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A Mini Review on the Flavone and Their Chalcone Derivatives as a Potential Biological Activity

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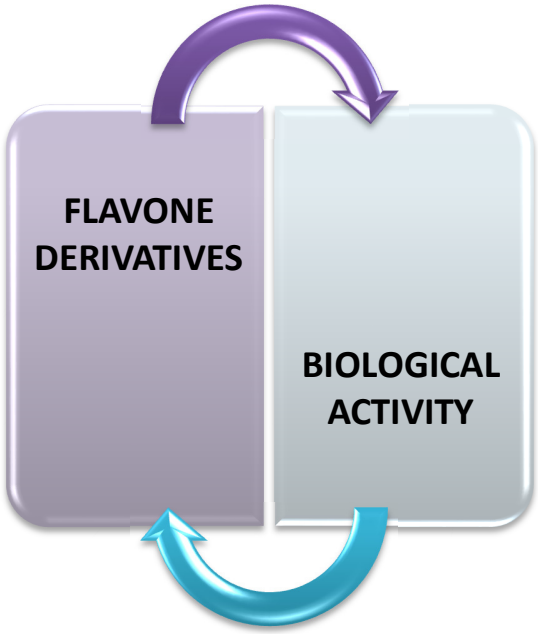
ABSTRACT:

Flavone and their chalcone derivatives provide a significant number of new chemical leads for drug discovery programmes within a wide range of clinical areas. Analysis of plant-based compounds and their derivatives has highlighted many potential biological applications for flavonoids.



KEYWORDS: Flavone, Biological Activity, Synthetic Flavone, Potential, Chalcone

INTRODUCTION:

Natural and synthetic heterocyclic compounds play an important role in both drug discovery and chemical biology. Heterocyclic compounds are mainly from the class of alkaloids, chromones, flavones, isoflavones, etc. Natural heterocycles are plant secondary metabolites that protect the plant from attack by pathogens, fungi, bacteria and insects[i]. Several synthetic analogues of these heterocyclic compounds show different biological activity[ii]. More than 50% of the drugs used in

modern medicine are derived from either synthetic or natural heterocyclic systems.

Among natural heterocyclic compounds, flavone is an important heterocyclic ring and exhibits numerous pathological conditions with antioxidant[iii], antiproliferative[iv], antitumor[v], and antimicrobial[vi] activity. Flavone derivatives have been widely used for multiple targeting in complex diseases such as cancer[vii], inflammation[viii], cardiovascular disease[ix], diabetes[x] and various neurodegenerative disorders[xi]. Due to the wide range of biological activities of flavones, their structure-activity relationships have attracted interest among medicinal chemists. The excellent development of flavone derivatives in various diseases in a very short time proves their importance for medicinal chemistry research. This chapter deals with the structural requirements of flavone derivatives for different pharmacological activities, this information may provide an opportunity to design selective, optimized and polyfunctional flavone derivatives for the treatment of multifactorial diseases. Therefore the biological activity of flavone and its derivatives are briefly dicussed in the following few pages.

Figure 1. Baicalein is a well-known flavone derivative that has been shown by Yun *et al.*[xii] to have a variety of biological properties, including anticancer, antioxidant, and anti-inflammatory actions. The novel flavones were synthesised to increase the cytotoxicity of baicalein and 5,6,7-trimethoxyflavone against human cancer cell lines. They have *N*-aroylamine substitute on the B ring. Compared to baicalein, the majority of flavone derivatives demonstrated substantial cytotoxicity against malignant cell lines. However, 5-hydroxy-6,7-dimethoxyflavone derivatives substituted with N-aroylamine exhibited stronger cytotoxicity against MCF-7 than HepG2 cells, demonstrating that the cytotoxicity will be affected by the replacement of the 5-methoxy group on the A-ring with a 5-hydroxy group.

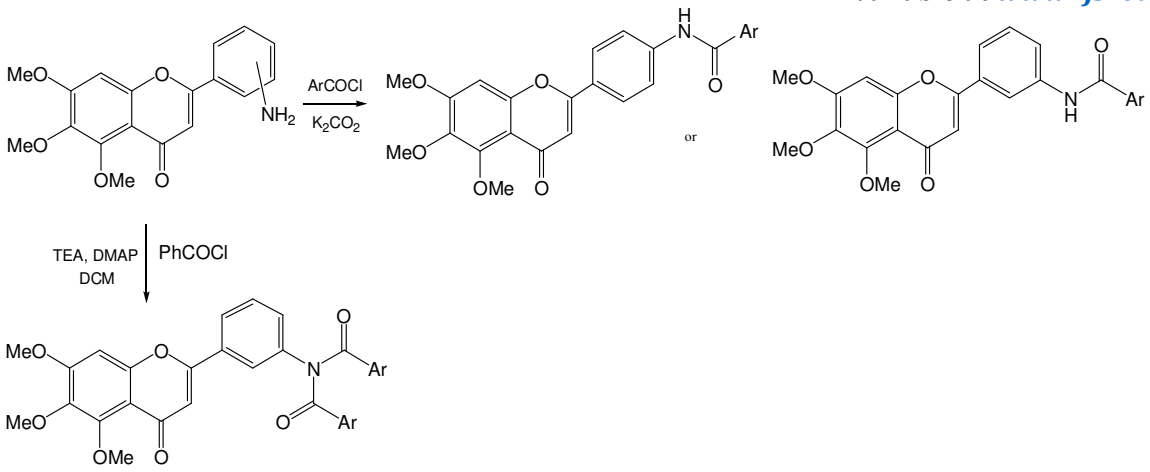


Figure 1.

