Mannich Bases as Synthetic Intermediates: Alkylation of Amines and Diamines with Bis-ketonic Mannich Bases

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The bis-ketonic Mannich base, N,N-bis(β-benzoylethyl)methylamine hydrochloride (1) reacts with primary arylamines and diamines to give ketonic sec-arylamines 3a–e and 4. The piperidines 7a–c were obtained from 1 and primary alkylamines, whereas the 1,4-diazepine derivative 10 was obtained from 1 and ethylenediamine.

Treatment of the bis-base 1,4-di[β-(N-morpholino)propionyl]benzene bis(hydrochloride) (11) with primary arylamines gave the corresponding bis-(sec-arylamines) 12a–b, whereas its reaction with o-phenylenediamine afforded the bis[1,5-benzodiazepine] ring system 14. The synthesis of the diazacyclophanes ring system 15 has been achieved by treating 11 with piperazine. Attempted synthesis of 4-aza-[7]-paracyclophane (16) from 11 and benzylamine led to 17. The reaction of 1 or 11 with phenylhydrazine gave the 2-pyrazolines 18 and 19. Treatment of 3 or 4 with phenylhydrazine and formaldehyde afforded the 2H-1,2,4-triazepines 20a–c and the bis[2H-1,2,4-triazepine] ring system 21.

Key words: Bis-(Mannich Bases), Transamination, 1,2,4-Triazepines, Diazacyclophanes