REVIEW ARTICLE

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A Glimpse of the Molecule Chalcone

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ABSTRACT

The compound chalcone is very common which can available by synthetic means and naturally occurring from plant sources. These compounds have predominant value for their abundant properties with less adverse impacts on biological systems. The naturally occurring chalcone belongs to the flavonoid family. Chalcones are structural with two aromatic rings connected with three carbon α & β unsaturated carbonyl systems. They possess many pharmacological activities like anti-inflammatory, antimalarial, antifungal, antileishmanial activities based on the substituents substituted on them. Benzene rings containing conjugated double bonds and completely delocalized π electron system, molecules possessing this system have low redox potential and greater electron transfer reactions. This review article covers the chemistry, synthesis, biological activities, Isolation of natural or synthesized derivatives of chalcone. The main entity of this article is to summarize the expansion of this molecule related to the structural entities and pharmacological actions.

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INTRODUCTION

Curing diseases has been an intensive research work in recent days. Natural components are one of the effective and traditionally fused and combined drug combinations used from ancient times. Consecutive researchers have found excellent methodologies and drug combination and extraction procedures which have got immense value for their practical and productive results, the extracted component chalcone that is obtained from plants and even that can be synthesized chemically, this naturally occurring component and there synthetically derived component shows vast pharmacological activities and its important biological activities. This reason takes a turn towards this component from researches and among the great scientists because of the biological activities shown by this metabolite, the focus has been on this chalcones for its experiments and researches investigations [Figures 1 and 2]. Chalcones are a group of phenolic or flavonoid compounds, chemically chalcones contain an open-chain flavonoid where two aromatic benzene rings are joined by a three-carbonone moiety alpha (α), beta (β), unsaturated carbonyl system [1]. The present study aims to the chemistry of chalcones and their pharmacological actions like antifungal [2], anticancer [3], Antioxidant [4], antiviral [5], antidiabetic, etc. [6].

Chemistry of Chalcones

Chalcones are aromatic ketone, α, β unsaturated consisting of two aromatic rings [Figures 3, 4 and 5] [7]. Where it possesses conjugated double bonds and delocalized π electrons on both the aromatic rings [8].

General characteristics of Chalcones

Boiling point - 345 to 348 °C (653 to 658 °F; 618 to

621 K)

Melting point - 55 to 57 °C (131 to 135 °F; 328 to 330 K) [9].

Synthesis of Chalcones

Chalcones are metabolites that can be obtained naturally and synthesized chemically. There are even derivatives of chalcones that are used to mask the diseases that are found to be dangerous or found commonly. One of the methods to synthesize chalcone is aldol condensation.

A general method to synthesis chalcone by aldol condensation

To the 1 mmol aldehyde added an equivalent amount of the ketone and 1 ml of 95 % ethanol in the beaker with vigorous stirring using a magnetic stirrer. After 15 min of stirring added 0.10 ml of a 15 M aqueous sodium hydroxide solution to the beaker, and stir at room temperature until it solidifies [10]. Chalcone products will precipitate out and collect the solids with a spatula and dilute with 2 ml of ice water. Stir thoroughly, then suction filter, wash with cold water, and allow to air dry to get the crude product [Figures 6 and 7] [11]. The obtained compound was subjected to recrystallization with ethanol.

Synthesis of 2-hydroxy-3, 5, 5-trimethoxychalcone

2-hydroxy-3', 5, 5'-trimethoxychalcone is a synthetic derivative of chalcone which has anti-inflammatory, anti-tumor, and endoplasmic reticulum mediated apoptosis activity. The derivative is synthesized using equimolar 2-hydroxy-5-methoxy-1-acetophenone and 3, 5-dimethoxy-benzaldehyde is taken and dissolved in 15 ml of ethanol and the temperature of the reaction mixture is adjusted to 5^{o}C in an ice bath [Figure 8]. And the cooled reaction mixture is added with 50% KOH solution, followed by stirring at room temperature [21]. The reaction mixture is acidified by the addition of 6 N HCl and extracted twice with dichloromethane. The combined organic layers are dried over MgSO4. Filtration and evaporation of the solvent gave a residue, which is purified by flash chromatography (ethyl acetate: hexane = 1:4) to give 217 mg (69%) of product yield.

Synthesis of chalcone derivative adamantyl chalcone

Skin diseases are one of the most seen diseases. Fungal infections are one of the widely commonly most seen diseases. Antifungal drugs are the drug substance which is given for the treatment of fungal infection. There is a wide variety or range of drugs for the treatment of fungal disease. One of the derivatives of chalcone is effective for the treatment of fungal infection.

