Intramolecular Mannich reaction for synthesis of imidazo-[2,1-b]-1,3,5-thiadiazines and 1,2,4-triazino[3,2,-b]-1,3,5-thiadiazines

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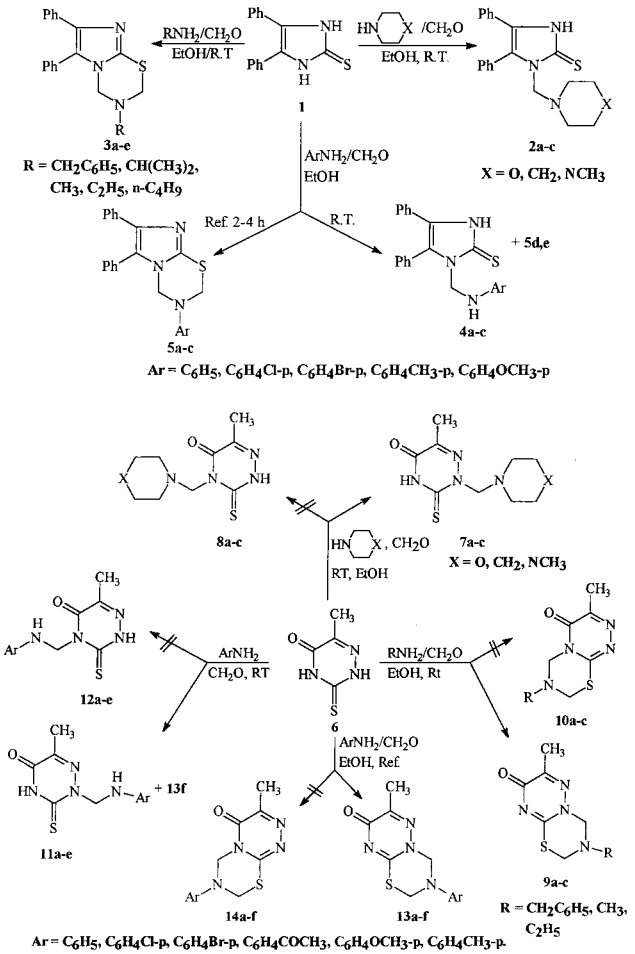
New imidazo[2,1-b]-1,3,5-thiadiazines and 1,2,4-triazino[3,2,-b]-1,3,5-thiadiazines, which have been prepared in good yields under Mannich reaction conditions. The antibacterial activity of some compounds have been evaluated.

The chemistry of imidazoles has considerable significance owing to the occurrence of this ring system in various biologically important compounds. Some 4,5-diphenyl-2-mercaptoimidazole derivatives are known antimicrobial agents.[1,2] Also 1,2,4-triazine derivatives possess a wide range of biological responses.[10–13.] In addition, the Mannich reaction for synthesis of imidazo- and triazino-1,3,5-thiadiazines has not been reported. 1-(N-Morpholino/piperidino/ and N-methylpiperazino)-methyl-4,5-diphenylimidazole-2-thiones (**2a–c**) and 6-methyl-2-(N-morpholino/piperidino/ or N-methylpiperazino)methyl-3-thioxo-1,2,4-triazin-5-ones (**7a–c**) were prepared by condensation of **1** and/or **6** with formaldehyde and secondary amines in ethanol at room temperature. Reaction of **1** and **6** with primary aliphatic amines under Mannich reaction conditions at room temperature led to the formation of the bicyclic products **3a–e** and **9a–c** respectively. Similarly, compounds **1** and **6** were condensed with primary aromatic amines at room temperature to yield the corresponding the uncyclized products **4a–c**, **11a–e** and cyclized products **5d,e**, **13f** respectively, while in boiling ethanol the corresponding cyclized products **5a–c** and **13a–e** were obtained. The antibacterial activity of some compounds has also been evaluated.



Techniques used: MS, ^{1}H NMR, IR

References: 21

Schemes: 3

Table 1: Molecular mechanics calculation of compounds **7,8,11,12,13** and **14**.

Table 2: Biological screening of selected compounds.

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