Figure 2. According to Venkatesan *et al.*[xiii], chalcone derivatives were used in the synthesis and assessment of flavones connected with hetorocyclic rings' antibacterial characteristics. Analytical and spectroscopic data provided proof of the synthesized compounds' structural integrity. These compounds demonstrated substantial antibacterial activity, according to the antimicrobial evaluation.

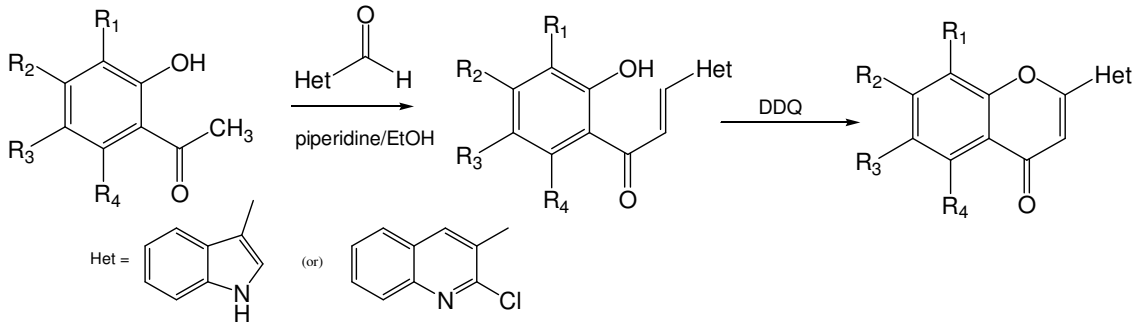


Figure 2

Figure 3. According to Patel *et al.*[xiv], 2-hydroxyacetophenone produces corresponding chalcone derivatives when it is condensed with corresponding aldehydes in the presence of a base, and corresponding flavone derivatives when it is cyclized.

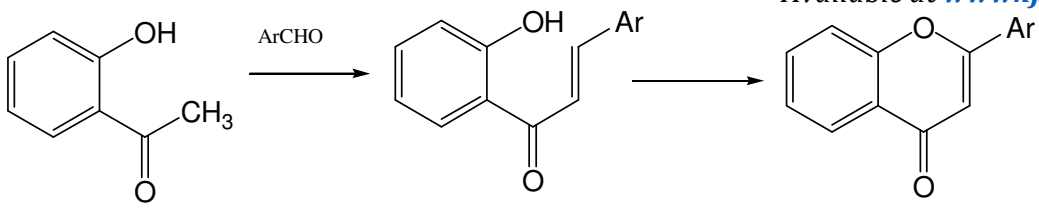


Figure 3

Figure 4. The relevant chalcones were produced when the appropriate acetophenones were combined with salicylaldehyde in the presence of potassium hydroxide in ethyl alcohol. These chalcones were then heated without the use of any solvents to produce the medicinally significant flavone derivatives[xv]. Additionally, it was described how these derivatives designed.

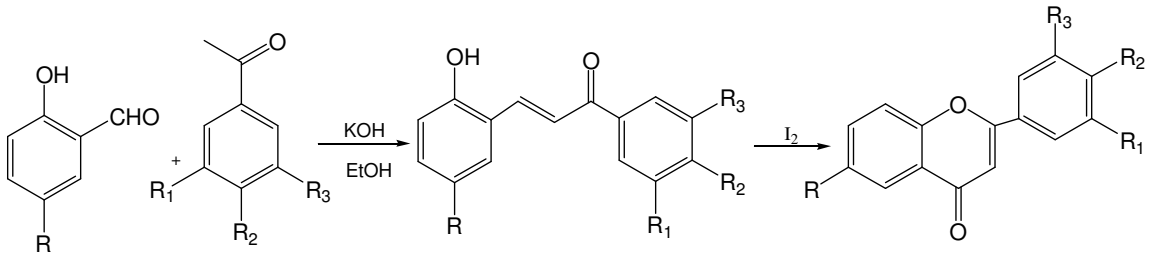


Figure 4

Figure 5. Using grinding procedures at room temperature under solvent-free circumstances, Elfi *et al.*[xvi] designed the synthesis of chalcones from 3,4-dimethoxybenzaldehyde and substituted acetophenone by Claisen-Schmidt condensation in the presence of sodium hydroxyide. The chalcones are synthesised using straightforward, effective, and ecologically friendly grinding processes. Then, these chalcones are cyclized in the presence of iodine to synthesise derivatives of flavones.

