

Gary M. Coppola

Department of Medicinal Chemistry, Pharmaceutical Division, Sandoz, Inc.,
Route 10, East Hanover, New Jersey 07936
Received January 15, 1979

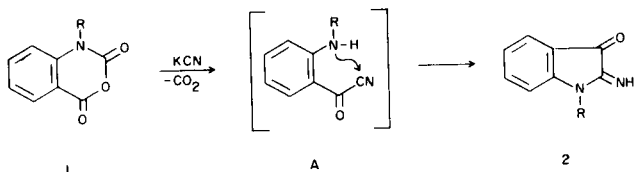
The reaction of isatoic anhydrides with potassium cyanide to form 2-imino-3-indolinones (**2**) is described. Acidic hydrolysis of **2** furnishes the corresponding isatins.

J. Heterocyclic Chem., **16**, 827 (1979).

Sir:

The reaction of 2*H*-3,1-benzoxazine-2,4(1*H*)dione (isatoic anhydride) with a variety of nucleophiles to produce complex heterocycles has been well documented in the literature (1-8). In this report the synthesis of 2-imino-3-indolinones (**2**) will be discussed.

Addition of an isatoic anhydride to potassium cyanide results in the formation of 2-imino-3-indolinones (**2**) presumably through the reactive acyl cyanide intermediate **A**.

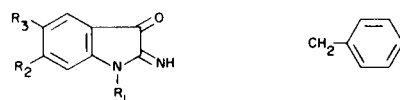


Thus, a solution of 0.02 mole of an appropriate isatoic anhydride (**9**) in 35.0 ml. of dimethylformamide (**10**) was added dropwise to a suspension of 0.021 mole of pulverized potassium cyanide in 75.0 ml. of dimethylformamide at 100°. After addition, the reaction mixture was stirred at 100° for 5 minutes. The mixture was then poured into cold water and was extracted into three 250 ml. portions of ether. After drying the organic phase with sodium sulfate, the solvent was removed under reduced pressure to furnish the product (the results are summarized in Table 1).

The spectral data for compounds of this type are as follows: (e.g., Compound **3**); ir (chloroform): 3285, 1725, 1660, 1610 cm⁻¹; nmr (δ, deuteriochloroform): 8.2 (s, broad, 1, = NH), 7.5 (m, 2), 6.85 (m, 2), 3.2 (s, 3, N-CH₃); ms: (70 eV) m/e 160 (M⁺ for C₉H₈N₂O).

Compounds **3**, **5**, **6** and **7** were hydrolyzed to the corresponding known isatin in high yield by treatment with

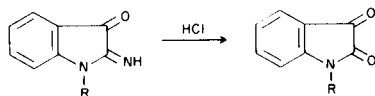
Table 1



| Compound No. | R ₁ | R ₂ | R ₃ | M.p., °C | Yield, % | Molecular Formula | Analysis | | |
|--------------|------------------------------------|------------------|------------------|----------|----------|---|-----------------|------------|--------------|
| | | | | | | | Calcd. C | (Found) H | N |
| 3 | CH ₃ | H | H | 99-102 | 49 | C ₉ H ₈ N ₂ O | 67.5 (67.8) | 5.0 5.0 | 17.5 17.2 |
| 4 | CH ₃ | OCH ₃ | OCH ₃ | 134-138 | 14 | C ₁₁ H ₁₂ N ₂ O ₃ | No Analysis (a) | | |
| 5 | CH ₂ C=CH | H | H | 121-123 | 50 | C ₁₁ H ₈ N ₂ O | 71.7 (72.0) | 4.4 4.7 | 15.2 14.8 |
| 6 | CH ₂ CH=CH ₂ | H | H | oil | 77 | C ₁₁ H ₁₀ N ₂ O | No Analysis (b) | | |
| 7 | | H | H | 81-83 | 66 | C ₁₅ H ₁₂ N ₂ O | 76.2 (76.5) | 5.1 4.8 | 11.9 11.8 |
| 8 | | H | H | 143-146 | 25 | C ₁₁ H ₁₀ N ₂ O ₂ | 65.3 (65.6) | 5.0 5.3 | 13.9 13.5 |

(a) Mass spectrum: m/e 220 (M⁺). (b) Mass spectrum: m/e 186 (M⁺).

2*N* hydrochloric acid. This method represents a versatile new isatin synthesis. The generality of this reaction is currently being explored.



REFERENCES AND NOTES

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- (10) Dimethylformamide was freshly distilled over calcium hydride prior to every reaction.