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LETTERS TO THE EDITOR

Improved Synthesis of Indoles from 2,3-Epoxypropionic Acid Esters

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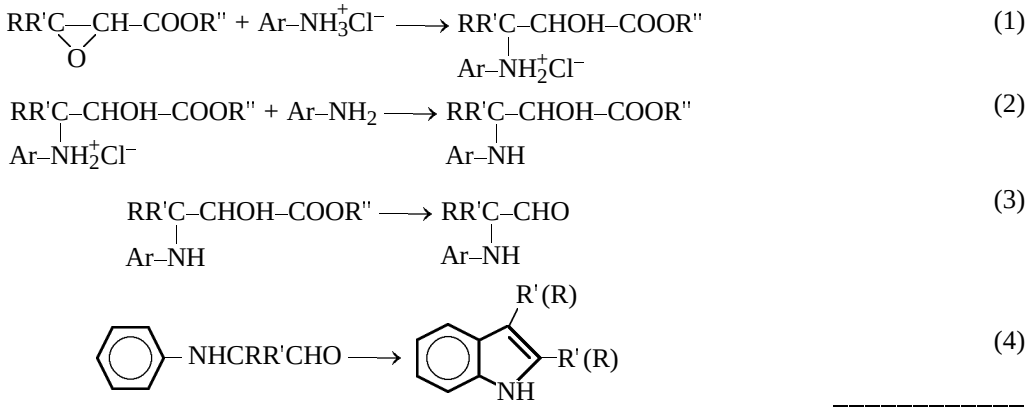
We previously [1] demonstrated the possibility of synthesizing indoles in two steps by high-temperature reaction of 2,3-epoxypropionic acid esters with aniline, isolation of intermediate α-hydroxy-β-anilino ester, and treatment of the latter with concentrated sulfuric acid. In order to facilitate opening of the oxirane ring, the reactions of 2,3-epoxypropionic acid esters with anilines were carried out in the presence of the corresponding aniline hydrochlorides. We have developed a one-pot procedure which combines the following transformations: opening of the oxirane ring in 2,3-epoxypropionic acid esters with formation of α-hydroxy-β-anilino esters [reactions (1) and (2)]; their conversion into α-anilinoaldehydes [reaction (3)], and cyclization of the latter to indoles [reaction (4)].



2-Phenylindole (I). To 12 ml of aniline we added first 2 ml of hydrochloric acid and then 3 ml of methyl 3-phenyl-2,3-epoxypropionate. The mixture was heated to the boiling point, kept for 6 h at that temperature, neutralized with a 20% solution of KOH to pH 8, and subjected to steam distillation. The distillate was extracted with diethyl ether, volatile fractions were distilled off, and the residue was recrystallized from petroleum ether–alcohol. Yield 1.58 g (78%), mp 189–190°C. IR spectrum, ν, cm^{-1}: 1605 ($C-C_{arom}$), 3475 (NH). The structure of the product was proved by independent synthesis from ω-bromoacetophenone and aniline. No depression of the melting point was observed on mixing samples obtained by the two methods. Following the same procedure, we synthesized 2-*n*-propylindole (**II**). Yield 61%, mp 33°C. IR spectrum, ν, cm^{-1}: 1610 ($C-C_{arom}$), 3475 (NH). The physical constants of compounds **I** and **II** were consistent with published data [1, 2].

The IR spectra were recorded on a UR-20 spectrometer.

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