"A CONVENIENT GREEN SYNTHESIS OF - 2-[2-PHENYLETHENYL]-2,3-DIHYDRO-1*H*-BENZIMIDAZOLE AND THEIR DERIVATIVES AS AN ANTIMICROBIAL ACTIVITY"

Pratibha S. Deulkar[1*] and Ramkrushna P. Pawar[2]

ABSTRACT:
The energy efficiency of the microwave-assisted synthesis of 2-2-phenylethenyl]-2,3-dihydro-1H-benzimidazole and its derivatives are an important class of heterocyclic compounds , that exhibit a wide range of biological and pharmacological activities. Synthetic Imidazole are present in many fungicides, analgesic, anti-inflammatory, antibacterial, antitumor activities . Synthesis of imidazole and their derivatives by using microwave technique . This reaction is carried by adopting one pot multi-component reaction method . The synthesized compound have been characterised by IR , H^1NMR , U.V- visible spectral data and elemental analysis . The synthesised compound screened for antimicrobial activity. Some compound showed significant antimicrobial activity.

Keywords- microwave, imidazole, heterocyclic, biological, antimicrobial

[1*],[2] Department of Chemistry, Govt. Vidarbha Institute of Science and Humanities , Amravati 444604
Email:-pratibhaajmire28@gmail.com, (mobile no. 9403407261)

***Corresponding Author:** Pratibha S. Deulkar
*Department of Chemistry, Govt. Vidarbha Institute of Science and Humanities , Amravati 444604
Email:-pratibhaajmire28@gmail.com, (mobile no. 9403407261)

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Introduction:

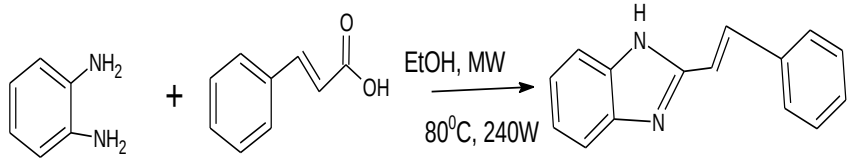
The imidazole scaffold is an important heterocyclic nucleus

due to its wide spectrum of applications in the field of biology, chemistry as well as in pharmaceutical products[1-3]. It is found in a large number of pharmacologically active compounds such as Omeprazole [4]. The substituted imidazole derivatives have been reported to have a wide range of applications in diverse the tureutic areas including anti-inflammatory, antiviral, antibacterial, anti-allergic, and antitumor [5-9]. Imidazole and their salts in particular comprise a boundless and emerging field [10]. The polar imidazole ring, which contains two nitrogen separated with a methylene, hydrogen bonds through the amino hydrogen as the donor and the nitrogen as the acceptor [11]. Microwave-assisted organic synthesis has revolutionized organic synthesis. Small molecules can be built in a fraction of the time required by classical thermal methods. As a result, this technique has rapidly gained acceptance as a valuable tool for accelerating drug discovery and development processes[12]. Microwave (MW) irradiation, an unconventional energy source, has been used for a variety of applications including organic synthesis, wherein chemical reactions are accelerated because of selective absorption of MW energy by polar molecules[13]. The microwave assisted reactions occur more rapidly, safely and with higher chemical yields [14-16]. The synthesised compound screened for antimicrobial activity. Some compound showed significant antimicrobial activity.

MATERIAL AND METHOD

2.1 Synthesis 2-[(*E*)-2-phenylethenyl]-2,3-dihydro-1*H*-benzimidazole:

Cinnamic acid (10 mmol), o-phenyldiamine (10 mmole) and the addition of ethanol. The mixture was microwaved at 80 C for 20 min. After adding of ammonium chloride microwave up to 20 min at 80 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.

