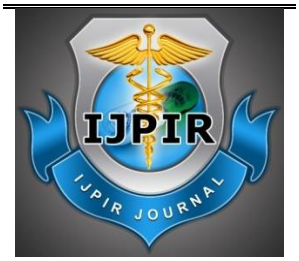
Research Article



Available Online at: www.ijpir.com

International Journal of Pharmacy and Industrial Research

ISSN	Print	2231 – 3648
	Online	2231 – 3656

Green synthesis of novel chalcone derivatives, characterization and its antibacterial activity

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ABSTRACT

In an effort to develop antibactrial agents ecofriendly, a series of chalcones were prepared by Claisen – Schmidt condensation of acetophenone derivative with aromatic aldehyde derivative in the presence of sodium hydroxide (Base) at room temperature. All the synthesized compounds were recrystallized by using hot ethanol and its m.pt, $R_f$values were determined, characterized by means of their IR, ‘H-NMR spectral data. Then the compounds were tested for antibacterial activities by cup plate method.

Keywords: Chalcone, Green synthesis, Antibacterial activity.

INTRODUCTION

Chalcones are well known intermediates for synthesizing various heterocyclic compounds. Chalcones are also known as benzylacetophenone these are precursor compounds of flavonoids occurs in medicinal plants and they can also be synthesized through laboratory [1]. chemically chalcones are 1,8 – diphenyl-2- propene-1- one derivatives in which two aromatic rings are linked by a ‘3’ carbon alpha and beta unsaturated carbonyl system [2-3]. The compounds with backbone of chalcones have been reported to posses various biological activities such as antimicrobial [4], anti-inflammatory [5], analgesia [6] antiplatelet [7], antiulcerative [8], antimalarial[9], anticancer[10] antiviral [11] antileishmanial [12] antioxidant [13], antitubercular [14], antihyperglycemic [15] immunomodulatory [16], inhibition of chemical mediators release [17] inhibition of leukotriene B4 [18], inhibition of tyrosinase 19] , and inhibition of aldose reductase activities . The presence of reactive α, β –unsaturated keto function in chalcones is found to be responsible for their antimicrobial activity. These compounds were also screened for their antimicrobial activity.

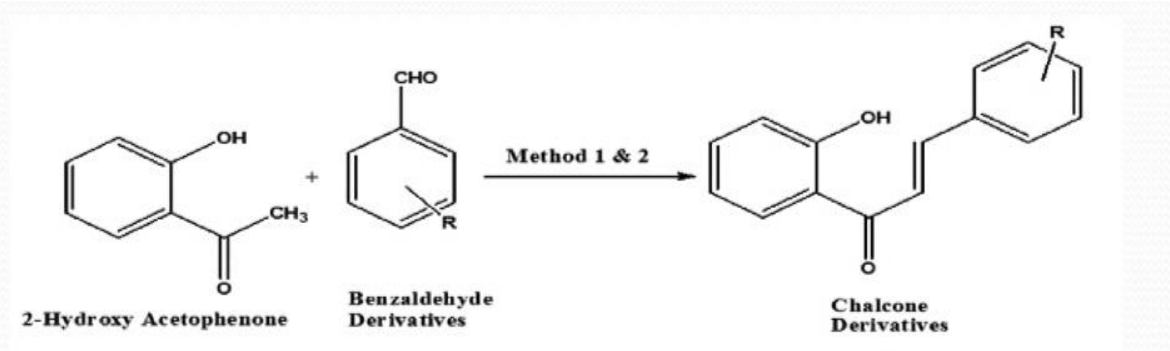
Experimental

Melting points were determined in open capillary tubes and are uncorrected. The IR spectra were recorded in DMSO on Perkin – Elmer BX spectrophotometer. The H-NMR was recorded in DMSO on bruker spectrospin AV 400 MHz spectrometer using TMS as an internal standard. The elemental analyses were performed on carlo Erba 1108 elemental analyzer. The purity of the compounds was checked by TLC- using silicagel-G.

