PART-IV
STUDIES ON
CHALCONES
INTRODUCTION

Heterocycles are abundant in nature and are of great significance to life because their structural subunits exist in many natural products such as vitamins, hormones, antibiotics etc[1]. Hence, they have attracted considerable attention in the design of biologically active molecules[2]. A practical method for the synthesis of such compounds is of great interest in synthetic organic chemistry.

The chemistry of chalcones generated intensive scientific studies throughout the world, specially interesting for their biological and industrial applications. Chalcones are coloured compounds because of the presence of the chromophore and auxochromes. They are known as benzalacetophenones or benzylidene acetophenones. Kostanecki and Tambor gave the name Chalcone. The alternative names given to chalcones are phenyl styryl ketones, b-phenyl acrylphenone, g-oxo-a,g-diphenyl-apropylene and a-phenyl-b-benzoethylene.

The chalcones are α, β-unsaturated ketones containing the reactive ketoethyleneic group – CO – CH= CH –. Presence of α, β-unsaturated carbonyl system in chalcone makes it biologically active. Some substituted chalcones and their derivatives have been reported to possess some interesting biological properties such as antibacterial, antifungal[3], insecticidal[4], anaesthetic[5], analgesic, ulcerogenic[6] etc. Chalcones, considered as the precursor of flavonoids and isoflavonoids, are abundant in edible plants [7], and have also been shown to display a diverse array of pharmacological activities, such as anti/protozoal [8], anti-inflammatory [9], anticancer [10] and antihyperglycemic properties [11]. Consequently, the chalcone backbone could be a versatile scaffold for drug design. A survey of the literature revealed that some natural [12,13] and synthetic chalcones [14] showed significant ALR2 inhibitory activities, and this prompted us to investigate potential ARIs derived from chalcone-based compounds. Thus, we focused on the compounds having a carboxylic acid moiety that was incorporated into the chalcone backbone and synthesized these compounds.

SYNTHETIC ASPECT

A considerable variety of methods are available in literature for the synthesis of chalcones. The most convenient method is the one, that involves the Claisen-Schimidt condensation of equimolar quantities of an aryl methyl ketones with arylaldehyde in presence of alcoholic alkali[15].

Chalcones...
Chalcones possess conjugated double bonds and a completely delocalized \( \Pi \)-electron system on both benzene rings. Molecules possessing such system have relatively low redox potentials and have a greater probability of undergoing electron transfer reactions. Chalcones are synthesized by claisen-schmidt condensation of aldehyde and ketone by base catalyzed or acid catalyzed followed by dehydration to yield chalcones.

**MECHANISM**

The following mechanism has been suggested for the synthesis of chalcones.

![Mechanism diagram](image)

**REACTIVITY OF CHALCONES**

The chalcones have been found to be useful for the syntheses of variety of Heterocyclic compounds as under.

1. Pyrazolines\(^{[16]}\) and their derivatives can be prepared by the condensation of chalcones with hydrazine hydrate.
(2) Chalcones on reaction with semicarbazide hydrochloride in ethanol affords 1-carboxamide pyrazolines\textsuperscript{[17]}.

(3) Chalcones on condensation with malononitrile and ammonium acetate yields 2-amino-3-cyanopyridines\textsuperscript{[18]}.

(4) Chalcones on reaction with thiourea in presence of alkali/acid yields 2-thiopyrimidines\textsuperscript{[19]}.

(5) Chalcones on treatment with guanidine hydrochloride in presence of alkali affords 2-aminopyrimidines\textsuperscript{[20]}.

(6) Cyanopyridone\textsuperscript{[21]} derivatives can be prepared by the condensation of chalcone with ethyl cyanoacetate.

(7) Chalcones on reaction with 2-aminopyridine in glacial acetic acid affords pyridopyrimidines\textsuperscript{[22]}.

(8) Isoxazoles\textsuperscript{[23]} can be prepared by the reaction of chalcones with hydroxylamine hydrochloride and sodium acetate.