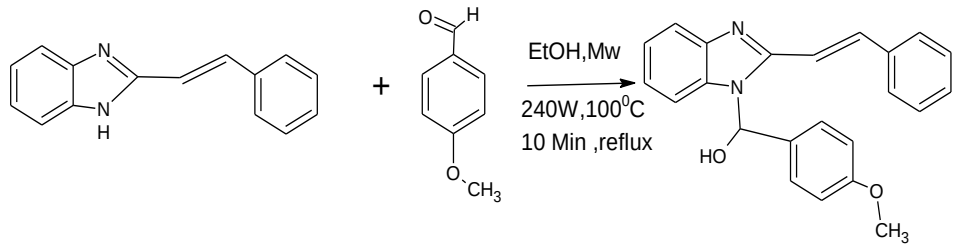


2-[(*E*)-2-phenylethenyl]-2,3-dihydro-1*H*-benzimidazole

2.2 SYNTHESIS OF DERIVATIVES:

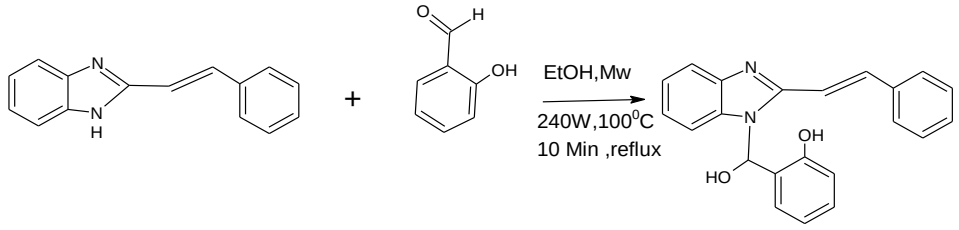
2.2.1 synthesis of (4-methoxyphenyl){2-[(*E*)-2-phenylethenyl]-1*H*-benzimidazol-1-yl}methanol :

2-[(E)-2-phenylethenyl]-2,3-dihydro-1H-benzimidazole (20 mmole) and anisaldehyde (20 mmole) the expansion of 20ml ethanol. The blend was microwaved at 800 C for 20 min. After including of ammonium chloride microwave up to 20 min at 800 c at 240 w. The completion of the response was checked by TLC . On completion the response blend was cooled at room temperature and poured into ice cold water (50 ml). A strong isolated out which was collected and washed with water (10 ml) and dried. The item was recrystalized by suitable solvent .



2.2.2 synthesis-: 2-(hydroxy{2-[(*E*)-2-phenylethenyl]-1*H*-benzimidazol-1-yl}methyl)phenol :

2-[(E)-2-phenylethenyl]-2,3-dihydro-1H-benzimidazole (20 mmole) and salicylaldehyde (20 mmole) the expansion of 20ml ethanol. The blend was microwaved at 800 C for 20 min. After including of ammonium chloride microwave up to 20 min at 800 c at 240 w. The completion of the response was checked by TLC . On completion the response blend was cooled at room temperature and poured into ice cold water (50 ml). A strong isolated out which was collected and washed with water (10 ml) and dried. The item was recrystalized by suitable dissolvable.



Results and discussion: The newly prepared imidazole and their derivatives (I,II,III) inert against climate and humidity at room temperature. They exist in crystalline form and have differentiates. physical appearance and melting points of synthesized compounds are shown in table.

Table 1: Physical properties and analysis of imidazole and its derivatives :

Sr. no.	Compound	Molecular Formula	Melting point	% yield	Elemental analysis in %		
					C	N	O
1	2-[(*E*)-2-phenylethenyl]-2,3-dihydro-1*H*-benzimidazole	$C_{15}H_{12}N_2$		74%	81.79%	12.72	--
2	(4-methoxyphenyl){2-[(*E*)phenylethenyl]-1*H*-benzimidazol-1-yl}methanol	$C_{23}H_{20}N_2O_2$		69%	77.51%	7.86%	8.98%
3	2-(hydroxy{2-[(*E*)-2-phenylethenyl]-1*H*-benzimidazol-1-yl}methyl)phenol	$C_{22}H_{18}N_2O_2$		72%	77.17	8.18%	9.35%

