

PATENT SPECIFICATION

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NO DRAWINGS

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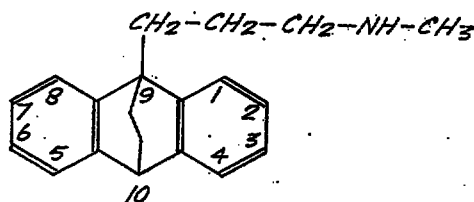
COMPLETE SPECIFICATION

An Aminoalkylethanoanthracene and process for its manufacture

We, CIBA LIMITED, a body corporate organised according to the laws of Switzerland, of Basle, Switzerland, 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 is concerned with a new secondary amine and with its use and a process for its manufacture.

The present invention provides 9 - (γ - methylaminopropyl) - 9,10 - dihydro-9,10 - ethano - (1,2) - anthracene of the formula



and acid addition salts thereof.

The new compound possesses valuable pharmacological properties. More especially, it has a psychotropic effect and differs from similar compounds (for example similar compounds described and claimed in British Patent Specification No. 947,943) by having antidepressive properties. It can therefore be used as a medicament. It may also be used as an additive to animal fodder. Furthermore, it is a valuable starting material for the manufacture of other valuable compounds, for example of the corresponding N,N - disubstituted 9 - (γ - aminopropyl) compounds.

The new compound is accessible by known methods.

Thus, for example, β - [9,10 - dihydro - 9,10 - ethano - (1,2) - 9 - anthryl] - propionic acid monomethylamide can be reduced in the usual manner, advantageously with lithium-aluminium hydride or similar amide reducing agents.

The invention includes also any variant of this process in which a starting material is formed *in situ*.

The above-mentioned reaction is performed in the usual manner, in the presence or absence of diluents, at room temperature or with cooling or heating, if necessary under superatmospheric pressure.

The starting material can be prepared by known methods.

The new compound obtained can form salts with inorganic or organic acids. For the manufacture of acid addition salts there are preferably used therapeutically acceptable acids, for example hydrohalic acids, such as hydrochloric or hydrobromic acid, perchloric, nitric or thiocyanic acid, sulphuric or phosphoric acids, or organic acids such as formic, acetic, propionic, glycollic, lactic, pyruvic, oxalic, malonic, succinic, maleic, fumaric, malic, tartaric, citric, ascorbic, hydroxymaleic, dihydroxymaleic, benzoic, phenylacetic, 4-aminobenzoic, 4-hydroxybenzoic, anilic, cinnamic, mandelic, salicylic, 4 - aminosalicylic, 2 - phenoxy - benzoic, benzoic, methanesulphonic, ethanesulphonic, hydroxyethanesulphonic, nicotinic, boric, and others.

[Price 4s. 6d.]

para-toluenesulphonic, naphthalenesulphonic or sulphanilic acid, or methionine, tryptophan, lysine or arginine. The acid addition salts may also be prepared for purifying the free base and then be reconverted into the base. The salts of the new compound can be converted in a known manner into the free compounds, for example by reaction with a basic agent.

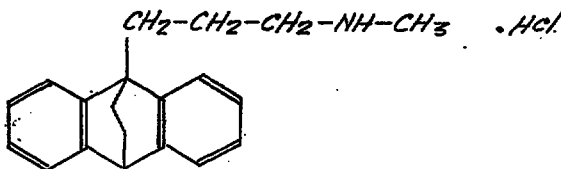
The new compound is intended for use as a medicament in the form of pharmaceutical preparations containing it or a physiologically tolerable acid addition salt thereof in admixture or conjunction with an organic or inorganic solid or liquid pharmaceutical carrier suitable, for example, for oral or parenteral administration. Suitable carriers are substances that do not react with the new compound, for example water, gelatin, lactose, starches, magnesium stearate, talcum, vegetable oils, benzyl alcohols, gums, polyalkylene glycols, cholesterol or other known medicinal carriers. The pharmaceutical preparations may be, for example, in the form of tablets, dragees or capsules, or in liquid form as solutions, suspensions or emulsions. They may be sterilized and/or contain assistants such as preserving, stabilizing, wetting or emulsifying agents, salts for regulating the osmotic pressure or buffers. The preparations may also contain the new compound in combination with other therapeutically valuable substances.

