CODEN (USA): IAJPBB ISSN: 2349-7750

INDO AMERICAN JOURNAL OF
PHARMACEUTICAL SCIENCES

Available online at: http://www.iajps.com Research Article

ANTI-MICROBIAL ACTIVITY OF SOME HETEROCYCLIC SULPHONAMIDE DERIVATIVES

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Abstract:

In the present study, some heterocyclic sulphonamide derivatives were synthesized by using condensation reaction.The synthesized and characterization of 4-((phenyl(2-phenylimidazo[4,5-b]indol-3(4H)-yl)methyl)amino)benzenesulfonamidederivatives has been sent for the publication in the International journal of pharma research and health sciences. All the synthesized compounds were characterized by UV, IR, ^{1}H NMR, and mass spectroscopy. The synthesized compounds were screened for their in-vitro anti-bacterial activity against Staphylococcus aureus, Staphylococcus epidermidis, Escherichia coli, Klebsiella pneumonia and in-vitro anti-fungal activity against Candida albicans, Aspergillus niger by agar well diffusion method.All the compound were found to possess significant anti-microbial activity.The compounds DD4,DD5,DD6 showed potent anti-bacterial activity compared with standard drug Amikacin and the compounds DD1,DD2,DD3 showed potent anti-fungal activity compared with standard drug ketoconazole.

Key Words: *Sterile petriplates , ,ketoconzole, Amikacin, sterilized petri dishes, DMSO.*

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Please cite this article in press as Niraimathi and Durgadevi, ***Anti-Microbial Activity of Some Heterocyclic Sulphonamide Derivatives****, Indo Am. J. Pharm. Sci, 2016; 3(3).*

INTRODUCTION:

Antibacterial sulphonamides are the first effective chemotherapeutic agents used for bacterial infection in humans. Sulphonamides are used in the treatment of tonsillitis, septicemia, meningococcal meningitis, bacillary dysentery and number of infections of urinary tract [3]. Sulfonamides (sulfa drugs) were the first drugs largely employed and systematically used as preventive and chemotherapeutic agents against various diseases. Some important sulfonamide derivatives used as carbonic anhydrase inhibitors They are also effective for the treatment of urinary, intestine,and ophthalmic infections, scalds, ulcerative coliti, rheumatoid arthritis. More recently, sulfonamides are used as an anticancer agents [1,5].

MATERIALS AND METHODS:

The synthesized compounds were screened for their *in-vitro* anti-bacterial activity against *Staphylococcus aureus and Staphylococcus epidermidis, Escherichia coli, Klebsiella pneumonia* and *in-vitro* anti-fungal activity against *Candida albicans, Aspergillus niger*, by agar well diffusion method. It was evaluated by measuring the zone of inhibition in mm.

Anti-Bacterial Activity

The antibacterial activities of the synthesized compounds were studied by well diffusion method.All the compounds were used in the concentration of 100,200 µg/mL using DMSO as solvent. Amikacin was used as standard.

Preparation of Antibacterial Solution:

All the test compounds were dissolved in dimethyl sulfoxide and taken at two concentration for testing antibacterial activity such as 100µg/mL and 200µg/mL.

Experimental Method

Agar Well Diffusion Method:

The agar well diffusion method was employed for the determination of antimicrobial activity of the newly synthesized compounds. About 20 mL of Muller Hinton agar medium for bacteria was poured into sterilized petri dishes and allowed to solidify. The agar medium was spread with 24hrs cultured 108 CFU/ml of microbial strains by a sterilized rod. The well (6mm in diameter) was made in the agar plates using a sterilized cork borer. About 100,200µl of the sample were poured into the well using a sterile micropipette. Amikacin (30µgm/ml) were used as reference drug, and solvents ethanol, ethyl acetate, water were used as positive control for the assay. Then the plates were incubated at 37±2°C for 24 hours for bacterial activity. The plates were observed for the zone formation around the wells. The zone of inhibition was calculated by measuring the diameter of the inhibition zone around the well (in mm) including the well diameter [2, 4].

