



## Biological Significance of Some Substituted Novel Chalcones of 4-Bromoacetophenone

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### ABSTRACT

In present work A series of novel chalcones of 4-bromoacetophenone have been synthesized by using substituted aldehydes like 2-chlorobenzaldehyde, N-N dimethyl benzaldehyde and Isatin by regular Claisen condensation reaction. The synthesized compounds were characterized by IR and  $^1\text{H}$ NMR. The chalcones are bioactive in nature hence this synthesized compounds were evaluated for biological activity against the some gram positive and gram negative bacteria and against some fungus. These substituted chalcones show good inhibition activities.

**Keywords:** Chlorochalcone; Isatine; Biological activity

### INTRODUCTION

Chalcones constitute an important group of natural products and serve as precursors for the synthesis of different classes of flavanoids which are common substances in plants. Chalcones are open-chain flavonoids in which two aromatic rings are joined by a three carbon  $\alpha$ - $\beta$ , -unsaturated carbonyl system. Chalcones are considered as precursors of flavanoids and isoflavanoids observed in various edible plants. Chalcones are considered as open chain flavanoids in which the  $\alpha$ - $\beta$  unsaturated double bond separate two aromatic rings [1]. Chalcones are widely distributed in nature and isolated from natural source. These are bioactive compounds.

Chalcone derivatives have received a great attention due to their relatively simple structure, and wide variety of pharmacological activities reported for these compounds including antibacterial [2], antifungal [3], antitumor [4], antioxidant [5], antiinflammation [6], psychopharmacological activity [7], activity against HIV [8], antitubercular [9], antileishmanial [10,11] chalcones have antimutagenic property which inhibit the activity of tubulin. These activities are largely attributed due to the  $\alpha$ ,  $\beta$ -unsaturated ketone moiety. Introduction of various substituents into the two aryl rings polymer [12]. Hence the researchers are fascinated towards the synthesis of novel chalcones day by day. In present work the synthesized compounds were characterized by IR and  $^1\text{H}$ NMR. The synthesized compounds were screened for their *in vitro* antimicrobial activity against *S. aureus*, *E. coli*, *S. typhi*, *C. albica*, *B. subtilis*, *Pseudomonas fluorescens* by using Disc Diffusion Method [13-15].

### MATERIALS AND METHODS

The starting nucleus 4-bromoacetophenone, the substituted aldehydes like N-N dimethyl benzaldehyde, 2-chlorobenzaldehyde isatin and NaOH all the chemicals taken are of high purity.

Instrumental method: IR recorded on Perkin Elmer 237 spectrophotometer and  $^1\text{H}$  NMR was recorded on Bruker advance II 400NMR spectrometer.

Disc diffusion method for antimicrobial activity: This method applied for screening the synthesized compounds against various gram positive and gram negative bacteria (Tables 1-4).

## RESULTS AND DISCUSSION

### Synthesis of Chalcone General Method

The compound were synthesised by using claisen condonsation reaction. The chalcones of 4- bromo acetophenone with substituted aldehydes were prepared. The substituted aldehyde used are 2- chlorobenzaldehyde, N-N dimethyl benzaldehyde and isatin. The synthesied compound were purified by using ethanol. The purity is checked by doing TLC.

### Synthesis of chalcone from 2-chloro benzaldehyde (C1):

The ethanoic solution of aldehyde (2-chloro benzaldehyde) was added slowly into the ethanoic solution of 4- bromo acetophenone with constant stirring. Then pellets of NaOH was added with vigorous stirring the addition is continue up to the formation of solid cake. After the formation of solid cake the reaction mixture was kept overnight. Then the crude product was obtained by acid workup. The obtained compound was crystallized from ethanol (Figure 1).

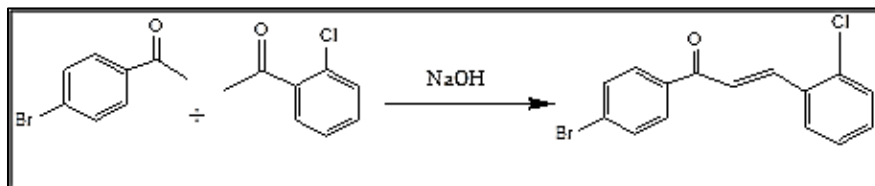


Figure 1: (E)-1-(4-bromophenyl)-3-(2-chlorophenyl) prop-2-en-1-one

### Synthesis of chalcone from N-N dimethyl benzaldehyde (C2):

The ethanoic solution of aldehyde (N-N dimethyl benzaldehyde) was added slowly into the ethanoic solution of 4- bromo acetophenone with constat stirring. Then pellets of NaOH was added with vigorous stirring the addition is continue up to the formation of solid cake. After the formation of solid cake the reaction mixture was kept overnight. Then the crude product was obtained by acid workup. The obtained compound was crystallized from ethanol (Figure 2).

