



Antimicrobial Activity of Benzimidazoles Derivatives

N. Srinivasa Rao, K. Lakshmi, S. Mohan, V. Nagalakshmi

Abstract: The anti-microbial action of benzimidazole of ampicillin, was examined in Vitro beneath a specific conditions, utilizing the disc diffusion method, against different gram-positive and gram-negative pathogenic microorganisms such as *Bacillus cereus*, *Staphylococcus aureus* *Pseudomonas aeruginosa* and *Escherichia Coli*. An arrangement of these compounds were arranged and have appeared to hinder phthogenic development, the range of the zone of restraint. The zone of Obstacles of compounds founds from 7 mm² to 46 mm² Among the synthesized compounds in common. 6-Methoxy-N-phenyl-1H-benzo [d]imidazol-2-amine(1c, zone of inhibition 9 mm² at 40 µg/ml against *Escherichia coli*) and 6-Chloro-N-phenyl-1H-benzo [d]imidazol-2-amine (1d, zone of inhibition 18 mm² at 40 µg/ml against *Bacillus cereus*) have appeared great movement. While 1j, zone of inhibition 20 mm² at 40 µg/ml, and (1i, zone of inhibition 20 mm² at 40 µg/ml) were found to be displayed directly to great action against *Bacillus cereus* and *Escherichia coli*. 1p did not appear any movement against *Staphylococcus aureus* .1e did not appear any movement against *Escherichia Coli* and *Staphylococcus aureus*.The results have shown clearly that the contribution of electron -donation and electron- withdrawal to the aromatic ring inc reases antibacterial activity. Target benzimidazolessamples showe d antibacterial & reference antibiotic ampicillin in vitro.

Keywords: Anti-microbial, Benzimidazoles, Inhibiting zone, ampicillin

I. INTRODUCTION

Benzimidazole [1] and 2-aminobenzimidazole moieties are important compounds due to their significance showed in biological sciences and therapeutic. These molecules can be used in other applications like N-methyl-D-aspartate (NMDA) antagonist,[2] factor Xa(FXa) inhibitor,[3] poly(ADPribose) polymerase (PARP) inhibitor,[4] neuropeptide YY1 receptor antagonist, [5] non-peptide thrombin inhibitor,[6] preparation of dyes and polymers which are strong tolerance of temperature these are showing anti-inflammatory, antimicrobial and antibacterial activities also. Hence, traditional methods and C-N cross-coupling in the presence of transition metals have been developed for the preparation of benzimidazole.

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In this context, we wish to describe an efficient synthetic route for the preparation of benzimidazoles through three steps in one pot. In addition, synthesized benzimidazole compounds were screened for checking the antimicrobial activity using disc diffusion method.

II. ANTIMICROBIAL ACTIVITY

A. Preparation of Suspension of Bacteria

Two ml of 10% Sodium Chloride (0.85% w/v) were taken in the sample cell and after that closed with cotton. Wiped off with filter paper by cello tape. Sample cell were put in an autoclave for sterilization for 20lbs for 30 min. One or two colonies of microorganisms sub cultured bacteria from the bacterial plate . Colonies were soluble in 10% sodium chloride solution with stirring. The sample cell was stamped and includes more colonies in the event that required.

III. PROCEDURE FOR SENSITIVITY TEST

A. Arrangement of Muller Hinton Agar Plates

4.0 mg Muller Hinton agar media was dissolution in 120 mL refined water in 250 mL RB Flask with mixing (For the arrangement of four plates) and after that closed with cotton, Wiped off with filter paper by cello tape. Sterilization for 20 lbs for 30 min. After autoclaving, heat the 25-30 mL of media was placed on a petridish plate.. The media remains as solid in petri disk. After that, The plate was kept in incubators for drying to remove water vapor. Now Agar plate was prepared for utilize.

B. Compounds solution preparation

One mg of synthesized benzimidazoles sample soluble in dimethyl sulfoxide and polyethylene glycol in the proportion of 1:10 in the test tube vertex blending warmed. A code number is given to sample tube. With the support of the marker, the organized plate was divided into four quadrants. Every quadrant was given the same code as the test tube code containing the arrangement of the compound. One plate was once swabbing from one bacterial suspension with the help of a cotton swab. With the help of smaller scale pipette, 20-25µl arrangement of benzimidazoles compound used to be dropped on identical code of the quadrant as given on the sample cell containing an association of the benzimidazoles compound. All benzimidazoles sample plates were put in the hatchery to bring forth for 18-24hrs. The plates were seen after 18-24 hrs. In the event the particular benzimidazole compound was touchy for particular microscopic organisms.



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At that point development was found in the entire plate but where the arrangement of the compound was dropped. In the event that the particular benzimidazole compound was not touchy for particular microscopic organisms. At that point, development was found in entirety plate counting where the arrangement of the compound was dropped.

Bacillus cereus, *Staphylococcus aureus*, *Pseudomonas aeruginosa* and *Escherichia Coli* species was investigated.

