A Brief Review of The Biological Activities of Chalcones And Their Derivatives

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Abstract- *In the medical field, derivatives of chalcones are crucial. Because they have a wide range of pharmacological characteristics, such as Antimicrobial, Anti-inflammatory, Antioxidant, Anticancer, and Antitubercular effects. The Substitution group of the chalcones is primarily responsible for the biological activity. The Claisen reaction can be used to prepare Chalcones, which are a significant class of flavonoids. This paper presents the work that has been done in the past years on the chemistry and Pharmacological activity of derivatives of chalcones.*

Keywords- Chalcones, Antimicrobial, Antioxidant, Anticancer activity.

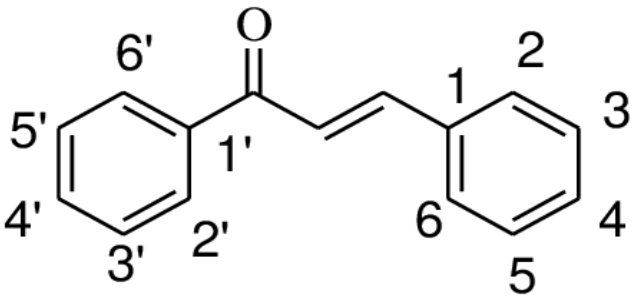
I. INTRODUCTION

Chalcones (or) 1, 3-diphenyl-2-propene-1-0nes, are among the most significant classes of flavonoids, in the entire kingdom of plants. Chalcones, also known as chalconoids, are biologically important compounds. Vegetables, fruits, tea and other naturally coming chemicals all contain chalcones, which are chemical scaffolds. Chalcones are mostly polyphenolic compounds that change colour from yellow to orange.
Stanislaw Kostanecki and Josef Tambor first used the term "chalcone" in 1899. Chalcone is derived from the Greek word Chalcos, which means "bronze". This is because most chalcones found in nature have colour.

The three-carbon aliphatic chain that connects the two aromatic rings of the chalcone skeleton. A highly electrophilic carbonyl system consisting of three carbons α, β-unsaturated carbons connect the two rings of chalcone, assuming a nearly uniform or linear structure. Conjugated double bonds and a fully delocalized π-electron system are present on both aromatic rings.

Numerous synthetic analogues of natural flavonoids are currently recognized as promising candidates in treatments for inflammatory diseases, diabetes, cancer, and microbial, fungal, and viral infections. This is because of the remarkable biological potential of natural flavonoids, which has drawn interest in the medical field.

As Flavonoids, Chalcones are important for pathogen and insect defence in addition to being important for flower pigmentation and their role as pollination attractants.



CHALCONES

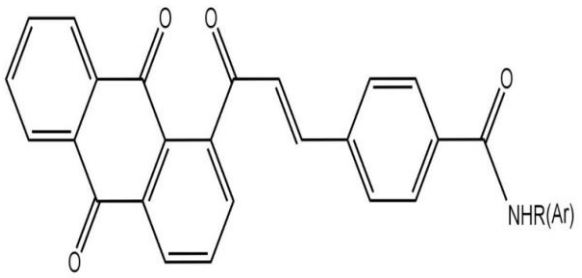
BIOLOGICAL ACTIVITY OF CHALCONES:

ANTICANCER ACTIVITY:

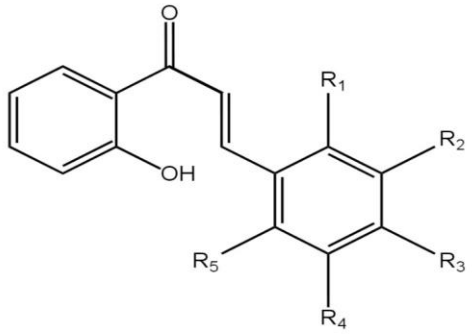
Drugs that are useful in treating malignant (or) cancerous diseases are known as Anticancer (or) Antineoplastic drugs. There is a constant need to develop alternative or synergistic anticancer drugs with minimal side effects because of the rising recurrence of mammalian tumours and the severe side effects of

Chemotherapeutic agents, which reduce the clinical efficiency of a wide variety of commonly used anticancer agents. Cancer treatment is a challenging procedure because the medications used target human cells -albeit genetically altered cells that are proliferating quickly and uncontrollably. Thus, the developments of complementary or alternative anticancer medications with negligible side effects are always needed. This section of the current chapter discusses important new developments in the use of chalcones as anticancer agents.

