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Synthesis and antimicrobial studies of some novel chalcones

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ABSTRACT

Some new chalcones were reported, synthesized by condensing variety of substituted ketones and aldehydes, using 40% alkali within few minutes at room temperature. These compounds were confirmed by spectral analysis data and further tested for antimicrobial activity. Some of the compounds exhibited moderate to good antimicrobial activity.

Key words: Aldehydes, ketones, chalcones, antimicrobial activity.

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INTRODUCTION

Varities of chalcones were synthesized in the previous years by different researchers but the wide range utilities of chalcones and its later compounds attracted the chemists. Chalcones exhibits variety of biological activities such as anti-inflammatory [1], anticancer [2], antimalarial [3], antimicrobial [4], cytotoxic [5], antiviral [6] and cardiovascular [7].

With this approach we synthesized here the variety of novel chalcones using substituted ketones and aldehydes in the presence of 40% alkali at room temperature.

The synthesized compounds were checked for their antimicrobial studies and some of them showed moderate to good antimicrobial activity.

MATERIALS AND METHODS

Experimental

Melting points are determined by open capillary method and are uncorrected. Purity of compound is checked by TLC. IR spectra recorded on FTIR shimadzu spectrometer, ^{1}HNMR spectra recorded in DMSO on Avance 300 MHz spectrometer (TMS). Mass spectra recorded on V97070H mass spectrometer a 70 eV.

Typical procedure for synthesis of chalcones:

To a mixture of ketone (0.01 mol) and aldehyde (0.01 ml) in 30 ml ethanol was added 40% of NaoH (5 ml). The reaction mixture was stirred for few minutes, then after completion of reaction (TLC), the reaction mixture is poured in ice cold water, solid obtained washed with water and recrystallized in ethanol.

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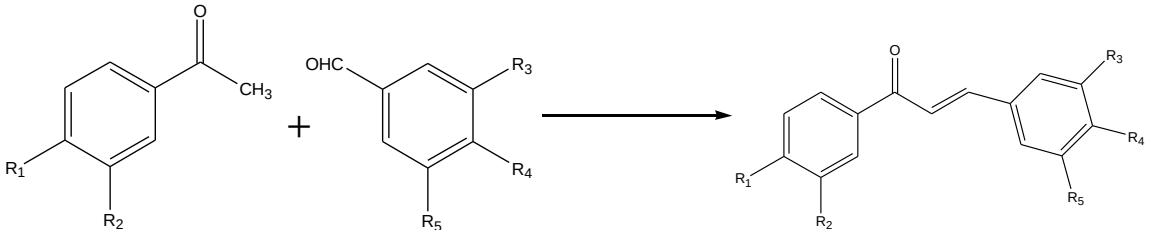

Scheme I

I	- R_1= OMe	R_2= F	R_3= Br		R_4= OMe		R_5= H	
II	- R_1= OMe	R_2= F	R_3= H	R_4= F		R_5= H		
III	- R_1= OMe	R_2= F	R_3= H	R_4= OH		R_5= H		
IV	-R_1= OMe	R_2= F	R_3= OH	R_4= NO_2		R_5= H		
V	-R_1= H	R_2= Br	R_3= H	R_4= NO_2	R_5= OH			
VI	-R_1= H	R_2= Br	R_3= H		R_4= F		R_5= H	
VII	-R_1= H	R_2= Br	R_3= Br		R_4=OMe	R_5= H		
VIII	-R_1= OMe	R_2= H	R_3= OH	R_4=NO_2		R_5= H		
IX	-R_1= Br	R_2= H	R_3= Br		R_4=OMe	R_5= H		
X	-R_1= Br	R_2= H	R_3= OH	R_4=NO_2		R_5=H		

3-(3-Bromo-4-methoxy-phenyl)-1-(3-fluoro-4-methoxy-phenyl)-propenone (I)

IR (KBr): 1730 (CO), 1630 (CH=CH) , 1180 (OCH3) ^{1}HNMR: (DMSO) δ 3.92 (s,3H,OCH_3), δ 3.96 (s,3H,OCH_3), δ 7.2 (d,1H,H_α), δ 8.0 (d,1H,H_β), δ 7.3-8.3 (m,6H,Ar-H) ,M.S.(m/z): 364.94(m) , 367.08 (m+2) .