(9) Chalcones on treatment with urea in presence of alkali affords 2-oxopyrimidines\textsuperscript{[24]}.

(10) Chalcones react with monoethanolamine in ethanol gives 1,4-oxazipines\textsuperscript{[25]}.

(11) Oxiran\textsuperscript{[26]} can be prepared by the reaction of chalcone with H\textsubscript{2}O\textsubscript{2} in basic media.

(12) Chalcones on reaction with barbituric acid gave barbitone\textsuperscript{[27]} derivatives.

(13) Chalcone gives imine derivatives with amine in presence of sulfuric acid as catalyst\textsuperscript{[28]}.

(14) Chalcones on condensation with malononitrile in pyridine forms 2-amino-3-cyanopyrans\textsuperscript{[29]}.

(15) Chalcones react with P\textsubscript{2}S\textsubscript{5} yielded 2-isothiazolidines\textsuperscript{[30]}.

(16) Chalcones react with sodium nitrile in presence of glacial acetic acid in ethanol produces 2-1H-pyrimidines\textsuperscript{[31]}.

(17) Chalcones with 2-amino thiophenol in acetic acid produces 1,5-thiazepines\textsuperscript{[32]}.

**THERAPEUTIC IMPORTANCE**

Chalcone derivatives have been found to possess wide range of therapeutic activities as shown below.

1. Antiallergic\textsuperscript{[33]}

2. Antiinflammatory\textsuperscript{[34,35]}

3. Antiviral\textsuperscript{[36]}
4. Anti HIV$^{[37]}$
5. Carboxygenase inhibitor$^{[38]}$
6. Antitumor$^{[39,40]}$
7. Antimalarial$^{[41]}$
8. Anticancer$^{[42]}$
9. Antileishmanial$^{[43]}$
10. Insecticidal$^{[44,45]}$
11. Antiulcer$^{[46]}$
12. Bactericidal$^{[47,48]}$
13. Fungicidal$^{[49-50]}$
13. Anthelmintics$^{[51]}$

Mudalir and Joshi$^{[52]}$ reported insecticidal activity of some phenoxy chalcones. Ko et al$^{[53]}$ have prepared some new chalcones for potent inhibition of platelet aggregation. Ziegler et al$^{[54]}$ reported some chalcones as antiparasitic. The antimalarial activities of chalcones have also been reported by Xue et al$^{[55]}$ and Dominguez et al$^{[56]}$. Seo et al$^{[57]}$ have synthesized chalcones derivatives and reported them as a-glucosidase inhibitors. Larsen and co-worker$^{[58]}$ and Wu et al$^{[59]}$ have reported anti-plasmodial activity and Boeck and et al$^{[60]}$ have reported anti leishmanial activity of some chalcones. Analogs containing nitro, fluorine or bromine group respectively displayed increased selectivity against the parasites as compared with natural chalcone.

Shao-Jie Wang et al.$^{[61]}$ have synthesized chalcones derivatives (I) and reported them as a Aldose Reductase Inhibitors.
Recently Ni Liming et al. have synthesized chalcones and screened for their antiinflammatory and cardiovascular activity. Kumar Srinivas et al. have synthesized chalcones as a antitumor agent. Ko Horng-Huey et al. have prepared chalcones as antiinflammatory agent. Nakahara Kazuhiko et al. have synthesized chalcones and tested as carcinogen inhibitors. Antitubercular agents of chalcone derivatives have been prepared by Lin Yuh-Meei et al.

