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Green Synthesis of Novel Benzimidazole and Derivatives with Their Study of Antimicrobial Activity

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A B S T R A C T

The benzimidazole nitrogen containing heterocyclic ring which possess biological and pharmaceutical. These heterocycles are key components to functional molecules that are used in a variety of everyday applications. Synthesis of Benzimidazole and their derivatives using microwave irradiation.An efficient and green synthesis carried out using microwave gives high yield in short reaction time. Importance of the synthesized compound were screened for antimicrobial activity. Newly synthesized compound characterized by IR, H1NMR, U.V- visible.

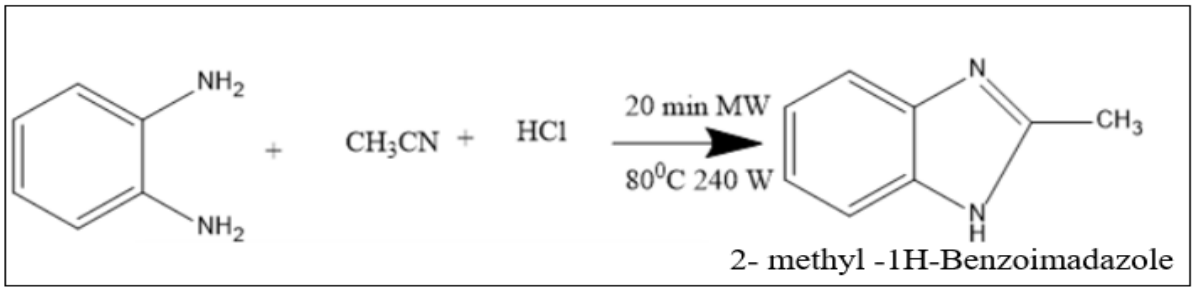
Keywords: Benzimidazole, pharmaceutical, heterocyclic.

INTRODUCTION

Benzimidazole is a heterocyclic aromatic organic compound. This bicyclic compound may be viewed as fused rings of the aromatic compound benzene and imidazole. The biological application of benzimidazole nucleus is discovered way back 1944, when Woolley speculated that benzimidazoles resemble purine-like structure and elicit some biological application [1]. Later, Brink discovered 5,6-dimethylbenzimidazole as a degradation product of vitamin B12 and subsequently found some of its analogs having vitamin B12-like activity [2, 3]. These initial study reports emerged to explore various decorated benzimidazole motif discoveries by the medicinal chemist. Over the few decades of active research, benzimidazole has evolved as an important heterocyclic nucleus due to its wide range of pharmacological applications. Hence, it's worth to understand the basic chemistry and structure of such a wonderful molecule. Benzimidazole is formed by the fusion of benzene and imidazole moiety, and numbering system according to the IUPAC is depicted in Figure 1. The most prominent benzimidazole compound in nature is N-ribosyl-dimethylbenzimidazole, which serves as an axial ligand for cobalt in vitamin B12. The pharmacological application of benzimidazole analogs found potent inhibitors of various enzymes involved and therapeutic uses including as antidiabetic, anticancer, antimicrobial, antiparasitic, analgesics, antiviral, antihistamine, and also neurological, endocrinological, and ophthalmological drugs [4-12].