Procedure for synthesis of adamant chalcone

For the preparation of this adamant chalcone derivative, 0.0028 mol of 1-adamantyl methyl ketone is added to an ethanolic solution (0.0028 mol of KOH in 40 ml ethanol 96%) and stirred for 15 min. A total of 0.0028 mol of pyridine-2-carboxaldehyde is added to the solution and stirred at room temperature for 48 h. The adamant chalcone is obtained; the reaction mixture is poured into ice water until solid yellow crystals are formed. Then, the system is filtered and washed with a mixture of ethyl acetate: hexane (2:5) several times and dried at room temperature [22]. The progress of the reaction and the extent of purity is monitored by the process of the TLC method.

Isolation of Chalcone From Natural Plants

Many chalcones can be considered as flavonoids that are available naturally in plant sources [Table 1]. Here we are discussing few naturally available chalcones and isolation of the same. *Indigofera pulchra* is a plant from the family Papilionaceae where chalcone derivate can be extracted. Column chromatography is a technique where extractions can be done, this method was used here for the extraction procedure to extract the 2,4-dihydroxy-4-prenyloxy compound, which is a derivative of chalcone. With the help of NMR and mass spectroscopy, the extraction can be elucidated [23]. The elucidated extraction shows synergistic antimicrobial activity when combined with antifungal antibiotics.

Confirmatory test for naturally available chalcones

An observable halochromic effect is produced when chalcones are wetted with conc H_2SO_4. When the chalcones are combined with H_2SO_4 they produce colored carbonium ions. The colors which they exhibit are usually transient [24].

Pharmacological Activities of Chalcone Derivatives

As various literature has reported chalcones provide vast pharmacological actions on the body, it also provides anti-inflammatory and antileishmanial activity in an individual. The plant extract Isobavachalcone, Bavachalcone are the naturally obtained chalcones that are extracted from plants, studies have found that these derivatives reduce the levels of PGE 2 that are responsible for inflammation [25]. Bavachalcone isolated from Psoralea corylifolia inhibits osteoclast formation from their precursor cells with IC (50). Apart from many phar-