A. Nagaraj and C. Sanjeeva Reddy reported Antimicrobial, Antifungal Activity of some bis-chalcones (II).

R R
\[ \text{O} \quad \text{O} \]
\[ \text{OH} \quad \text{OH} \]
\[ R = \text{H, 4-OCH}_3, \text{4-Cl, 2-Cl,4-NO}_2, \text{4-Br} \]

Furthermore, Alcaraz M. J. et al. have described the role of nuclear factor-kappa B and heme oxygenase-1 in the action of an anti-inflammatory Chalcone derivative in RAW 264.7 cells. Nerya O. et al. have prepared some new chalcones as potent tyrosinase inhibitors (III).
Dong Hwan Shon et al.\cite{70} have synthesized and investigated the anti-inflammatory activity of 2,4,6-tris(methoxymethoxy)chalcone derivatives. Liu Mei et al.\cite{71} have prepared chalcones and screened for antimalarial activity. Opletalova Veronika et al.\cite{72} have synthesized chalcones and tested as cardiovascular agents. Moreover, it has been found that chalcone derivatives possesses nitric oxide inhibitor\cite{73,74} anti HIV\cite{75,76} and antiproliferative\cite{77,78} activities.

Moreover, Khatib S. et al.\cite{79} synthesized some novel chalcones as potent tyrosinase inhibitors (IV). Ko H. H. et al.\cite{80} have prepared some new chalcones for potent inhibition of platelet aggregation. Ziegler H. L. et al.\cite{81} reported chalcones as an antiparasitic. Go M. L. et al.\cite{82} have described the synthesis and biological activities of chalcones as antiplasmodial. A new class of sulfonamide chalcones (V) synthesized and their glycosidase inhibitory activity\cite{83} were investigated.

\begin{figure}[h]
\centering
\includegraphics[width=\textwidth]{chem.png}
\caption{Chemical structures of chalcones (IV) and (V).}
\end{figure}

N. Lall and co-workers\cite{84} have synthesized 2’,4’,6’-trihydroxy-3’-phenylchalcone and 4’,6’,5”-trihydroxy-6”,6”-dimethyldihydropyrano[2”’,3”’-2’,3’]...
chalcone as an antiviral and antitubercular agent. M. L. Ferrandiz et al.\textsuperscript{[85]} have synthesized phenylsulphonylurenyl chalcone derivatives with various patterns of substitution and tested for their effect on nitric oxide (NO) and prostaglandin E2(PGE2) overproduction in RAW 264.7 macrophages. Several derivatives selectively inhibited cyclo-oxygenase-2 (COX-2) activity in human monocytes. C. C. Lin et al.\textsuperscript{[86]} have examined 1,3-diphenyl-2-propenone for its effect on proliferation in human breast cancer cell lines, MCF-7 and MDA-MB-321.

Shah Alam Khan et al.\textsuperscript{[87]} have synthesized some new chalcones containing 1, 4-dioxane ring system (VI) and reported antihepatotoxic activity.

![Chemical Structure of Chalcone (VI)](image)

Das B. P. et al.\textsuperscript{[88]} have found that chalcones possess larvicidal properties. Kim Min-Young et al.\textsuperscript{[89]} have synthesized chalcones and tested for their matrix metalloproteinase inhibitor activity. Satyanarayana M. et al.\textsuperscript{[90]} have synthesized chalcone derivatives as antihyperglycemic activity (VII).

![Chemical Structure of Chalcone (VII)](image)
Prem P. Yadav and co-workers\textsuperscript{[91]} have synthesized nitrogen and sulfur containing furanoflavonoids and thiophenylflavonoids (VIII), which have been screened for antifungal and antibacterial activity. Meng C. Q. et al.\textsuperscript{[92]} discovered some novel hetero aryl substituted chalcones as inhibitors of TNF-alpha-induced VCAM-1 expression (IX).

![Chalcone structure (VIII)](image)

Simon F. Nielsen et. al.\textsuperscript{[93]} have been describes how the introduction of “cationic” aliphatic amino groups in the Chalcone scaffold results in potent antibacterial compounds. Moreover Leroy Krbechek et. al.\textsuperscript{[94]} describes three new, sweet dihydrochalcones and related compounds (XI, XII). One of these, 2',4',6',3 -
tetrahydroxy-4-n-propoxydihydro-chalcone 4'-/3-neohesperidoside, was found to be approximately 2000 times sweeter than sucrose on a weight basis.