UV-Visible Analysis:

compound	ƛ Max (nm)	Absorption
2-[(*E*)-2-phenylethenyl]-2,3-dihydro-1*H*-benzimidazole	**293**	**3.523**
(4-methoxyphenyl){2-[(*E*)phenylethenyl]-1*H*-benzimidazol-1-yl}methanol	**238.40**	**4.255**
2-(hydroxy{2-[(*E*)-2-phenylethenyl]-1*H*-benzimidazol-1-yl}methyl)phenol	**218**	**3.341**

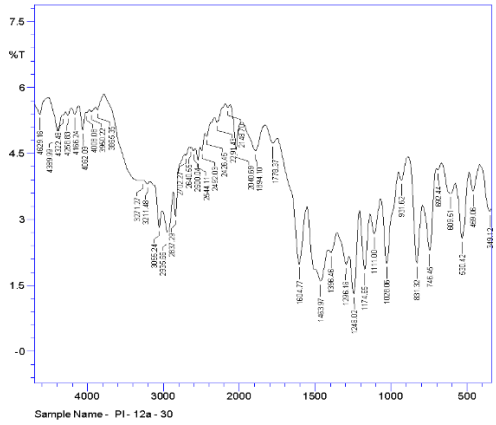
FTIR analysis of compound A : The few selected IR value of ligand Selected IR values of (A) are given in Table 3. The IR spectra of compound (I) exhibited that a new peak is revealed at 1634 cm-1 which may be due to presence of stretching frequency of C=N . The C-N peak occurred at 740 cm-1, which also suggests the development of the required compound. Peak appeared at 3429 cm-1 due to N-H stretching frequency. New peaks observed at 3138 cm-1 due to sp2 (C-H) stretching .

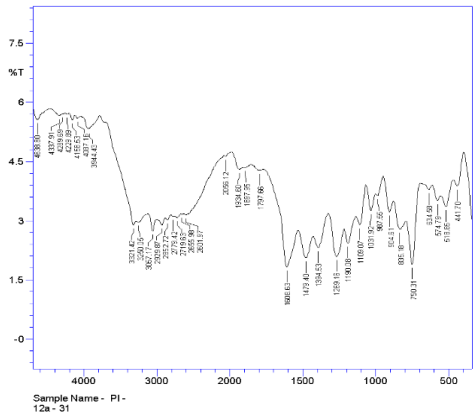
IR analysis for Compound B and compound C : The few selected IR value of ligand Selected IR values of compound (B & C) are given in Table 3. The IR spectra of compound (I) exhibited that a

new peak is revealed at 1630 cm-1 which may be due to presence of stretching frequency of C=N . The C-N peak occurred at 1448 cm-1, which also suggests the development of the required compound. Peak appeared at 3429 cm-1 due to N-H stretching frequency. New peaks observed at 3138 cm-1 due to sp2 (C-H) stretching .

Compound	-NH	(C=N)	C-N	-CH=CH
2-[(*E*)-2-phenylethenyl]-2,3-dihydro-1*H*-benzimidazole	3447	1630	1450	3050
(4-methoxyphenyl){2-[(*E*)phenylethenyl]-1*H*-benzimidazol-1-yl}methanol	3310	1593	1440	3010
2-(hydroxy{2-[(*E*)-2-phenylethenyl]-1*H*-benzimidazol-1-yl}methyl)phenol	3205	1600	1420	3105

Table no. 3 : IR analysis cm^{-1}

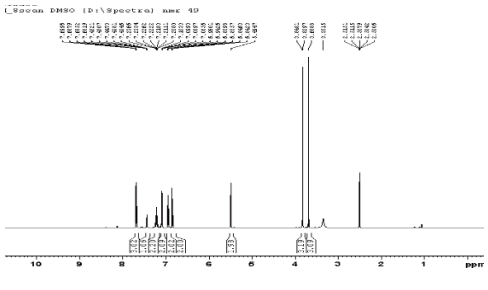


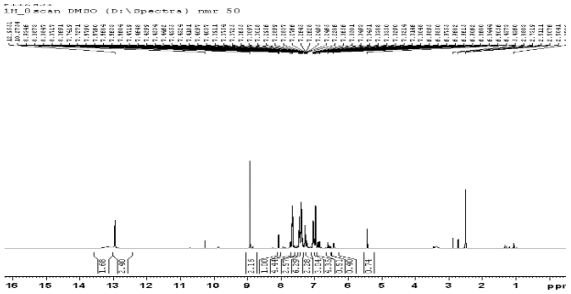


NMR analysis:

