

UNITED STATES PATENT OFFICE

2,081,934

CINNAMIC PREPARATIONS FOR THERAPEUTIC USES

Jacob Jacobson, Paris, France

No Drawing. Application November 23, 1935.
Serial No. 51,270

5 Claims. (Cl. 167—65)

The invention relates to therapeutic preparations adapted for intramuscular or subcutaneous injections and containing benzyl cinnamic ester. The object of the invention is to effect improvements in products of the stated character with reference to the physiological effects produced by the use of this type of material. The fact that the action of the benzyl ester of cinnamic acid has beneficial effects in connection with the treatment of a variety of diseased conditions of the human body has been made the subject of considerable investigation and study and many publications bearing on the subject are extant. Among such publications may be mentioned the following:

Comptes Rendue Soc. de Dermatologie of February 9, 1922.—Articles by Darier and Janselme and Jacobson;

Bulletin et Mém. de la Soc. méd. des Hôp., Reports of the Sessions of October 23, 1925, March 25, 1927, and April 19, 1929;

La Presse Médicale, of March 13, 1929.—Article by Gosset, Gutmann and Lahel;
Paris Médical, of October 25, 1930.—Article by Lévy-Solal, et al.

Publication by Société d'Ophtalmologie de Paris of February 1931; Article by Chevallereau & Segal;
La Tunisie Médicale, April, 1932.—Report of the Commission de Tunisie.

Revue Internationale du Trachomes, of January, 1932, and April, 1933, by Jean-Sedan.

Archives de Médecine et de Pharmacie Militaires of February, 1933.—Article by Barrel & Luc.

Revue Internationale du Trachome of April, 1933.—Article by Junes.

Bulletin of the Academy of Medicine.—Report of the Session of July 18, 1933, Volume 110, No. 28 on "Régression des kératites, etc."

According to published information on the subject, the preparation employed is ordinarily a solution of what is known in French as "ether benzyl cinnamique" in olive oil in the proportion of 3.2 parts in one hundred parts by volume. In modern English chemical terminology the French word "ether" in the combination stated would be represented by the English word "ester". The benzylic ester of cinnamic acid is, however, a substance which is very difficultly soluble in olive oil. I found that better results are obtainable if the percentage of 3.2 of the benzylic ester of cinnamic acid is reduced and in part replaced, within the approximate totality of 3.2 parts, by other ingredients, provided such other ingredients are of

such character as to contribute one or both of the benzyl alcohol and cinnamic acid radicals. For example, if in the non-oil parts of the product there are present in the following relation the following substances, to wit, benzyl cinnamic ester (about 20 grams) benzyl alcohol (about 10–15 cc.) and ethyl cinnamic ester (about 2 cc.) the ultimate effect in the human body will apparently be a decomposition of the benzyl cinnamic ester into benzyl alcohol and cinnamic acid, although meanwhile there are present benzyl alcohol, as such, not derived from the decomposition of the benzyl cinnamic ester, and cinnamic acid resulting from the presence in the composition of the ethyl cinnamic ester. The components of the new composition also greatly facilitate the production of a suspension or solution in olive oil inasmuch as a properly prepared solution of the benzyl cinnamic ester in benzyl alcohol, under avoidance of fusion of the benzyl cinnamic ester in an environment other than benzyl alcohol with the addition of the ethyl cinnamic ester, all in the approximate proportions stated, can be readily incorporated in pure refined olive oil. In the oil suspension the stated mixture of non-oil components preferably constitute about 3.2 parts in one hundred.

Dosages of the preparation are then filled in ampules and sterilized. The ordinary dose for a normal patient is 1 cc., while for a less healthy patient a dose of $\frac{1}{2}$ cc. is ordinarily used. The dose for children is ordinarily $\frac{1}{4}$ cc. The preferred administration of the product is by way of intramuscular or subcutaneous injection. The effect is in itself harmless and even anti-toxic, particularly with respect to micro-organisms such as those of tetanus and diphtheria, though the preparation is not to be regarded as a specific for these organisms. The fields of use and the type of diseased conditions in connection with which their use is particularly advantageous is that which is indicated by the publications hereinabove referred to.

I claim:

1. A sterilized ampule-contained therapeutic preparation constituted of an olive oil suspension of a mixture containing benzyl cinnamic ester and benzyl alcohol in the approximate relation of 20 grams of the former in 15 cc. of the latter and with a total of suspended material approximating 3.2 parts in 100 parts of the suspension.
2. A therapeutic preparation such as set forth in claim 1 in which the non-oily components include ethyl cinnamic ester in the approximate re-

lation of $\frac{1}{16}$ of 1 cc. for each gram of the benzyl cinnamic ester.

3. The method of preparing a therapeutic preparation which comprises establishing a solution of benzyl cinnamic ester in benzyl alcohol in the general relation of 20 grams of the former in about 15 cc. of the latter and then incorporating the said solution with olive oil in the approximate proportion of 3.2 of the solution in 100 parts of the product, introducing dosages of the product into ampules and sterilizing.

4. The method of preparing a therapeutic preparation which comprises establishing a solution of benzyl cinnamic ester in benzyl alcohol in a general relation of 20 grams of the former in about 15 cc. of the latter, adding a cinnamic ester

other than the benzyl to said solution in the approximate proportion of $\frac{1}{16}$ of 1 cc. of said ester per gram of the benzyl cinnamic ester, introducing dosages of the product into ampules and sterilizing.

5. The method of preparing a therapeutic preparation which comprises establishing a solution of benzyl cinnamic ester in benzyl alcohol in a general relation of 20 grams of the former in about 15 cc. of the latter, adding ethyl cinnamic ester to said solution in the approximate proportion of $\frac{1}{16}$ of 1 cc. of said ester per gram of the benzyl cinnamic ester, introducing dosages of the product into ampules and sterilizing.

JACOB JACOBSON.