A Novel Synthesis of a Diaziridine

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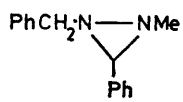
Condensation of benzaldehyde and methylhydrazine in dry tetrahydrofuran, followed by passage of diborane, gave 1-benzyl-2-methyl-3-phenyldiaziridine.

DURING investigation [1] of the mechanism of the condensation–reduction reaction of benzaldehyde (1 equiv.) with methylhydrazine (1 equiv.), a boron-containing intermediate was isolated which was too involatile to give a mass spectrum, but was found to contain a small amount of a more volatile material. The parent ion of the latter occurred at *m/e* 224 and accurate mass measurement agreed with the formula $C_{15}H_{16}N_2$. The presence of an $M - 15$ peak suggested the presence of a methyl group and relatively intense peaks at *m/e* 91, 65, and 39 suggested that of a benzylic group. The material was tentatively assigned structure (1).



(1)

In an attempt to increase the yield of compound (1), benzaldehyde (4·8 g, 2 equiv.), methylhydrazine (1·1 g, 1 equiv.), and acetic acid (2—3 drops) were set aside in dry tetrahydrofuran (200 ml). Passage of diborane (0·7 g) into the solution, followed by addition of concentrated aqueous sodium hydroxide, extraction with ether, and evaporation of the extracts, gave a golden yellow oil (3·5 g, 60%). Spectral data indicated that the compound was pure 1-benzyl-2-methyl-3-phenyldiaziridine (Found: M^+, 224·1313. Calc. for $C_{15}H_{16}N_2$: M, 224·1313), $\nu_{max.}$ (neat) 3010m, 1600s, 1500s, 750s, and 700s cm^{-1} τ (neat) 2·8 (10H, m), 5·45 (1H, s), 5·62 (2H, s), and 7·48 (3H, s).[2]

Attempts to distil the diaziridine, at reduced pressure, resulted in decomposition. The formation of the diaziridine may be rationalised in terms of the condensation of benzaldehyde with the product of the addition of diborane to benzaldehyde methylhydrazone. The resulting carbinolamine may then undergo cyclisation and elimination.

Attempts to prepare analogous compounds by condensations of methylhydrazine with propionaldehyde and acetone failed.

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[1] J. A. Blair and R. J. Gardner, *J. Chem. Soc.* (*C*), 1970, 1714.
[2] A. Mannschreck and W. Seitz, *Angew. Chem. Internat. Edn.*, 1969, **8**, 212.