HYDROLYSIS OF THE BENZOATE OF 3-HYDROXY-3-(1-ISOQUINOLYL)OXINDOLE

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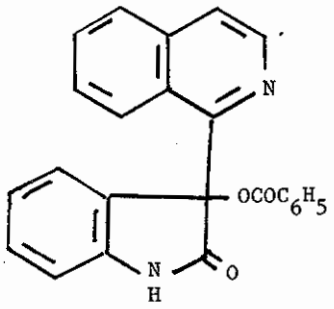
The hydrolysis of the title compound gives 2-aminophenyl-1-isoquinolylcarbinol as the major product.

Some time ago we reported[1] the condensation of the anion of 2-benzoyl-1,2-dihydroisoquinaldonitrile with isatin to give the title compound (I). In connection both with our study of the utility of Reissert compounds in organic synthesis[2,3] and our study of the chemistry of isatin and its derivatives,[4] it was of interest to investigate the action of base on I.

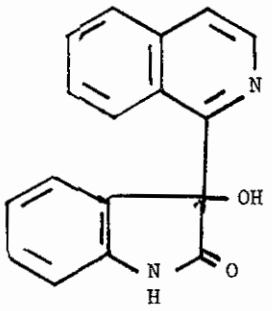
When I was refluxed with 10% aqueous potassium hydroxide a 90% yield of solid, $C_{16}H_{14}N_2O$,[5] m.p. 161-163°, was obtained. In one run a small amount of solid, $C_{17}H_{12}N_2O_2$,[5] m.p. 203-205°, was also obtained (m/e 276 (51%), 220 (23%), 219 (100%), 129 (16%), 128 (17%), 120 (10%)); (IR 3.1, 5.8, 6.12). This product appears to be isomeric with II and its structure is being investigated further.

Rather than simple ester hydrolysis to give II, the major path for the hydrolysis of I resulted in ring opening to give III as the major product, $C_{16}H_{14}N_2O$. The structure II (m/e 250 (22%), 220 (35%), 219 (100%), 130 (61%), 129 (23%), 128 (14%), 120 (13%), 92 (16%)) (IR 2.7-3.6, 6.24) was also con-

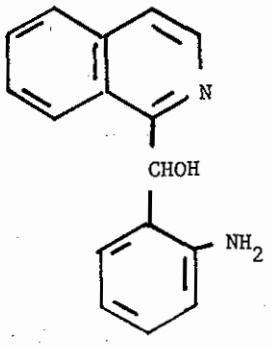
firmed through an independent synthesis. Condensation of equimolar quantities of 2-benzoyl-1,2-dihydroisoquinaldonitrile with 2-formylacetanilide in dimethylformamide containing an equimolar quantity of 50% sodium hydride in oil gave a 76% yield of IV,[5] m.p. 174-175°. Hydrolysis of IV with 10% aqueous potassium hydroxide gave a 72% yield of III identical in all respect with that obtained from the hydrolysis of I.



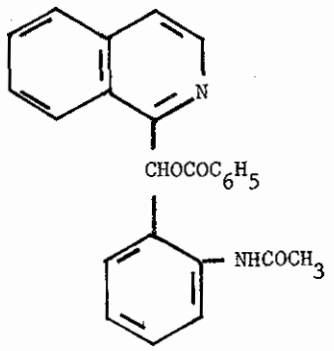
I



II



III



IV

The scope of this reaction is being further investigated. It should be noted that the reaction of substituted 2-benzoyl-1,2-dihydroisoquinaldonitriles with substituted isatins followed by hydrolysis appears to be an attractive alternative to the reaction of 2-benzoyl-1,2-dihydroisoquinaldonitriles with 2-nitrobenzylhalides or 2-nitrobenzaldehydes to eventually obtain 1-(2-amino-benzyl)isoquinoline derivatives for the synthesis of aporphines.[3]

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5 Correct analyses for C, H, and N.

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