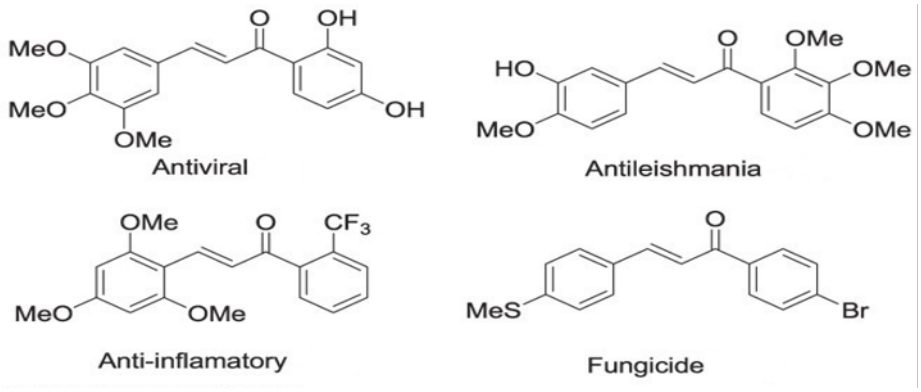

Figure 1: Structures of Chalcone

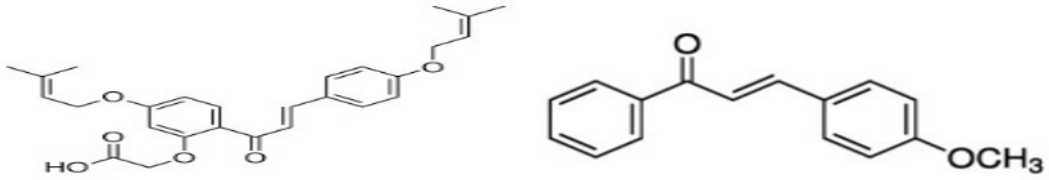

Figure 2: Sulfacone Methoxychalcone

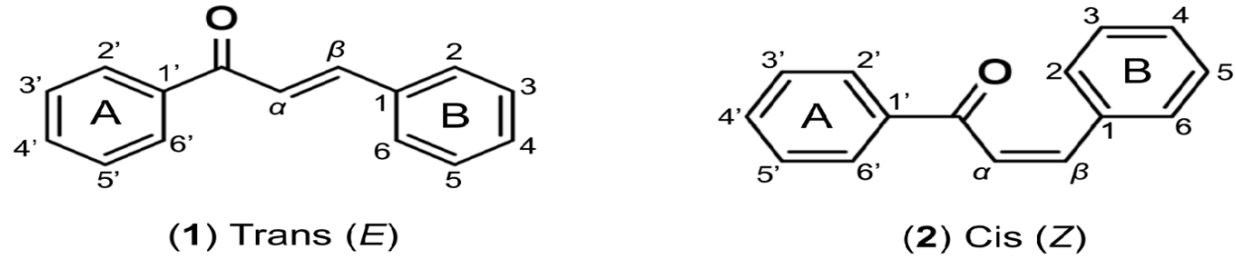

Figure 3: Stereoisomers of Chalcone

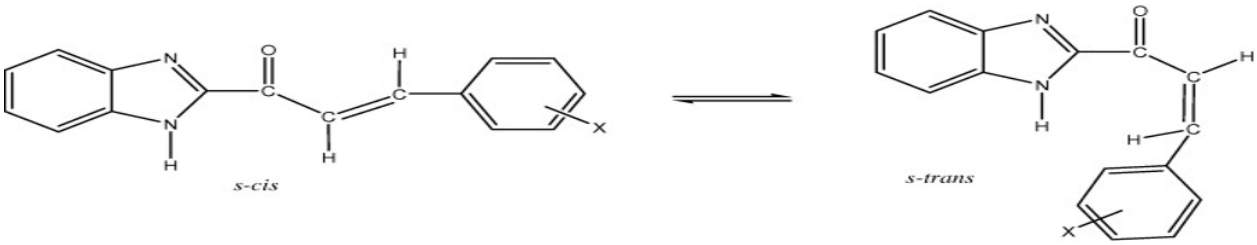

Figure 4: 2-benzimidazole chalcones

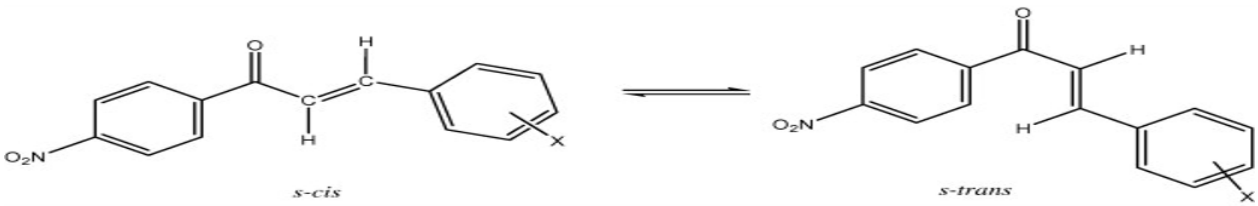

Figure 5: 4′-Nitro chalcones

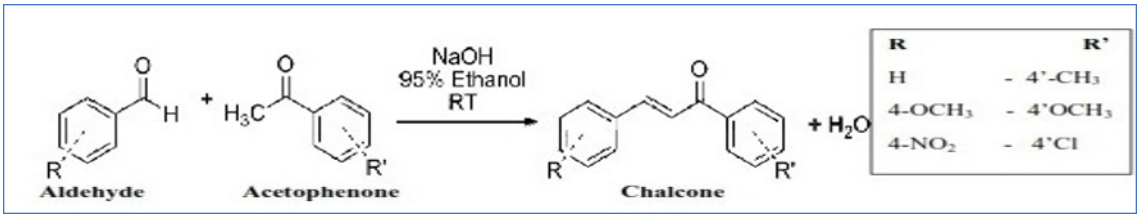

Figure 6: Synthesis chalcone by aldol condensation

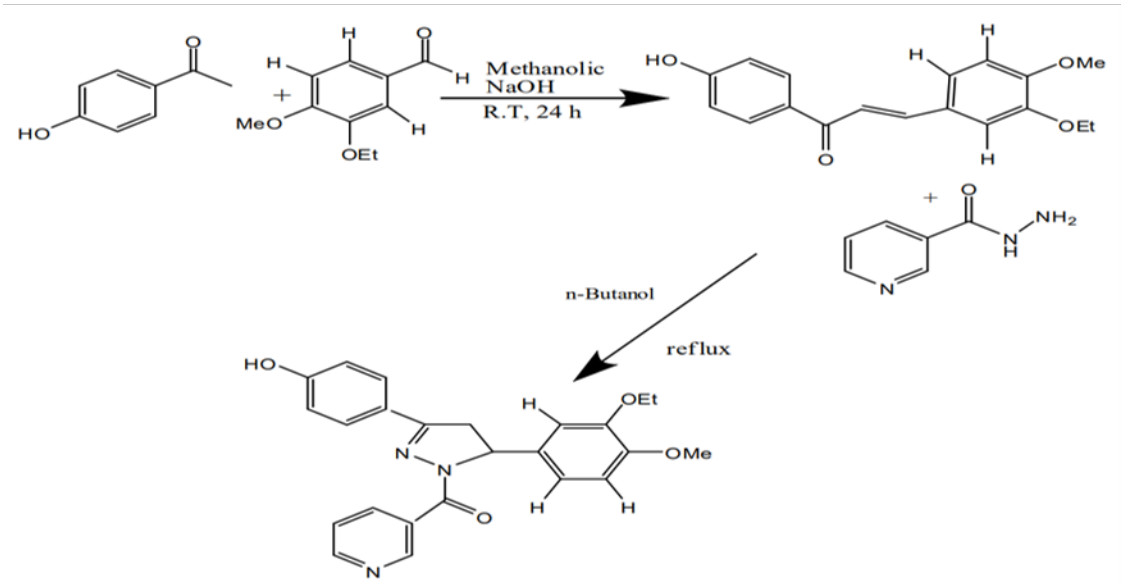

Figure 7: Synthesis of 1, 3, 5-tri substituted pyrazoline

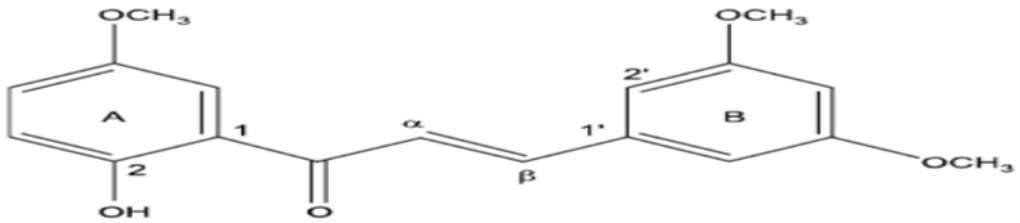

Figure 8: 2-Hydroxy-3, 5, 5-trimethoxychalcone

Table 1: Chalcones from Natural Plant Sources

Name of Compound	Pharmacological Activity	Source of Plant
Bavachalcone	Anti bacterial	*Psoralea corylifolia* [12]
Isoliqiritigenin	Anti cancer	*Nepalese propolis* [13]
Sappanchalcone	Anti inflammation	*Sappan lignum* [14]
Kamalachalcone E	Anti Fungal	*Mallotus philippinensis* [15]
Elatadihydrochalcone	Anti plasmodial	*Tephrosia elata* [16]
flemiphilippinone	Anti proliferative	*Flemingia philippinensis* [17]
Millepachine	Anti cancer	*Millettia pachycarpa* [18]
Broussochalcone A	Protein Kinase C inhibitor	*Broussonetia papyrifera* [19]
2',3'-furano-4-hydroxy-4'-methoxychalcone	Heatshock proteinpromoter	*Angelica keiskei* [20]

macological activities one of the naturally extracted chalcone 2, 6-dihydroxy-4-methoxychalcone provides the antileishmanial activity. The extract 2, 6-dihydroxy-4-methoxychalcone is active against the *L. amazonensis* promastigotes parasite [25]. Other naturally extracted chalcone derivatives even chemically synthesized chalcones provide anti-inflammatory and even also show the antileishmanial property.

