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Synthesis, characterisation and antimicrobial activity of some chalcones and related compounds

ANUJ KUMAR GANGWAR*, RITU RANI CHAUDHARY and POONAM GARG

Department of Chemistry,Bareilly College, Bareilly - 243 001 (India).

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ABSTRACT

A number of chalcones and related amino compounds have been prepared using substituted acetophenones and different aromatic aldehydes. These compounds have been characterised by elemental analyses and spectral data. These compounds were also screened for their antimicrobial activities.

Key words: Chalcone, compounds, antimicrobial activity.

INTRODUCTION

Chalcones form an important group of natural products. The chalcones possess α,β unsaturated keto functional group, which is considered to be responsible for their antimicrobial activities such as antibacterial[1,2] anti cancer[3,4] antitubercular[5] antiviral[6,7] and antiflammatory[8] etc.

The present communication deals with the synthesis and characterisation of new chalcones and related compounds.

MATERIAL AND METHODS

All the chemicals and reagents used were of AR or equivalent purity. Materials used for the synthesis of the reported compounds were different aldehydes, amino compounds and substituted acetophenones were procured from reputed companies.

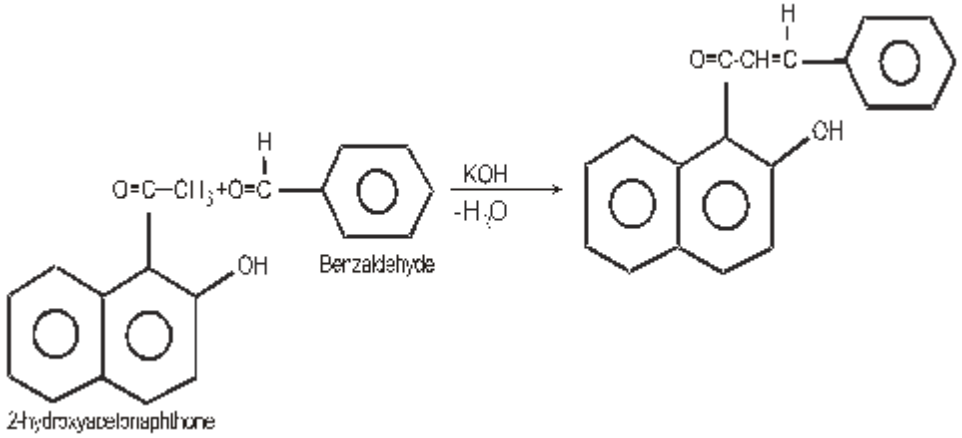
Chalcones were prepared by condensation of 2-hydroxyacetonaphthone and the different aldehydes,Melting points were recorded by cappillary tube method and are uncorrected. The elemental analyses and IR spectra were recorded at CDRI Lucknow. The purity of samples was tested by TLC.

General method for the preparation of chalcones.

The mixture of respective aldehydes and 2-hydroxy-1-acetonaphthone or 2-acetylbenzamidazole (0.1mole each) was stirred in ethanol for 1-2 hr, 1.5 ml. of 40% KOH solution was added to it dropwise. The reaction mixture was kept overnight at room temperature. The mixture was then poured into ice cold water containing dil.HCl. The solid compound so obtained was filtered and recrystallised from ethanol. The chalcones were characterised by elemental analyses & IR spectra. (Table 1)

Conversion of chalcones into amino derivatives

The chalcones so obtained were made to react with benzene- 1,2-diamine to get the desired derivatives. The product so obtained was recrystallised from ethanol. The purity was checked by TLC using benzene : ethanol mixture as mobile phase and characterised by the determination of melting points, elemental analyses and spectral studies (Table 2).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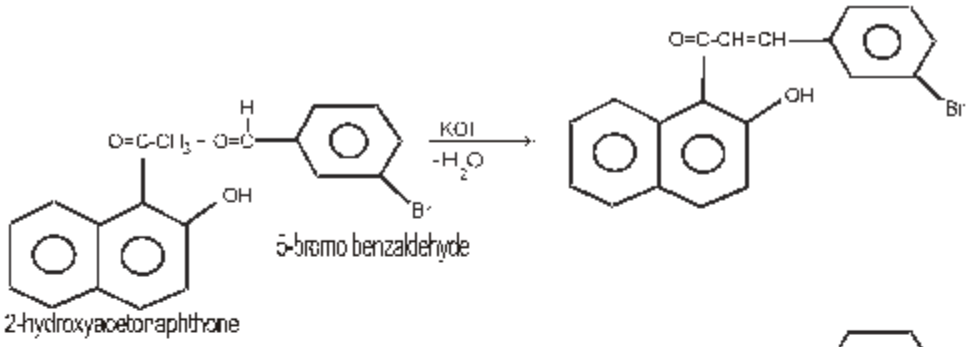
H
OH
KOH
-H2O
Benzaldehyde
2-hydroxyacetonaphthone