Anti-Fungal Activity

The antifungal activities of the synthesized compounds were studied by agar well diffusion method. All the compounds were used in the concentration of 100,200 µg/mL using DMSO as solvent. Ketoconzole was used as standard.

Preparation Of Anti Fungal Solution:

All the test compound were dissolved in dimethyl sulfoxide and taken at two concentration for testing antifungal activity such as 100µg/mL and 200µg/mL.

Experimental Procedure:

Agar Well Diffusion Method:

The newly synthesized compounds were screened for their antifungal screening using agar well diffusion method. The antifungal activities of test compounds were evaluated against *Aspergillus brasiliensis, Candida albicans.* About 20 mL of Muller Hinton agar medium for potato dextrose agar for fungus was poured into sterilized petri dishes and allowed to solidify. The agar medium was spread with 24hrs cultured 108 CFU/mL of microbial strains by a sterilized rod. The wells (6mm in diameter) were made in the agar plates using a sterilized cork borer. About 100, 200,µl of the sample were added into the well using a sterile micropipette. ketaconazole (30µgm/mL) was used as reference drug, and the solvents ethanol, ethyl acetate, water were used as positive control for the assay. Then the plates were incubated at 37±2°C for 48 hours for fungal activity. The plates were observed for the zone formation around the wells. The zone of inhibition was calculated by measuring the diameter of the inhibition zone around the well (in mm) including the well diameter[2,4].

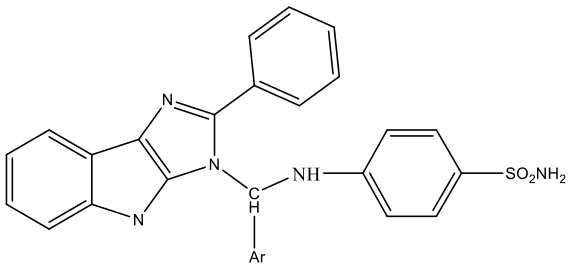
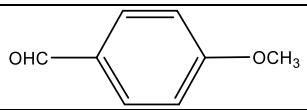
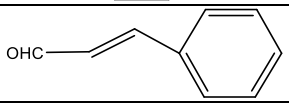
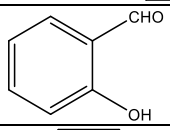
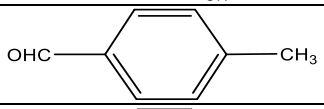
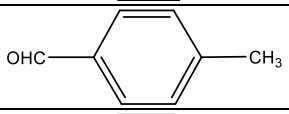
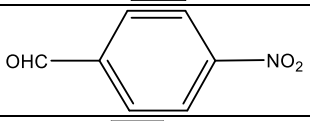
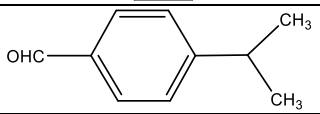


Table 1: Different Aromatic Aldehydes

Compound code	Ar
DD1	
DD2	
DD3	
DD4	
DD5	
DD6	
DD7	

Anti-bacterial activity

Table 2: Zone of Inhibition of Synthesized Compounds against Bacteria

Compound Code	*Staphylococcus Epidermidis*		*Staphylococcus Aureus*		*Klebsiella Pneumoniae*		*Escherichia Coli*	
	100µg/mL	**200µg/mL**	**100µg/mL**	**200µg/mL**	**100µg/mL**	**200µg/mL**	**100µg/mL**	**200µg/mL**
DD1	10	12	9	10	11	13	8	13
DD2	11	13	5	7	9	11	6	9
DD3	8	11	12	8	10	12	R	14
DD4	6	8	8	6	7	10	9	12
DD5	5	10	10	13	6	10	8	13
DD6	7	10	R	10	11	12	7	10
DD7	8	12	11	14	10	13	6	11
CONTROL	R	R	R	R	R	R	R	R
STD	17	17	17	17	17	17	17	17