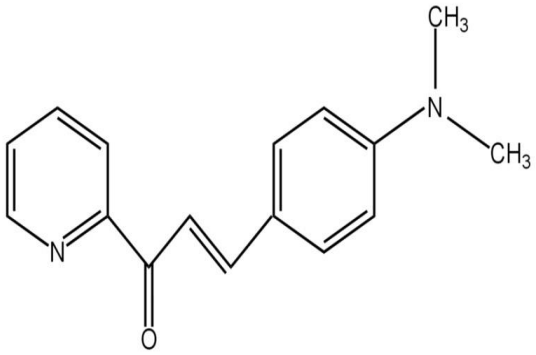
a) Stanojkovic *et.al,* **(2018),** the synthesis, characterization, and report of novel anthraquinone -chalcone hybrids with amide functionality demonstrated their good cytotoxic potential against k562, Jurkat, and HL-60 leukaemia cell lines.



b) Dias *et.al*, **(2013),** synthesized chalcones and tested their anticancer effects on the human colonic carcinoma cell line HCT116. The anticancer activity of the titled compound was found to be enhanced by halogens at the third position of the chalcones.



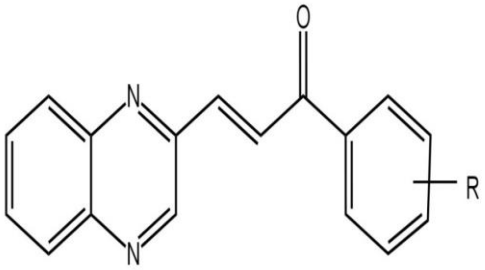
c) Gaber *et.al,* **(2018**), Promising antimicrobial agents and potential anticancer drug candidates, Pd (Ⅱ) and Pt (Ⅱ) complexes of chalcones were investigated for their invitro antimicrobial and antitumor activities against various microorganisms and human hepatocellular carcinoma cells.



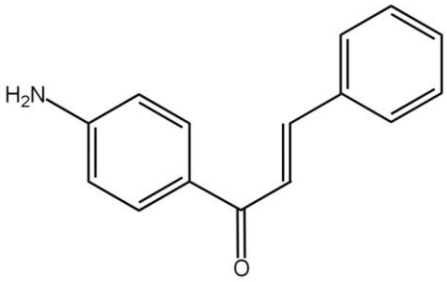
ANTIMICROBIAL ACTIVITY:

The medications used to treat infectious diseases brought on by various bacteria and fungi are known as antimicrobial agents. Bacteria, fungi, parasites, and viruses frequently develop antibiotic or drug resistance when they stop responding to a medication that once effectively treated them. Finding novel antimicrobial agents thus becomes crucial. In this activity, we go over the most recent developments in the hunt for chalcones to create antimicrobial agents.

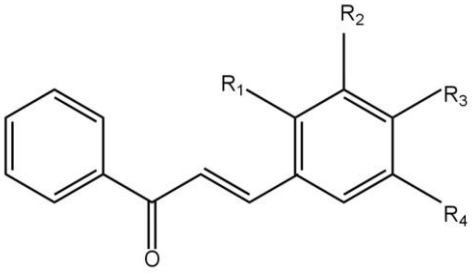
a) Desai *et.al,* **(2017),** the antimicrobial properties of quinoxalinyl chalcones, which were produced through the Claisen-Schmidt condensation, was discovered. Disk diffusion was used in the antimicrobial studies against Candida albicans, Escherichia coli, and Staphylococcus aureus.



b) Suwito *et.al,* **(2016),** Methoxy-4'-amino chalcones demonstrated strong antimicrobial activity against Candida albicans, Staphylococcus aureus, and E.coli invitro. The observed results demonstrating good interactions with the active sites of the dihydropteroate synthase enzyme of E.coli and Staphylococcus aureus were also supported by a molecular docking study.