C. Reference and Control

The reference was anti-microbial in nature. Ampicillin has been selected as the standard for all bacterial species. The control test comprises a plate of setting agar on to which microorganism blended in a parcel as detailed.

D. Aseptic conditions

The chamber comprises of a wooden box (2 m x 2 m x 0.5 m) the entrance washed with 75 % alcohol and illuminated with short-wave ultra violet light for one hour.

E. Antibacterial Activity

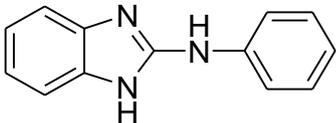
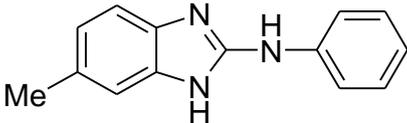
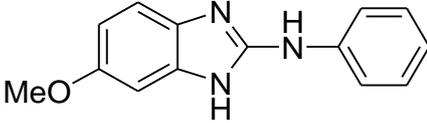
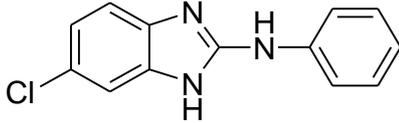
The in vitro antibacterial action of Schiff bases of ampicillin used to be inspected against gram-positive bacteria like (*Bacillus cereus* (MTCC 430), *Staphylococcus aureus* (MTCC 3160) and gram-negative bacteria (*Pseudomonas aeruginosa* (MTCC 424), and *Escherichia Coli* (MTCC 40)). Results are summarized in **Table-1** in conjunction with standard medicine.

All analogues, showed up, comparable antibacterial activity at the, estimations 250 µg/ml against all the tested strains. Results indicate that compounds 1h and 1j appeared most extreme action against *Escherichia Coli* (zone of inhibition=48 mm² and 42 mm² respectively and MIC=30 µg/ml at the dose of of Schiff 250 µg/ml) in comparison to other strains utilized by us.

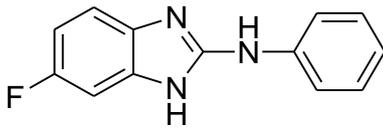
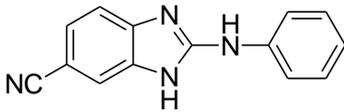
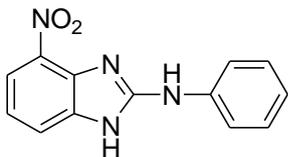
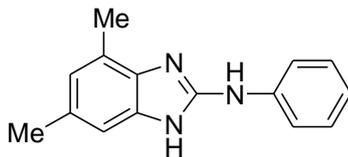
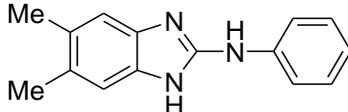
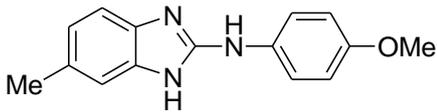
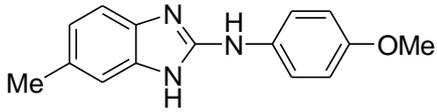
IV. RESULTS AND DISCUSSION

The results (Fig-1) of antibacterial action uncovered that most of the synthesized compounds appeared good activity against *Bacillus cereus*, *Staphylococcus aureus*, *Pseudomonas aeruginosa*, and *Escherichia Coli*. In general **1g** and **1j** have appeared great movement against chosen strains, while **1i**, and **1j** were found to be shown directly to great activity against *Staphylococcus aureus* and *Bacillus cereus*. Shockingly 1h did not appear any activity against *Escherichia Coli* and *Bacillus cereus*. **1e** did not appear any activity against *Bacillus cereus* and *Escherichia Coli*. The results clearly appeared that the commitment of electron donating and electron withdrawing groups on aromatic ring increasing the antibacterial activity.

Table 1: Physicochemical properties of the benzimidazoles (1-a to 1-k)

S. No.	Code	Structure of Compound	M F	M. W	M P	% Yield	Elemental Analysis (%)		
							C	H	N
1.	1-a		C ₁₃ H ₁₁ N ₃	209.25	95-96	96	74.62	5.30	20.08
2.	1-b		C ₁₄ H ₁₃ N ₃	223.27	146-147	92	75.31	5.87	18.82
3.	1-c		C ₁₄ H ₁₃ N ₃ O	239.27	132-133	95	70.28	5.48	17.56
4.	1-d		C ₁₃ H ₁₀ ClN ₃	243.69	104-105	83	64.07	4.14	17.24



5	1-e		$C_{13}H_{10}FN_3$	227.24	17.24	70	68.71	4.44	18.49
6	1-f		$C_{14}H_{10}N_4$	234.26	119-120	62	71.78	4.30	23.92
7	1-g		$C_{13}H_{10}N_4O_2$	254.24	130-131	55	61.55	3.93	21.98
8	1-h		$C_{15}H_{15}N_3$	237.30	94-95	82	76.00	6.35	17.65
9	1-i		$C_{15}H_{15}N_3$	237.30	95-96	87	76.01	6.34	17.65
10	1-j		$C_{15}H_{15}N_3$	237.30	144-145	95	76.00	6.35	17.65
11	1-k		$C_{15}H_{15}N_3O$	253.30	131-132	83	71.25	5.95	16.54

