

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

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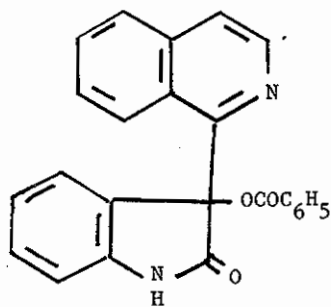
The hydrolysis of the title compound gives 2-aminophenyl-1-isoquinolylcarbinol as the major product.

Some time ago we reported<sup>1</sup> 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<sup>2,3</sup> and our study of the chemistry of isatin and its derivatives,<sup>4</sup> it was of interest to investigate the action of base on I.

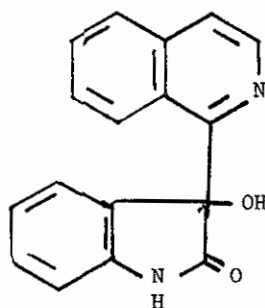
When I was refluxed with 10% aqueous potassium hydroxide a 90% yield of solid,  $C_{16}H_{14}N_2O$ ,<sup>5</sup> m.p. 161-163°, was obtained. In one run a small amount of solid,  $C_{17}H_{12}N_2O$ ,<sup>5</sup> m.p. 203-205°, was also obtained (m/e 276 (51%), 220 (23%), 219 (100%), 129 (16%), 128 (17%), 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-

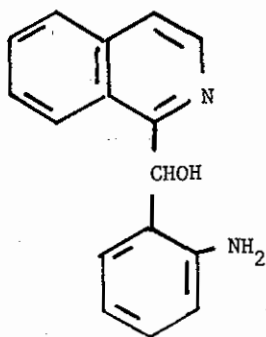
firmed through an independent synthesis. Condensation of equimolar quantities of 2-benzoyl-1,2-dihydroisoquinaldonitrile with 2-formylacetanilide in dimethylformamide containing an equimolar quantity of 50% sodium hydride in oil gave a 76% yield of IV,<sup>5</sup> m.p. 174-175°. Hydrolysis of IV with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



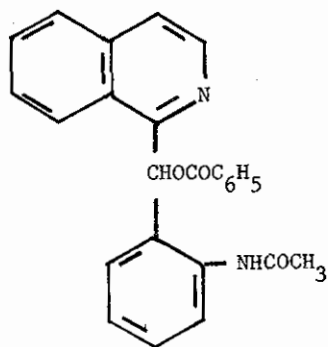
I



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.<sup>3</sup>

## REFERENCES

- 1 F. D. Popp, C. W. Klinowski, R. Piccirilli, D. H. Purcell, and R. F. Watts, J. Heterocyclic Chem., 1971, 8, 313.
- 2 F. D. Popp, Adv. Heterocyclic Chem., 1968, 9, 1.
- 3 F. D. Popp, Heterocycles, 1973, 1, 165.
- 4 F. D. Popp, Adv. Heterocyclic Chem., 1975, 18, 1.
- 5 Correct analyses for C, H, and N.

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