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A Simple and Efficient, Silica Supported Oxon Catalyzed One-pot Synthesis of 2-Aryl-Benzimidazoles

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ABSTRACT

A simple and efficient method for the synthesis of 2-arylbenzimidazole has been described involving the reaction of orthophenylene diamine with various primary alcohols using silicon supported Oxon as a catalyst. This method also describes mild reaction conditions, short reaction times, simple procedure, good yields and eco-friendly features.

Keywords: One pot synthesis, 2-Aryl benzimidazoles, Silica supported oxone

INTRODUCTION

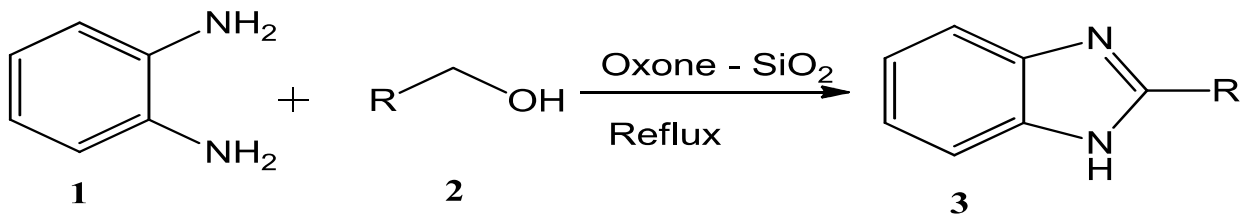
In recent years, chemistry of benzimidazole moiety has been of great interest in modern drug discovery. The organic compounds, specifically with nitrogen containing heterocyclic ring system exhibit a wide range of pharmaceutical applications associated with a wide variety of medicinal, biological activities [1,2]. Substituted benzimidazole derivatives have wide spread applications in diverse therapeutic areas including antimicrobial [3], analgesic [4], antibacterial [5], antitumor [6] antifungal [7] and anti-inflammatory drugs [8].

There are many methods for synthesis of benzimidazole, one of the classical methods is coupling of orthophenylene diamines with carboxylic acids or their derivatives [9], which requires strongly acidic conditions and high temperature and the other method is the oxidation of benzimidazoline intermediates that are generated from the condensation of orthophenylene diamine and aldehydes [10]. Although, there is a demand for efficient methods for the synthesis of Benzimidazole, herein, it is reported a new strategy for the synthesis of benzimidazoles by coupling orthophenylene diamine and primary alcohols as the reactants at room temperature using oxon-SiO_2 as a catalyst under simple conditions.

MATERIALSAND METHODS

Melting points of the synthesized compounds were determined in open capillary tubes and were uncorrected. Reaction progress was observed by Thin Layer Chromatography (TLC) plates. ^{1}H-NMR (300 Mz) and ^{13}C-NMR (100 Mz) Bruker NMR instrument in Dimethyl Sulfoxide (DMSO) using Tetramethylsilane (TMS) as internal standard. Chemical shifts (δ) are expressed in ppm. Perkin Elmer BX series FT-IR was used to record IR spectra, Elemental analysis was performed on a PerkinElmer 240 CHN analyzer.

Experimental section



Scheme 1: Synthesis of 2-Aryl-1H-benzimidazole