Experimental Method

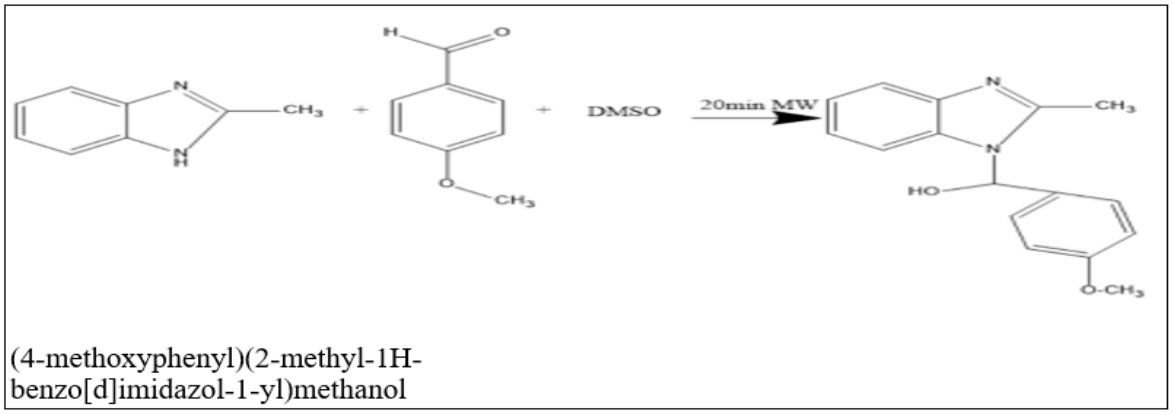
Synthesis of Compound (A):2- methyl -1H-BenzoimadazoleAcetonitrile and o-phenylenediamineand the addition of hydrochloric acid. The mixture was microwaved at 80^0C for 20 min. After adding of ammonium chloride microwave up to 20 min at 80^0 c at 240 w. The completion of the reaction was checked by TLC. On completion the reaction mixture was cooled at room temperature and poured into ice cold water (50 ml). A solid separated out which was collected and washed with water (10 ml) and dried. The product was recrystalized by appropriate solvent.



2- methyl -1H-Benzoimadazole

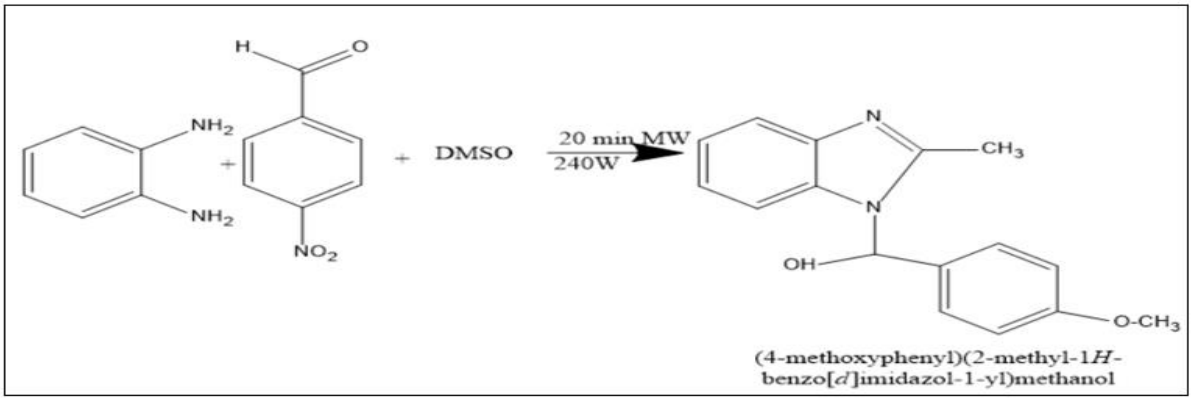
2.2 SYNTHESIS OF SUBSTITUTED BENZIMIDAZOLE:

Synthesis of [Compound B]: (4-methoxyphenyl) (2-methyl-1H-benzoimidazol-1-yl) methanol Compound A and anisaldehyde (20 mmole) After adding of ammonium chloride microwave up to 20 min at 80^0 c at 240 w. The completion of the reaction was checked by TLC. On completion the reaction mixture was cooled at room temperature and poured into ice cold water (50 ml). A solid separated out which was collected and washed with water (10 ml) and dried. The product was recrystalized by appropriate solvent.



