DR-46. SYNTHESIS OF 2,6-DIPHENYLPYRAZINE BY REACTION OF N,N-DIPHENACYL-P-TOLUENESULFONAMIDE WITH N-NUCLEOPHILES

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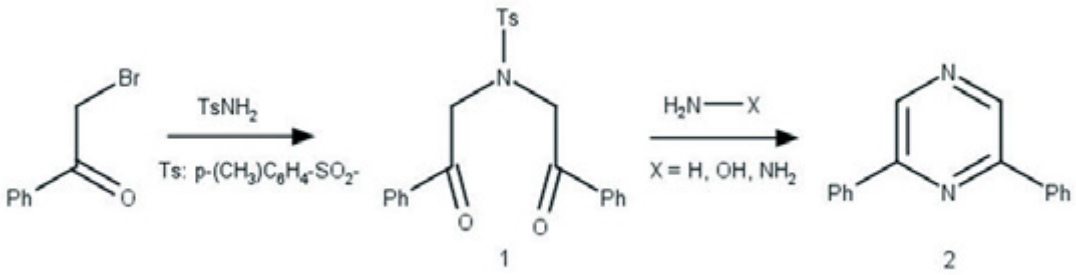
2,6-Diphenylpyrazine derivatives have received the attention due to their DNA binding, cytotoxic and antiprotozoal properties [1, 2]. There are very few references in the literature concerning the preparation of these compounds. Palladium catalyzed Suzuki cross – coupling reactions are most commonly used [1–5]. We report herein the method for the synthesis of 2,6-diphenylpyrazine 2 by reaction of N,N-diphenacyl-p-toluenesulfonamide 1 with ammonia and its derivatives, namely with ammonium acetate in acetic acid and with hydroxylamine hydrochloride and hydrazine dihydrochloride in ethanol in the presence of catalytic amounts of hydrochloric acid. The synthesis is quite simple and does not require laborious isolation procedure. In all cases a reaction mixture was heated at refluxing for 2–3 h and after cooling the precipitated pyrazine 2 was filtered of. The yields of compound 2 are 81–85 %. The literature method [6] that required five – hour refluxing of diketone 1 with methoxycarbonylhydrazine in toluene followed by chromatographic separation give product 2 in 67 % yield.



It should be noted that diphenacyl-p-toluenesulfonamide 1 was easily synthesized by the interaction of two moles of α-bromoacetophenone with one mole of p-toluenesulfonamide in good yield. Thereby the usage of different ring substituted α-bromoacetophenones for the synthesis of starting diketones could extend the method to obtain various both symmetric and asymmetric 2,6-diphenylpyrazine derivatives.

References

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