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Synthesis and Antimicrobial Screening of some Chalcones

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ABSTRACT

Due the wide range of biological and industrial applications, chalcones have given rise to great number of scientific studies.A Series of Chalcones were synthesized by Claisen–Schmidt condensation of acetophenone with aromatic aldehyde derivatives in the presence of potassium hydroxide and methanol at room temperature. All the chalcones were tested for antibacterial activity by Agar well diffusion method on *Staphylococcus aureus* and *Escherichia coli*. The synthesized compounds have been characterized by TLC and Mass spectroscopy.

KEYWORS: Chalcone, Crossed-Aldol condensation, TLC, Mass spectra, Anti-Bacterial activity.

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INTRODUCTION

Chalcones (trans-1,3-diaryl-2-propen-1-ones)[1],a biosynthetic product of the Shikimate pathway, belonging to flavonoid family are precursors of open chain flavonoids and iso-flavonoids, which are abundant in edible plants. Chalcones are also key precursors in the synthesis of many biologically important heterocycles such as benzothiazepine, pyrazolines, 1,4-diketones, and flavones. Thus the synthesis of chalcones has generated vast interest to organic as well as for medicinal chemists. [1]Chalcones are coloured compounds because of the presence of the chromophore and auxochromes.[2]Scientists Kostanecki[3] and Tambor[4]gave the name "Chalcone".These compounds are known as benzalacetophenone or benzylideneacetophenone.

Chalcones are α,β-unsaturated ketones consisting of two aromatic rings (ring A and B) having diverse array of substituents. Rings are interconnected by highly electrophilic three carbon α,β-unsaturated carbonyl system that assumes linear or nearly planar structure. [5,6,1] They contain the ketoethylenic group (–CO–CH=CH-).[7,1] Chalcones possess conjugated double bonds and a completely delocalized π-electron system on both benzene rings.Many methods like grinding, stirring and reflux can be employed for synthesizing chalcones. Also they can be prepared by Claisen–Schmidt condensation method (aldol-condensation) of aldehyde and ketone in presence of base.

The chalcone backbone could be a versatile scaffold for drug design.A survey of the literature revealed that some natural[8,9]and synthetic chalcones[10] showed significant ALR2 (Aldose reductase inhibitor) inhibitory activities, and this prompted us to investigate potential ARIs derived from chalcone-based compounds.

EXPERIMENTAL METHOD

General procedure for synthesis of chalcones

To the solution of acetophenone (0.01 mol) in methanol (15 ml), aldehyde (0.01 mol) was added in round bottom flask. To this mixture catalytic amount of potassium hydroxide was added.The solution was continuous stirred on magnetic stirrer for 4 hours at room temperature. Reaction was monitored by TLC. After completion of reaction, reaction mass was poured in ice. Precipitates formed were filtered and washed with ice coldwater. Product was re-crystallized from methanol.

Reaction scheme

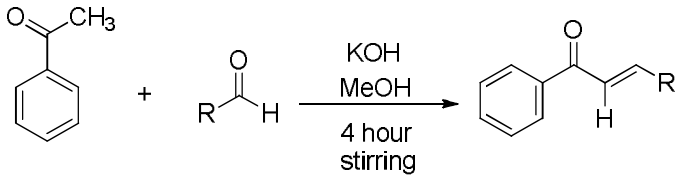

Table:1 Detail of the substituents in chalcones.

Sr. No	Compound	R
1	D01	4-Cl-C_6H_5
2	D02	4-Br-C_6H_5
3	D03	4-F-C_6H_5
4	D04	4-NO_2-C_6H_5
5	D05	4-OCH_3-C_6H_5
6	D06	-C_6H_5
7	D07	-CH=CH-C_6H_5

ANTIBACTERIAL ACTIVITY

Antibacterial sensitivity assay was performed using Kirby-Bauer[11] Agar well diffusion method. The nutrient agar broth prepared and The nutrient agar was melted at 100°C and after cooling to 56°C, add the 0.5 ml young culture of each bacteria(*Staphylococcus aureus, Escherichia coli*) in nutrient agar broth mix it well and poured into petri plate and make a bore by using sterile 8mm cup borer and add prepared compounds(dissolved in Ethyl acetate)in a 0.06mg/ml, 0.12mg/ml ,0.18mg/ml quantity of D01, D02, D03, D04, D05, D06, D07into well and incubate at 37°C for 24 hr. Observed the zone of inhibition of growth measuredin the mm diameter. [12]

Effects of synthesized chalconesD01, D02, D03, D04, D05, D06, D07 on *Staphylococcus aureus* and *Escherichia coli*presented in table:2.

Table 2: Antibacterial activity of Chalcones

Compound	Name of organism	Control	Zone of inhibition		
		Ethyle acetate	20µl	40µl	60µl
D01	*Staphylococcus aureus*	3mm	2mm	6mm	8mm
	Escherichia coli	3mm	0	0	3mm
D02	*Staphylococcus aureus*	3mm	3mm	4mm	3mm
	Escherichia coli	3mm	3mm	3mm	3mm
D03	*Staphylococcus aureus*	3mm	3mm	4mm	8mm
	Escherichia coli	3mm	2mm	3mm	4mm
D04	*Staphylococcus aureus*	3mm	5mm	7mm	9mm
	Escherichia coli	3mm	0	3mm	4mm
D05	*Staphylococcus aureus*	3mm	0	4mm	8mm
	Escherichia coli	3mm	0	4mm	6mm
D06	*Staphylococcus aureus*	3mm	-	6mm	8mm
	Escherichia coli	3mm	3mm	7mm	7mm
D07	*Staphylococcus aureus*	3mm	-	7mm	0
	Escherichia coli	3mm	3mm	4mm	4mm

RESULT AND DISCUSSION

Mass spectra of the synthesized compounds were recorded on Agilent technologies 5977B MSD model using auto-injection technique. The molecular ion peak was found in agreement with molecular weight of the respective compound.

3-(4-Chloro-phenyl)-1-phenyl-propenone (D01): MS m/z: 242 (M^+)

3-(4-Bromo-phenyl)-1-phenyl-propenone (D02):MS m/z: 286 (M^+)

3-(4-Fluoro-phenyl)-1-phenyl-propenone (D03):MS m/z: 226 (M^+)

Physicochemical data for synthesized compound obtained result presented in table:3.

Table:3 Physicochemical data

Compound	**M.P**°C	**Yield (%)**
D01	192°C – 195°C	65.34%
D02	216°C – 218°C	68.51 %
D03	189°C – 191°C	60.08 %
D04	240°C – 242°C	71.03%
D05	230°C - 233°C	73.59 %
D06	211°C – 213°C	64.10 %
D07	248°C – 250°C	67.63%

CONCLUSION

Several chalcones can besuccessfully synthesized by Claisen–Schmidt condensation or Aldol-condensation of acetophenone and substituted aromatic aldehydes. The yield of the reaction is more than 60%. The purities of these synthesized chalcones have been checked by their physical constants, TLC and Mass spectral data. The Anti-bacterial activities of these chalcones have been evaluated using Agar well diffusion method.

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