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Research Article

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Synthesis and Antimicrobial Activity of Chalcones

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ABSTRACT

In searching of the promising antimicrobial agents, substituted chalcones have been synthesized by condensing with acetophenone and aryl aldehydes in diluted ethanolic sodium hydroxide solution at room temperature. IR, mass spectrometry and ^{1}H-NMR spectroscopy have characterized the structures of these compounds. All the compounds were screened for their antibacterial and antifungal activities by the disc diffusion method.

Keywords: Chalcone, Synthesis, Antibacterial activity, Antifungal activity

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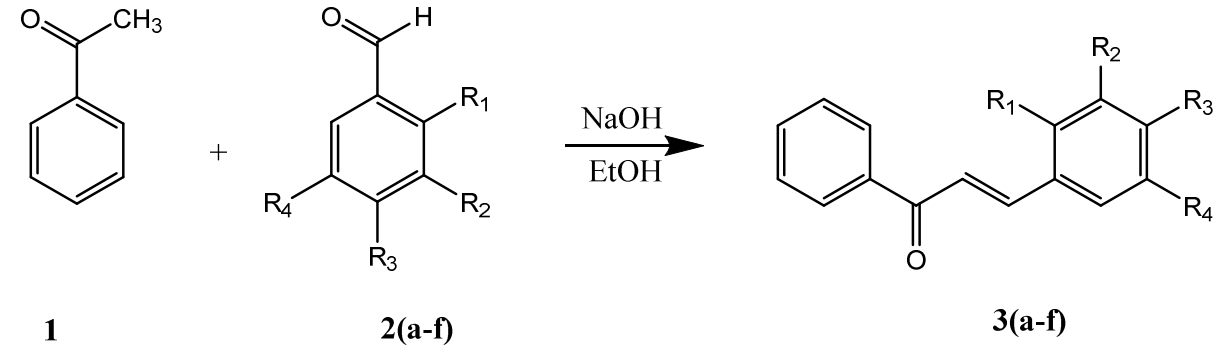
INTRODUCTION

The novelty of Chalcones is that they serve as good starting materials for the synthesis of various heterocyclic compounds such as aurones, flavones, flavonols, flavanones, pyrimidines pyrazolines, and benzoylcoumarones as well as certain compounds like deoxybenzoins and hydantions which are of some therapeutic importance [1]. The chalcones,either natural or artificial, are well known to express a broad range of various biological activities such as analgesic, anti-inflammatory, antimicrobial, antiulcerative antiplatelet, antimalarial, anticancer, antiviral, antileishmanial, antioxidant, antihyperglycemic, antitubercular, immunomodulatory inhibition of chemical mediators release, inhibition of tyrosinase, inhibition of aldose reductase activities and inhibition of leukotriene B_4 [2-18]. The antimicrobial property of chalcones is mainly due to the presence of a α,β-unsaturated carbonyl moiety as well as substituted aromatic rings in it. In this communication we reported the synthesis of substituted chalcones **3(a-f)** by the reaction of acetophenone with different aromatic aldehyde in diluted C_2H_5OH/NaOH solution as shown in **Scheme 1**. The structures of synthesized compounds were assigned on the basis of IR, ^{1}H-NMR spectral data. Further, these compounds were also tested for their antimicrobial and antifungal activity.



1 **2(a-f)** **3(a-f)**

Scheme 1

EXPERIMENTAL SECTION

The reported melting points were determined in open capillary tubes and are uncorrected. The Infrared spectra were recorded in KBr on a SHIMADZU FTIR 8400S. ^{1}H-NMR spectra were recorded obtained in $CDCl_3$/DMSO-d6 (TMS as an internal standard (chemical shifts in δ ppm) on Bruker Advance II-400 NMR spectrophotometer. The purity of the compounds was checked by TLC-using Silicagel-G (Merck).

1.1 General procedure for the preparation of 3-(substitutedphenyl)-1-phenylprop-2-en-1-one

A mixture of acetophenone **1** (20 mmole) and aryl aldehydes **2 (a-f)** (20 mmole) was stirred in ethanol (60 mL). To this, sodium hydroxide (60 mmol) added slowly and stirred at room temperature for 4-5 h. The mixture was kept overnight at refrigerator and then it was poured into crushed ice and acidified with dilute hydrochloric acid. The chalcone derivative **3 (a-f)** precipitates out as solid. It was filtered and crystallized from ethanol.

1.2 Biological Studies

1.2.1 Antibacterial activity

The synthesized compounds **3(a-f)** were screened for their antibacterial activity against *Bacillus subtilis* by disc diffusion method [19]. Nutrient agar was prepared by dissolving nutrient agar 28 g in a 1 L of double distilled water. It was mixed completely and pH was adjusted at 7.5 ± 0.2. The solution was heated to dissolve the ingredients completely. It was sterilized by autoclaving at 121 ^{0}C for 45 min. at 15 lbs pressure. After autoclaving, 15-20 ml of that media was poured into petri dish. The bacterial culture (*B. subtilis* MTCC no 441) was added aseptically to the agar medium, mixed well and poured immediately in sterilized Petri plates and had been surface spread with 0.1ml of each bacterium with obtaining microorganism. Whattman no. 1 filter paper disks were sterilized by autoclaving at 121^{0}C for 1 h. Then the sterile disks were saturated with the test compounds of different concentrations (0 μg/ml, 250 μg/ml, 500 μg/ml and 1000 μg/ml). Cultures having 10^5CFU/mL were used against each concentration levels. The saturated discs were placed on the medium suitably spaced apart, and the plates were incubated at 37^{0}C for 24 h. Solvent liquid was used as solvent control and as 0 μg/ml. The antibacterial activity was determined by measuring the zone of inhibition surrounding bacterial growth.

