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CHARACTERIZATION, AND ANTIMICROBIAL SCREENING OF SOME NOVEL CHALCONES.

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ABSTRACT

With the aim to synthesize the biologically active molecules a variety of novel Chalcones (I-X) were synthesized by Claisen-Schmidt condensation of 2-hydroxy, 3 Chloro acetophenone with several aromatic aldehydes in presence of aqueous solution of sodium hydroxide. The synthesized Chalcones compounds were characterized by Physical and spectral methods IR, ^{1}H-NMR and Mass analysis. All the synthesized compounds have been screened and evaluated for antibacterial activity against *Staphylococcus aureus* gr +ve, *Escherichia coli* gr –ve *Bacillus subtilis* gr +ve, *Salmonella typhi* gr –ve and antifungal activity against *Aspergillus oryzoe*, *Aspergillus niger*, using disc diffusion method. Synthesis and biological evaluation of chalcones have been a topic of special interest to organic and medicinal chemists.

KEYWORDS: Chalcone, Synthesis, Antibacterial activity, Antifungal activity.

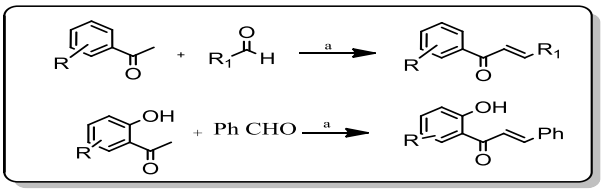
INTRODUCION

The Chemistry of chalcones has generated intensive scientific studies throughout the world. Especially interest has been focused on the synthesis and biodynamic activities of chalcones. The name "Chalcones" was given by Kostanecki and Tambor.[1] The chalcones (1, 3-diaryl-2-propenones) and their derivatives are important intermediates in organic synthesis.[2-4] They serve as starting material for the synthesis of variety of heterocyclic compounds which are of physiological importance. Due to the presence of enone functionality in chalcone moiety confers biological activity upon it, like anti-inflammatory[5], antifungal[6], antioxidant[7], antimalarial[8], antituberculosis[9], analgesic[10], anti HIV[11] and antitumor[12] activities. Different methods are available for the preparation of chalcones.[13-15] The most convenient method is the Claisen-Schimdt condensation of equimolar quantities of arylmethylketone with aryl aldehyde in the presence of alcoholic alkali.[16]

MATERIALS AND METHODS

Claisen-Schmidt condensation

The most convenient method is the Claisen Schimdt condensation of equimolar quantities of aryl ketone with aryl aldehyde in the presence of alcoholic alkali.[16]



Reagents: (a) aq. KOH, alcohol

The synthesis of chalcone compounds incorporating with hetero cycles became great importance in medicinal chemistry.[17-18] The hetero atoms in ther structure such as (S, N, O) explain variety applications in the biological engineering and in other field of their specific structures.[19]

Experimental

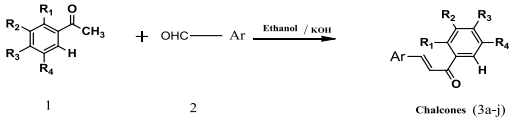
Melting points of the compounds were determined in open capillary tubes and are uncorrected, IR Spectra were recorded on Shimadzu FT-IR Spectrometer using potassium bromide pellets, 1H NMR was determined on a Bruker Avance II 400 Spectrometer against TMS as internal standard. Mass spectra were recorded on waters Micromass Q-T of Micro spectrometry.

Method for the synthesis of Novel Chalcones

Mixture of substituted acetophenones (0.01 mole) and aryl aldehydes (0.01 mole) was stirred in 90% ethanol (30 ml) and then an aqueous solution of potassium

