International Journal of Current Research in Applied Chemistry & Chemical Engineering
Vol. 2, Issue 1- 2018

SYNTHESIS AND EVALUATION OF SOME CHALCONES AND THEIR DERIVATIVES AS ANTIMICROBIAL AGENTS

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ABSTRACT

The Study deals with the characterization of antibacterial and antifungal activities of some chalcones and their derivatives. A series of chalcone derivatives of biological interest were prepared, by Claisen-Schmidt condensation of appropriate acetophenones with aromatic aldehydes in the presence of aqueous sodium hydroxide and ethanol at room temperature. The Investigation of the antibacterial growth using the disc method was highly sensitive and effective. All the compounds were tested for their antibacterial and antifungal activities by the disc method. The Physical constant measurement and UV spectra support the materialization of chalcones and their derivatives.

KEYWORDS: Antibacterial, Antifungal, Aromatic Aldehydes, Aqueous Solution, Disc Method, Derivatives.

INTRODUCTION

Chalcones are α, β -unsaturated ketone containing the reactive keto-ethylenic group –CO-CH=CH-. These are colored compounds because of the presence of the chromophore -CO-CH=CH-, which depends in the presence of other auxochromes [1]. Chalcones are a category of compounds consisting of two aryl rings linked by an α,β-unsaturated ketone moiety. Chalcones are well known intermediates for synthesizing various heterocyclic compounds. The compounds with the backbone of chalcones have been reported to possess various biological activities such as antimicrobial [1,2,3], anti-inflammatory [4], antimalarial [5,6], antileishmanial [7], antioxidant, and antitubercular [1]. The presence of a reactive α,β-unsaturated keto function in chalcones was found to be responsible for their antimicrobial activity. The present study deals with the characterization, antibacterial and antifungal activities of some chalcones and their derivatives. The investigation of the antibacterial growth using disc method was highly sensitive and effective. The synthesized compounds were screened for their antibacterial activity against gram positive bacteria viz; Staphylococcus aureus, Bacillus subtilis and gram negative bacteria viz; Escherichia coli, Salmonella typhi and the compounds were also used for antifungal studies against As per gillusniger, Candida albicans, Candida pradapsolis, Candida tropical is species. The results are summarized in Table 1.1, 1.2 and 1.3 for their percentage yield, melting point and confirm whether there is enhancement in antibacterial and antifungal activity. The antifungal activities by disc method and the activity of extracts were appreciable. The physical constant measurement and UV spectra support the formation of chalcones and their derivatives.

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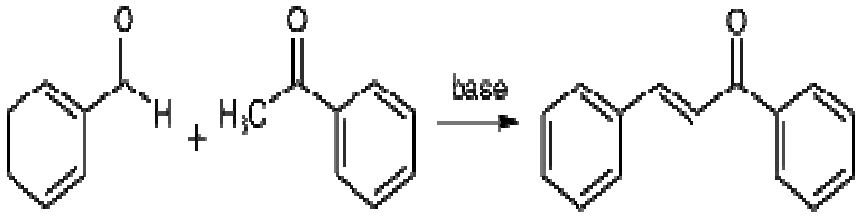
 ISSN: 2581-5385

EXPERIMENTAL

GENERAL PREPARATION OF CHALCONE

Chalones can be prepared by an aldol condensation between benzaldehyde and acetophenone in the presence of sodium hydroxide as a catalyst.

This reaction has been found to work without any solvent at all-a solid-state reaction.[3] The reaction between substituted benzaldehydes and acetophenones has been used to demonstrate green chemistry in undergraduate chemistry education.[4]



In a study investigating green chemistry synthesis, chalcones were also synthesized from the same starting materials in high temperature water (200 to 350 °C)

SYNTHESIS OF BENZILIDENEACETOPHENONE

1. Dissolve 4g of NaOH in 20ml of water and 25ml of rectified spirit in a conical flask provided with a 6 hours magnetic stirrer. Immerse the flask in a bath of crushed ice, after stirring add 20ml of acetophenone, and 20ml benzaldehyde. Remove the stirrer and leave the reaction mixture in an ice chest or refrigerator overnight. Filter the product and wash with cold water and recrystallize with ethanol. The yield of pure benzilideneaceto phenone (a pale yellow solid). This substance should be handled with great care since it acts a skin irritant.
2. Dissolve 4g of NaOH in 20ml of water and 25ml of rectified spirit in a conical flask provided with a 6 hours magnetic stirrer. Immerse the flask in a bath of crushed ice, after stirring add 20ml of benzophenone, and 20ml benzaldehyde. Remove the stirrer and leave the reaction mixture in an ice chest or refridgerator overnight. Filter the product and wash with cold water and recrystallize with ethanol.
3. Dissolve 1.5g of NaOH in 3ml of water and 4ml of rectified spirit in a conical flask provided with a 6 hours magnetic stirrer. Immerse the flask in a bath of crushed ice, after stirring add 2ml of acetophenone, and 2ml benzaldehyde. Remove the stirrer and leave the reaction mixture in an ice chest or refridgerator overnight. Filter the product and wash with cold water and recrystallize with ethanol.

