SYNTHESIS OF 6,7,8,13-TETRAHYDRO[1]BENZOPYRANO[4,3-b][1,4]BENZODIAZEPINE-6,8-DIONE

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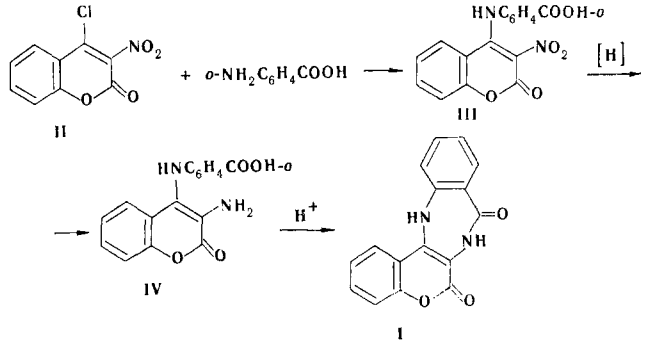
In connection with the fact that a great deal of attention is being paid to the chemical and pharmacological properties of areno-1,4- and -1,5-benzodiazepines, we have developed a synthesis of a new system, viz., 6,7,8,13-tetrahydro[1]benzopyrano[4,3-b][1,4]benzodiazepine-6,8-dione (I), a molecule of which contains 1,4-benzodiazepine and 1,5-hetarenodiazpine fragments, where the hetarene part is the partially aromatic 2-pyrone ring.



The reaction 3-nitro-4-chlorocoumarin (II) with anthranilic acid gave 3-nitro-4-(2-carboxyphenyl)coumarin (III) with mp 227-229°C (dec., from butanol) in 95% yield. Acid III was was hydrogenated in alcohol over Pd/$BaSO_4$ under the usual conditions to give 3-amino-4-(2-carboxyphenyl)coumarin (IV) with mp 308-309°C (dec.) in 76% yield; the latter was cyclized to I with mp 311-313°C (dec., from acetic acid) in 80-87% yield by refluxing in concentrated hydrochloric or glacial acetic acid.

The molecular mass of I determined by mass spectrometry and the results of elementary analysis of I, III, and IV were in agreement with the calculated values.

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