

Amino Acid Catalyst for the Synthesis of Benzimidazole Derivatives

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Abstract: *Using aryl aldehydes and o-phenylenediamine in the presence of the boric acid system, an effective one-pot synthesis of benzimidazole derivatives has been achieved at room temperature without the need for a solvent. Response carried out with a motor and a prism grinder. The process's simplicity and work-up, larger synthesis's scale, extremely high yields, and inexpensive, quick response times*

Keywords: o-phenylenediamine, benzimidazoles, aryl aldehydes, sodium hydroxide, iodine, acetonitrile

I. INTRODUCTION

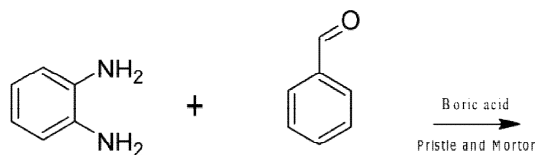
The physiologically active compounds that contain the benzoxazole and benzimidazole moiety are found in a wide range of substances. These compounds include those that are antibacterial [1], antiviral [2], antifungal [3], antimicrobial [4], anti-cancer [5], and medications that treat diabetes [5]. Many anthelmintic medications, including mebendazole and albendazole, include the benzimidazole structure. Conversely, benzoxazole is a naturally occurring substance and the central ring structure of the non-steroidal anti-inflammatory medication flunoxaprofen [6–9]. Numerous techniques have been described for the synthesis of benzoxazole and benzimidazole products at various temperatures utilizing a variety of catalysts and starting materials [10–11]. However, there are numerous drawbacks to these techniques, including their extended reaction times, need for costly and caustic reagents, catalysts, and the negative environmental effects of using organic solvents and high temperatures with little yield. This work aims to eliminate all upper limitations by using boric acid as a catalyst in the prism and mortar. In this regard and as a result of our curiosity for heterocyclic chemistry in relation to green chemistry. As a water-soluble catalyst, boric acid has been shown to be effective in a number of chemical transformations, including addition, bromination, thia Michael, aza Michael, and esterification of hydroxycarboxylic acids [12–15]. In this article, we describe a procedure for synthesizing benzimidazole derivatives utilizing a boric acid catalyst, which yields a very high yield of product in a fair amount of reaction time and informal work-up.[16-20]

II. EXPERIMENTAL

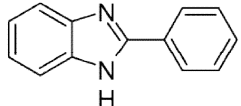
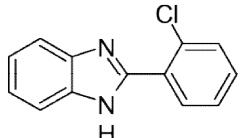
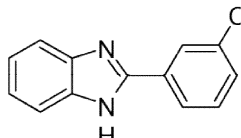
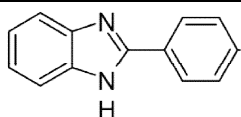
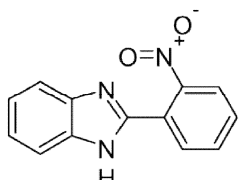
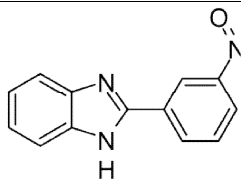
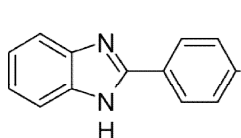
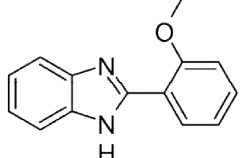
The product's structures were determined through the application of multiple analytical techniques, including ¹H NMR, mass spectroscopy, and IR spectroscopy. IR data were captured with the SIMADZU-FTIR-8400. Using DMSO as a solvent, ¹H NMR spectra were acquired using a BRUKER Advance-III (400 MHz) spectrometer. Every analytical result was compared to the synthetic compound and determined to be in agreement. [17-21]

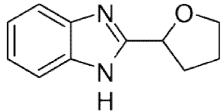
General procedure 10 mili moles of o-phenylenediamine and 10 mmoles of aromatic aldehyde, along with 2 mg of boric acid as a catalyst, should be ground in a pristle and mortar for the proper amount of time to create substituted benzimidazole. Response was observed by TLC. Following completion, the crude product was filtered, the reaction mass was diluted with cold water, and column chromatography was used to purify the mixture using hexane:ethyl acetate as the mobile phase.

III. REACTION SCHEME



IV. OBSERVATION TABLE

Sr. No	Aromatic group	Time (Min)	(%)Yield	MP (0C)
1		08	87	294
2		09	88	136
3		09	92	227
4		08	90	224
5		08	97	252
6		09	90	300
7		08	97	302
8		08	60	265

9		09	86	283
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V. CONCLUSIONS

Through the condensation of o-phenylenediamine with aryl aldehydes at room temperature, we have created a straightforward, incredibly effective, and practical one-pot synthetic technique for the production of clinically significant benzimidazole derivatives in this study. Because of its advantages—like shorter reaction times and higher yields—it's a practical and alluring method for creating different benzimidazole derivatives. The process's broad applicability in organic synthesis and operational simplicity are further appealing aspects of it.

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