5-chloro-2-hydroxy-4; 6-dimethyl-3, 4, 5-Trimethoxy-chalcone is a synthesized chalcone derivative it provides anti-inflammatory activity. The derivative inhibits cyclooxygenase, the derivative which inhibits 90% of the edema formed. This 5-chloro-2-hydroxy-4, 6-dimethyl-3,4,5-Trimethoxy-chalcone derivative inhibits COX -1 enzyme and COX-2 enzymes and even acts on TNF-α cytokines [25]. 3, 4, 5-Trimethoxy-4-flurochalcone is another synthesized chalcone derivative that has shown potent anti-inflammatory activity, the

derivative reduces the increased levels of PGE-2 that is responsible for inflammation. The synthesized derivatives 2, 4-dihydrochalcone, Methoxy chalcones are effective against the *L. braziliensis* promastigote parasite [12]. Here we reported few naturally available chalcones along with the pharmacological actions and plant names and from which they have been isolated.

Conclusion

Chalcones are aromatic ketones with α, β unsaturation on both rings; having conjugated double bonds and delocalized π electrons, with this property the chalcone shows effective pharmacological activities. The above review article discloses with properties and chemistry of chalcones and their derivatives with their numerous pharmacological activities. This article is expected to be helpful in future studies concerning in vivo and in vitro studies for clinical and surgical studies.

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Conflict of Interest

The authors declare that there is no conflict of interest.

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