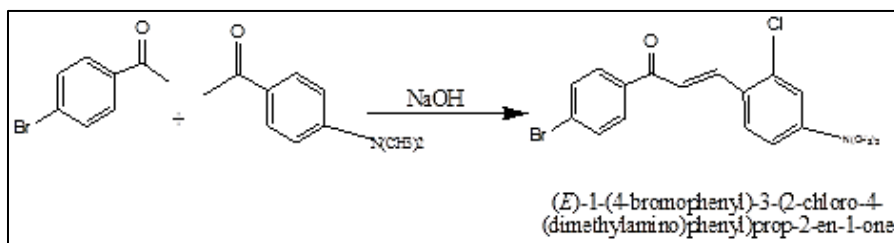


Figure 2: (E)-1-(4-bromophenyl)-3-(2-chloro-4-dimethylamino) phenyl prop-2-en-1-one

### Synthesis of chalcone from isatine (C3):

The ethanoic solution of aldehyde (Isatin) was added slowly into the ethanoic solution of 4- bromo acetophenone with constat stirring. Then pellets of NaOH was added with vigorous stirring the addition is continue up to the formation of solid cake. After the formation of solid cake the reaction mixture was kept overnight. Then the crude product was obtained by acid workup. The obtained compound was crystallized from ethanol (Figure 3).

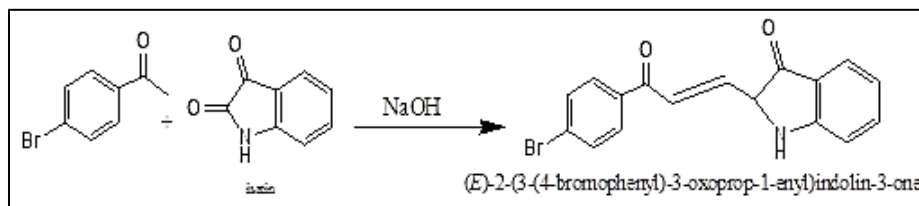


Figure 3: (E)-2-(3-(4-bromophenyl)-3-oxoprop-1-enyl) indolin-3-one

Table 1: Physical data of compounds

Sr.no	Compounds	Molecular formula	Colour	Melting point	Nature
1	C1	C <sub>15</sub> H <sub>10</sub> BrOCl	Dark yellow	110°C	Crystalline
2	C2	C <sub>17</sub> H <sub>16</sub> BrON	Light yellow	70°C	Crystalline
3	C3	C <sub>17</sub> H <sub>11</sub> BrON <sub>2</sub>	Brown	140°C	Crystalline

Table 2: The FTIR spectral analysis of compounds ( $\nu$ =cm<sup>-1</sup>)

Sr.no	Compounds	C=C	Ar-Cl	HC=CH	Ar-Br	C=O	C-H	N-Strech
1	C1	1661	1915	922	1801	1768	2923	-
2	C2	1685	-	985	1528	1678	2876	2370
3	C3	1677	-	1004	1581	1677	2921	2374

Table 3: The H1 NMR of compounds ( $\delta$  in ppm)

Sr.no	Compounds	$\delta$ in ppm	No. of proton	Assignment
1	C1	7.7	1H	-CH benzene
		7.62	1H	-CH near Br
		7.39	1H	HC=C=O
		8.15	1H	Trans C=H
		7.24	1H	CH near Cl
2	C2	7.62	1H	-CH benzene
		7.56	1H	-CH near Br
		7.9	1H	Trans C=H
		2.85	6H	-(CH <sub>3</sub> )-N
3	C3	7.12	1H	-CH near Cl
		7.7	1H	CH benzene
		7.5	1H	-CH pyridine ring
		3.07	1H	-NH

Table 4: Analysis of antimicrobial activity of chalcones

Sr.no	Compounds	S.Aureus	E.colii	S.Typhii	C.Albica	B. Subtilis	Pseudomal Flu
1	C1	+++	+++	+++	++	+++	+++
2	C2	+++	+++	+++	+++	++	+++
3	C3	+++	+++	+++	+++	+++	+++

## CONCLUSION

In Conclusion, we have synthesized the novel series of chalcone under mild conditions and evaluated for their antibacterial and antifungal activity. Notably all synthesized compounds were found to be potent antifungal and antibacterial agents. It is to be noted that compound of chalcone of isatin found to be much more potency with respect to other chalcone.

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