(4-methoxyphenyl)(2-methyl-1H-benzo[d]imidazol-1-yl)methanol

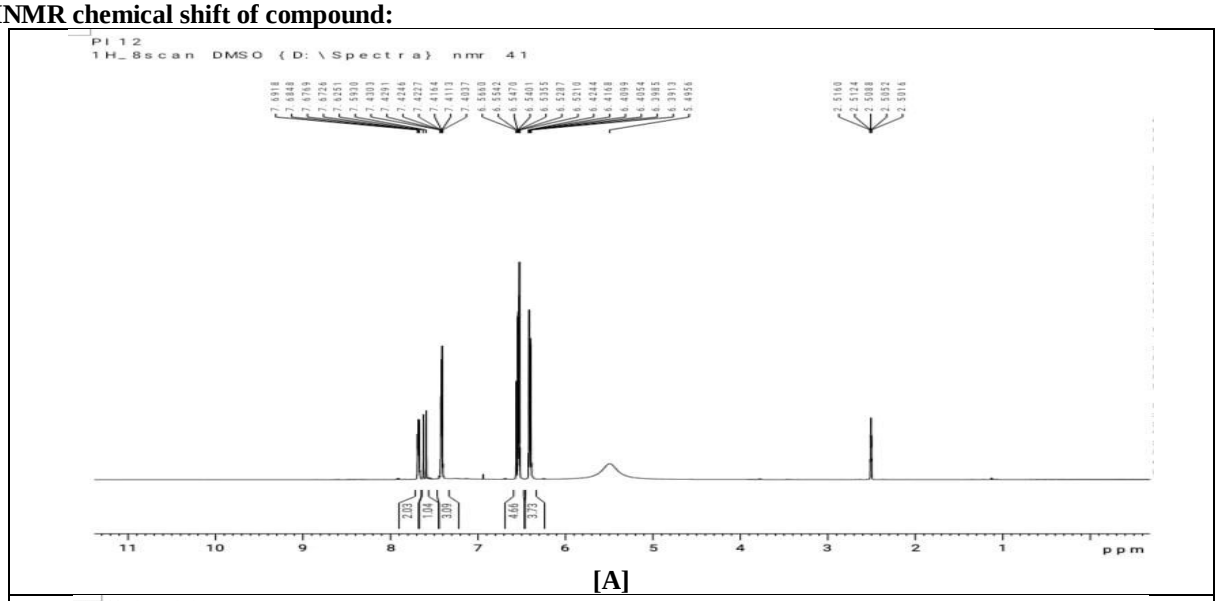
Synthesis of Compound C: (4-methoxyphenyl) (2-methyl-1H-benzo[d]imidazol-1-yl) methanol Compound A(0.435gm) and 4-nitrobenzaldehyde (0.523gm) the addition of 20ml ethanol. The mixture was microwaved at 80^0 C for 20 min. After adding of ammonium chloride microwave up to 20 min at 80^0 c at 240 w. The completion of the reaction was checked by TLC. On completion the reaction mixture was cooled at room temperature and poured into ice cold water (50 ml). A solid separated out which was collected and washed with water (10 ml) and dried. The product was recrystalized by appropriate solvent.



