Published Apr. 20, 1943

Serial No. 392,734

# ALIEN PROPERTY CUSTODIAN

## METHOD FOR PRODUCING ANTIRACHITICALLY ACTIVE PREPARATION AND RESULTING PRODUCTS

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No Drawing. Application filed May 9, 1941

This invention relates to a method for producing esters of antirachitic Vitamin. A. Windaus and O. Rygh (Nachr, v. d. ges. der Wissenschaften zu Göttingen, 1928, page 202) have examined the physiological activity of irradiation products of different ergosterol derivatives and have stated, as an unexpected result, that irradiated esters of ergosterol show only a weak, or no physiological activity, but these active irradiation products furnish, after saponification, products of high antirachitic activity. They have concluded therefrom that the presence of the unchanged hydroxyl group is necessary for the physiological activity, although they admit that some of the irradiated ergosterol esters, in the first place the irradiated ergosteryl-acetate, show a certain physiological activity.

I have proved that valuable preparations of antirachitic activity can be obtained by acetylating or esterifying of crystallized antirachitic Vitamin, e.g. Vitamin $D_2$ or Vitamin $D_3$ with other fatty acids.

The present invention consists in the preparation of antirachitically active products by esterifying crystalline antirachitic Vitamin with aliphatic carbonic acids preferably with fatty acids containing 14–18 carbon atoms. These ester preparations are characterized by their protracted activity. If the esterification of the crystalline Vitamin is carried out with fatty acids containing a high number of carbon atoms (14–18), e. g. with palmitic acid or stearic acid, products particularly distinguished by their physiological properties are obtained. These products injected in oily solution or in suspensions show a slow and gradual resorbtion. The resorbtion which takes a long time and occurs step by step gives the possibility to supply the organism for months with the necessary quantity of antirachitic Vitamin; thus, these preparations are adapted not only for the curing but also for the prophylaxis of rachitis.

The following examples show how the process is to be carried out:

(1.) 2.9 g of crystalline Vitamin $D_2$ are dissolved in 5 ccs of pyridine and 5 ccs of acetic anhydride are added. After standing for 24 hours the reaction mixture is mixed with water and extracted with benzene. The benzene solution is then washed out with diluted acetic acid and afterwards with water. By evaporating the benzene one obtains 3.25 g Vitamin-$D_2$-acetate. The product is easily soluble in organic solvents.

(2.) 2.9 g of crystalline Vitamin $D_2$ are dissolved in 10 ccs of pyridine and 3 ccs of stearyl chloride are added. After standing for 2 days the reaction mixture is poured into water and extracted with ethyl acetate. The ethyl acetate solution is washed out with sodium carbonate solution of 1% and afterwards with water. By evaporating the solution 4.7 g of Vitamin-$D_2$-stearat are obtained. The product forms an oil and is easily soluble in organic solvents.

One proceeds similarly by starting from Vitamin $D_1$ or Vitamin $D_3$, or by starting from crystallized mixtures of different antirachitic vitamins.

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