The new compound or a physiologically tolerable acid addition salt thereof may also be used in animal husbandry in the form of an animal feedstuff or as an additive to an animal feedstuff, using, for example, the usual feedstuffs or extenders and diluents respectively.

The following Examples illustrate the invention:

EXAMPLE 1.

34 g of β - [9,10 - dihydro - 9,10 - ethanol - (1,2) - 9 - anthryl] - propionic acid monomethylamide in 150 ml of absolute tetrahydrofuran are stirred dropwise at room temperature into a suspension of 10 g of lithium-aluminium hydride in 250 ml of absolute tetrahydrofuran, and the mixture is heated for 3 hours at 60°C. and then cooled to 10°C. 10 ml of water and 10 ml of a 15% sodium hydroxide solution are then dropped in and the precipitate formed is filtered off. The filtrate is evaporated under vacuum, to leave an oil which is dissolved in 50 ml of ethanol. On the addition of 10N - ethanolic hydrochloric acid and ether, 9 - (γ - methylamino-propyl) - 9,10 - dihydro - 9,10 - ethanol - (1,2) - anthracene hydrochloride of the formula



precipitates in the form of white crystals which melt at 230 to 232°C. after recrystallization from isopropanol.

The β - [9,10 - dihydro - 9,10 - ethanol - (1,2) - 9 - anthryl] - propionic acid monomethylamide used as starting material can be prepared in the following manner:

While cooling a solution of 50.0 g of β - [9,10 - dihydro - 9,10 - ethanol - (1,2) - 9 - anthryl] - propionylchloride in 1/2 litre of methylene chloride, about 20 g of monomethylamine is introduced into it in the course of one hour. 200 ml of water are then added, the methylene chloride layer is separated, dried over sodium sulphate and the solvent is distilled off, to yield β - [9,10 - dihydro - 9,10 - ethanol - (1,2) - 1 - anthryl] - propionic acid monomethylamide which melts at 158 to 161°C after recrystallization from a mixture of methylene chloride + petroleum ether.

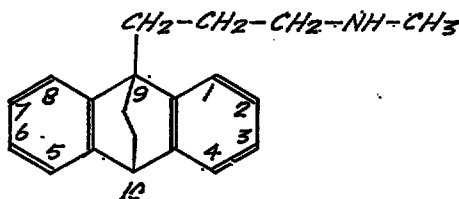
EXAMPLE 2.

Tablets, each containing 25 mg of active principle, can be prepared, for example, from the following ingredients:

9 - (γ - methylamino - propyl) - 9,10 - dihydro - 9,10 - ethano - (1,2) - anthracene - hydrochloride	25 mg
lactose	35 mg
wheat starch	44.4 mg
colloidal silicic acid	6 mg
magnesium stearate	0.6 mg
	9 mg
	120.0 mg

WHAT WE CLAIM IS:—

1. 9 - (γ - Methylaminopropyl) - 9,10 - dihydro - 9,10 - ethanol - (1,2)-anthracene of the formula



- 5 2. An acid addition salt of the compound claimed in claim 1.
3. A physiologically tolerable acid addition salt of the compound claimed in claim 1.
4. The hydrochloride of the compound claimed in claim 1.
5. A pharmaceutical preparation which comprises the compound claimed in claim 1 in admixture or conjunction with a pharmaceutically suitable carrier.
- 10 6. A pharmaceutical preparation which comprises a physiologically tolerable salt as claimed in claim 3 in admixture or conjunction with a pharmaceutically suitable carrier.
7. A pharmaceutical preparation which comprises the hydrochloride claimed in claim 4 in admixture or conjunction with a pharmaceutically suitable carrier.
- 15 8. A pharmaceutical preparation having the composition substantially as described in Example 2 herein.
9. An animal feedstuff or an animal feedstuff additive containing a compound as claimed in claim 1, 3 or 4.
- 20 10. A process for the manufacture of a compound as claimed in claim 1 or 2, which comprises reducing β - [9,10 - dihydro - 9,10 - ethanol - (1,2) - 9 - anthryl] - propionic acid monomethylamide.
11. A process for the manufacture of a compound as claimed in claim 1 or 2, conducted substantially as described in Example 1 herein.

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