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Synthesis and antimicrobial activity of Chalcone Imines

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ABSTRACT

Chalcone imines are the phenolic Schiff bases which are formed when chalcone condenses with substituted aniline. In the present communication we report the synthesis substituted chalcone imines and its antimicrobial activity against pathogenic bacteria and fungi. Sensitivity carried out by disc diffusion method displayed significant antibacterial and antifungal activity.

Key words: Chalcone imines, Antimicrobial activity.

INTRODUCTION

Schiff bases have been reported to have biochemical and biological activities such as antiviral[1], anticancer[2,3], antimicrobial[4] and antibacterial[5]. Anticancer Schiff bases have been synthesised by condensation of aniline with substituted benzaldehyde.[6] Schiff bases like chalcone imines have been reported to exhibit antimicrobial properties.[7]

Preparation of 2'-hydroxy substituted[8,9,10] chalcone imine have been reported. Synthesis of 2'-hydroxy-3'-Nitro-5-chloro-4-substituted-N-(substituted phenyl) chalcone imine have been recently reported.[11] 2-Hydroxy chalcones and 2-hydroxy substituted chalcone are prepared by known methods.[12]

Chalcone condenses with substituted aniline in ethanol in presence of 2,3 drops of concentrated H_2SO_4 to give chalcone imine.

The synthesized chalcone imines were screened for their antimicrobial activity against bacteria like *Escherichia coli, Klebisella pneumoniae, Salmonella typhi, Salmonella typhymurium, Shigella flexneri, Proteus spp, Protues merabilis, Proteus vulgaris, Pseudomonas aeruginosa, Aerobacter aerogenes, Staphylococcus aureus and Bacillus spp*[13,14] and fungal isolates like *Cryptococcus neoformans, Candida albicans, Trichophyton mentagrophytes, Microsporum gypseum, Mucor, Rhizopus, Aspergillus niger, Aspergillus flavus and Aspergillus fumigatus*[15] by disc diffusion method[16] by dissolving the compounds in methanol[17]

EXPERIMENTAL

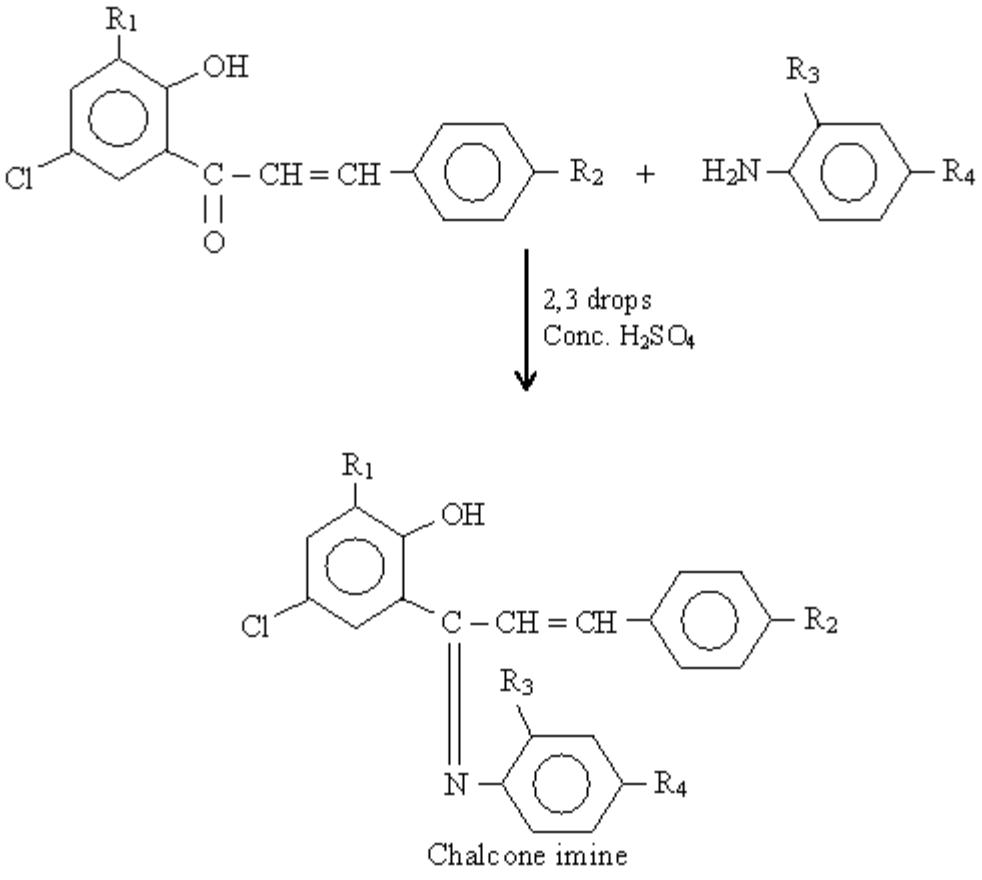
Preparation of 2'-hydroxy-3'-bromo-5'-chloro-4-methoxy-N-(para tolyl) chalcone imine

