME

No Drawing. Application filed May 13, 1927, Serial No. 191,270, and in Germany, partly, May 17, 1926.

This invention relates to medicines containing water soluble drugs.

Of late years the parenteral introduction of various drugs has become more and more common but such injections have the disadvantages that the drug effect is transitory. The medication reaches the blood stream relatively quickly and its effect is soon over. While this characteristic is not of great importance under some conditions, as for instance when a stimulant is applied during collapse, continuous action is much to be desired under other conditions. Where the patient is being treated with glandular preparations, for instance, a gradual release of the medication to the blood stream is desired.

A general object of the present invention is the provision of a medicine containing a water soluble drug which will have a relatively prolonged effect when injected in the patient.

It has been found that solutions of water soluble drugs may be prepared in water-in-oil emulsions by using an emulsifier. For medical purposes, the emulsifier is selected in view of its solubility, surface tension, lack of poisonous property, etc. and I have found that cholesterin and meta-cholesterin are particularly well adapted to serve as emulsifiers. However, emulsions made up only of mixtures of oil, acqueous solutions and an emulsifier are always quickly destroyed when injected into the tissues.

It has been found that still another group of substances, termed stabilizers or protective substances, produce, when included in the water-in-oil emulsion, an emulsion capable of resisting the action of the tissues upon injection therein. By varying the amount of the stabilizer used, the stability of the emulsion may be varied and it is thus possible to regulate the time over which the emulsion will break down in the tissue, and consequently the time over which the drug will be released to the body of the patient. I have found that wax is particularly well adapted for use as a stabilizer. From a medical viewpoint it is not advisable to inject into the tissues complex substances the nature of which have not yet been thoroughly investigated, such as the wax cera alba, for instance. My researches have therefore been directed to chemically defined wax bodies which are not obnoxious and which are capable of being resorbed, and I have found the myricin (myricil palmitin acid ester) $C_{15}H_{31}COOC_{30}H_{61}$ to be a stabilizer which satisfies all requirements. An important property of an emulsion prepared with myricin is that its rate of decomposition or resorbtion into the human body can be regulated by regulating the amounts of myricin used.

It has further been found that in the case of suspensions of finely divided water soluble bodies in oils or fats, the transmission of the water soluble bodies to the human body may be retarded by adding to the oil an emulsifier. Moreover, by adding a stabilizer such as myricin, the rate of transmission of the suspended water soluble particles to the human body may be further retarded and in fact controlled. The effect of an injection into the human body of a water-in-oil emulsion of a solution of a water soluble drug, an oil, an emulsifier and a stabilizer, as compared to that of a suspension of a water soluble drug in an oil, an emulsifier and a stabilizer, is substantially the same. The emulsion or the suspension, as the case may be, possesses stability when injected into the tissues and the transmission of the drug is retarded and controlled.

The following examples will serve to illustrate a method of preparing both a stable emulsion and a stable suspension.

Example 1.—To 0.3 grams of finely divided cholesterin or meta-cholesterin, I add 0.5 cubic centimeters of olive oil having 0.5 grams of myricin dissolved therein. To the resulting product, concentrated solutions of medicines such as for example, a 50% milk sugar solution, are slowly added while the mixture is rubbed. An emulsion is formed which remains stable in the tissues.

The cholesterin may be prepared in finely divided condition by rubbing the same thoroughly in a mortar with 2.0 cubic centimeters of benzine until the major part of the benzine has vaporized.

Example 2.—5 cubic centimeters of olive

oil, 0.3 grams of cholesterin or meta-cholesterin minutely divided by means of benzine, and powdered insulin (chlorhydrate) are intimately mixed in a mortar. Myricin is also added to render the suspension more stable when injected into the tissues.

Having now described my invention, what I claim as new and desire to secure by Letters Patent of the United States is as follows:—

1. A medicine for injection into the human body comprising an emulsion prepared by dissolving a cholesterin and a beeswax in olive oil, and mixing therewith a solution of a water soluble drug, said medicine providing retarded transmission of the drug to the body after injection.

2. A medicine for injection into the human body comprising an emulsion prepared by dissolving meta-cholesterin and myricin in olive oil, and mixing therewith a solution of a water soluble drug, the myricin serving to increase the stability of the emulsion whereby the transmission of said drug to the body is retarded after injection of the medicine into the tissues.

3. A medicine for injection into the human body which is prepared by dissolving a cholesterin and a beeswax in olive oil and mixing therewith a finely divided water soluble drug, said medicine providing retarded transmission of the drug to the body after injection.

4. A medicine for injection into the human body which is prepared by dissolving meta-cholesterin and myricin in olive oil and mixing therewith a finely divided water soluble drug, the myricin serving to increase the stability of the emulsion whereby the transmission of said drug to the body is retarded after injection of the medicine into the tissues.

In testimony whereof I affix my signature.

DR. CLAUSS BURKART STRAUCH.