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SAMARIUM-IODINE-MEDIATED REDUCTIVE AMINATION OF POLYCYCLIC BENZYLIC KETONES

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Abstract:
Reductive amination of polyaromatic benzylic ketones have been achieved on reaction with the corresponding ketones and primary amines in the presence of samarium metal-iodine in methanol. This method has provided several benzylic amines that are present in polyaromatic systems.

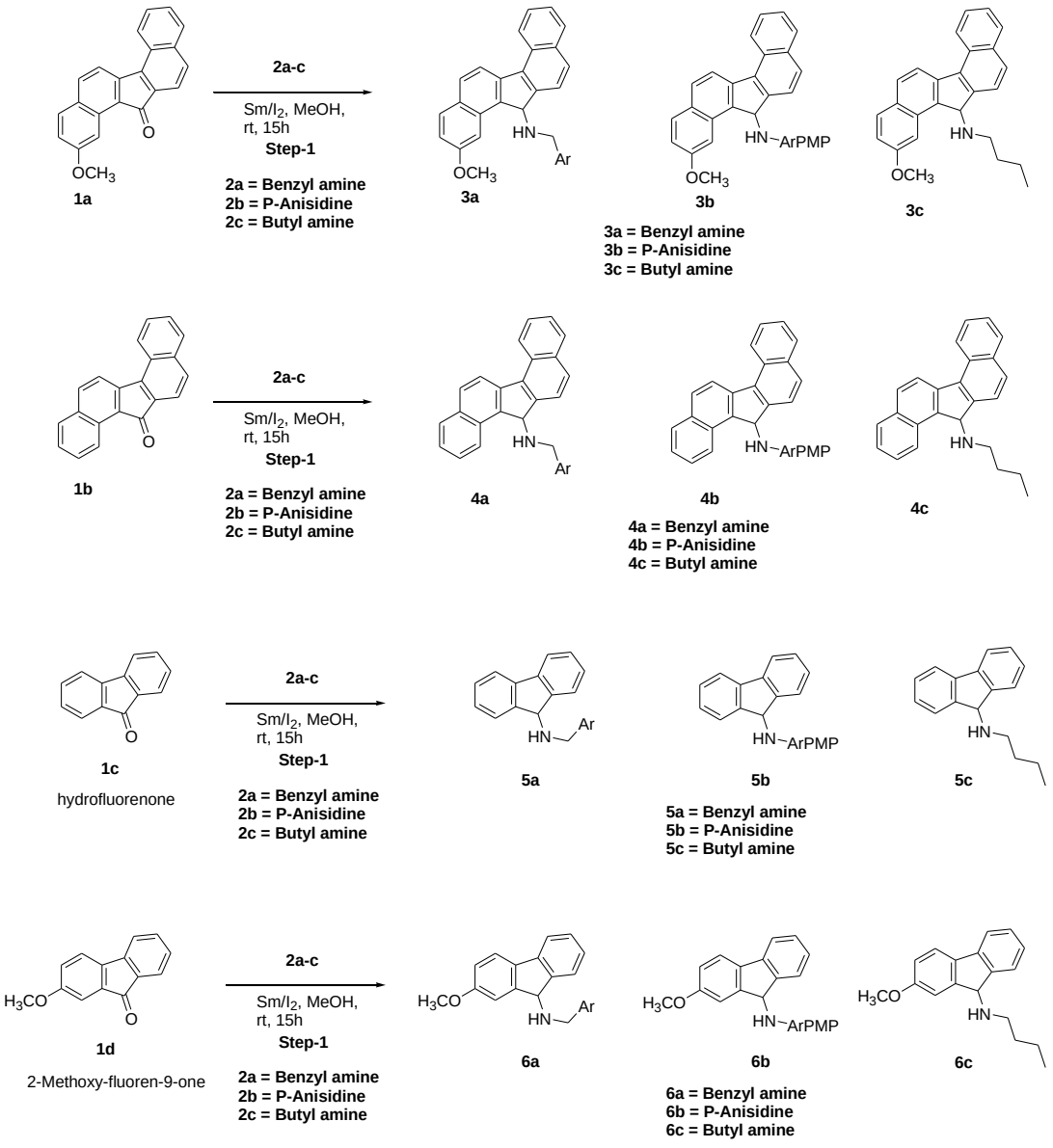
Key words:
Benzylic Ketone, Polyaromatic, Samarium, Reductive Amination

Introduction:

Synthetic and biomedical research on polyaromatic compounds are attractive areas of research [I]. There has been attention on the use of these molecules as anticancer agents. The effects of some of these compounds as anticancer agents are demonstrated [II]. These efforts helped to prepare benzylic aminopropanediols. A few of these compounds demonstrated activity against cancer cell lines. These compounds interacted with DNA by intercalation and had topoisomerase inhibitory properties. These properties were identified for napthalimides, amonafide and mitonafide [III-VI]. This paper described the preparation of a few benzylic amines connected to polycyclic aromatic compounds [VII-XI]. The reaction of benzylic ketones with primary amines in the presence of samarium metal-iodine in methanol produced benzylic amines through reductive amination method.

Methoxydibenzofluorenone **1a** in reaction with amine **2** in the presence of samarium metal-iodine in methanol produced the amines **3a**, **3b** and **3c** in good yields (Scheme 1). Following an identical method, compounds **1b**, **1c** and **1d** were reacted. The corresponding products **4**, **5** and **6** were obtained.

Scheme 1:



A typical experimental procedure is as follows. To a solution of the ketone (1 mmol) was added amine (1.1 mmol) in methanol (2 mL). To the reaction mixture was added samarium metal dust (50 mg) and a pinch of solid iodine. It was then stirred at room temperature for 15h. To the reaction mixture, ethylacetate (10 mL) was added and it was washed with brine (5 mL). The solvent was evaporated, and crude product was passed through basic alumina (2 gm) using ethylacetate (10 mL). The pure product was obtained after evaporation of the solvent.

Conclusions:

A facile reductive amination of polyaromatic benzylic ketones to amines is accomplished with samarium-iodine-induced reductive amination method.

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