NMR study of Compound A :(δppm) 3.10 -3.18(dd, 1H, H), 3.79-3.82(dd, 1H, H), 9.4 (s , C-NH, 1H) ,5.19-5.21 (dd, 1H, H), 5.95 (s, 2H, NH2), 6.6-8.7 (m, 10 Ar-H).

NMR study of compound B and C : (δppm) 3.10 -3.18(dd, 1H, H), 3.79-3.82(dd, 1H, H), 8.9 (s , C-NH, 1H) ,5.19-5.21 (dd, 1H, H), 6.21 (s, 2H, NH_2), 7.3-7.5 (m, 10 Ar-H) , 3.2-3.9 (s, OCH_3).





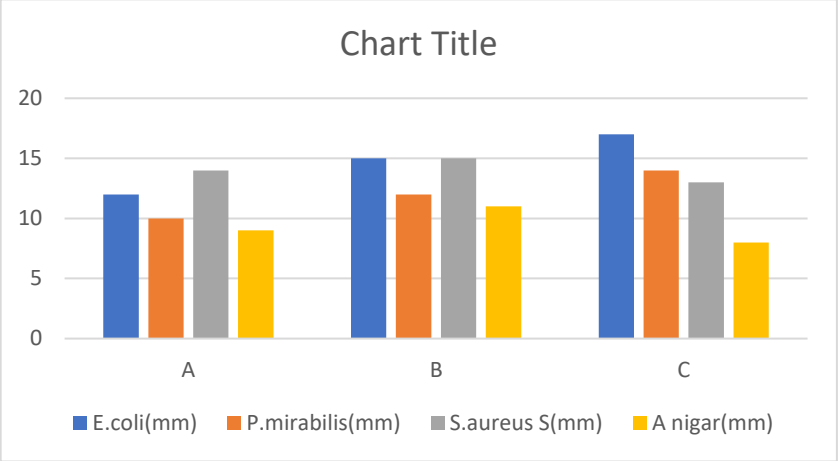
Antimicrobial Study:

Above synthesized imidazole and their derivatives have been studies for their antimicrobial activity of against Escherichia coil , 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.

Table No.2- Antimicrobial activity

Sr. No.	**Compound**	**Antimicrobial activity**			
		E.coli	***P.mirabilis***	***S.aureus S***	***A nigar***
1	2-[(*E*)-2-phenylethenyl]-2,3-dihydro-1*H*-benzimidazole **(A)**	12mm	10mm	14mm	9mm
2	(4-methoxyphenyl){2-[(*E*)-2-phenylethenyl]-1*H*-benzimidazol-1-yl}methanol **(B)**	15mm	12mm	15mm	11 mm
3	2-(hydroxy{2-[(*E*)-2-phenylethenyl]-1*H*-benzimidazol-1-yl}methyl)phenol **(C)**	17mm	14mm	13mm	8mm

Strongly active range : 15-18 mm , weakly active range : 7-10 mm Moderately active range : 11-14 mm.



CONCLUSION :
The microwave assisted synthesis of benzimidazole is more efficient than the conventional method of synthesis. Characterization of compound A, B, and C are done by uv-visible , IR , ^{1}H NMR are done as explained in result and discussion as table 1 , 2, 3. Thus from above result it was observed that the heterocyclic compound were found effective against Escherichia coil, proteus mirabilis, staphylococcus aureas, and A. Niger so all synthesized compound can easily be used for the treatment of disease caused by these above pathogen .

FUTURE SCOPE :Designing derivatives are various application in Pharmaceutical industries

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