



Review Of Research



NATURALLY OCCURRING, SYNTHETIC CHALCONES HAVING ANTICANCER AND ANTI-INFLAMMATORY ACTIVITY: REVIEW

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ABSTRACT :

Chalcones are plant secondary metabolites of flavonoid family; chemically they are known as derivatives of 1, 3 diaryl or diphenyl 1-2-propen-1-ones. They found in plant species leguminosae, asteraceae, moraceae. Chalcones found in roots bark, buds, flower and seeds of the plants. Fruits like apples; citrus vegetable like tomato, potato contains chalcones. Large number of natural and synthetic chalcones investigated for pharmacological activities and showed anticancer, antitumor, antimalarial, antifungal, anti-inflammatory, antidiabetic, antibacterial, antimicrobial, antioxidant, larvicidal, antirheumatoid activities. In addition to medicinal use chalcones are useful for synthesis of heterocyclic compounds, as a sweetening agent, analytical agent, light stabilizing agent and in spectroscopic study of germanium.

KEYWORDS:

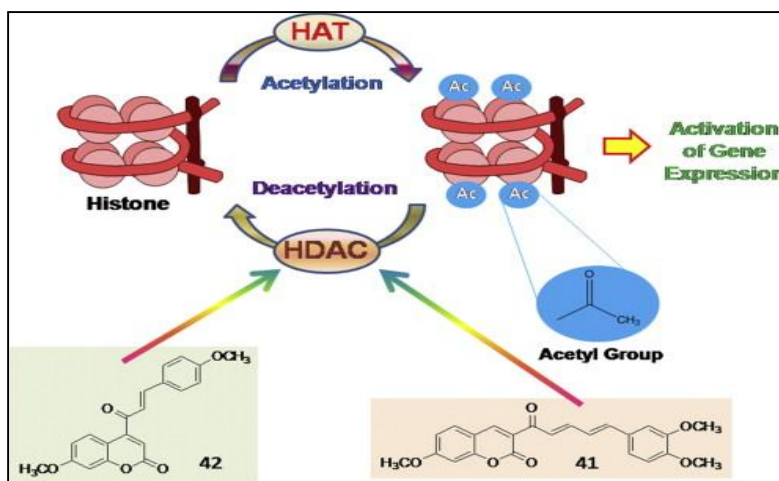
Chalcones, anticancer, anti-inflammatory, pharmacological activity.

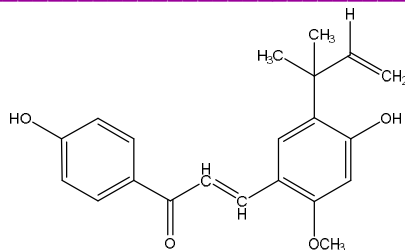
INTRODUCTION

Chalcones contain two aromatic ring attached to ketoethylenic group. From the basic structure chalcones are also called as phenyl acetophenones, benzal acetophenones or phenyl styryl ketones. The various pharmacological activities of chalcones depend on position and number of functional groups like halogens, hydroxyl, methoxy, nitro in both rings A and B. Many chalcones derivatives either natural or synthetic origin exhibits different pharmacological activities. Biological activities of chalcones investigated in 1980 and continuous addition is observed in this field.

ANTICANCER ACTIVITY:

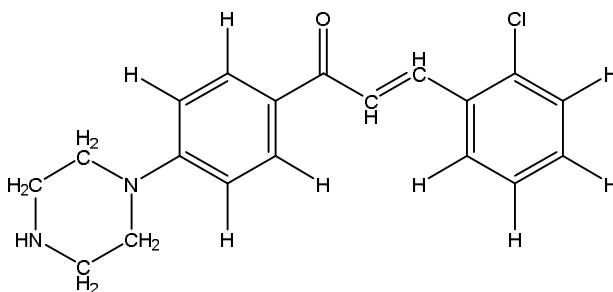
Cancer is dangerous due to its cells uncontrolled proliferation. Any medicine that inhibits cell growth or kill cancer cell is useful as anticancer drug. Antimitotic agents target the colchicines the vinca alkaloid and paclitaxel binding sites. If the agent binds colchicine binding site or vinca alkaloid creates depolymerisation of tubulin so acts as tubulin assembly inhibitors. Chalcones having anticancer activity may be cytotoxic or prevent polymerization of tubulin. Chalcones also involve in inhibition of suppressor protein P53, inhibition of cytochrome P450 and may block nitric oxide production which results in cytotoxicity.^{2,3} Chalcones with less number of hydroxyl group in ring are more effective, two hydroxyl chalcones containing methoxy group in ring B are more effective as anticancer agent⁴. Licochalcones E(I) isolated from roots of Glycyrrhiza inflata was observed an inducing apoptosis in endothelial cells.⁵





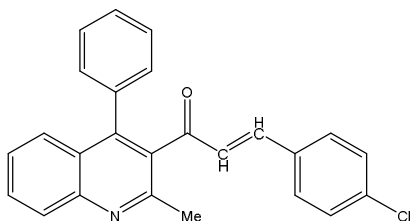
(I)

Rahamen et al⁶ synthesized piperazine nucleus chalcone (II) and investigated for its anticancer activity. It is active in inhibition of MCF-7 (breast carcinoma) , HepG2 (liver carcinoma), carcinoma of cervix and carcinoma of brain.

