1-METHYL-2-BENZIMIDAZOLYLCARBENE.

REACTION OF 1-METHYL-2-(CHLOROMETHYL)BENZIMIDAZOLE WITH NUCLEOPHILES

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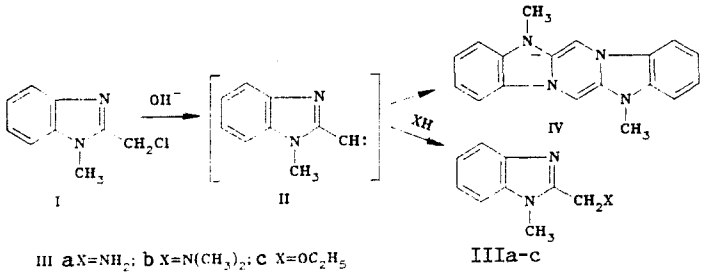
1-Methyl-2-(chloromethyl)benzimidazole (I) does not react wth ammonia even when left in contact with concentrated aqueous NH_4OH at room temperature for a long period. However, the reaction can be completed within 4-5 days under the same conditions by the addition of a small quantity of 40% NaOH to form the amine IIIa.



Under conditions of phase transfer catalysis (50% NaOH, benzyltriethylammonium chloride, acetone of DMSO medium) I forms compound IV whereas in DMF or alcohol the amine IIIb or the ether IIIc are formed.

Evidently the action of base on I under phase transfer catalysis conditions generates carbene II which is rapidly captured by a nucleophile (dimethylamine formed by hydrolysis of DMF or the ethylate anion). In the absence of the nucleophile, carbene II undergoes cyclodimerization to give 5,12-dimethyl-5H,12H-pyrazino[1,2-a:4,5-a']bisbenzimidazole (IV) [1].

The structures of IIIa-c and IV were confirmed by elemental analytical data and by their IR and PMR spectra. The melting points for IIIa-c corresponded to those reported [2].

LITERATURE CITED

1. R. D. Haugwitz, B. V. Maurer, and V. L. Narayanan, J. Med. Chem., 15, 856 (1972).
2. H. Irving and O. Weber, J. Chem. Soc., 2296 (1959).

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