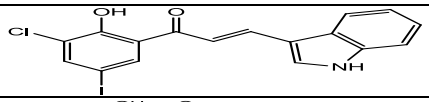
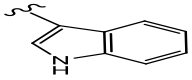
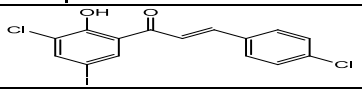
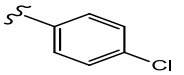
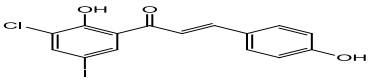
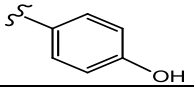
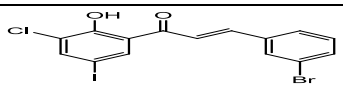
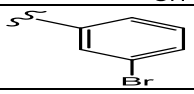
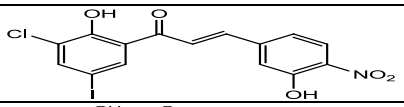
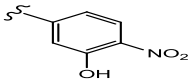
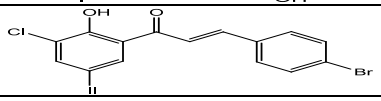
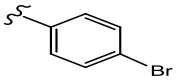
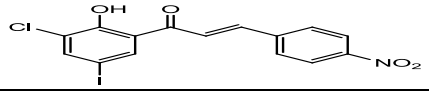
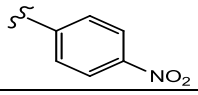
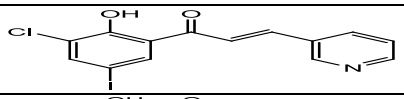
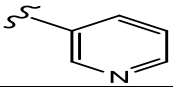
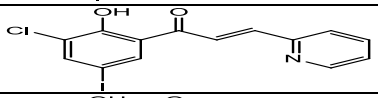
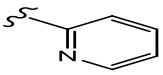
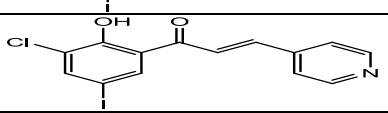
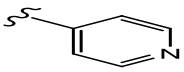
hydroxide (15 mL) was added to it. The mixture was kept over night at room temperature and then it was poured into crushed ice and acidified with dilute hydrochloric acid. The chalcone derivative precipitates out as solid. Then it was filtered and crystallized from ethanol.

Scheme 1



R_1 = OH, R_2 = Cl, R_3 = H, R_4 = I

Scheme-1. Synthesis of Chalcones

Comp.no	Chalcones	Ar
3a		
3b		
3c		
3d		
3e		
3f		
3g		
3h		
3i		
3j		

RESULT AND DISCUSSION

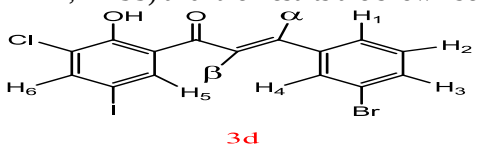
The synthesis of the newly chalcones were accomplished according to the Claisen-Schmidt condensation of ortho hydroxy ketones with several aromatic aldehyde, as indicated to **Scheme1**. The corresponding reactions proceeded smoothly and in good to excellent yields (70-95%). The newly synthesized Chalcones were characterized by their chemical, physical and spectral analysis data and are further subjected to antimicrobial studies which exhibit moderate to good activity.

Table1. Physical data of synthesized Chalcones

Comp.no	Product	Mol. Formula	Yield %	M.P.(°C)	Solubility
I	3a	$C_{17}H_{11}NIClO_2$	75	120	DMF
II	3b	$C_{15}H_9O_2ICl_2$	90	142-144	DMF
III	3c	$C_{15}H_{10}O_3ICl$	70	104-106	DMF
IV	3d	$C_{15}H_9O_2IClBr$	75	150	DMF
V	3e	$C_{15}H_9O_5ClIN$	80	156-160	DMF
VI	3f	$C_{15}H_9O_2IBrCl$	75	190	DMF
VII	3g	$C_{15}H_9O_4NICl$	80	190-192	DMF
VIII	3h	$C_{14}H_9O_2ClIN$	75	182-184	DMF
IX	3i	$C_{14}H_9O_2ClIN$	70	165	DMF
X	3j	$C_{14}H_9O_2ClIN$	75	110-112	DMF

Spectral analysis of the compounds

The newly compounds were done by spectral analysis (IR,^{1}H NMR,MASS) and the results are shown below:

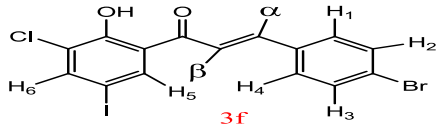


3d

3d- (E)-3-(3-bromophenyl)-1-(3-chloro-2-hydroxy-5-iodophenyl) prop-2-en-1-one

Compound 3d:- FTIR (KBr, cm^{-1}): 3460(OH), 1643(C=O), 1569(C=C), 1434(C-C Aromatic str), 783(C-Cl), 567(C-Br).

