Patented Dec. 6, 1938

2,139,583

UNITED STATES PATENT OFFICE

2,139,583

BARBITURIC ACIDS

Gustav Heilner, Berlin-Fichtengrund, Post Friedrichsthal, Germany, assignor to the firm Chemische Fabriken Dr. Joachim Wiernik & Co. Aktiengesellschaft, Berlin-Waidmannslust, Germany

No Drawing. Application October 31, 1935, Serial No. 47,720. In Germany February 1, 1933

1 Claim. (Cl. 260—257)

The invention relates to a new barbituric acid and forms a continuation in part to my copending application Serial No. 708,694, now Patent No. 2,035,317. It has been found that the 5,5-allyl-furomethyl barbituric acid is an excellent hypnotic which can be manufactured by introducing the two radicles into barbituric acid or by introducing into the barbituric acid carrying already one of said radicles the second radicle. The same purpose can also be obtained by starting from malonic acid or its derivatives, for instance cyanoacetic ester and introducing into the same the two radicles. Instead thereof it is also possible to introduce one of said radicles into the derivatives of the malonic acids already substituted by the other one and to convert the disubstituted compounds thus obtained to the barbituric acid derivatives by the methods known in the arts e. g. by condensation with urea.

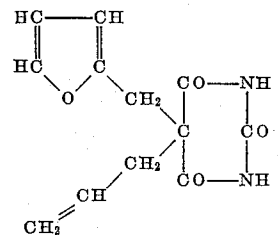
The introduction of furomethyl radicles into barbituric acid or into their monosubstitution products is preferably obtained by treating the same with furomethyl halogenide. The introduction of the new radicles represents a reaction easily to be performed, already at room temperature. This behaviour could not be foreseen, since according to the present knowledge it must be expected that only simple aliphatic, unsaturated halogenides would react with salts of barbituric acid and their monosubstitution products in an aqueous solution under formation of C,C-disubstituted barbituric acids. The furan cycle possesses, it is true, unsaturated character. However, it resembles in its chemical behaviour much more the benzol cycle than an aliphatic unsaturated compound. For instance it is difficult to combine hydrogen with its double valencies. For this reason the furamethyl halogenide cannot be compared with a simple aliphatic, unsaturated halogenide.

In order to illustrate my new process more fully the following example is given, the parts being in weight:

Example

5,5-allylfuromethyl barbituric acid

168 grms. 5-allyl barbituric acid are dissolved in 1 l. of normal solution of caustic potash and after addition of 5 grms. copper sulphate stirred with 116 grms. furfuryl chloride for several hours under ice cooling. The new compound which is formed in a satisfying yield is taken off. After crystallization from hot water it melts at 149–151° C., the configuration presumably being as follows:



What I claim and desire to secure by Letters Patent of the United States is:

The herein described 5,5-allylfuromethyl barbituric acid melting at 149–151° C. and being a valuable hypnotic.

GUSTAV HEILNER.