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Research Article

SYNTHESIS OF SULFONAMIDE BASED SCHIFF'S BASES AND THEIR BIOLOGICAL EVALUATION TOWARDS *COLLETOTRICHUM GLOEOSPORIOIDES*

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ABSTRACT

The purpose of research was to synthesize the better antifungal compounds, different substituted aromatic aldehydes are chosen as the starting materials for the synthesis of Schiff's bases with sulfonamides in presence of alcohol and acidic reagent. The structures of synthesized compounds were confirmed by HRMS spectral analysis data. The derivatives were subjected to *Colletotrichum gloeosporioides* spore germination to evaluate their biological activity.

Keywords: Schiff's bases derivatives, Microwave irradiation, *Colletotrichum gloeosporioides* studies, Sulfonamides, Synthesis.

INTRODUCTION

Schiff bases are the significant compounds owing to their wide range of biological activities and industrial applications. They have been found to have the pharmacological deeds such as antimalarial, antibacterial, antifungal, anticancer, antimicrobial activity[1-8], antitubercular, anti-inflammatory, anti-inflammatory activity[9-10], antitumor activity[11], antikinetoplastid antimitotic activity[12] and anticonvulsant activity[13]. Schiff bases are the compounds containing azomethine group (-HC=N-) formed by condensation of a primary amine with an active carbonyl compound[14]. Sulfonamide derivatives have been subject to thorough studies where a wide diversity of those derivatives have been prepared and used in various biological and pharmacological fields. Schiff bases are among the most studies sulfonamide derivatives which have been used for several biological application[15-16]. These types of derivatives are very important because of their varied structures and biological activities[17-19]. The present work is oriented towards synthesis of some Schiff bases of sulfonamides by condensing 4-amino benzene sulfonamide[20], 2-amino benzene sulfonamide with different aromatic aldehydes in the presence of glacial acetic acid and ethanol at 50-60°C (Scheme 1). The *Colletotrichum gloeosporioides* spore germination[21] activity of these compounds was evaluated.

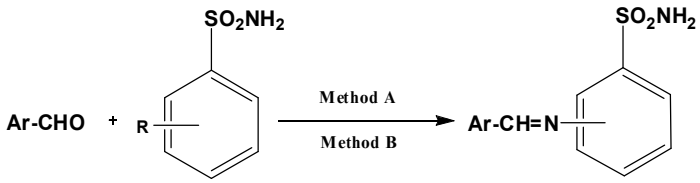
MATERIALS AND METHODS

General procedure for the synthesis of Schiff's bases 1a-1j: (Method A)

Equimolar (0.01 M) quantities of 2-amino benzene sulfonamide and substituted aromatic aldehydes were dissolved in minimum amount of ethanol. Glacial acetic acid (2 ml) was added and refluxed for about 6-8 hours then cools to room temperature and the content was poured on crushed ice. The crystalline product was collected through filtration and then dried in an oven at 80°C, dried and recrystallized.

General procedure for synthesis of Schiff's base 2a-2j: (Method B)

The Schiff base was prepared by reaction of equimolar (0.01 M) of 4-amino benzene sulfonamide and substituted aromatic aldehydes were transferred to a clean and dry Teflon vessel, and triturated to form uniform mixture, then addition a drops of ethanol. This mixture was subjected to MW irradiation for 0.5-1 min at 400 watt power. After cooling, the formed crystals were filtered off, washed with several time of ethanol, dried and re-dissolved in ethanol for recrystallization and then dried to give a product.



