1,022,645

PATENT SPECIFICATION

NO DRAWINGS

1,022,645

Date of Application and filing Complete Specification: Aug. 28, 1962.
No. 37789/65.
Application made in United States of America (No. 134,569) on Aug. 29, 1961.
Application made in United States of America (No. 177,174) on March 5, 1962.
(Divided out of No. 1,022,642.)
Complete Specification Published: March 16, 1966.
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Index at acceptance:—C2 C(1E7D1, 1E7F1, 1E7N5, 1E7P3)
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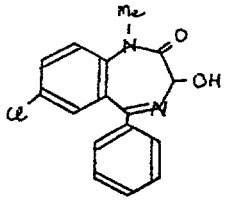
Benzodiazepine Compound

We, AMERICAN HOME PRODUCTS CORPORATION, a corporation organised and existing under the laws of the State of Delaware, United States of America, of 685 Third Avenue, New York City 17, New York, United States of America, 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:—

This invention relates to a 5 - aryl - 7 - chloro - 1,3 - dihydro - 2H - 1,4 - benzodiazepin - 2 - one having at the 3 - position a hydroxy group, or acid addition salts thereof, and also to pharmaceutical preparations containing it.

The compound of the invention has anticonvulsant, muscle-relaxing and tranquillising properties.

The compound of the invention is 3 - hydroxy - 5 - phenyl - 7 - chloro - 1 - methyl - 1,3 - dihydro - 2H - 1,4 - benzodiazepin - 2 - one or its acid addition salt. The compound has the formula:



Processes for preparing the compound of the invention are part of the subject of copending Application No. 1,022,642 32910/62.

The compound of the invention can be administered parenterally or orally as pharmaceutical preparations containing the compound and a pharmaceutically acceptable carrier, for instance diluents, solvents, suspending agents, fillers, excipients, adhesives, colouring and flavouring materials, as desired for preparation of convenient dosage forms.

The invention is illustrated by the following Example.

EXAMPLE

A suspension of 3 - acetoxy - 7 - chloro - 1 - methyl - 5 - phenyl - 1,3 - dihydro - 2H - 1,4 - benzodiazepin - 2 - one (3.4 g.) in alcohol (80 ml.) was treated with 4N - sodium hydroxide (6 ml.). After complete solution had taken place, a solid precipitated; this solid was redissolved by the addition of water (80 ml.). The solution was acidified with acetic acid to give white crystals which were recrystallised from alcohol to yield 7 - chloro - 3 - hydroxy - 5 - phenyl - 1 - methyl - 1,3 - dihydro - 2H - 1,4 - benzodiazepin - 2 - one, m.p. 119—121°C. Analysis: calcd for $C_{16}H_{13}ClN_2O_2$. C, 63.92; H, 4.36; N, 9.32. Found: C, 63.78; H, 4.64; N, 9.26.

WHAT WE CLAIM IS:—

1. 3 - Hydroxy - 7 - chloro - 5 - phenyl - 1 - methyl - 1,3 - dihydro - 2H - 1, 4- benzodiazepin - 2 - one, or acid addition salts thereof.

2. A pharmaceutical preparation having sedative, anti-convulsant and muscle-relaxant properties containing a compound according to Claim 1 and a pharmaceutically acceptable carrier.

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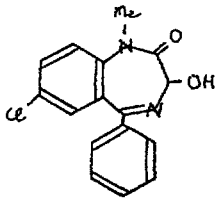
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