Unusual transformation of *N*-arylamino-1,4-dihydropyridines

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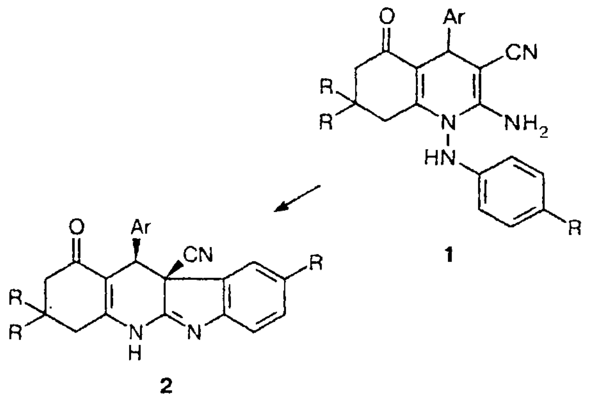
Fused heterocyclic systems containing indole and pyridine fragments are of considerable interest because of the broad spectrum of their biological activity.[1]

In this paper, we report a new stereoselective rearrangement of fused *N*-arylamino-1,4-dihydropyridines **1**, which results in the formation of the previously unknown heterocyclic system **2** with partially hydrogenated indole and pyridine fragments.



R = H, Me,
Ar = Ph, 4-HalC_6H_4, 4-$O_2NC_6H_4$, 3-$O_2NC_6H_4$.

The starting compounds **1** were prepared earlier by the reaction of cyclic enhydrazinoketones with arylidenemalononitriles.[2] The rearrangement under study occurs upon prolonged heating of a solution (or suspension) of the starting 1,4-dihydropyridine **1** in EtOH. The reaction affords quinindolines **2** in 60—80% yields.

The structure and configuration of the compounds obtained were confirmed by data from X-ray diffraction analysis, ^{1}H and ^{13}C NMR spectroscopy, and elemental analysis. According to the NMR and X-ray data, compounds **2** exist as one of the possible diastereomers, *i.e.*, this is a diastereoselective rearrangement.

11-(4-Bromophenyl)-1-oxo-1,2,3,4,10b,11-hexahydroindolo[2,3-*b*]quinoline-10b-carbonitrile (2, R = H, Ar = 4-BrC_6H_4). A suspension of compound **1** (R = H and Ar = 4-BrC_6H_4) (0.44 g, 0.001 mol) in 5 mL of EtOH was refluxed for 5 h. Then the reaction mixture was cooled, and the precipitate of product **2** that formed was filtered off and washed on the filter with a small amount of EtOH. Yield 0.28 g (67%), m.p. 257—259 °C. Found (%): C, 62.98; H, 3.91; Br, 19.22; N, 10.16. $C_{22}H_{16}BrN_3O$. Calculated (%): C, 63.17; H, 3.86; Br, 19.10; N, 10.05. ^{1}H NMR (DMSO-d_6), δ: 2.00—2.40 (m, 4 H, CH_2); 2.60—2.85 (m, 2 H, CH_2); 4.05 (s, 1 H, CH); 6.45 (d, 1 H, CH_{Ar}, J = 8 Hz); 7.05 (m, 1 H, CH_{Ar}); 7.30 (br.d, 2 H, CH_{Ar}, J = 8 Hz); 7.40 (m, 2 H, CH_{Ar}); 7.60 (d, 2 H, CH_{Ar}, J = 8 Hz); 11.30 (br.s, 1 H, NH).

References

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