R= 4-Amino
2-Amino

1a-1j
2a-2j

Scheme-1: Synthesis of Schiff's base

Where Ar is

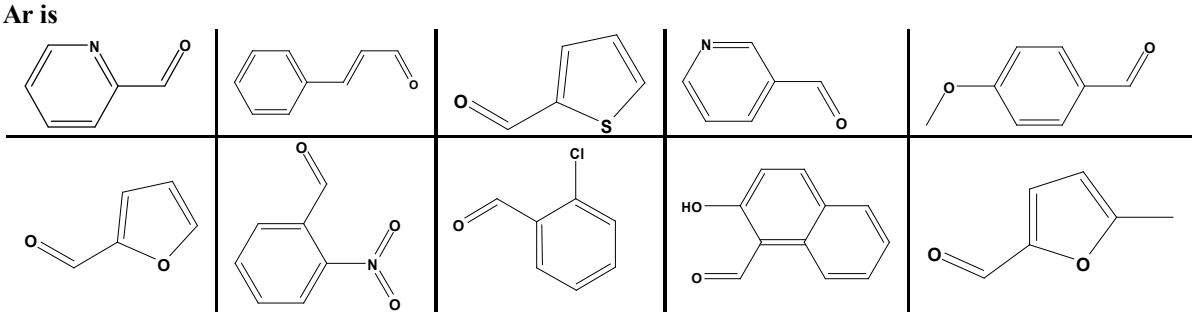


Table 1: Physical and analytical data of compounds

Code	Ar. *	R	Mol. Formula
1a	pyridine-2-aldehyde	4-Amino	$C_{12}H_{11}N_3O_2S$
1b	cinnamaldehyde		$C_{15}H_{14}N_2O_2S$
1c	thiophen-2-carbaldehyde		$C_{11}H_{10}N_2O_2S_2$
1d	pyridine-3-aldehyde		$C_{12}H_{11}N_3O_2S$
1e	4-Methoxy BD		$C_{14}H_{14}N_2O_3S$
1f	furfural		$C_{11}H_{10}N_2O_3S$
1g	2-NO_2 BD		$C_{13}H_{11}N_3O_4S$
1h	2-Cl BD		$C_{13}H_{11}ClN_2O_2S$
1i	2-OH-1- ND		$C_{17}H_{14}N_2O_3S$
1j	5-methyl furfural		$C_{12}H_{12}N_2O_3S$
2a	pyridine-2-aldehyde	2-Amino	$C_{12}H_{11}N_3O_2S$
2b	cinnamaldehyde		$C_{15}H_{14}N_2O_2S$
2c	thiophen-2-carbaldehyde		$C_{11}H_{10}N_2O_2S_2$
2d	pyridine-3-aldehyde		$C_{12}H_{11}N_3O_2S$
2e	4-Methoxy BD		$C_{14}H_{14}N_2O_3S$
2f	furfural		$C_{11}H_{10}N_2O_3S$
2g	2-NO_2 BD		$C_{13}H_{11}N_3O_4S$
2h	2-Cl BD		$C_{13}H_{11}ClN_2O_2S$
2i	2-OH-1- ND		$C_{17}H_{14}N_2O_3S$
2j	5-methyl furfural		$C_{12}H_{12}N_2O_3S$

Note*: BD=Benzaldehyde, ND=Naphthaldehyde

FUNGAL CULTURE

C. gloeosporioides was isolated from the upper surface of infected mango and cultured using potato dextrose agar (PDA) medium at 25°C.

Screening of synthesized compounds

Compounds of 100µm concentration solution were prepared and tested in *in-vitro* against *C. gloeosporioides* spore germination. Inhibition of spore germination was examined by spreading 100 μL of *C. gloeosporioides* spore suspension (10^5 spores/mL) on PDA plates containing each synthesized compound. Sterile distill water were served as positive and negative controls, respectively. Plates were incubated at 30◦C and monitored for 7 days.

Table 2: Effect of Schiff bases compounds on *Colletotrichum gloeosporioides* spores germination

Compound Code	Conc. of compound	% of germination	% Inhibition	Compound Code	Conc. of compound	% of germination	% Inhibition
control	**sterile water**	**85**	**0.00%**	**control**	**sterile water**	**85**	**0.00%**
1a	100µM	0	**100.00%**	2a	100µM	80	**5.90%**
1b	100µM	0	**100.00%**	2b	100µM	78	**8.20%**
1c	100µM	0	**100.00%**	2c	100µM	0	**100.00%**
1d	100µM	0	**100.00%**	2d	100µM	10	**88.20%**
1e	100µM	0	**100.00%**	2e	100µM	80	**5.90%**
1f	100µM	6	**92.9%**	2f	100µM	81	**4.70%**
1g	100µM	0	**100.00%**	2g	100µM	78	**8.20%**
1h	100µM	0	**100.00%**	2h	100µM	65	**11.10%**
1i	100µM	0	**100.00%**	2i	100µM	82	**3.50%**
1j	100µM	12	**85.90%**	2j	100µM	75	**9.90%**

RESULTS AND DISCUSSION

In this study, around twenty sulfonamide Schiff bases are synthesized with structural diversity and the conformational analysis was done for all the synthesized compounds by MS and HRMS. All the synthesized compounds were screened for *Colletotrichum gloeosporioides* spores germination activity at concentrations of 100µM. The results of preliminary bioassay indicated that 1a, 1b, 1c, 1d, 1e, 1g, 1h, 1i and 2c exhibited very good activity, 1f, 1j; 2d showed good activity; 2h showed moderate activity and 2a, 2b, 2e, 2f, 2g, 2i and 2j showed less activity against *Colletotrichum gloeosporioides* spores germination. (Results were showed in table 1). Results are indicating that mostly but overall 4-amino benzene sulfonamides derivatives exhibited good activity comparative to 2-amino benzene sulfonamides derivatives against *C. gloeosporioides.*

CONCLUSION

Sulfonamide Schiff's bases were synthesized and the evaluation of their biological activities towards *Colletotrichum gloeosporioides* spores germination indicating that some of the synthesized compounds can be taken into further studies to evaluate the leads of potential antifungal agents.

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