All the measurement in mm R= Resistant Control= DMSO Std= Amikacin

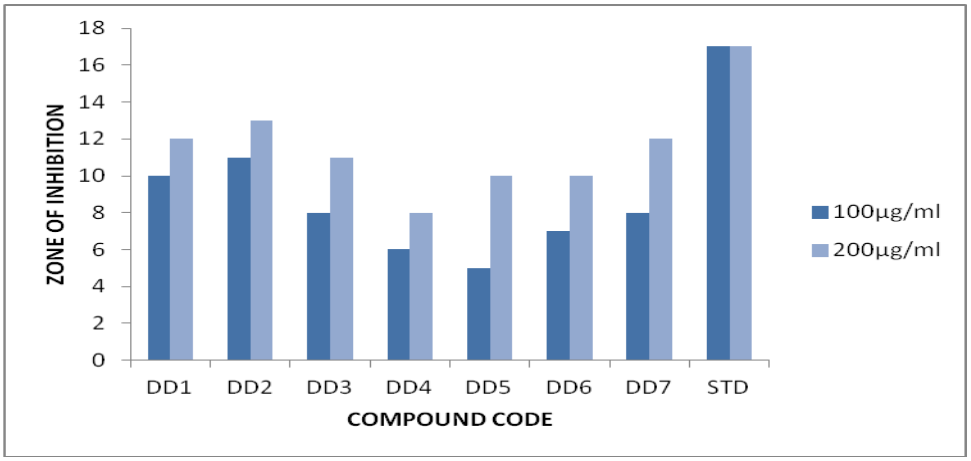


Fig 1: Antibacterial activity of synthesized compound against *Staphylococcus epidermidis*

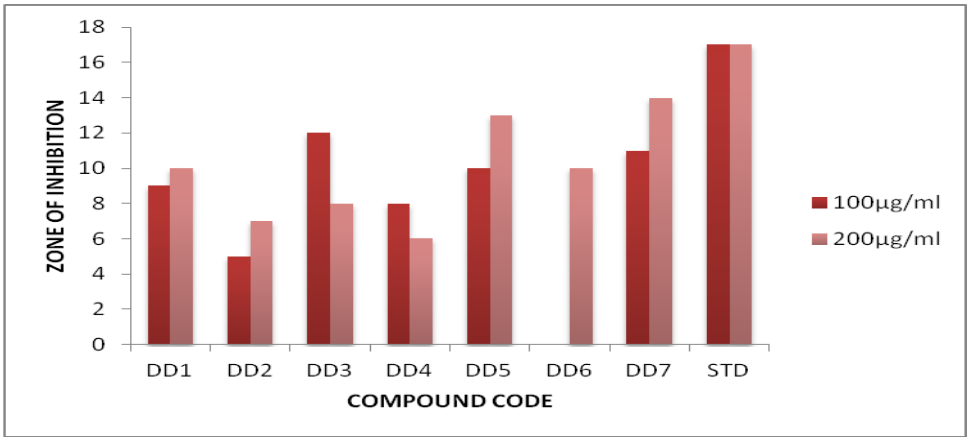


Fig 2: Antibacterial activity of synthesized compound against *Staphylococcus aureus*

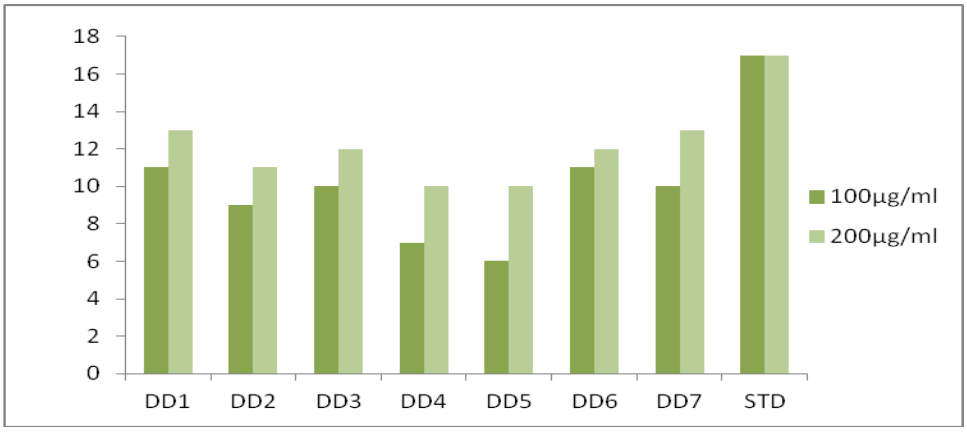


Fig 3: Antibacterial activity of synthesized compound against *Klebsiella pneumoniae*