Chalcones have been proved to be an important intermediate for the synthesis of many heterocyclic compounds in organic chemistry. These facts prompted us to synthesize some new chalcone derivatives in order to achieving better therapeutic agents described as under.

With the biodynamic activities of chalcones and it is a good synthon for various heterocyclic rings, the interest has been focused on the synthesis of new chalcones. With a view to obtained compounds having better therapeutic activity, we have synthesized methyl 4-((E)-3-((Z)phenyl)acryloyl)-2-methylbenzoate with various aromatic aldehydes in presence of catalytic amount of alkali.
SECTION I

SYNTHESIS AND BIOLOGICAL EVALUATION OF METHYL 4-((E)-3-((Z)PHENYL)ACRYLOYL)-2-METHYLBENZOATE

With the biodynamic activities of chalcones and it is a good synthon for various heterocyclic rings, the interest has been focused on the synthesis of new chalcones. With a view to obtained compounds having better therapeutic activity, we have synthesized Methyl 4-((E)-3-(4-flourophenyl)acryloyl)-2-methylbenzoate by the condensation of methyl 4-acetyl-3-methylbenzoate with various aromatic aldehydes in presence of 40% alkali.

The constitution of the synthesized products have been characterized by using infrared and $^1$H-nuclear magnetic resonance spectroscopy and further supported by mass spectroscopy.

All the compounds have been evaluated for their in vitro biological assay like antibacterial activity towards Gram positive and Gram negative bacterial strains and antifungal activity towards A. niger, C. Albicans and A. Clavatus at a different concentration. The biological activities of synthesized compounds were compared with standard drugs.
Studies on Some Heterocyclic Entities......

**REACTION SCHEME**

Reflux

\[ \text{Methanol} \quad \text{Con. H}_2\text{SO}_4 \]

\[ \text{40\% NaOH} \quad \text{Methanol} \]

\[ (\text{Type V}) \]

\[ R = \text{H, OH, OCH}_3, \text{Cl, NO}_2, \text{N(CH}_3)_2 \text{ etc.} \]

Chalcones...
IR SPECTRAL STUDIES OF METHYL 4-((E)-3-(4-FLOUROPHENYL)ACRYLOYL)-2-METHYLBENZOATE

Instrument: SHIMADZU FTIR 8400 Spectrophotometer; Frequency range: 4000-400 cm\(^{-1}\) (KBr disc.)

<table>
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<tr>
<th>Type</th>
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<th>Frequency cm(^{-1})</th>
<th>Ref.</th>
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<td></td>
<td>Observed</td>
<td>Reported</td>
</tr>
<tr>
<td>Alkane (Methane)</td>
<td>C-H str. (asym.)</td>
<td>2987</td>
<td>2975-2920</td>
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<tr>
<td></td>
<td>C-H str. (sym.)</td>
<td>2960</td>
<td>2880-2860</td>
</tr>
<tr>
<td></td>
<td>C-H def. (asym.)</td>
<td>1440</td>
<td>1470-1435</td>
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<tr>
<td></td>
<td>C-H def. (sym.)</td>
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<td>1395-1370</td>
</tr>
<tr>
<td>Aromatic</td>
<td>C-H str.</td>
<td>3194</td>
<td>3100-3000</td>
</tr>
<tr>
<td></td>
<td>C=C</td>
<td>1587</td>
<td>1585-1480</td>
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<tr>
<td></td>
<td>C-H i.p. def.</td>
<td>1093</td>
<td>1125-1090</td>
</tr>
<tr>
<td></td>
<td>C-H o.o.p. def.</td>
<td>831</td>
<td>860-810</td>
</tr>
<tr>
<td>Ketone</td>
<td>C=O str.</td>
<td>1704</td>
<td>1700-1640</td>
</tr>
<tr>
<td>Vinyl</td>
<td>CH=CH str.</td>
<td>2987</td>
<td>3050-3000</td>
</tr>
<tr>
<td>Ether</td>
<td>C-O-C str.</td>
<td>1259</td>
<td>1260-1200</td>
</tr>
<tr>
<td>Halide</td>
<td>C-F str.</td>
<td>1226</td>
<td>1400-1100</td>
</tr>
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</table>

