Cl. 167

Published May 11, 1943

Serial No. 143,736

ALIEN PROPERTY CUSTODIAN

EMULSIONS OF PHYSIOLOGICALLY ACTIVE COMPOUNDS

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No Drawing. Application filed May 20, 1937

This invention refers to emulsions of physiologically active substances which are to be used for therapeutic purposes. More particularly the invention refers to emulsions of physiologically active compounds of the hydroaromatic series containing oxygen, said emulsions being intended for application by means of the skin.

It is known that numerous compounds of the hydroaromatic series containing oxygen, e. g. hydroaromatic alcohols such as menthol, or hydroaromatic ketones such as camphor, or esters of hydroaromatic alcohols such as bornyl salicylate, are widely used for therapeutic purposes. It also has frequently been tried to apply the said compounds percutaneously. As an example, Spiritus Camphorae, U. S. P., may be mentioned. However, the non-aqueous solutions of physiologically active compounds of the hydroaromatic series containing oxygen, when applied percutaneously, suffer from the disadvantage that the physiologically active substances are badly resorbed by the skin therefrom. Hence, it is an important task to render the above mentioned physiologically active compounds of the hydroaromatic series containing oxygen which per se are insoluble or difficultly soluble in water, soluble or emulsifiable in water.

We are aware that it has been suggested to emulsify the aforementioned substances such as camphor by means of soap. Such camphor emulsions containing soap, however, show considerable disadvantages. Owing to the fact that they are precipitated by calcium and magnesium salts, they are unstable towards the hardening constituents of water. Moreover, soap owing to hydrolysis gives an alkaline reaction which is undesirable for preparations to be applied percutaneously.

This invention then has as an object novel emulsions for percutaneous application of physiologically active compounds of the hydroaromatic series containing oxygen, and methods for their preparation. A further object is emulsions containing the emulsified substances in a particularly highly disperse form. A still further object is emulsions that are stable also towards hard water and can be diluted with water ad lib.

These objects are accomplished by using as emulsifying agents the condensation products of higher molecular split-off products of albumin with higher fatty or resin acids. The said condensation products of the higher molecular split-off products of albumin with higher fatty or resin acids can be used either per se, or as alkali metal salts, or as salts of organic bases such as triethanolamine.

The invention also contemplates emulsions comprising, in addition to the aforementioned physiologically active compounds of the hydroaromatic series containing oxygen, still other physiologically active substances such as salicylic acid or esters of salicyclic acid.

In addition to the condensation products of higher molecular split-off products of albumin with higher fatty or resin acids, said condensation products acting as emulsifying agents, the emulsions according to this invention also may contain substances such as alcohols or sulfonated oils, said substances acting as solubilizing agents for the hydroaromatic compounds containing oxygen which are insoluble or difficultly soluble in water.

By adding small amounts of substances showing an acid or alkaline reaction, the pH of the emulsions according to the invention can be controlled. The emulsions in accordance with this invention are stable and useful for percutaneous application within rather wide limits, viz. between pH=4 and pH=11.

Owing to the fact that the emulsions according to the invention can be diluted with water to any extent, they can be used very advantageously, e. g., as medicinal bath preparations. While the preparation of medicinal baths containing menthol or camphor hitherto has been difficult, the said baths can now readily be obtained by means of the present invention.

Other valuable specific uses for the emulsions according to the invention are embrocations, liniments, salves, and ointments. When employed for these purposes, the emulsions are blended with the customary salve constituents.

The following examples, in which the parts are by weight, are intended to illustrate the invention; and are not to be construed as limiting the scope thereof.

A menthol emulsion to be used as a bath preparation can be produced in the following manner:

Example I

50 g menthol, at a temperature of 50°–60° C, are mixed with 100 gr of a 50% aqueous solution of the potassium salt of a condensation product of lysalbinic acid with talloil fatty acid chloride. To the mixture there are added 40 g of ethyl alcohol and 10 g of sodium sulforicinate. A stable, clear, oily liquid will thus be obtained which dissolves in water to form a stable dispersion. 10–50 cc of this mixture are added per plunge-bath.

The preparation of a camphor emulsion to be used as a bath preparation can be illustrated as follows:

Example II

100 g of camphor, U. S. P., are dissolved in 125 g of pine oil and the resulting solution is mixed with 175 g of a 50% aqueous solution of the potassium salt of a condensation product of high molecular split-off products of albumin of the lysabinic and protalbinic acid type with oleic acid chloride, the preparation of the said condensation product being described in Sommer Patent No. 2,015,912, issued October 1, 1935. To the mixture there are added 20 g of sodium sulforicinate when a clear solution is formed which can be mixed with water of any degree of hardness to form as stable dispersion. The solution can be used as a bath preparation.

An emulsion containing methyl salicylate and camphor which is suitable as an embrocation, can be prepared in the following manner:

Example III

To a solution of 60 g of sodium oleyl lysalbinate in 75 g of pine oil there are added successively 7.5 g of camphor, U. S. P., and 15 g of Gaultheria oil (methyl salicylate). A clear, oily liquid will thus be obtained which can be used as an embrocation.

Example IV

An emulsion containing bronyl salicilate which is suitable as an embrocation, is prepared in the same manner as described in the preceding example, the mixture of camphor and Gaultheria oil being replaced by an equal amount by weight of bornyl salicylate.

The preparation of a camphor ointment can be illustrated as follows:

Example V

50 g of camphor being previously dissolved in 100 g of oleyl or cetyl alcohol are emulsified in 100 g of a 50% solution of the triethanolamone salt of a condensation product of a mixture of polypeptides with stearic acid chloride. Upon cooling, there will be obtained an ointment whose consistence may be varied, as desired, by adding water.

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