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2-CYCLOPROPYLBENZIMIDAZOLE FROM o-PHENYLENEDIAMINE AND 2-BROMOCYCLOBUTANONE - A CORRECTION

Roy C. De Selms

Research Laboratories, Eastman Kodak Company,

Rochester, New York 14650, U.S.A.

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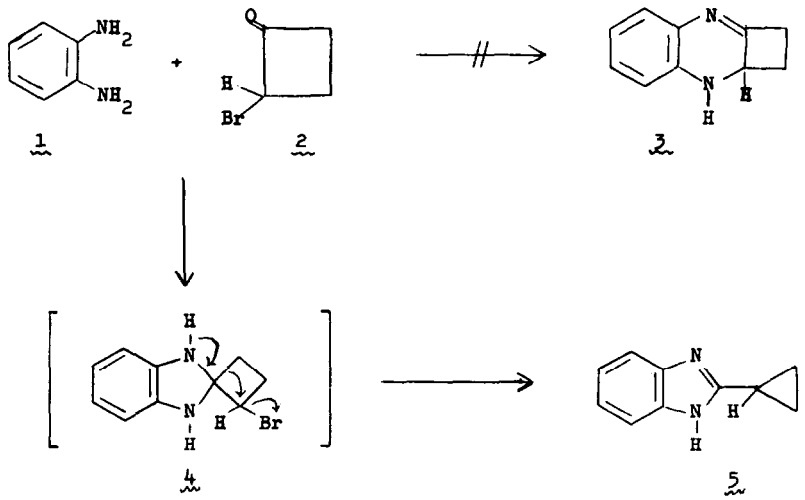
The reaction of o-phenylenediamine (1) with 2-bromocyclobutanone (2) was recently reported to yield the novel fused derivative of dihydroquinoxaline 3 (1). It was thought that the atypical resistance of 3 to oxidation to the corresponding 1,2-dihydrocyclobuta[b]quinoxaline was a manifestation of the strain associated with the fusion at the cyclobutane ring.



1 2 3 4 5

However, considerable literature exists on the facile oxidation, and consequent difficult preparation, of 1,2-dihydroquinoxalines (2). Furthermore, nothing unusual appeared in the recent synthesis of the related 1,2-di-t-butyl-1,2-dihydrocyclobuta[b]quinoxaline (3). We therefore resynthesized the alleged 3 according to the

published procedure (1a) and found that it was indeed identical in all respects with the known 2-cyclopropylbenzimidazole (5) (4,5). The latter was prepared by heating molecular equivalents of 1 and cyclopropanecarboxylic acid at 180° for 30 min. according to the method of reference 6. Although the spectral data presented (1) might be rationalized for 3, they are better correlated with 5. Additionally, the ultraviolet absorption $[\lambda_{max}^{MeOH}$ (log ϵ) 242(3.85), 274(3.98), and 281(4.03)] is typical of the benzimidazole chromophore (7).

Because the reaction of 1 with 2 was carried out in acidic 70 to 80% aqueous methanol (1), the rearrangement (8) of the ketal of 2 to methyl cyclopropanecarboxylate followed by a Phillips type benzimidazole synthesis could provide a rationale to the formation of 5. However, we find that the reaction proceeds equally well in an inert solvent such as chloroform. It is therefore suggested that an intermediate such as 4, similar to those proposed in the syntheses of benzimidazoles from ketones (5), provides a more likely route.

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