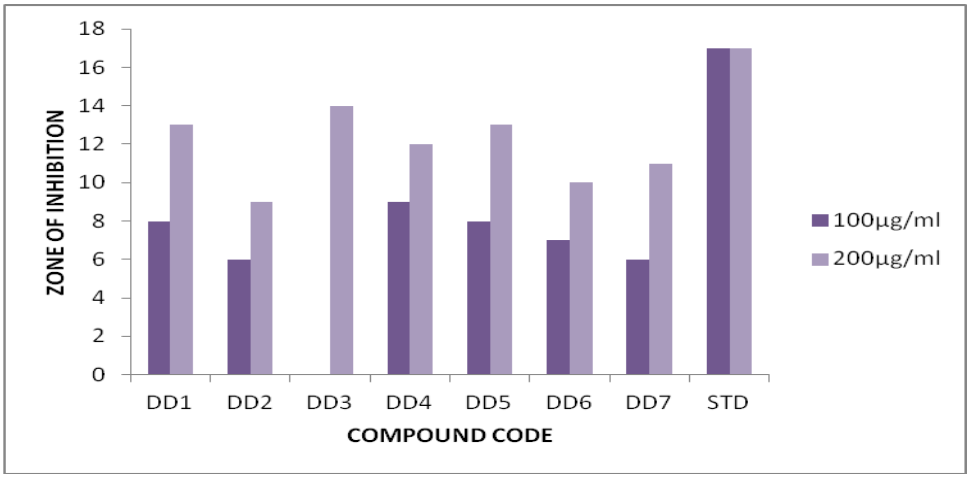


Fig 4: Antibacterial activity of synthesized compound against *Escherichia coli*

Anti-fungal activity

Table 3: Zone of inhibition of synthesized compounds against fungal

Compound Code	*Candida albicans*		*Aspergillus brasiliensis*	
	100µg/mL	200µg/mL	100µg/mL	200µg/mL
DD1	10	16	12	15
DD2	13	13	10	13
DD3	12	14	13	12
DD4	12	11	8	11
DD5	8	9	12	14
DD6	10	14	10	12
DD7	10	15	11	16
CONTROL	R	R	R	R
STD	15	15	15	15