2'-Hydroxy-3'-bromo-5'-chloro-4-methoxy chalcone condenses with p-toludene in ethanol in presence of 2,3 drops of conc. H_2SO_4 gives 2'-hydroxy-3'-bromo-5'-chloro-4-methoxy-N-(para tolyl) chalcone imine m.p. 146°C, yield 72%.

All the compounds stated in table 1 were tested in vitro for antimicrobial activity by disc

Table 1: The other chalcone imines prepared are tabulated

Compound No.	R_1	R_2	R_3	R_4	m.p. (°C)	Yield (%)
IIIa	Br	OCH_3	H	CH_3	146	72
IIIb	Br	H	H	CH_3	168	70
IIIc	Br	OCH_3	NO_2	H	204	70
IIId	Br	H	NO_2	H	115	75
IIIe	Br	H	H	H	120	72
IIIf	H	H	H	H	120	72
IIIg	H	H	H	CH_3	330	70
IIIh	H	OCH_3	H	CH_3	330	72
IIIi	H	OCH_3	NO_2	H	205	70
IIIj	H	H	NO_2	H	115	74



Scheme 1

Table 2: Bacteria showing sensitivity to chalcone imine (Sensitivity measured in mm)

Compd.	*Escherichia coli*	*Klebisellan Pneumoniae*	*Salmonella typhi*	*Salmonella typhimurium*	*Shigella flexneri*	*Proteus spp.*	*Proteus merabilis*	*Proteus vulgaris*	*Pseudo-monas aeruginosa*	*Aerobacter aerogenes*	*Staphyl-ococcus aureus*	*Bacillus* spp.
IIIa	9	10	8	8	10	12	12	12	7	12	10	11
IIIb	10	12	9	8	11	8	9	8	-	10	-	9
IIIc	8	9	7	7	9	10	9	10	-	10	-	10
IIId	-	-	7	-	-	-	12	8	-	7	8	8
IIIe	13	10	12	11	-	7	10	8	12	8	-	9
IIIf	7	8	12	15	12	-	7	11	10	7	-	7
IIIg	7	7	-	9	10	8	7	9	-	8	-	8
IIIh	10	10	9	7	8	9	8	7	-	8	-	8
IIIi	8	8	-	12	17	7	9	8	8	14	-	8
IIIj	10	10	7	7	-	7	7	7	-	10	-	10

Table 3: Fungi showing sensitivity to chalcone imine (Sensitivity measured in mm)

Compd.	*Cryptococcus neoformans*	*Candida albicans*	*Trichophyton mentagrophytes*	*Microsporum gypseum*	*Mucor*	*Rhizopus*	*Aspergillus niger*	*Aspergillus flavus*	*Aspergillus fumigatus*
IIIa	8	9	-	-	11	8	-	-	10
IIIb	9	11	7	8	-	9	-	-	-
IIIc	10	10	11	8	-	7	-	-	9
IIId	10	-	-	-	9	9	-	-	-
IIIe	7	-	-	9	8	7	-	-	-
IIIf	9	7	10	10	11	9	-	-	-
IIIg	8	8	7	-	-	-	-	-	-
IIIh	-	7	10	8	8	8	-	-	10
IIIi	7	8	12	12	9	9	-	-	-
IIIj	10	7	12	9	10	10	-	-	10

diffusion method by dissolving the compounds in methanol at a concentration of 3000 mg/ml. The concentration of compounds per disc was 30 mg.

RESULTS AND DISCUSSION

Most of the compounds showed significant antibacterial activity as stated in table 2 and 3.

The chalcone imines IIIa, IIIb, IIIe, IIIf and IIIi showed highest antibacterial activity. It was seen that the presence of -OCH_3 group invariably increased the antibacterial activity of chalcone imines.

The compounds displayed good level of fungicidal activity against all fungi except Aspergillus species

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