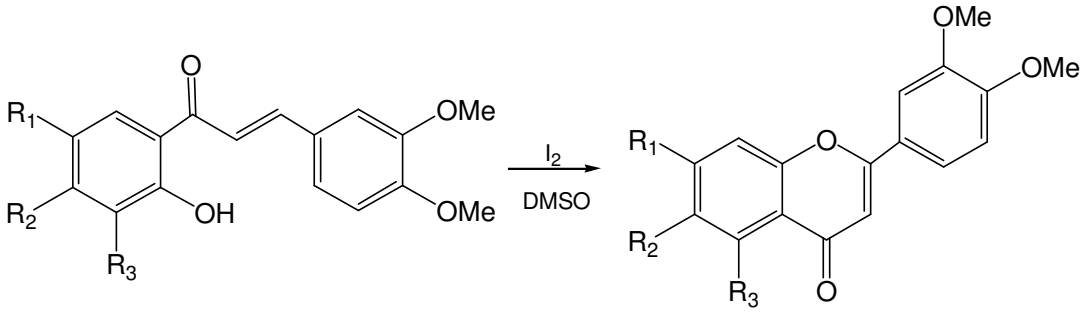


Figure 5

Figure 6. Dibenzoate derivatives were produced by the reaction of dihydroxy acetophenone with aryol chloride when pyridine served as the base. These derivatives then underwent Baker-Venkatraman rearrangement to produce 1,3-diketone derivatives, and they underwent cyclization when sodium acetate was used in acetic acid to produce flavone derivatives.[xvii].

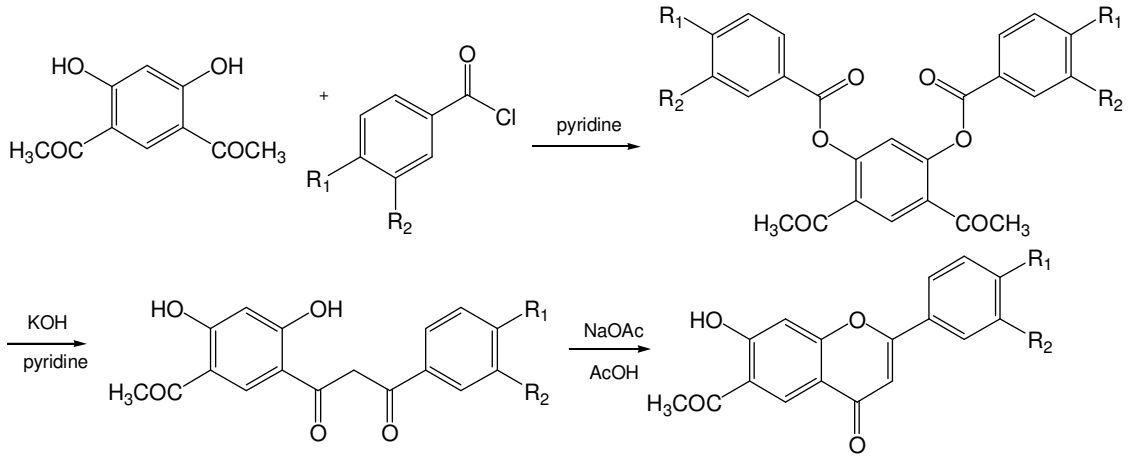
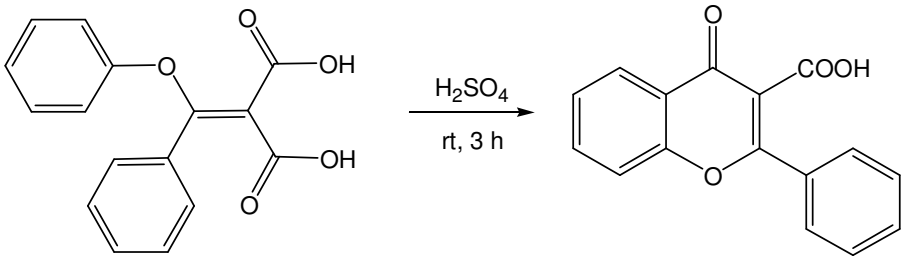


Figure 6

Figure 7. Nagaraj *et al.*[xviii] A series of flavones derivatives have been synthesized and evaluated for their *in-vitro* antibacterial and antifungal activities. The antibacterial screening data showed that compounds with 4-methoxyphenyl, 4-fluorophenyl, and 2, 5-fluorophenyl substituents on tetrazole ring, showed the maximum activity against the organisms used. The antifungal screening revealed that a compound with 4-nitropheny on tetrazole ring exhibited the highest activity against *A. niger* and a compound with 4-methoxyphenyl group exhibited good activity against *C. albicans*. (**Figure 7**).



CONCLUSION:

This review outlined the flavone and chalcone derivatives served as a resource for both basic and applied research on the subject.

CONFLICTS OF INTEREST:

There are no conflicts to declare.

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