(1)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Br
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-H2O
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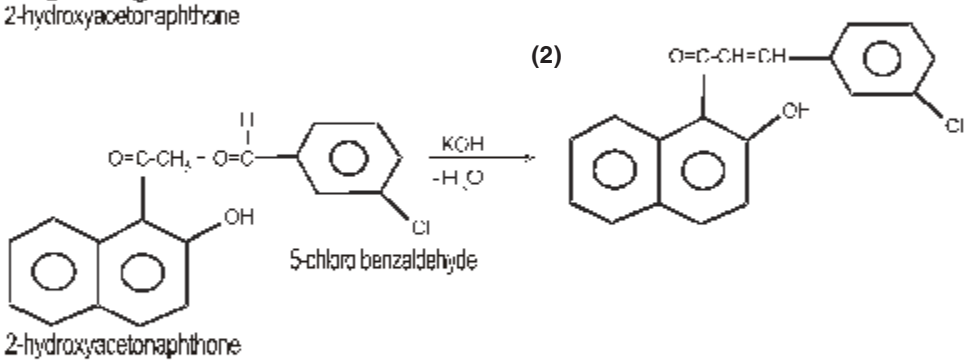
2-hydroxyacetonaphthone

(2)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Cl
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-H2O
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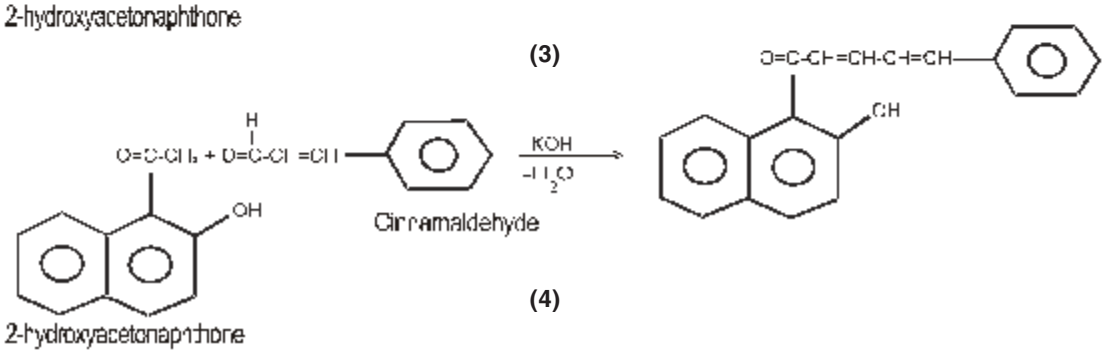
(3)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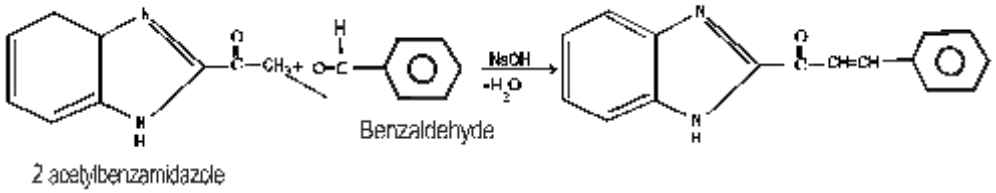
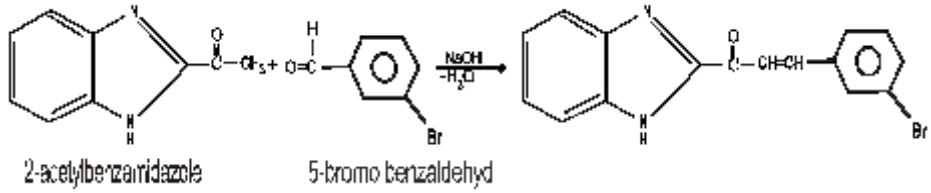
OH
KOH
Cinnamaldehyde
2-hydroxyacetonaphthone

