1,001,825

PATENT SPECIFICATION

NO DRAWINGS

1,001,825

Date of Application and filing Complete Specification Aug. 16, 1961.
No. 1939/65.
Application made in Switzerland (No. 9684) on Aug. 26, 1960.
Application made in Switzerland (No. 11895) on Oct. 25, 1960.
(Divided out of No. 1001822)
Complete Specification Published Aug. 18, 1965.
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Index at acceptance: —C2 C(2A3, 2A5, 2A14, 2R17)
Int. Cl.:—C 07 d

COMPLETE SPECIFICATION

Improvements in or relating to Homotriaxanthenes

We, SANDOZ PATENTS LIMITED, of 590 Jarvis Street, Toronto 5, Ontario, Canada, a Canadian Body Corporate, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

The present invention relates to a new chemical compound, 1 - dimethylamino - 3 - homothiaxanthylidene - (4^1) - propane and its acid addition salts.

The invention further provides a process for the production of 1 - dimethylamino - 3 - homothiaxanthylidene - (4^1) - propane which comprises treating 4 - (γ - dimethylamino - propyl) - 4 - hydroxy - homothiaxanthene with a dehydrating agent. The preparation of 4 - (γ - dimethylaminopropyl) - 4 - hydroxy - homothiaxanthene is described and claimed in the specification of our copending Application No. 1937/65, Serial No 1001823.

The acid addition salts are obtained by salification with an organic or inorganic acid.

The compounds of the invention have pharmaceutical properties and are characterised by their effects on the nervous system. Especially characteristic for these compounds are those actions which are characteristic of anti-depressants and tranquilizers, namely reserpine and tetrabenazine antagonism, potentiating of catechol amines, peripheral and central anticholinergic actions and some sedative properties. The compounds may thus be used in the treatment of mental depression, neurosis, certain forms of schizophrenia, as well as in the treatment of psychosomatic disturbances. Accordingly its invention also provides pharmaceutical compositions containing, in addition to an inert carrier, a compound according to its invention.

The following Example is given to illustrate the invention. In the Example all temperatures are given in degrees Centigrade and the melting and boiling points are uncorrected.

EXAMPLE

a) 4 - (γ - Dimethylaminopropyl) - 4 - hydroxy - homothiaxanthene is prepared as described in Examples 3 of the specification of our copending application No. 1937/65 (Serial No 1001823).

b) 1 - Dimethylamino - 3 - homothiaxan - thylidene - (4^1) - propane.

A solution of 5 g of 4 - (γ - dimethyl - aminopropyl) - 4 - hydroxy - homothiaxan - thene in 50 cc of glacial acetic acid are heated to the boil with 20 cc of concentrated hydrochloric acid for 1 hour. The solvent is then removed at a pressure of 15 mm of Hg, the residue made alkaline with a 20% solution of sodium hydroxide and shaken three times, each time with 100 cc of ether. After washing the ether extract with water and drying over magnesium sulphate, the ether solution is concentrated and the remaining oil distilled at 170° and 0.1 mm of Hg in an air bath. The pure 1 - dimethylamino - 3 - homothiaxanthylidene - (4^1) - propane obtained as an oil, is converted with oxalic acid to form the oxalate which melts at 167 to 169° after recrystallisation from methanol.

WHAT WE CLAIM IS:—

1. 1 - Dimethylamino - 3 - homothiaxan - thylidene - (4^1) - propane and its acid addition salts.

2. A process for the production of 1 - dimethylamino - 3 - homothiaxanthylidene - (4^1) - propane which comprises treating 4 - (γ - dimethylaminopropyl) - 4 - hydroxy - homothiaxanthene with a dehydrating agent.

3. A process for the production of 1 - dimethylamino - 3 - homothiaxanthylidene - (4^1) - propane substantially as herein described with reference to the foregoing Example.

[*Price* 4*s.* 6*d.*]

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3. A process for the production of 1 - dimethylamino - 3 - homothiaxanthylidene - (4^1) - propane substantially as herein described with reference to the foregoing Example.

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4. 1 - Dimethylamino - 3 - homothiaxan - thylidene - (4^1) - propane when prepared by the process of Claim 2 or Claim 3.

5. Pharmaceutical compositions containing, in addition to an inert carrier a compound according to Claim 1 or Claim 4.

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Eric Potter