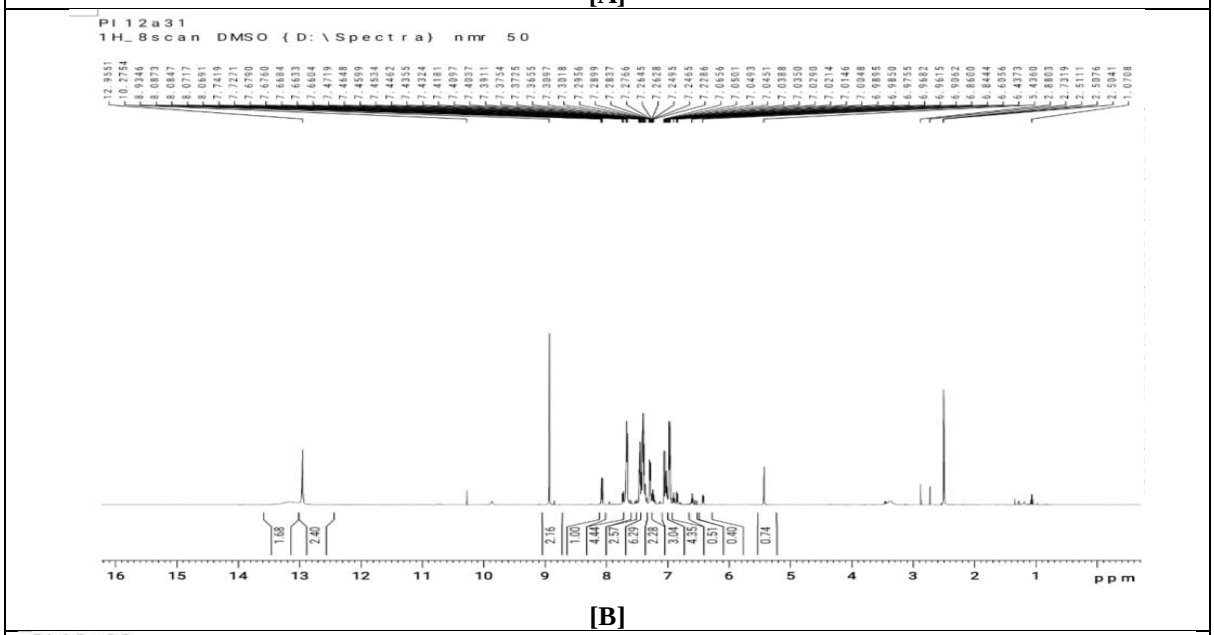
(4-methoxyphenyl)(2-methyl-1*H*-benzo[*d*]imidazol-1-yl)methanol

RESULT AND DISCUSSION

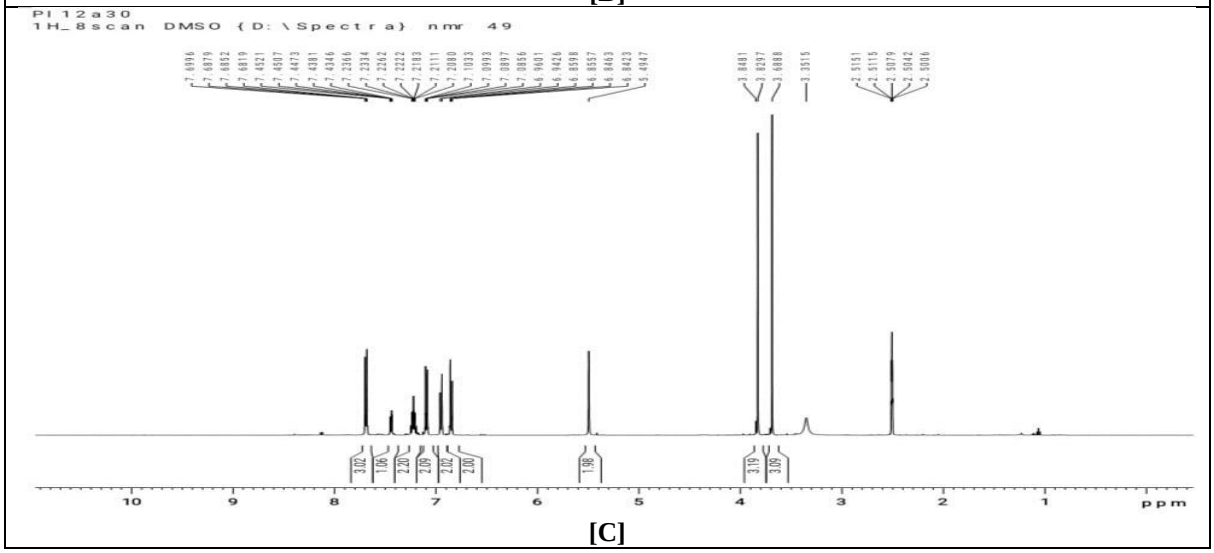
1 HNMR chemical shift of compound:



[A]