General Procedure for the synthesis of chalcone derivatives

Both benzaldehyde derivatives and acetophenone derivatives where taken in mortar pestle triturated with NaoH powder added in portion wise with continuous trituration. 2-Hydroxy acetophenone was added with continuous trituration. A solid yellow mass was formed maintenance given for 10-15 min. The formed yellow solid was immediately was washed with hot methanol to get crude chalcone. After TLC conformed that SM was absent hot methanol was added. Then filtration was done by using hot methanol.

Scheme



RESULTS AND DISCUSSION

Compound	Melting point	Yield	Molecular formula	Molecular weight	IR .Vmax Cm^{-1}	
					C=C	C=O
BDR	80-82 ˚C	60%	$C_{15}H_{12}O_2$	224	1629	1745
FDR	80-82 ˚C	55%	$C_{15}H_{11}O_2F$	242	1620	1745
ADR	80-82 ˚C	52%	$C_{20}H_{16}O_3$	304	1629	1743

COMPOUND-1 (BDR)1-[2-hydroxy Phenyl]-3-[phenyl-2-en-1-one]; pale yellow Solid; M.P (80-82ºC) ,% yield (60%) ; R_f value (6.59); FTIR(1629(C=C str); 1745(C=C str)

COMPOUND-2(FDR)1-[2-hydoxy pheny]-3-[4-methoxy phenyl] prop-2-en-1-one];pale yellow solid; M.P (80-80ºC); % yield (55%); R_f value (0.35); FTIR[1440(C=C str); 1745(C=O str) H'NMR DMSO -D_6,δppm). 8.50(d,1H), 7.92 (dd,1H), 7.67 (dd,2H), 7.49 (dd,1H), 7.37 (m,1H), 7.22 (t,2H), 7.06 (t,1H), 6.86 (m,1H).

COMPOUND-3(ADR)1-2[-HydroxyPhenyl]-3-[4-mcthoxyphenyl]prop-2-en-1-one];pale yellow ;M.P (80-80ºC);% yield (52%), R_f Value (0.08); FTIR[1629(Aliphatic); 1442(Aromatic): H'NMR DMSO-D_6,δppm): 8.51(d,1H), 7.89 (m,2H), 7.89 (m,2H), 7.61 (m,1H), 7.54 (m,1H), 7.48 (dd,2H), 7.37 (m,1H), 7.28 (m,3H), 6.99 (m,1H), 6.45 (m,1H), 6.11 (m,1H), 2.49 (m,3H).

Antibacterial activity

All the compounds synthesized in the investigation were screened for their antibacterial activity by subjecting the compounds to standard procedure. Antibacterial activities were tested on nutrient medium against Escherica coli which are representative types of gram negative organisms. The antibacterial activity of the compounds was assessed by cup-plate method.

Preparation of nutrient agar media

- Nutrient agar medium: 2.8g
- Distilled water: Make upto 100 ml

Preparation of test solutions

0.1mg of compound dissolved in 100ml of distilled water. From this 1ml of solution was taken and diluted up to 10ml with distilled water .Now the concentration of the test solution was 1mg/ml.

Preparation of standard antibiotic solution

Amikacin was used as standard antibiotic for comparision of test solutions, dilutions were made by using steriled water, as they was water soluble. so that the concentrations of the solutions was 1mg/ml.

Compound	Minimum inhibitory concentration	Diameter (mm)
BDZ	250 µg/ml	0.5 mm
ADZ	160 µg/ml	1mm
FBZ	120 µg/ml	1.5mm
Standard	3 µg/ml	3mm

CONCLUSION

- All the three synthesized chalcone derivatives has antibacterial activity against E.coli, among them fluoro derivative having much more antibacterial activity than other two derivatives.
- May be further synthesis of flouro substituted novel chalcone derivatives will be much more potent and beneficial.

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