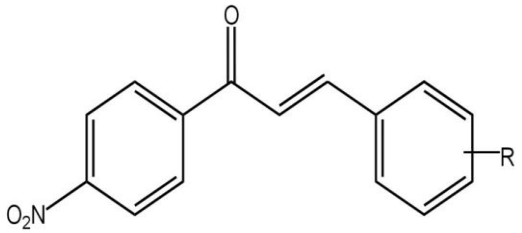
c) Noorulhaq *et.al,* **(2016),** by using the disk diffusion method, Talniya and sood reported the synthesis and antibacterial activity of chalcones against Aspergillus Niger fungi and bacillus subtilis bacteria.



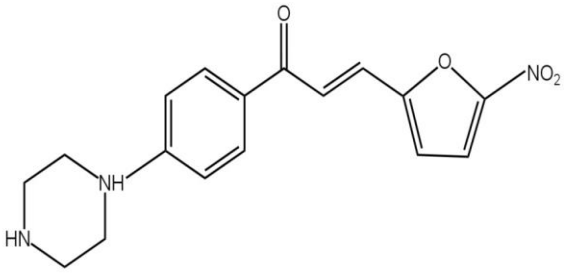
ANTI-TUBERCULAR ACTIVITY:

The most common bacterial infectious disease is still tuberculosis (TB), which is brought on by the acid-fast gram-positive bacillus Mycobacterium tuberculosis. Today, four first -line medications-isoniazid, rifampicin, pyrazinamide, and ethambutol are used to treat tuberculosis. These medications must be taken daily for two months during an intensive phase of treatment. Yet, this treatment is 95% successful against susceptible strains of tuberculosis.

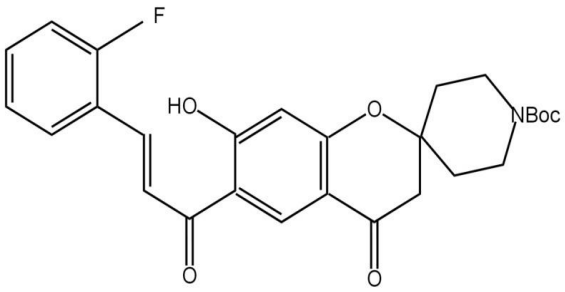
a) Babu *et.al*., **(2019)**, investigated the Antitubercular activity of chalcones containing nitro phenyl moieties using the MABA assay as well as the antibacterial and antifungal activities using the cup plate method. Mycobacterium tuberculosis thymidine kinas inhibition was predicted by a molecular docking study. Figure shows anti-tubercular chalcones.



b) Gomes *et.al.*,**(2017)**, the Antitubercular properties of chalcones was investigated. The chalcones have promising Antitubercular potential because they demonstrated good selectivity towards M. tuberculosis and low cytotoxicity against Vero cells.



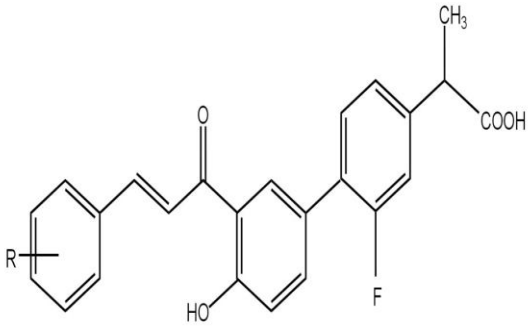
c) Mujahid *et.al*, **(2015),** The Antitubercular activity of spirochromone annulated chalcone conjugates against the M.tuberculosis H37RV strain has been reported. Due to its high binding affinity scores, the MTB Phosphotyrosine phosphate B protein was identified as the most likely target in molecular docking studies conducted against the receptors.



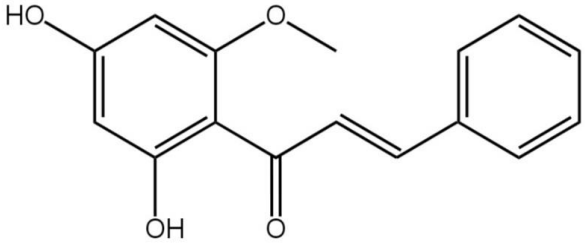
ANTIOXIDANT ACTIVITY:

The substances that prevent oxidation are known as antioxidants. These compounds can stop or lessen the harm that free radicals do to cells.