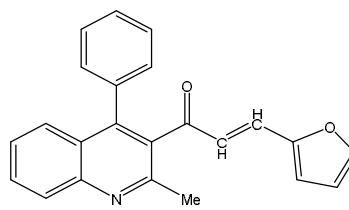


(II)

Chalcone having anticancer activity were synthesized by Kotra et al⁷ Mixture of ortho-aminobenzophenone, 2,4 pentadione and citric acid was heated, the product obtained was reacted with substituted benzaldehyde in alkaline medium to form chalcones III and IV. Both compounds exhibit % inhibition 103,100.20 against raw cell lines.

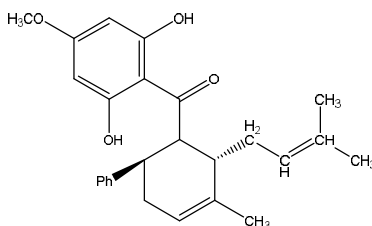


(III)



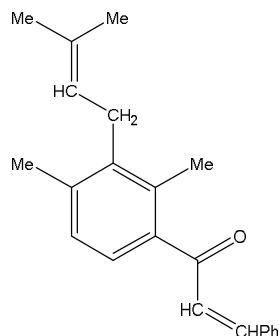
(IV)

Cytotoxic activity of panduratin A (V) towards human prostate cancer cell line has already explained⁸ . It is isolated from kaempferia pandurata. It induce apoptosis by inhibition of procaspases 9,8,6,3 and enhance ratio of Bax : Bcl-2 .



(V)

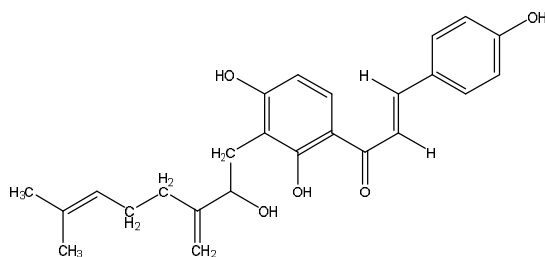
In another study derricin (VI) isolated from roots of *Lonchocarpus sericeus* showed cytotoxicity against CEM leukemia cell line. It almost stopped the cell growth⁹.



(VI)

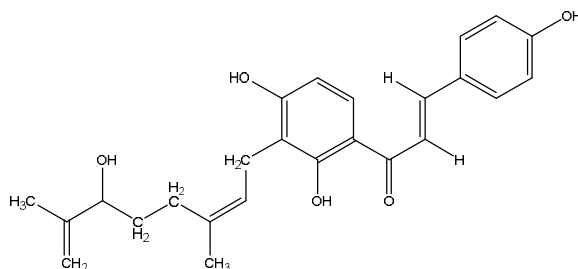
Some coumarin based chalcones were synthesized by Shashidhara et al¹⁰. Screened and found positive result for cytotoxic effect against breast adenocarcinoma (Mcf-7) lung carcinoma (A549), cervical carcinoma (c33A).

ANTI-INFLAMMATORY ACTIVITY:



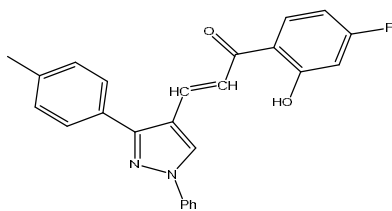
(VII)

Chalcones having chloro, nitro group in ring B showed very good biological activity and are more selective in inhibition of nitrile production. Chalcones (VII) and VIII) isolated from *Angelica keiskei* showed inhibitory activity of 1L-6 productions in TNF α stimulated MG-63 cell¹¹

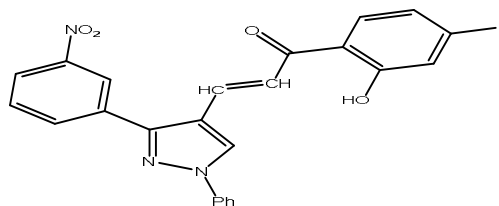


(VIII)

Inflammation is produced at the site of infection or injury due to liberation of histamine prostaglandins etc. in body. The cox-1 and cox-2 produces prostaglandins which causes inflammation NSAIDS are used for treatment of inflammation. Selective Cox-2 inhibitors over Cox-1 will be better anti-inflammatory agents. Bhosale et al.¹² Synthesized fluoro, hydroxyl substituted pyrazole chalcones & investigated for anti-inflammatory activity. Compound (IX) and (X) has inhibition Cox2: Cox-1 (58.83: 28.29) and (46.58: 14.46) respectively.



(IX)



(X)

CONCLUSION:

It is observed that heterocyclic compounds of nitrogen, Sulphur and oxygen found to have different pharmacological activities. Chalcones, flavones, chromones, 2 and 3 styryl chromones showed good pharmacological activities. In chalcones substitutions of aromatic hydrogens in ring A and B by hydroxyl, methyl, phenyl, halogen groups are observed to be responsible for pharmacological activities.

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