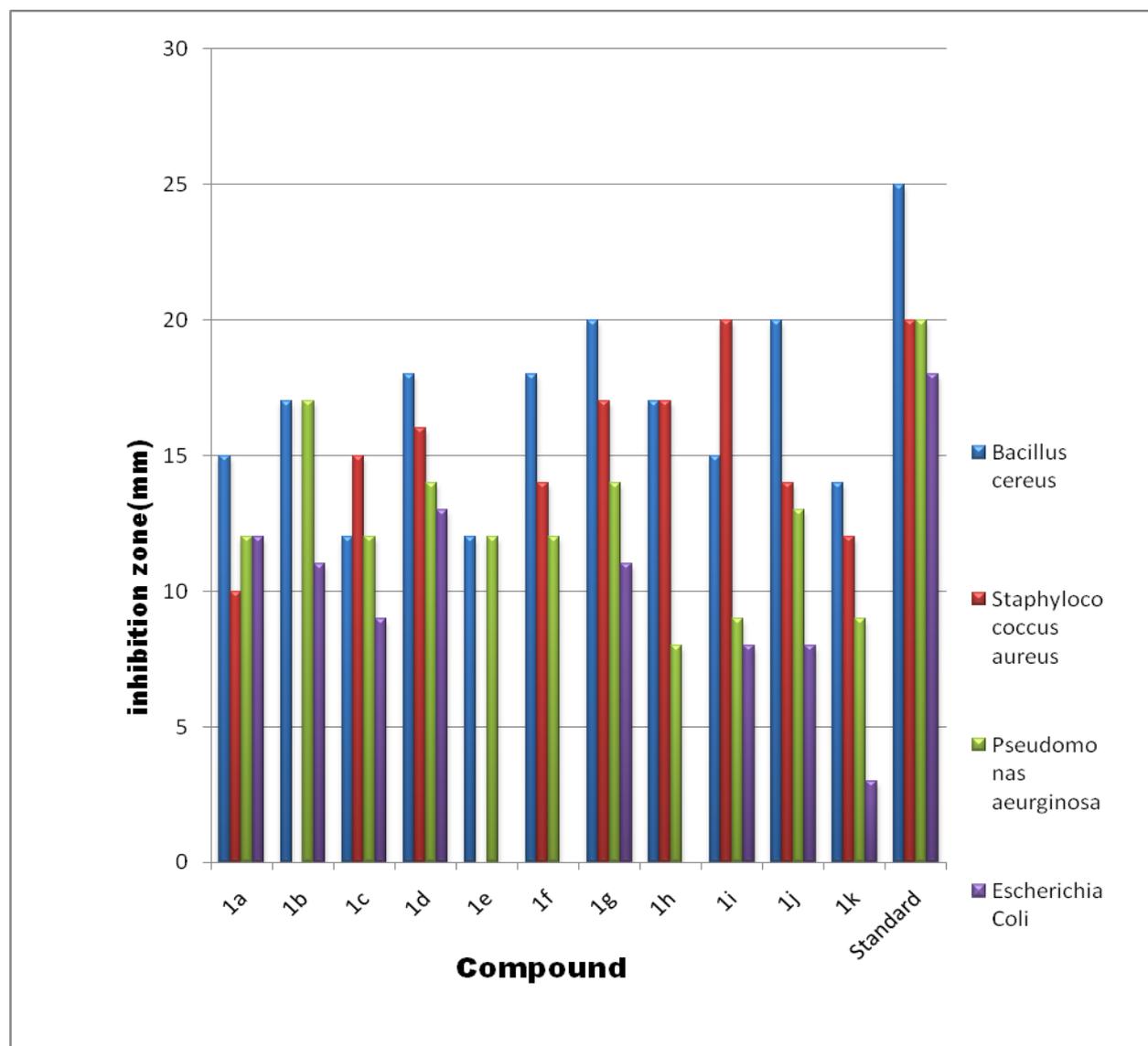


Fig-1. Comparison of antibacterial activities of selected benzimidazoles

V. CONCLUSION

Anti-microbial activity was performed on all synthesized compounds. Compound 1-g showed good activity against *Bacillus cereus* (MTCC 430), *Staphylococcus aureus* (MTCC 3160), *Pseudomonas aeruginosa* (MTCC 424) and; Compound 1-i showed good activity against, *Staphylococcus aureus* (MTCC 3160), and *Bacillus cereus* (MTCC 430). Compounds 1-a, 1-b 1-d, 1-g, 1-i, and 1-k and exhibited promising antibacterial activity against all the selected bacterial strains at 250 µg/ml dose.

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