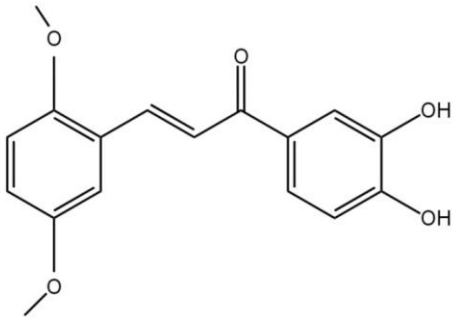
a) Cao *et.al*, **(2018),** a series of 4'-OH-flurbiprofen-chalcone hybrids was reported, who also assessed the compounds potential as multifunctional Alzheimer's disease treatment agents. In addition, the compounds demonstrated strong antioxidant properties, inhibited MAO, chelated bio metals, and demonstrated invitro anti-neuroinflammatory effects.



b) Spirt *et.al*, **(2016)**, the primary components of cellular redox and antioxidant systems are selenium enzymes and phase II enzymes regulated by nuclear factor erythroid 2-related factor 2 (Nrf2), which provide information on the various interrelations involved in the oxidation processes. It has been demonstrated that chalcones obstruct Nrf2-regulated selenoenzyme biosynthesis.



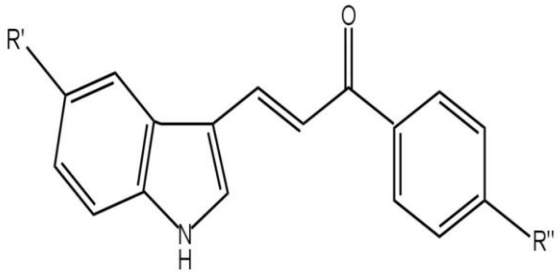
c) Huang *et.al.,* **(2019),** The design, Synthesis and antioxidant activity screening of a number of chalcone analogs was done. Given its unique dual-antioxidant mechanism and concepts for treating diseases related to oxidative stress, the chalcone was found to be a promising drug candidate for preventing ischemic stroke.



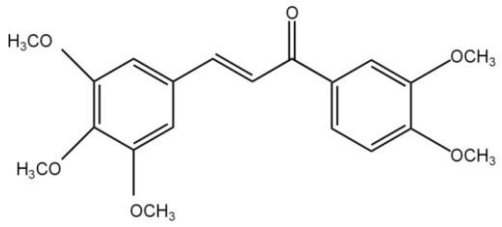
ANTI-INFLAMMOTORYACTIVITY:

Anti-inflammatory medications are those that are used to lessen inflammation and pain. In other words, these are medications that reduce pain. These medications primarily function by blocking the cyclooxygenase enzymes cox-1and cox-2, which generate prostaglandins. The following highlights some of the initiatives being made to create potent anti-inflammatory compounds based on chalcones.

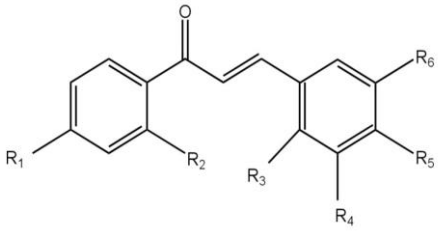
a) Ozdemir *et.al,* **(2015),** the inhibitory activity of cox-1 and cox-2 invitro was assessed for indole- based chalcones.



b) Zhang *et.al,* **(2016**), found that methoxy chalcones may be useful in the treatment of acute inflammatory illnesses.



c) Nurkenov *et.al,* **(2019),** In order to prevent the production of anti-inflammatory cytokines such as tumour necrosis factor and interleukin-6, which are induced by lipopolysaccharides, investigated the invitro anti-inflammatory effect of chalcones.[20]



II. CONCLUSION

Chalcones and their analogues have remarkable biological properties that give them a special place in medicinal chemistry. These properties include anticancer, antimicrobial, Antitubercular, antioxidant, and anti-inflammatory properties. The future will see a continued interest in chalcones and their derivatives among synthetic organic, medicinal, and pharmacological chemists. Researchers should be encouraged by this chapter to develop synthesis and conduct additional research on the pharmacological effects of novel chalcone derivatives for various biological activities.

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