Table 1.1.Study of antimicrobial growth of chalcone A, A1, ATU, RTU:

S. No	**Name of Bacterias**	A (mm)	A1 (mm)	ATU (mm)	RTU (mm)	Control gentamycin (mm)
1.	Bacillus cereus	15	11	18	-	21
2.	Bacillus sabtailis	11	9	18	13	25
3.	e.coli	-	-	-	-	18
4.	Enterococcus faecalis	-	-	-	-	-
5.	Kllebsiella	-	-	17	14	23
6.	Pseudomonas aerogenisa	-	-	-	-	18
7.	Proteus mirabilis	-	-	-	-	14

 ISSN: 2581-5385

8.	Salmonella typhi	13	18	20	14	25
9.	Shigellaflexneri	-	-	-	-	19
10.	Staph aureus	12	11	18	-	25
	Name of Fungus					Flucanazole
11.	Aspergilusniger	18	19	-	-	R
12.	Candida albicans	11	13	20	18	30
13.	Candida cruzi	14	18	13	18	R
14.	Candida pradapsolis	21	18	12	15	23
15.	Candida tropicalis	11	18	10	11	24

- indicates no zone of inhibition, R indicate no antifungal activity.

It was obvious from the results that among the ethanol extracts, the extract of ATU showed significant activity against Bacillus cerus, Bacillus sabtailis, klebsiella, salmonella typhi and staph aureus were applicable.

Table 1.2.Study of antimicrobial growth of chalone B, B1

S. No	**Name of Bacterias**	B (mm)	B1 (mm)	Control gentamycin (mm)
1.	Bacillus cereus	18	10	16
2.	Bacillus sabtailis	18	21	16
3.	e.coli	18	16	16
4.	Enterococcus faecalis	-	18	-
5.	Kllebsiella	16	15	14
6.	Pseudomonas aerogenisa	15	11	14
7.	Proteus mirabilis	-	-	21
8.	Salmonella typhi	16	15	22
9.	Shigellaflexneri	18	15	19
10.	Staph aureus	11	18	20
	Name of Fungus			Fluconazole
11.	Aspergilusniger	15	10	R
12.	Candida albicans	14	15	18
13.	Candida cruzi	16	13	20
14.	Candida pradapsolis	15	16	11
15.	Candida tropicalis	14	12	10

R indicates no antifungal activity, - indicate no zone of inhibition.

From the table 1.2 the results showed that the maximum activity of chalcone B_1 21 mm against the bacteria such as bacillus sabtailis. Fungi susceptibility to these chalcones as determined by the direct contact method showed that chalcone B produced a minimum 12mm to maximum 16mm in diameter inhibition zone against fungus thus presenting the highest inhibitory effects (table 1.2).

RESULT AND DISCUSSION

The major absorption band in chalcones usually occurs in the range 350-400 nm, although chalcones may have their absorption at considerably shorter wavelength, usually a minor peak in the 230-280 nm region. Chalcones are α, β - unsaturated ketone containing the reactive keto-ethylenic group –CO-CH=CH-. These are coloured compounds because of the presence of

 ISSN: 2581-5385

the chromophore –CO-CH=CH-, which depends in the presence of other auxochromes. The UV spectrum indicates, the maximum absorbance occur in the visible region, confirm the auxochromes structure present in the study materials such as chalcone A, B and S.

ANTIMICROBIAL ACTIVITY

The synthesized compounds were screened for their in vitro antimicrobial activity against Bacillus cereus, Bacillus sabtailis, Salmonella typhi, Shigellaflexneri, staph aureus, Escherichia coli, Pseudomonas aeruginosa and antifungal activity against As per gillusniger, Candida albicans, Candida cruzi, Candida pradapsolis, and Candidatropicalis by measuring the zone of inhibition in mm. The antimicrobial activity was performed by filter paper disc plate method [12, 13] at concentration 100 µg/mL and reported in Table-1.1, 1.2, 1.3. Muller Hinton agar & Sabouroud Dextrose agar were employed as culture medium and DMSO was used as solvent control for antimicrobial activity. Gentamycin and Fluconazole were used as standard for antibacterial and antifungal activities respectively.

CONCLUSION

The synthesized substituted chalcones were confirmed from their respective UV spectra studies. The ethanol extract of chalcone were screened for their antibacterial activity against the bacteria by disc diffusion method. The compounds of chalcone B_1, B_{TU} shows highest zone of inhibition in antibacterial activity where as other compounds showed moderate to good activity. Fungicidal screening data also revealed that compound of chalcone B_{TU} imparted maximum activity, where as other compounds showed moderate to good activity. The lowest zone of growth inhibition was found to be of chalcone S extract against candida parapsolis. As we consider all results obtained from antibacterial and antifungal tests together we can say that entire compounds tested are active towards bacteria and fungi.

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 ISSN: 2581-5385