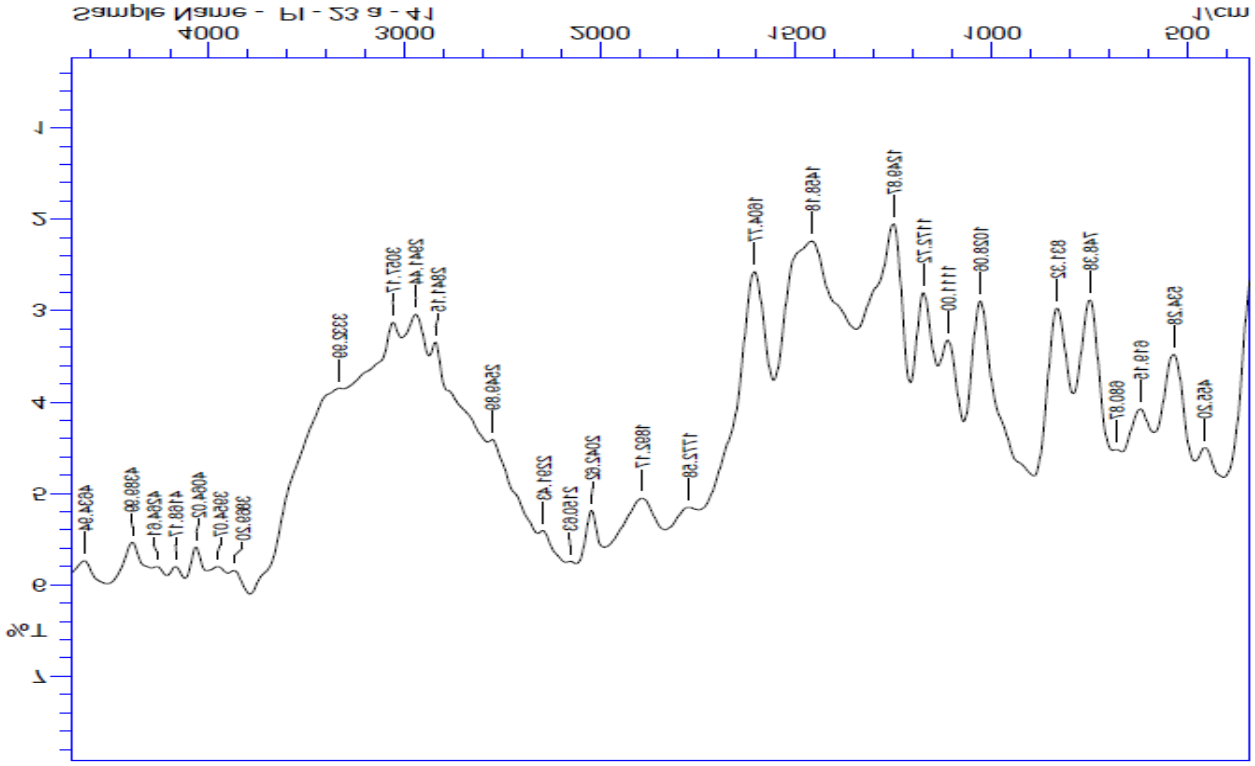


[B]