Chalcones...
$^1$H NMR SPECTRAL STUDIES OF METHYL 4-((E)-3-(4-FLUOROPHENYL)ACRYLOYL)-2-METHYLBENZOATE

Internal Standard: TMS; Solvent: DMSO Instrument: BRUKER Spectrometer (400 MHz)

<table>
<thead>
<tr>
<th>Signal No.</th>
<th>Signal Position δ ppm</th>
<th>Relative No. of Protons</th>
<th>Multiplicity</th>
<th>Inference</th>
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<td>1</td>
<td>2.60</td>
<td>3H</td>
<td>singlet</td>
<td>-CH$_3$(i)</td>
</tr>
<tr>
<td>2</td>
<td>3.86</td>
<td>3H</td>
<td>singlet</td>
<td>-CH$_3$(j)</td>
</tr>
<tr>
<td>3</td>
<td>7.29-7.33</td>
<td>2H</td>
<td>triplet</td>
<td>Ar-CH(d,d')</td>
</tr>
<tr>
<td>4</td>
<td>7.89-8.02</td>
<td>5H</td>
<td>multiplate</td>
<td>Ar-(c,c',f,g,h)</td>
</tr>
<tr>
<td>5</td>
<td>7.75-7.79</td>
<td>1H</td>
<td>doublet</td>
<td>-CH(a) (J=15.6Hz)</td>
</tr>
<tr>
<td>6</td>
<td>8.04-8.08</td>
<td>1H</td>
<td>doublet</td>
<td>-CH(b) (J=18.8Hz)</td>
</tr>
</tbody>
</table>

Chalcones...
Chalcones...
MASS SPECTRUM OF METHYL 4-((E)-3-(4-FLOUROPHENYL) ACRYLOYL)-2-METHYLBENZOATE
EXPERIMENTAL

SYNTHESIS AND BIOLOGICAL EVALUATION OF METHYL 4-((E)-3-((Z)PHENYL) ACRYLOYL)-2-METHYLBENZOATE

[A] SYNTHESIS OF METHYL 4-ACETYL-2-METHYLBENZOATE

To a solution of 4-acetyl-2-methylbenzoic acid (0.01 mol, 1.78 gm), Conc. Sulphuric acid (0.01 mol, 0.53 ml) in methanol (20 ml) was reflux for 5.0 hrs. After completion of the reaction excess methanol was distilled off light yellow color oil obtained. Yield 98%.

[B] SYNTHESIS OF METHYL 4-((E)-3-(4-FLUOROPHENYL)ACRYLOYL)-2-METHYLBENZOATE

To a solution of methyl 4-acetyl-2-methylbenzoate (0.01 mol, 2.22 gm), p-flourobenzaldehyde (0.01 mol, 1.24 gm) in methanol (25 ml) and 40% NaOH solution was added till the solution become basic. The reaction mixture was stirred for 3.0 hrs. at room temperature after completion of reaction the content was poured in to crushed ice. Upon neutralization the solid separated was crystallized from ethanol. Yield 95%; m.p. 235°C.

Similarly other methyl 4-((E)-3-((Z)phenyl)acryloyl)-2-methylbenzoate were obtained. Various physical constants for all the synthesized compounds are given in Table 7.

