

Synthesis of benzimidazole Derivatives using Silica as catalyst –Green Approach

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Abstract

Synthesis of benzimidazole derivatives using under microwave using catalyst like silica from Arabian Sea near Mumbai area. This reaction is between orthophenyl diamines and aromatic aldehyde using silica as catalyst .synthesized products are confirmed by physical methods, and Spectral analysis. This method is green and gives better yield.

Key words: Benzimidazole, aromatic aldehyde, silica.

Introduction

Benzimidazoles are important chemical and biological derivatives. They exhibit a wide range of biological activities such as antihistaminic [2], antiprotozoal [1], anti-allergic [3] and anti-diabetic [4]. Several compounds from this class have been used as inhibitors of hepatitis C virus NS5B polymerase [5]. They also act as thrombopoietin receptor agonists [6], good and selective inhibitors of IKK- ϵ kinase [7] and non-steroidal anti-androgen [8]. Mostly these compounds are synthesized by the condensation reaction of OPDA with the aromatic aldehydes. For this synthesis and oxidative cyclo-dehydrogenation of o-phenylenediamine or o-aminothiophenol with aldehydes.⁹⁻¹¹ Various oxidative reagents such as DDQ,¹² NaHSO₃(aq),¹³ nitrobenzene,¹⁴ MnO₂,¹⁵ 1,4-benzoquinone,¹⁶ benzofuroxan,¹⁷ tetracyanoethylene,¹⁸ Pb(OAc)₄¹⁹ and Oxone²⁰ have been employed for the synthesis of benzimidazoles and Benzothiazole. However, a number of these methods have some drawbacks such as low yields, long reaction times, drastic reaction conditions, tedious work-up procedures, and co-occurrence of several side reactions. Recently several reports on MW technology in solid-phase synthesis. Recently, several reports [20-21] that have applied are microwave and sonication methods. In this current study we use novel catalyst and microwave method.

Experimental

Melting points were measured in capillary tube method using paraffin's. The IR spectra were recorded FTIR Spectrophotometer using on a Shimadzu instrument. ¹H NMR spectra were recorded on a Bruker 300 spectrometer. All products were known and characterized by comparison of their physical and spectroscopic data with those already reported [14-17].

General procedure for the synthesis of benzimidazoles

Freshly distilled aromatic aldehyde (10 mmol), o-phenylenediamine (10 mmol) and 1.1 g of catalyst were mixed thoroughly in a 100 cm³ beaker with glass rod and then irradiated in the MW oven for about 10 min at power level 800 W with 30 sec pause after every one min. Upon completion of the reaction (TLC), the reaction mixture was cooled at room temperature, ethyl acetate (20 cm³) was added, and stirred well followed by filtration through celite under suction. The organic layer was washed with water (2 × 30

cm³) and brine (20 cm³). After drying over anhydrous Na₂SO₄, the solvent was evaporated under reduced pressure and the residue upon column chromatography affords the pure product.

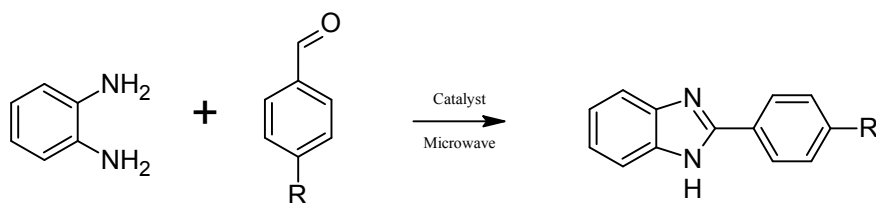


Table 1 Reaction under Microwave Irradiation

Entry I	Aldehyde	Time sec.	Yields	M.P. °C
1	Benzaldehyde	120	60	287
2	Anisaldehyde	130	75	230
3	4-methyl benzaldehyde	130	80	228
4	4-chlorobezaldehyde	100	88	290
5	4-flurobenzaldehyde	100	90	248
6	3-bromobenzaldehyde	120	90	267
7	Furan-2carbaldehyde	120	90	288
8	Cinnamaldehyde	130	85	199-200
9	3-nitrobanzaldehyde	100	90	309-310

Conclusion

We have reported work using the silica the synthesis of various benzimidazoles by using substituted OPDA and a series of aldehydes in microwave oven. This method is quite simple and selective one. The catalyst gave high isolated yield of the derivatives of benzimidazoles in a shorter reaction time and can be recycled 4-5 times catalyst gives better yield of benzimidazole and its derivatives and create the good platform for the commercialization of the process by replacing the existing homogenous catalysts which suffered from various drawbacks such as corrosion, toxicity, waste production and high cost

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