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SYNTHESIS OF BENZIMIDAZOLES DERIVATIVES USING GREEN ROUTE

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ABSTRACT
Benzimidazoles are most important hetrocycles. They are synthesized by various method. In present work we have reported use of inorganic catalyst and microwave method for synthesis of benzimidazole derivatives. Reaction gives good yield, purity in short period of time and catalyst of reaction is recyclable.

KEYWORDS: Benzimidazole, Ammonium Molybdate, Green route.

INTRODUCTION

Green protocol for the synthesis of benzimidazole derivatives using green catalyst and green method. Simple condensation products were selectively obtained when Ammonium Molybdate was used as the catalyst in the aromatic aldehydes. One of the main advantages of these reactions were the formation of a only one product, escaping extensive isolation and purification of products, during the reaction.

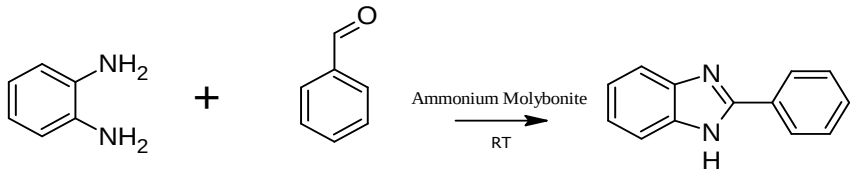
The formation of heterocyclic compounds is a very significant method in organic synthesis, mainly because they are present in numerous biologically active compounds and in several natural products.[1] Among them the presence of benzimidazole[2-5] or benzothiazole[6-9] rings in numerous compounds is an important structural element for their biological and medical applications. For example benzimidazoles are widely spread in anticancer, antiulcer, antihypertensive, antifungal, and antihistaminic medicines, antiviral, among others.[10-12]

Most frequently used protocol for the synthesis of benzimidazole derivatives is the coupling of o-phenylenediamines with carboxylic acids or other acid derivatives.[13-15] Second widely used procedure for the same synthesis represents the condensation of o-phenylenediamine with aldehydes aliphatic or aromatic. For example, the reaction between o-phenylenediamine and benzaldehyde readily affords benzimidazole derivatives.

There are very large number of catalyst are reported for this synthesis but these catalyst and process have certain disadvantages such as drastic reaction conditions, tedious work-up procedures, poor selectivity, low yields and non-recyclability of the catalyst.[17]

Newly, several reports[18-19] that have applied MW technology in dry synthesis are now widely reported because of its quicker reactions and formation of cleaner products compared with conventional heating. In continuation of our recent efforts[20-26] into the applications of Ammonium Molybdate for the selective synthesis of 1,2-disubstitued benzimidazoles by the application of MW technology under study . To the best of our knowledge, we wished to explore the usage of Ammonium Molybdate catalyst-system has not been used earlier for the synthesis of benzimidazoles.

Ammonium Molybdate coated with silica or other material used as catalyst in reaction which is used for cyclization of orthophenyldiamine in to benzimidazole. Reaction is carried using sonication method. Reaction is performed using microwave as well as sonication method.



Scheme-I.

EXPERIMENTAL SECTION

Melting points were measured by capillary tube method in paraffin bath. The IR spectra were recorded on FTIR pellets on a Shimadzu. 1H NMR spectra were recorded on a Brucker 300 instrument at 300 MHz spectrometer. This method gives products were known and characterized by comparison of their physical and spectroscopic data with those already reported or given in literature.[20-23]

General procedure for the synthesis of benzimidazoles

Aromatic aldehyde (10 mmol), o-phenylenediamine (10 mmol) and 2.1 g of catalyst ammonium molybonite) were mixed thoroughly in a 100 cm^3 beaker with glass rod and then irradiated in the MW oven for about 5-10 min. at power level 800 W with 30 secs pause after every one min. in microwave. Progress of reaction followed by checking thin layer chromatography. Upon completion of the reaction (TLC), the reaction mixture was cooled at room temperature, ethyl acetate (100 cm^3) was added, and stirred well followed by filtration through celite under suction pump. The organic layer was washed with water After drying over anhydrous Na_2SO_4, the solvent was evaporated under reduced pressure and the residue upon column chromatography affords the pure product.

Observation Table 1

Sr. No	Aromatic group	Time (min)	. (%)Yield	MP (^{0}C)
1.	C_6H_4-	15	90	294
2.	2-ClC_6H_4	14	92	134
3.	3- Cl C_6H_4	14	95	233
4.	4- MeO C_6H_4	15	87	223
5.	2-NO2 C_6H_4	13	94	250
6.	3- NO 2 C_6H_4	13	95	305
7.	4- NO2 C_6H_4	13	70	304
8.	4-MeC_6H_5	15	70	266
9.	2-Furanyl	12	90	280

RESULT AND DISCUSSION

We have reported work using the Ammonium Molybdate 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 we 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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