[C] BIOLOGICAL EVALUATION OF METHYL 4-((E)-3-((Z)PHENYL) ACRYLOYL)-2-METHYLBENZOATE

Antimicrobial testing was carried out as described in Part-II, Experimental Section-I [C]. The results of of test solutions are recorded in Table 8.
TABLE 7: PHYSICAL CONSTANTS OF METHYL 4-((E)-3-((Z)PHENYL)ACRYLOYL)-2-METHYLBENZOATE

<table>
<thead>
<tr>
<th>Sr. No.</th>
<th>R</th>
<th>Molecular Formula</th>
<th>Molecular Weight</th>
<th>M.P. °C</th>
<th>Yield %</th>
<th>Rf</th>
<th>Solvent System</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>2-hydroxy</td>
<td>C\textsubscript{16}H\textsubscript{16}O</td>
<td>296.32</td>
<td>151</td>
<td>92</td>
<td>0.53</td>
<td>S\textsubscript{1}</td>
</tr>
<tr>
<td>2</td>
<td>3-Nitro</td>
<td>C\textsubscript{16}H\textsubscript{15}NO\textsubscript{3}</td>
<td>325.32</td>
<td>275</td>
<td>80</td>
<td>0.56</td>
<td>S\textsubscript{1}</td>
</tr>
<tr>
<td>3</td>
<td>4-Hydroxy</td>
<td>C\textsubscript{16}H\textsubscript{16}O</td>
<td>296.32</td>
<td>190</td>
<td>85</td>
<td>0.53</td>
<td>S\textsubscript{1}</td>
</tr>
<tr>
<td>4</td>
<td>4-N,N-Dimethyl</td>
<td>C\textsubscript{20}H\textsubscript{21}NO\textsubscript{3}</td>
<td>323.39</td>
<td>247</td>
<td>82</td>
<td>0.57</td>
<td>S\textsubscript{1}</td>
</tr>
<tr>
<td>5</td>
<td>4-Hydroxy-3-Methoxy</td>
<td>C\textsubscript{19}H\textsubscript{18}O\textsubscript{5}</td>
<td>326.34</td>
<td>238</td>
<td>75</td>
<td>0.52</td>
<td>S\textsubscript{1}</td>
</tr>
<tr>
<td>6</td>
<td>4-Chloro</td>
<td>C\textsubscript{16}H\textsubscript{15}ClO\textsubscript{3}</td>
<td>314.76</td>
<td>240</td>
<td>90</td>
<td>0.50</td>
<td>S\textsubscript{1}</td>
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<tr>
<td>7</td>
<td>4-Nitro</td>
<td>C\textsubscript{16}H\textsubscript{15}NO\textsubscript{5}</td>
<td>325.32</td>
<td>288</td>
<td>85</td>
<td>0.56</td>
<td>S\textsubscript{1}</td>
</tr>
<tr>
<td>8</td>
<td>H</td>
<td>C\textsubscript{16}H\textsubscript{16}O</td>
<td>280.32</td>
<td>210</td>
<td>80</td>
<td>0.62</td>
<td>S\textsubscript{1}</td>
</tr>
<tr>
<td>9</td>
<td>4-Methoxy</td>
<td>C\textsubscript{19}H\textsubscript{18}O\textsubscript{4}</td>
<td>310.34</td>
<td>185</td>
<td>95</td>
<td>0.53</td>
<td>S\textsubscript{1}</td>
</tr>
<tr>
<td>10</td>
<td>2-Chloro</td>
<td>C\textsubscript{16}H\textsubscript{15}ClO\textsubscript{3}</td>
<td>314.76</td>
<td>255</td>
<td>92</td>
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<tr>
<td>11</td>
<td>4-Flouro</td>
<td>C\textsubscript{18}H\textsubscript{15}FO\textsubscript{3}</td>
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<td>235</td>
<td>95</td>
<td>0.54</td>
<td>S\textsubscript{1}</td>
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</table>

S\textsubscript{1} - Hexane : Ethylacetate- 7:3
TABLE 8: BIOLOGICAL EVALUATION OF METHYL 4-((E)-3-((Z)PHENYL)ACRYLOYL)-2-METHYLBENZOATE