(4)


NaOH
-H2O
Benzaldehyde
2 acetylbenzamidazole

(5)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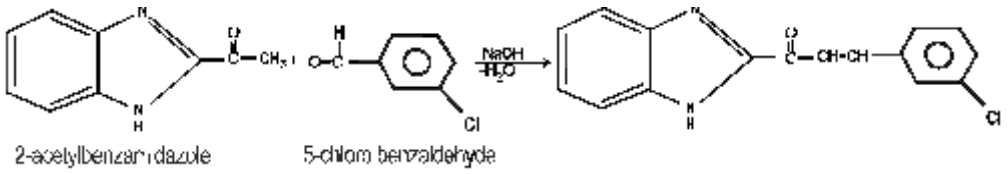
-H2O
2-acetylbenzamidazole
5-bromo benzaldehyd

(6)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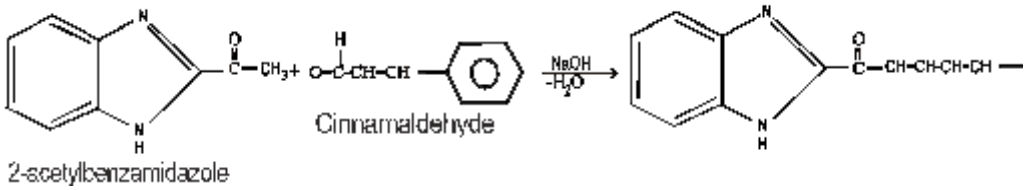
2-acetylbenzamidazole
5-chlom benzaldehyde

(7)


NaOH
-H2O
Cinnamaldehyde
2-acetylbenzamidazole

(8)

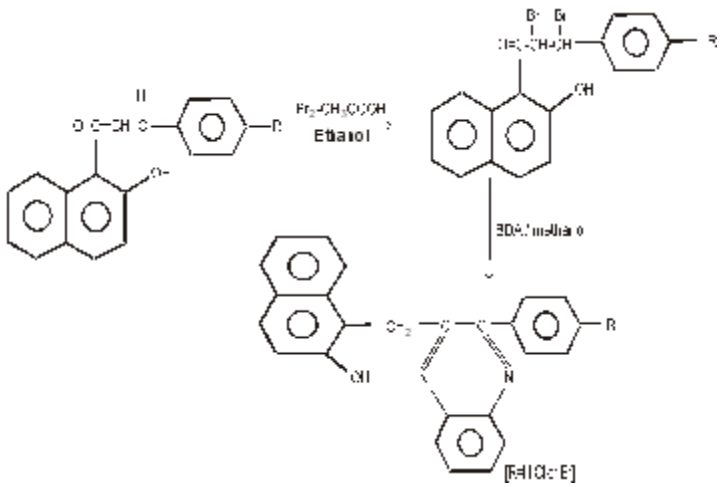

Ethanol

Table 1: The analytical data is given

S. NO.	Mol.formula of the compounds	m.p. ^{0}C	Elemental Analyses			I.R. Spectra Characteristic peaks (νmax, νcm^{-1})
			% of C	% of H	% of N	
1	$C_{19}H_{14}O_2$	170	83.21	5.10	-	3100(-OH), 1720(-C=O)
	Mol.wt.=274		(82.82)	(4.92)		1640(-CH=CH)
2	$C_{19}H_{13}O_2Br$	195	64.58	3.68	-	3110(-OH), 1715(-C=O)
	Mol.wt.=353		(63..91)	(3.12)		1635 (-CH=CH-)852(-C-Br-)
3	$C_{19}H_{13}O_2Cl$	190	74.02	4.22	-	3100(-OH) ,1640(-CH=CH-)
	Mol.wt.=308.5		(73.77)	(3.94)		1721(-C=O)
4	$C_{21}H_{16}O_2$	185	84.00	5.33	-	3070(-OH) , 1639 (-CH=CH-
	Mol.wt.=300		(83.68)	(5.12)		1720 (-C=O)
5	$C_{16}H_{12}ON_2$	140	77.41	4.83	11.29	1725(-C=O)
	Mol.wt.=248		(76.98)	(4.51)	(10.94)	
6	$C_{16}H_{11}ON_2Br$	155	58.71	3.36	8.56	1720(-C=O) ,1640(-CH=CH)
	Mol.wt.=327		(58.12)	(3.12)	(8.16)	850(-C-Br)
7	$C_{16}H_{11}ON_2Cl$	160	67.96	3.89	9.91	1720(-C=O)
	Mol.wt.=282.5		(67.51)	(3.21)	(9.53)	1642(-CH=CH-)
8	$C_{18}H_{14}ON_2$	145	78..83	5.10	10.21	1720(-C=O)
	Mol.wt.=274		(78.21)	(4.96)	(9.90)	1640(-CH=CH-)