1.2.2 Antifungal activity

All those compounds screened for antibacterial activity were also tested for their antifungal activity using potato-dextrose-agar (PDA) medium by same disc diffusion method against *Aspergillus niger*. Potato dextrose agar was prepared by dissolving 39.0 g of Potato dextrose agar in a 1 L of double distilled water it was mixed thoroughly pH was adjusted at 7.5 ± 0.2. The bacterial culture (*A. niger* NCIM no 502) and the solutions of test compounds were prepared by a similar procedure described under the antibacterial activity.

RESULTS AND DISCUSSION

The details of the reactants used and chemical names of the synthesised chalcone compounds are given in **Table 1**. The melting points, IR spectra (KBr), cm^{-1} and ^{1}H- NMR spectra (δ, ppm) of the compounds were determined (refer to **Table 2**).

Table 1: Chemical data for chalcones 3(a-f)

Chalcone	R_1	R_2	R_3	R_4	Mol. Formula	Chemical Name
3a	Cl	H	H	H	$C_{15}H_{11}OCl$	3-(2-chlorophenyl)-1-phenylprop-2-en-1-one
3b	H	H	Cl	H	$C_{15}H_{11}OCl$	3-(4-chlorophenyl)-1-phenylprop-2-en-1-one
3c	OCH_3	H	H	OCH_3	$C_{17}H_{16}O_3$	3-(2,4-dimethoxyphenyl)-1-phenylprop-2-en-1-one
3d	H	NO_2	H	H	$C_{15}H_{11}NO_3$	3-(3-nitrophenyl)-1-phenylprop-2-en-1-one
3e	H	H	OH	H	$C_{15}H_{12}O_2$	3-(4-hydroxyphenyl)-1-phenylprop-2-en-1-one
3f	H	H	CH_3	H	$C_{16}H_{14}O$	1-phenyl-3-(*p*-tolyl)prop-2-en-1-one

Table 2: Spectral data, melting point and yield of chalcones 3(a-f)

Chalcone	IR-Spectrum (KBr), cm^{-1}	^{1}H-NMR spectrum (δ, ppm)	m.p. (^{0}C)	Yield (%)
3a	1674 (C=O), 1600 (C=C), 3059 (C-H aromatic), 750 (Ar-Cl)	7.9(d, 1H, α), 8.2 (d, 1H, β), 7.38-7.78(m, 7H, Ar-H), 8.0 (m, 2H, Ar-H)	52-54	78
3b	1695 (C=O), 1593 (C=C), 3088 (C-H aromatic), 839 (Ar-Cl)	7.3 (d, 1H, α), 7.9 (d, 1H, β), 7.29-7.6 (m, 9H, Ar-H)	110-112	80
3c	1678 (C=O), 1600 (C=C), 2995 (C-H aromatic), 1128 (-OCH_3)	7.19 (d, 1H, α), 8.03(d, 1H, β), 6.91-8.12 (m, 8H, Ar-H), 3.82 (s, 3H,OCH_3), 3.89 (s, 3H, -OCH_3)	80-82	65
3d	1660 (C=O), 1572 (C=C), 3068 (C-H aromatic), 1348 (Ar-NO_2)	7.9 (d, 1H, α), 8.2 (d, 1H, β), 7.28-8.5 (m, 9H, Ar-H)	130-132	76
3e	1649 (C=O), 1558 (C=C), 2810 (C-H aromatic), 3221 (Ar-OH)	7.6 (d, 1H, α), 8.0 (d, 1H, β), 6.7-8.02 (m, 9H, Ar-H)	68-70	60
3f	1654 (C=O), 1597 (C=C), 3020 (C-H aromatic), 3020 (Ar-CH_3)	7.24 (d, 1H, α), 8.04 (d, 1H, β), 8.03 (d, 1H, Ar-H), 7.2-7.6 (m, 6H, Ar-H), 7.80 (d, 1H, Ar-H),2.4 (s, 3H)	88-90	84

1.3 Biological Ecaluation

1.3.1 Antibacterial and Antifungal activity

Antibacterial and Antifungal screening results of chalcone compounds **3(a-f)** are shown in **Table 3** and **Table 4** respectively.

Table 3: Antibacterial activity of compounds against *Bacillus subtilis*

Compound	Zone of inhibition (%) *B.subtilis*			
	0 (µg/ml)	**250 (µg/ml)**	**500 (µg/ml)**	**1000 (µg/ml)**
1	-	8	5	7
2	-	7	7	8
3	-	4	8	10
4	-	2	6	6
5	-	8	10	12
6	-	3	5	7

(-) indicates no zone of inhibition

Table 4: Antifungal activity of compounds against *Aspergillus niger*

Compound	Zone of inhibition (%) *A.niger*			
	0 (µg/ml)	**250 (µg/ml)**	**500 (µg/ml)**	**1000 (µg/ml)**
3a	-	4	7	9
3b	-	5	7	10
3c	-	3	5	7
3d	-	5	6	7
3e	-	1	3	5
3f	-	5	5	7

(-) indicates no zone of inhibition

CONCLUSION

From the results we found that the substitution on aromatic ring has profound role to play in the biological activity of these compounds. The chalcones having *o*- chloro, *p*- chloro and *p*- hydroxyl substitution as in **3a**, **3b** and **3e** showed good antibacterial and antifungal activity. Biological results of the synthesized chalcone suggest that these derivatives have excellent scope for further development in search of the novel antimicrobial agents.

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