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ALUMINA CATALYZED SYNTHESIS OF BENZOXAZOLE DERIVATIVES – A GREEN APPROACH

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ABSTRACT:
A simple and efficient method has been developed for the synthesis of Benzoxazole derivative. Benzoxazole derivatives show large number of biological and pharmaceutical activities. We have synthesized Benzoxazole derivative in the presence of catalytic amount of alumina at room temperature.

KEYWORDS: Alumina, benzoxazole, 2-aminophenol, substituted aldehydes etc

INTRODUCTION:

The benzoxazole contains a phenyl ring fused to an oxazole ring. This important moiety has found practical application in the number of fields. Benzoxazole have been reported to show a broad spectrum of biological activities and have wide range of therapeutical properties. Various Benzoxazole derivatives possess different pharmacological and biological activities of which the most potent is an antibiotic [2], antibacterial [3], antifungal [4-5] antitumor [6-7], antiinflammatory [8], antiulcer [9], antitubercular [10] activities.

Benzoxazole (M.P. 27-30°c, B.P. 182°c) is an aromatic organic compound with a molecular formula C_7H_5NO. A benzene ring fused to oxazole ring structure and appears as white to light yellow solid and an odour simillar to pyridine. Other name of Benzoxazole is 1- Oxa- 3 Aza- 1H- indene. It is primarily used in industries and research. Therefore from these points and observations it is worthwhile to prepare newer compounds for their antimicrobial and anti-inflammatory activities. [11-15]

In the present work we have planed green and efficient synthesis of Benzoxazole derivative from 2- aminophenol using alumina catalyst, which is recyclable and also gave good percentage of yield.

EXPERIMENTAL PART:

All the chemicals used for synthesis were of LR (Laboratory Reagent) grade. TLC (Thin Layer Chromatography) was performed on microscopic glass slides coated with Silica gel- G, using

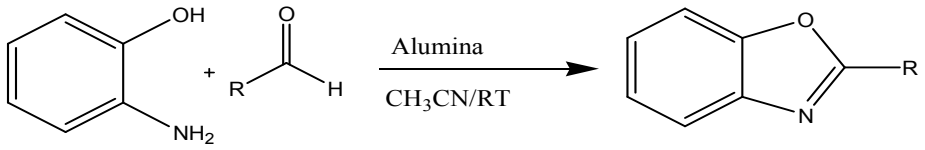
Hexane: Ethyl acetate (8:2) as a solvent system and the spots were visualized by exposure of Iodine vapors.

The IR spectrums of synthesized compounds were recorded on FT – IR Spectrophotometer using potassium bromide.

GENERAL PROCEDURE FOR THE SYNTHESIS OF BENZOXAZOLE DERIVATIVE:

A mixture of 2- aminophenol (1.5 mmol) and substituted aldehydes (1mmol) with catalytic amount of alumina in acetonitrile (20 ml) was stirred for 5 hours at room temperature. Completion of the reaction was checked by TLC. The crude product was recrystalized and purified from petroleum ether.

REACTION:

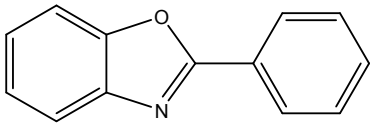
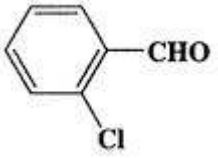
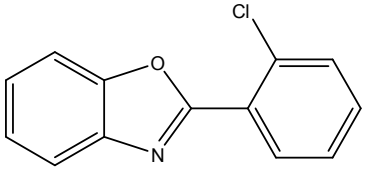


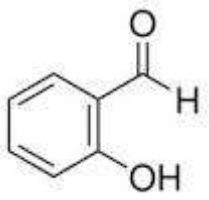
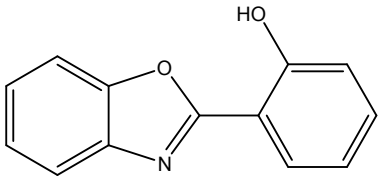
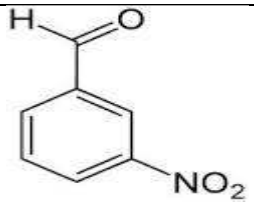
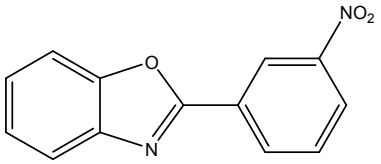
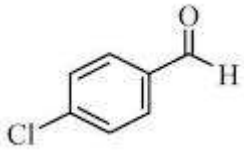
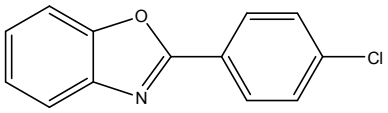
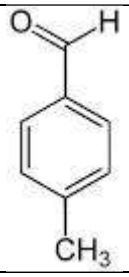
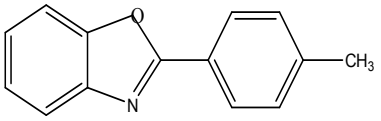
RESULT AND DISCUSSION:

Benzoxazole was synthesized from 2- aminophenol and different aldehydes, for this synthesis we had used alumina as catalyst due to its prominent activity over other catalysts and environmentally benign synthesis. Synthesized products were obtained in good yield.

The structure of newly synthesized compounds 3 (a-f) were established on the basis of spectral data like IR (KBr, cm^{-1}) and UV spectra, the synthesis route followed for obtaining the title compounds is outlined. The physical characterization of 3 (a-f) is given in the table below.

TABLE: % yield and reaction time

Entry	*Aldehydes*	*Time (hours)*	*Product*	*% Yield*	*M.P ^{O}C*
3a		5		55	70
3b		5		60	80

3c		5		75	87
3d		5		50	120
3e		5		60	85
3f		5		75	75

SPECTRAL DATA OF SYNTHESIZED COMPOUNDS:

3a: IR (KBr, cm^{-1}) **1605**(C=C), **1677**(N=C), **1030**(C-O)

3c: IR (KBr, cm^{-1}**) 3330**(-OH), **1605**(C=C), **1646**(N=C), **1030**(C-O)

3f: IR (KBr, cm^{-1}) **2984**(Ar-CH_3), **1605**(C=C), **1646**(N=C), **1030**(C-O)

CONCLUSION:

In conclusion, we have developed a novel and highly efficient method for the synthesis of benzoxazole by treatment of 2- aminophenol and substituted aldehydes in the presence of alumina catalyst. The significant advantages of thus methodology are greener approach, short reaction time, a simple workup, and easy preparation and handling of the catalyst. This methodology may find widespread uses in organic synthesis for preparation of the benzoxazoles.

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