NEW SYNTHESIS OF [5,6]BENZINDOLE

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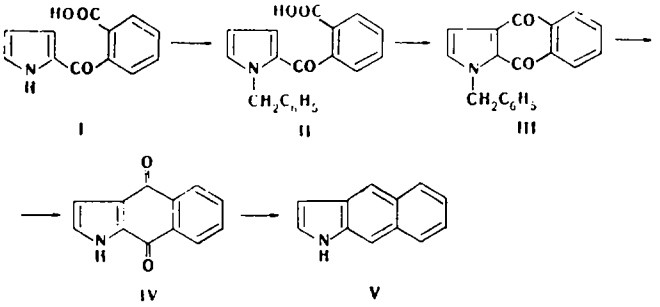
The authors have developed a preparative synthesis of [5,6]benzindole according to the following scheme:



I II III IV V

o-2-Pyrroylbenzoic acid I is obtained in a yield of 63% by the action of pyrrole magnesium iodide on phthalic anhydride in anisole. Its benzylation with benzyl chloride in the presence of caustic soda takes place with a yield of 50%. It is more convenient to carry out the cyclization of the acid II with anhydrous aluminum chloride in nitrobenzene with a yield of compound III 78% (with P_2O_5 in xylene [1] the yield is 15%). Benzyl protection is eliminated by the action of a fivefold excess of metallic sodium in liquid ammonia with a yield of compound IV of 77%. We only succeeded in obtaining [5,6]benzindole by using reduction by diborane. The yield of unpurified substance V is 73%. The total yield, calculated from the starting keto acid, is 22%, which provides a basis for the assumption that the proposed method for obtaining [5,6]benzindole is better in comparison with that known previously [2].

The structure of the indole quinones obtained III, IV is confirmed by the data of the IR, UV, and PMR spectra. The data on the IR and UV spectra of [5,6]benzindole, purified by sublimation, is in agreement with earlier publications. An elementary analysis of compounds I-V corresponds to the calculated. The individual character of the compounds was monitored by the method of thin-layer chromatography on Silufol in the system ether—petroleum ether, 1:1.

LITERATURE CITED

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