

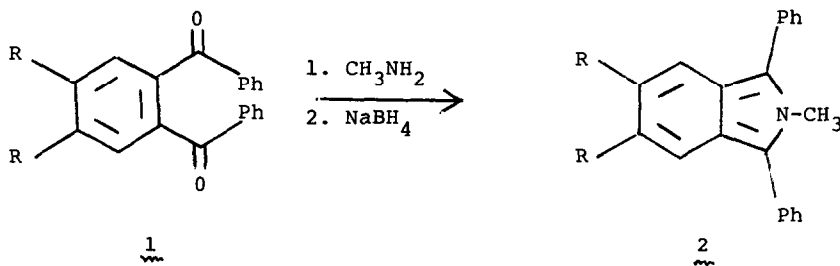
A SIMPLE ROUTE TO ISOINDOLES AND RELATED HETEROCYCLES

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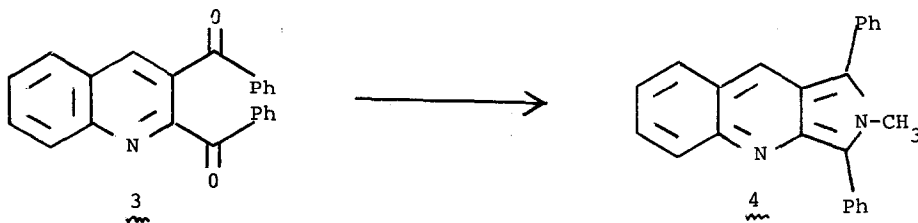
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In view of the continued interest in the chemistry of isoindoles¹, we report a simple one-step synthesis of isoindoles and related heterocycles. This method entails brief treatment of an ethanolic solution of a cis-2-ene-1,4-dione with a primary alkyl amine followed by addition of sodium borohydride. For example, 1,3-diphenyl-2-methylisoindole (2a) and 2,5,6-trimethyl-1,3-diphenylisoindole (2b) were obtained in 80% yield².



a, R = H; b, R = CH₃

The remarkable effectiveness of this reaction is demonstrated by the synthesis of the first example of a pyrrolo [3,4-b] quinoline heterocycle: Addition of sodium borohydride to a hot ethanolic solution of 2,3-dibenzoylquinoline (3) and 40% methyl amine resulted in immediate production of a red coloration and subsequent precipitation of 1,3-diphenyl-2-methylpyrrolo [3,4-b] quinoline (4) as a red solid, m.p. 196-198, 75% yield. Nmr: multiplets centered at 2.3 and 2.7 (15H), and a singlet at 5.92 τ (3H). (Found C, 86.09; H, 5.37; N, 8.03). Product 4 reacted readily with N-phenylmaleimide, at room temperature, to yield the Diels-Alder exo-adduct as shown by nmr³.



Furthermore, the present procedure was used to prepare 2,3,5-triphenylpyrrole⁴ and 2,3,5-triphenyl-1-methylpyrrole⁵, in high yields, from 1,2,4-triphenyl-2-butene-1,4-dione⁶ and ammonia or methyl amine respectively.

The scope and limitations of the reaction are under current investigation.

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