All the measurement in mm R= Resistant Control= DMSO Std= Ketoconazole

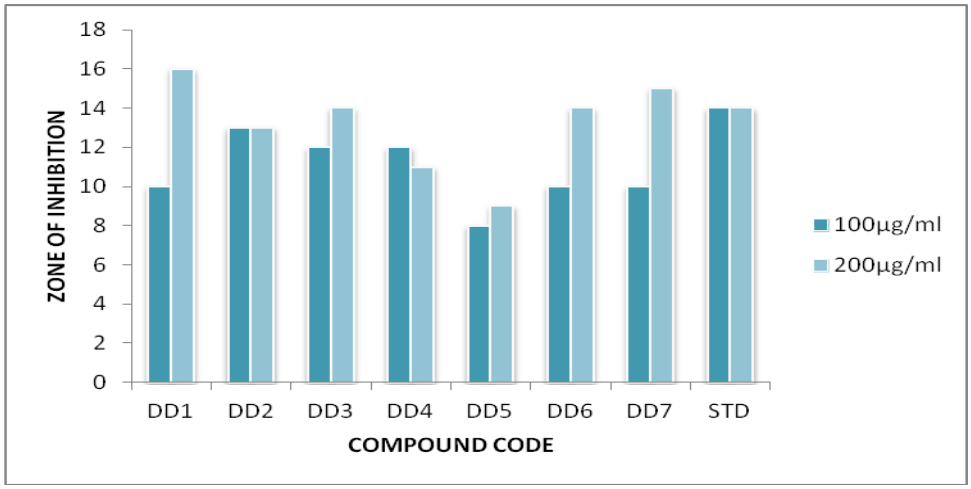


Fig 5: Antifungal activity of synthesized compound against *Candida albicans*

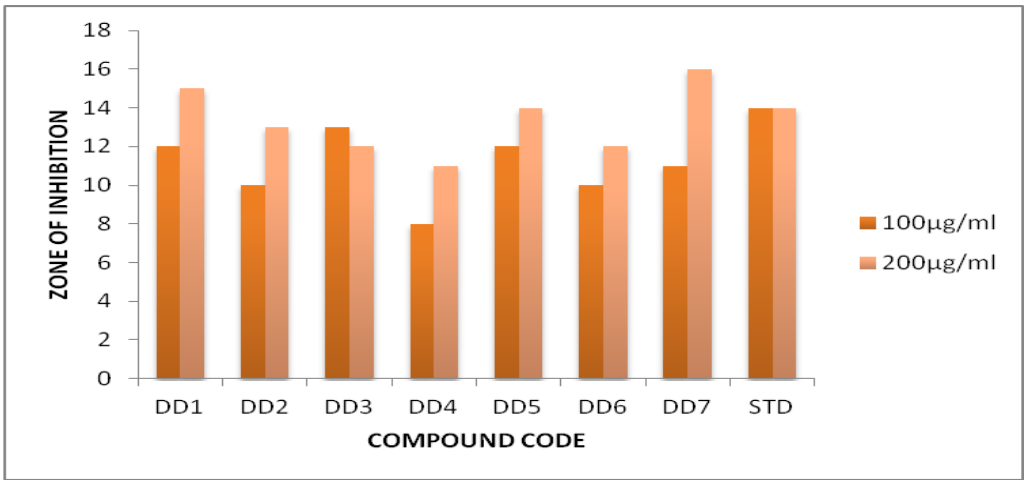


Fig 6: Antifungal activity of synthesized compound against *Aspergillus brasiliensis*

RESULTS AND DISCUSSION:

The antibacterial activities of synthesized compound were determined against *Staphylococcus aureus,Staphylococcus epidermidis, Escherichia coli, Klebsiella pneumoniae.* and compared with the standard amikacin.

All the synthesized compounds were found to exihibit moderate to good *in-vitro* antibacterial activity. The comparative study on the zones of inhibition was done by the agar diffusion method. The **compounds DD4,DD6,DD7** showed potent activity, **DD2,DD3** shows moderate activity and **DD5,DD1** showed poor antibacterial activity against *Staphylococcus aureus, Staphylococcus epidermidis.* and *Escherichia coli, Klebsiella pneumonia when* compared to standard amikacin.

The antifungal activities of synthesized compound were determined against *Aspergillus brasiliensis,Candida albicans* and compared with the standard Ketaconazole.

All the synthesized compounds were found to exihibit moderate to good *in-vitro* antibacterial activity. The comparative study on the zones of inhibition was done by the agar diffusion method. The **compounds DD1,DD2,DD3** showed more potent activity, **DD6,DD7** showed moderate activity and **DD4,DD5** showed poor antifungal activity against *Aspergillus brasiliensis,Candida albicans* when compared to standard ketaconazole.

CONCLUSION:

In the current study, some heterocyclic sulphonamide derivatives were synthesized by conventional method assisted by microwave technique. The newly synthesized compounds were screened for their antimicrobial activity.It was observed that the compounds DD4, DD6, DD7 showed significant anti-bacterial activity against *Staphylococcus aureus, Staphylococcus epidermidis.* and *Escherichia coli, Klebsiella pneumonia* and DD1, DD2, DD3 showed significant anti-fungal activity aginst *Aspergillus brasiliensis,Candida albicans* by using agar well diffusion method. . Ketoconazole and Amikacin was used as standard.

ACKNOWLEDGEMENT:

The authors are thankful to Bose clinical laboratory, Madurai and Department of pharmaceutical chemistry, Madurai medical college, Madurai for providing the facilities to carry out this study.

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