A New Strategy for the Synthesis of 2-Mercaptobenzazole Derivatives by Green Chemistry Metrics

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ABSTRACT

A green and efficient method has been developed for the synthesis of 2-mercaptobenzazole derivatives via the reaction of commercially available aniline derivatives with low-cost and non-toxic potassium thiocyanate in water. The reactions proceeded smoothly under catalyst- and ligand-free conditions to give the corresponding products in good to excellent yields. The versatility, low cost, and environmental friendliness, in combination with high yields and easy work-up makes the procedure noteworthy.

Keywords: 2-mercaptobenzazoles, potassium thiocyanate, aqueous medium, catalyst-free, ligand-free.

INTRODUCTION

The preparation of 2-thio-substituted benzazoles (benzimidazoles, benzothiazoles, benzoxazoles) has developed into an interesting topic for synthetic organic chemists, as these molecules show an impressive variety of biological properties such as anti-cancer, anti-convulsion, anti-inflammatory, antifungal, and anti-oxidant properties [1-5]. Several commercially available drugs are derived from 2-thio-substituted benzazo-core entities (Figure 1) and many researchers have been working to explore these motifs to their maximum potential against several diseases or disorders [6-10]. Traditionally, 2-mercaptobenzazoles are prepared through the reactions of corresponding anilines (1,2-phenylenediamines, 2-aminophenols, 2-aminothiophenols) with carbon disulfide (Scheme 1, route a) [11]. However, carbon disulfide is a toxic reagent and may cause serious environmental pollution, health, and safety problems. Alternatively, the cyclization of aniline derivatives with potassium O-ethyldithiocarbonate/phenyl chlorothionocarbonate for synthesis of titled compounds were developed by Deligeorgiev [12] and Sun [13] respectively (Scheme 1, routes a, b). Nevertheless, the instability, toxicity and/or unpleasant odor of these reagents impedes their