1-(3-Fluoro-4-methoxy-phenyl)-3-(4-hydroxy-phenyl)-propenone (III)

IR (KBr): 1735 (CO), 1633 (CH=CH), 1175 (OCH3) ^{1}HNMR: (DMSO) δ 3.92 (s,3H,OCH_3), δ 6.8 (d,1H,H_α), δ 7.3-8.0 (m,7H,Ar-H),δ 8.1 (d,1H,H_β), δ 10.1(s,1H,OH) ; M.S. (m/z): 272.08 (m) 273.05 (m+1)

3-(3-Hydroxy-4-nitro-phenyl)-1-(4-methoxy-phenyl)-propenone (VIII)

IR (KBr): 1720 (CO), 1625 (CH=CH) 1190 (OCH3) ^{1}HNMR: (DMSO) δ 3.79 (s,3H,OCH_3), δ 6.6 (d,1H,H_α), δ 6.9(s,1H,OH),δ 6.9-7.7 (m,7H,Ar-H),δ 8.0 (d,1H,H_β) ; M.S.(m/z) 299.08 (m) 300.22(m+1).

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1-(4-Bromo-phenyl)-3-(3-hydroxy-4-nitro-phenyl)-propenone (X)

IR (KBr): 1733 (CO), 1629 (CH=CH) ^{1}HNMR: (DMSO) δ 6.6 (d,1H,H_α), δ 6.8(s,1H,OH),δ 7.0-7.9 (m,7H,Ar-H),δ 8.0 (d,1H,H_β) M.S. (m/z) 348.15 (m) 349.40(m+1)

RESULTS AND DISCUSSION

Novel series of chalcones were synthesized by Claisen-Schmidt condensations of substituted ketones and aldehydes (Table 1) in presence of 40% alkali at room temperature within few minutes. The structures of the synthesized compounds were recognized by spectral analysis data. They were further tested for their antimicrobial studies. Out of which some compounds showed moderate to good activity (Table 2).

Table1. Physical data of synthesized compounds (I-X)

Entery	Molecular formula	M.P. (^{o}C)	Yield (%)
I	$C_{17}H_{14}$ BrFO$_3$	144	85
II	$C_{16}H_{12}$ F$_2$ O$_2$	119	89
III	$C_{16}H_{13}$FO$_3$	117	92
IV	$C_{16}H_{12}$ FNO$_5$	134	91
V	$C_{15}H_{10}$ BrNO$_4$	230	94
VI	$C_{15}H_{10}$ BrFO	143	88
VII	$C_{16}H_{12}$ Br$_2$O$_2$	159	85
VIII	$C_{16}H_{13}$ NO$_5$	270	87
IX	$C_{16}H_{12}$ Br$_2$O$_2$	210	91
X	$C_{15}H_{10}$ BrNO$_4$	243	93

Table 2: Antimicrobial activity of synthesized compounds (I-X)

Products	A	B	C	D	E	F	G	H
I	1	ND	ND	ND	ND	ND	ND	ND
II	ND	ND	ND	13	ND	ND	ND	ND
III	21	14	17	12	18	ND	ND	ND
IV	14	11	16	18	14	ND	11	21
V	26	21	24	11	ND	ND	ND	16
VI	12	16	19	ND	ND	12	24	18
VII	18	17	18	ND	14	ND	12	19

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VIII	12	08	ND	ND	ND	ND	ND	ND
IX	ND	ND	10	ND	ND	ND	21	ND
X	ND	ND	ND	ND	ND	ND	ND	ND
REFERENCE	27	NT	NT	26	NT	NT	NT	NT

A= Bacillus subtilis gr +ve, B= Pseudomonas aeruginosa gr –ve,C= Staphylococcus aureusgr +ve, D= Escherichia coli gr –ve, E= Aspergillus niger,F= Aspergillus Flavus, G= Curvularia H=Alternaria. ND= Not Detected. Reference= Ampicilin NT= Not Taken.

CONCLUSION

We have synthesized some novel chalcones via condensation reaction within few minutes at room temperature. The antimicrobial screening of the synthesized compounds showed moderate to good activity compared with the standard (Table 2).

Antimicrobial activity

Antimicrobial screening was conducted by using cup plate method [8-9] at a concentration of 25µg/ml. All compounds were checked for their in vitro antimicrobial activity against different strains of bacterias mentioned in table 2. DMSO was used as solvent control .These compounds were compared with standard used, the data of activity of compounds as shown in table 2.

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