Mannich Bases as Synthetic Intermediates: Convenient Synthesis of Functionalized 1,2,4-Triazepines, 1,4-Diazepines and 1,5-Diazocines

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Transamination between the ketonic Mannich bases 1a, b and primary arylamines gave a series of ketonic sec-Mannich bases 2a–h. A variety of tetrahydro-1,2,4-triazepines 3a–f have been synthesized by treating the arylhydrazones of 2 with formaldehyde. A similar reaction with the benzenesulfonylhydrazone of 2b afforded 4. The 3-styryl-2H-1,2,4-triazepine 5 was obtained from the phenylhydrazone of 2a and cinnamaldehyde. Treatment of arylhydrazones of the 4-methoxystyryl keto base 7 with formaldehyde and cinnamaldehyde afforded the 3,4,5,6-tetrahydro-2H-1,2,4-triazepines 8a, b. Mannich reaction with 4-(p-hydroxyphenyl)-tetrahydro-1,2,4-triazepine 3d afforded the Mannich bases 9, 10 and 11.

The reaction of 1b with o-phenylenediamine leads to the 1,5-benzodiazepine 13. The new tetrahydro-1,4-diazepine and tetrahydro-1,5-diazocine Mannich bases 15 and 17 were obtained from 1b and ethylenediamine or 1,3-diaminopropane, respectively. The bi(piperidine) derivative 19 was obtained from 1a and 1,3-diaminopropane.

Key words: Mannich Bases, 1,2,4-Triazepines, 1,4-Diazepines, 1,5-Diazocines