

ALIEN PROPERTY CUSTODIAN

BIOLOGICALLY ACTIVE SUBSTANCES

Károly Gyula David, Amsterdam, Holland; vested
in the Alien Property Custodian

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This invention relates to biologically active substances and to a method for the preparation of same and more particularly to such substances as have the action of a male sex hormone. It has particular reference to a process of preparing acylated derivatives of testosterone.

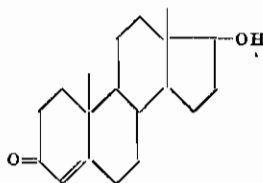
The present application constitutes a continuation in part of my copending application entitled: Production of "male sex hormone," Serial No. 122,324, filed January 25, 1937.

The primary object of my invention is to obtain acylated testosterone in the pure crystalline state.

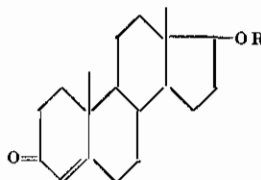
Another object is to obtain acylated derivatives of testosterone in such a state of concentration as to permit the administration to humans without substantial side reactions.

These and other objects have been obtained by the development of the processes and products which shall now be described more in detail.

I have found that the male sex hormone testosterone with the formula $C_{19}H_{28}O_2$ and the configuration



can be converted into compounds having the configuration



where R means an acyl group, by treating it with acylating agents.

The esterification of testosterone can be effected by means being known to those skilled in the art to effect acylation. Crude preparations containing testosterone may also be used.

In practising my invention I may for instance proceed as follows:

10 mg of testosterone (M. P. 154,5° C.) are refluxed for 45 minutes with 1 cc acetic acid anhydride. Thereupon the excess of acetic acid anhydride is evaporated in vacuo. The remaining colorless substance is recrystallised from 80% acetone until a melting point of 140°-141° C. is attained. 8 mg of thick crystals are obtained, being testosterone acetate. When refluxed during 30 minutes with 2n-ethylalcoholic potassium hydroxide, hydrolysis takes place and testosterone is formed again.

I wish it to be understood that the process of preparing the acylated derivatives of testosterone is not limited to the exact details of the operations described, for obvious modifications will occur to persons skilled in the art.

KÁROLY GYULA DAVID.