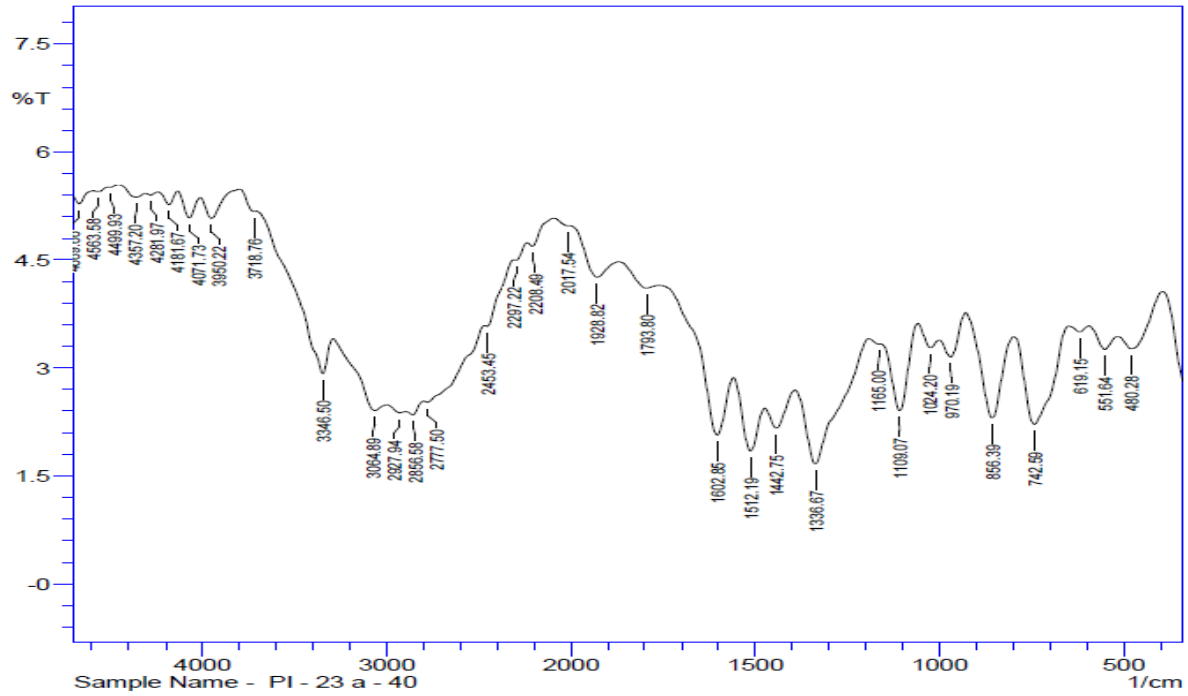
[C]

IR Characterization of compound as follow:- (Compound B)



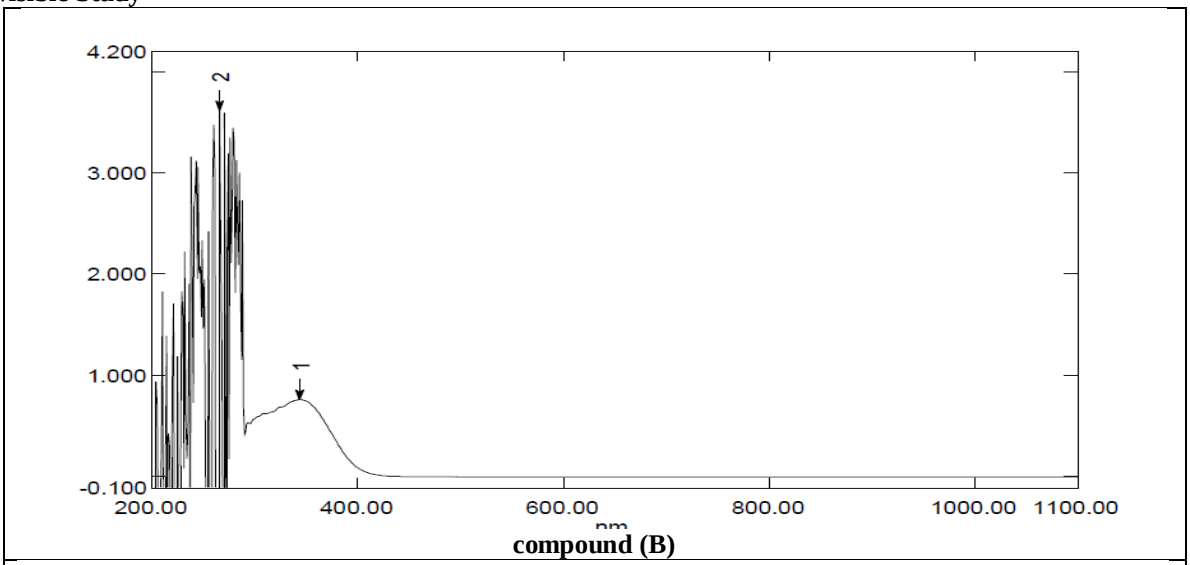
In IR spectra of compound PI-12a-31, there several characteristics peaks were observed. The sharp peak at 1608 cm^{-1} (C=N), 3250 cm^{-1} corresponds to (Ar-H) of ring. 2929 cm^{-1} sp^3(C-H). Also broad peak at 3321 cm^{-1} (OH).