Table 2: Characterisation of prepared amino compounds

S. No	Mol. formula of the compound	m.p. °C	Elemental Analyses			I.R. Spectra Characteristic peaks νmax, ν cm^{-1}	NMR
			% of C	% of H	% of N		
1	$C_{25}H_{18}ON_2$	210	82.87	4.97	7.73	ν(-CH)-2985	5.2 to 5.4
	Mol.wt.=362		(82.21)	(4.10)	(6.99)	ν(-C=N),1590	(dd,2H-CH_2)
							7.2 to 8.1
							δ (m,3H,Ar-H)
2	$C_{25}H_{17}ON_2Cl$	222	75.66	4.28	7.06	ν(-CH_2-) ,1375	
	Mol.wt.=396.5		(74.96)	(3.89)	(6.98)		
3	$C_{25}H_{17}ON_2Br$	215	68.02	3.85	6.34		
	Mol.wt.=441		(67.87)	(3.20)	(5.99)		
4	$C_{22}H_{16}N_4$	212	78.57	4.76	16.66		
	Mol.wt.=336		(77.99)	(4.21)	(16.11)		
5	$C_{22}H_{15}N_4Cl$	185	71.25	4.04	15.11		
	Mol.wt.=370.5		(70.92)	(3.94)	(4.96)		
6	$C_{22}H_{15}N_4Br$	190	63.61	3.61	13.49		
	Mol.wt.=415		(62.20)	(3.11)	(12.99)		

Zone of Inhibition (mm)

S. No.	Compound	*S.aureus* ppm	*B.Subtilis* ppm	*E.Coli* ppm
1	$C_{19}H_{14}O_2$	06	04	06
2	$C_{19}H_{13}O_2Br$	12	10	11
3	$C_{19}H_{13}O_2Cl$	22	15	16
4	$C_{21}H_{16}O_2$	17	12	14
5	$C_{16}H_{12}ON_2$	07	10	11
6	$C_{16}H_{11}ON_2Br$	17	10	12
7	$C_{16}H_{11}ON_2Cl$	08	02	00
8	$C_{18}H_{14}ON_2$	22	12	13

Zone of Inhibition (mm)

S. No.	Compound	*A.niger* ppm	*R.Oriza* ppm
1	$C_{19}H_{14}O_2$	09	12
2	$C_{19}H_{13}O_2Br$	18	16
3	$C_{19}H_{13}O_2Cl$	14	12
4	$C_{21}H_{16}O_2$	12	13
5	$C_{16}H_{12}ON_2$	13	11
6	$C_{16}H_{11}ON_2Br$	15	12
7	$C_{16}H_{11}ON_2Cl$	18	16
8	$C_{18}H_{14}ON_2$	16	14

RESULTS AND DISCUSSION

Characterisation of chalcones

The melting points of the chalcones and their amino derivatives were determined. These were characterised by the elemental analyses and recording of IR and NMR spectra(Table no.1&2)

Biological evaluation

The antibacterial activity was evaluated by cup plate method against *staphylococcus aureus, Bacillus subtilis* and *Escherichia coli* at a concentration of 100 µg/ml in agar medium. Norfloxin was used as standard reference drug.

Same cup plate method using PDA medium was employed to study the fungal activity against A. niger and R. Oriza.5mg of each compound was dissolved in 5ml of DMSO. Chlorophenicol was used as standard reference.

All the tested compounds exhibited considerable antifungal and antibacterial activity.

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