^{1}HNMR:- 7.40(t, 1H, H_2), 7.42(d,1H,H_1), 7.47(d, 1H, Hα,J=15Hz), 7.58(s, 1H, H_4), 7.77(d, 1H,H_3), 7.98 (d, 1H, H β,J=15Hz), 8.21(s, 1H, $H_{5,6}$), 13.40(s, 1H, OH ortho) M.S. (m/z): (M)= 464(M+1), 462(M-1).

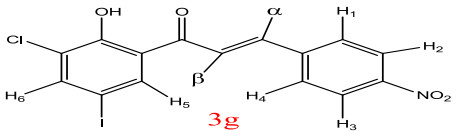


3f

3f- (E)-3-(4-bromophenyl)-1-(2-hydroxy-3-iodo-5-methylphenyl) prop-2-en-1-one

Compound 3g:- FTIR (KBr, cm^{-1}): 1631(C=O), 1552(C=C), 1431(C-C Aromatic str), 813(C-Cl), 683(C-Br).

1HNMR:- 7.40(d, 1H, Hα,J=15Hz), 7.44(d, H1, H), 7.7.51(d,1H,H2), 7.56(d, 1H, H3), 7.61(d, 1H, H5), 7.72(d, 1H, H6), 7.77(d, 1H,H4), 8.51(d, 1H, H β,J=15Hz), 13.44(s, 1H, OH). M.S. (m/z): (M)= 463(M+), 464(M+1), 462(M-1).



3g

3g- (E)-1-(3-chloro-2-hydroxy-5-iodophenyl)-3-(4-nitrophenyl) prop-2-en-1-one

Compound 3h:- FTIR (KBr, cm^{-1}): 3368(OH), 1633(C=O), 1555(C=C), 1411(C-C Aromatic str), 1345(N-O).

1HNMR:- 6.58(d, H, H1), 7.02(d,1H ,H2), 7.21(d, 1H, H3), 7.24 (d, 1H, Hα,J=16Hz), 7.48(d, 1H, H4), 7.76(d, 1H, H β,J=16Hz), 13.46(s, 1H, OH). M.S. (m/z): (M)= 429(M+), 428(M-1), 430 (M+1).

Antimicrobial activity

Antimicrobial screening was done using disc diffusion method[20] at a concentration of 100µg/ml.

The test was performed according to the disk diffusion method[20] adopted with some modification for the prepared compound using Penciline and streptomycin as references. The prepared compounds were tested against one strain of Gram +ve bacteria, Gram –ve bactria, fungi.

The compounds were evaluated for antibacterial activity against *Staphylococcus aureus* gr +ve, *Escherichia coli* gr –ve *Bacillus subtilis* gr +ve, *Salmonela typhi* gr –ve and antifungal activity against Aspergillus oryzoe, Aspergillus niger,. DMSO was used as solvent control. The results of antimicrobial data are summarized in table 3. The compounds show the moderate to good activity against bacteria and fungui.

Table 3. Antimicrobial activity of synthesized compounds

compounds	Gram positive bacterias		Gram negative bacterias		Fungus	
	Staph aureus	Bacillus subtilis	Escherichia coli	S. typhi	Aspergillus niger,	Aspergillus oryzoe
3a	+	-	+	+	+	-
3b	-	+	-	-	+	++
3c	+	+	+	-	+	-
3d	+	+	-	+	+	-
3e	+	+	-	-	-	-
3f	+	+	+	-	-	-
3g	+	+	+	-	-	-
3h	+	-	+	-	+	+
3i	+	+	+	-	+	-
3j	+	+	+	+	+	-
DMSO	-	-	-	-	-	+
Penciline 1	+	+	+	+	x	x
Streptomycin 2	++	++	++	++	x	x
Greseofulvin	x	x	x	x	-	-

++ = Clear Zone of Inhibition, + = Minimum Zone of Inhibition, - = No Effect
X = Not applicable, Standerd 1 Penciline +, Standerd 2 Streptomycin ++ (bacteria).
Greseofulvin (fungus).

CONCLUSION

In conclusion, here we have reported some novel chalcones using ortho hydroxy acetophenone with several aromatic aldehydes with high yield. The newly synthesized chalcones were confirmed by spectral analysis and further evaluated for their antimicrobial activity. The antibacterial activity revealed that of the compounds showed moderate to good activity against the pathogens used.

ACKNOWLEDGEMENT

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ABBREVIATION

KOH: Potassium Hydroxide.
DMF: Dimethyle formamide.
M.P: Melting Point.

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