A STUDY ON THE CYCLIZATION OF N-PHENYLACETYLIMINIUM IONS

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N-Acyliminium-induced cyclization has been applied to a synthesis of a wide variety of N-heterocyclic compounds. Although acyliminium ions derived from primary phenylacetamides have been used for the preparation of isoquinolin-3-ones, electron rich arylacetamides have not been used for this purpose. We have examined the reactivity of phenylacetamides toward a formation of iminium ions leading to isoquinolin-3-ones. Treatment of the phenylpropionamides (1) with paraformaldehyde in formic acid afforded the corresponding 2-benzazepin-3-ones (2) in nearly quantitative yield. In contrast, the same reaction of the phenylacetamides (3) yielded the lactone (4). Formation of 4 would be caused by the preferential hydroxymethylation to generation of iminium ions. The reactivity of 3 toward generation of iminium ions might be diminished because of ease of enolization. Based on the assumption that α,α'-disubstituted phenylacetamides might form iminium ions even though the presence of electron donating substituents on the benzene ring, the amides (5) were subjected to the same reaction. In these cases, the corresponding isoquinolin-3-ones (6) were yielded without formation of 4. In the similar way, 4-phenylisoquinolin-3-ones (8) were also provided from 7. Reduction of 8a with $LiAlH_4$ gave 9.