<table>
<thead>
<tr>
<th>Sr. No.</th>
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<th>Antibacterial Activity</th>
<th>Antifungal activity</th>
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<tr>
<td></td>
<td></td>
<td>Minimal bactericidal concentration µg/ml</td>
<td>Minimal fungicidal concentration µg/ml</td>
</tr>
<tr>
<td></td>
<td></td>
<td>Gram +ve Bacteria</td>
<td>Gram –ve Bacteria</td>
</tr>
<tr>
<td>1</td>
<td>4a</td>
<td>500</td>
<td>100</td>
</tr>
<tr>
<td>2</td>
<td>4b</td>
<td>1000</td>
<td>500</td>
</tr>
<tr>
<td>3</td>
<td>4c</td>
<td>100</td>
<td>1000</td>
</tr>
<tr>
<td>4</td>
<td>4d</td>
<td>500</td>
<td>1000</td>
</tr>
<tr>
<td>5</td>
<td>4e</td>
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<td>250</td>
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<tr>
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<td>1000</td>
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</tr>
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<td>8</td>
<td>4h</td>
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<td>1000</td>
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<td>9</td>
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<td>200</td>
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<td>10</td>
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</tr>
<tr>
<td>11</td>
<td>4k</td>
<td>250</td>
<td>250</td>
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MINIMAL INHIBITION CONCENTRATION

<table>
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<tr>
<th>Standard Drugs</th>
<th>E.coli (µg/ml)</th>
<th>P.aeruginosa (µg/ml)</th>
<th>S.aureus (µg/ml)</th>
<th>S.pyogenus (µg/ml)</th>
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</thead>
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<td>1</td>
<td>0.25</td>
<td>0.5</td>
</tr>
<tr>
<td>Ampicillin</td>
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<td>100</td>
<td>250</td>
<td>100</td>
</tr>
<tr>
<td>Chloramphenicol</td>
<td>50</td>
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<td>50</td>
<td>50</td>
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<td>Ciprofloxacin</td>
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<td>50</td>
<td>50</td>
</tr>
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<td>Norfloxacin</td>
<td>10</td>
<td>10</td>
<td>10</td>
<td>10</td>
</tr>
</tbody>
</table>

MINIMAL FUNGICIDAL CONCENTRATION

<table>
<thead>
<tr>
<th>Standard Drugs</th>
<th>C.Albicans (µg/ml)</th>
<th>A.Niger (µg/ml)</th>
<th>A.Clavatus (µg/ml)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Nystatin</td>
<td>100</td>
<td>100</td>
<td>100</td>
</tr>
<tr>
<td>Greseofulvin</td>
<td>500</td>
<td>100</td>
<td>100</td>
</tr>
</tbody>
</table>
ANTIBACTERIAL ACTIVITY:

From screening results, substituted chalcones 4f (R = -4-Cl) and 4h (R = H) against S.aureus and 4a (R = -2-OH) against E.coli possesses very good activity compared with ampicillin while 4c (R = -4-OH) against S.aureus, 4a (R = -2-OH) and 4g (R = -4-NO2) against S.pyogenus and 4c (R = -4-OH) against P.aeruginosa possesses moderate activity as compared with ampicillin. The remaining 4-Thiazolidinone derivatives possess poor to very poor activity against all four bacterial species.

ANTIFUNGAL ACTIVITY:

Antifungal screening data showed that substituted chalcones 4b (R = -3-NO2) and 4h (R = H) show highly promising activity against C. albicans as compare to standard drug Greseofulvin while 4c (R = -4-OH) and 4d (R = -4-N,N(CH3)2) against A.niger and also 4e (R = -4-OH-3-OCH3) and 4i (R = -4-OCH3) against A.clavatus show good activity compare with standard drug. The remaining compounds exhibited only moderate to poor activity.
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