Compound C

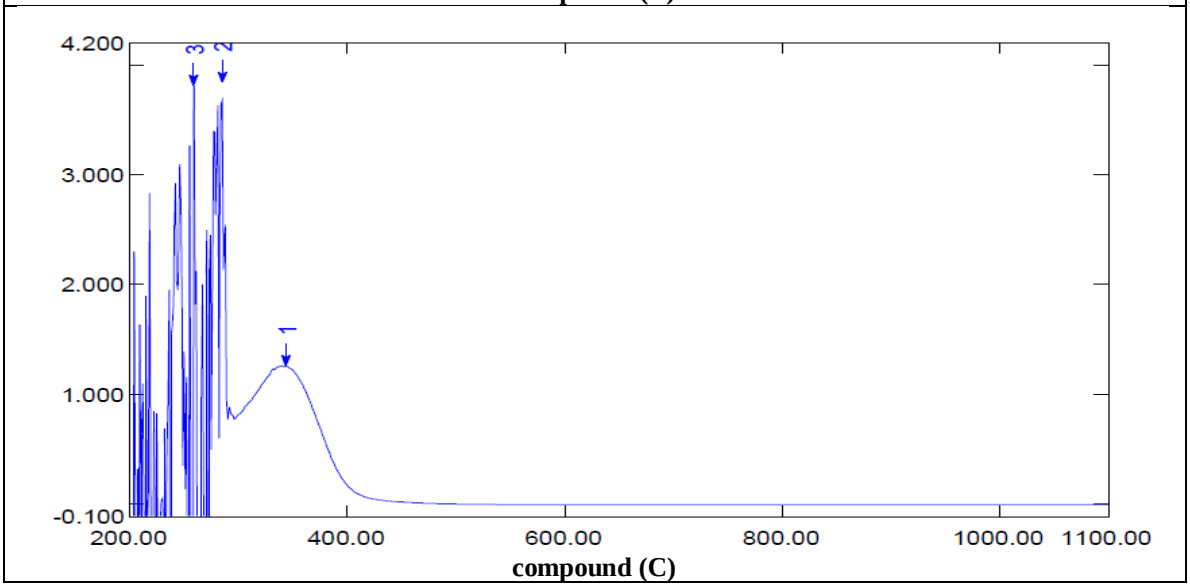


In IR spectra of compound PI-40 observed sharp peak at 1604 cm^{-1} (C=N), 1246 cm^{-1} (Ar-OCH_3), 1778 cm^{-1} for (C=C), 2935 cm^{-1} corresponds sp^3 (C-H),3211 cm^{-1} (Ar-H). and broad peak at 3271 $cm^{-1}$for -OH group.

UV–visible Study



compound (B)



compound (C)

The experimental UV-Visible spectra of compound **(B)** shows transitions in the Visible region at 329.20 nm and 316.80 nm. Also compound **(C)** show transition in higher wavelength Visible region at 470.60 nm.

Antimicrobial activity:

Above synthesized triazine derivatives and their metal complex have been studies for their antimicrobial activity of against Escherichia coli, proteus mirabilis, staphylococcus aureas A. Nigar.The culture of each species was incubated at 37 ^{O}C and the zone of inhibition was measured after 24 hr. Most of these compounds were found active.

Sr. No	Compound	Antimicrobial Activity			
		E.Coli	P.mirabilis	s.aureus	p.aerug head of inosa
1.	A	11	10	10	09
2.	B	14	11	14	15
3.	C	13	11	12	08

CONCLUSIONS

This review contains reaction for synthesis of Benzimidazole which covers the different kind of methods for synthesis of substituted Benzimidazoles.

Benzimidazole has a wide range of pharmacological activity. Thus we can say that benzimidazole is a moiety which has exhibited versatility in pharmacological action and has further potential for exploring its unexplored pharmacological activities.

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