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Synthesis and antimicrobial studies of some novel Heterocyclic chalcones

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ABSTRACT

A variety of novel heterocyclic chalcones were synthesized by Claisen-Schmidt condensation of substituted ketones and heterocyclic aldehydes. These were characterized by spectral analysis and further tested for their antimicrobial activity.

Keywords: chalcones, heterocyclic aldehydes, ketones, antimicrobial activity

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INTRODUCTION

Chalcones are the α,β unsaturated carbonyl compounds and are known to exhibit wide range of biological activities such as antimalarial [1], anticancer [2], pharmaceutical [3], antiviral[4], cardiovascular and anti-inflammatory agents [5].

In addition to these, chalcones are the intermediates for obtaining the variety of heterocyclic [6-11] and flavonoids [12-13]. This broad spectrum of applications prompted us to search for another addition to the existed molecule.

Hence we reported the synthesis of some novel heterocyclic chalcones using substituted ketones and heterocyclic aldehydes via Claisen Schmidt condensation at room temperature.

MATERIALS AND METHODS

Experimental

Melting points were uncorrected and determined in open capillaries. The purity of the compound is checked by TLC. The IR spectra were recorded on FTIR shimadzu spectrometer, and ^{1}HNMR spectra were recorded on a varian 300. MHz spectrometer ($CDCl_3$) using TMS as an internal standard Mass spectra were recorded on VG 70704 mass spectrometer at 70 ev.

General procedure:

To a mixture of substituted acetophenones (0.01 mol) and substituted heterocyclic aldehydes (0.01 mol) in ethanol (40 ml) was added 40% solution of sodium hydroxide (5ml). The reaction mixture was then stirred for few minutes after completion of reaction (monitored by TLC) the reaction mixture was poured into ice cold solution of water. The so obtained solid washed with water recrystalised from ethanol

1-(4-Bromo-phenyl)-3-(5-methyl-thiophen-2-yl)-propenone (VIII)

IR (KBr): 1725 (CO), 1623 (CH=CH), ^{1}HNMR:δ =7.77-7.82(m, 3H); 7.54-7.56(d, 2H); 7.10-7.11(d, 1H); 7.04-7.07(d, 1H); 6.68-6.69 (d, 1H) & 2.46(s, 3H).; M.S. (m/z): 307.1 (m),309.05 (m+2)

1-(4-Bromo-phenyl)-3-(3-methyl-thiophen-2-yl)-propenone (IX)

IR (KBr): 1723 (CO), 1628 (CH=CH), ^{1}HNMR:δ 7.95-7.99(d, 1H); 7.79-7.81(d, 2H); 7.54-7.56(d, 2H); 7.24-7.25(d, 1H); 7.12-7.16(d, 1H); 6.83-6.84(d, 1H); & 2.32(s, 3H). M.S. (m/z): 307.16 (m), 309.05 (m+2)

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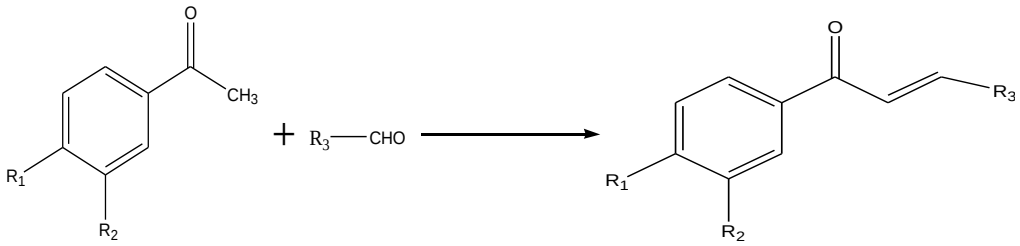
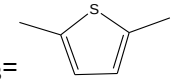
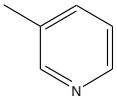
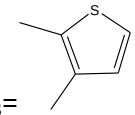


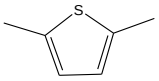
(I-IX)

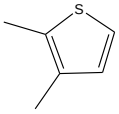
Scheme I

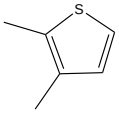
I - R_1= OMe R_2= F R_3= 

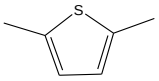
II - R_1= OMe R_2= F R_3= 

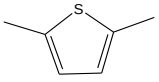
III - R_1= OMe R_2= F R_3= 

IV -R_1= H R_2= Br R_3= 

V -R_1= H R_2= Br R_3= 

VI -R_1= OMe R_2= H R_3= 

VI -R_1= OMe R_2= H R_3= 

VII -R_1= Br R_2= H R_3= 

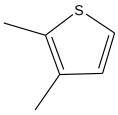
IX -R_1= Br R_2= H R_3= 

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

Entry	Molecular formula	M.P.(ºC)	Yield (%)
I	$C_{15}H_{13}FO_2S$	115	87
II	$C_{15}H_{12}FNO_2$	140	78
III	$C_{15}H_{13}FO_2S$	134	91
IV	$C_{14}H_{11}BrOS$	148	93
V	$C_{14}H_{11}BrOS$	123	88
VI	$C_{15}H_{14}O_2S$	145	85
VII	$C_{15}H_{14}O_2S$	178	89
VIII	$C_{14}H_{11}BrOS$	102	89
IX	$C_{14}H_{11}BrOS$	118	88

RESULTS AND DISCUSSION

A variety of novel heterocyclic chalcones were synthesized via Claisen-Schmidt condensation of substituted acetophenones and heterocyclic aldehydes. The reaction proceeded at room temperature. Work up procedure is simple and yield of the product is excellent.

The synthesized compounds were screened for antimicrobial studies and exhibited moderate to good activity against the standard used.

CONCLUSION

In conclusion, here I we have reported some novel heterocyclic chalcones possessing good to moderate antimicrobial activity via simple procedure within minutes at room temperature.

Antimicrobial activity

Antimicrobial screening was conducted by using cup plate method [14-15] at a concentration of 100µg/ml. All compounds were checked for their in vitro antimicrobial activity against different strains of bacteria's 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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Table 2: Antimicrobial activity of synthesized compounds (I-IX)

Prod	A	B	C	D	E	F	G	H
I	9	10	11	10	12	ND	ND	ND
II	12	10	9	11	15	ND	ND	ND
III	13	14	15	11	16	ND	ND	ND
IV	13	16	21	21	11	ND	08	ND
V	19	16	22	13	23	15	30	ND
VI	15	16	11	13	ND	12	ND	ND
VII	21	16	24	ND	17	ND	ND	17
VIII	19	17	21	12	ND	ND	ND	ND
IX	21	17	23	15	24	14	20	ND
	27	NT	NT	26	NT	NT	NT	NT

A= Basillus subtilis gr +ve, B= Pseudomonas aregenasa gr –ve , C= Staphylococcus aureus gr +ve,
D=Escherichia coli, E= Aspergillus niger, F= Aspergillus Flavus, G= Curvularia H= Alternaria. ND= Not Detected.
Reference= Ampicillin NT= Not Taken.

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