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Investigation Into The Antimicrobial Properties Of A Dehydrochalcone

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ABSTRACT:

Chalcones have become a hot topic in recent years. Biological activity of chalcones can be found in a wide range of natural sources. This class of chemical compounds known as Chalcones (sometimes called, -unsaturated ketones) has a wide range of biological actions, including antibacterial and antifungal properties. Numerous studies have been published and chalcones continue to show potential for novel medication development. The Claisen–Schmidt condensation strategy was used to make the chalcone derivatives. UV and IR spectroscopy validated the structure of the produced material. Antimicrobial properties of the chemical were also investigated.

Keywords: Claisen-Schmidt condensation, Chalcone, antimicrobial activity.

1. Introduction

Research on chalcones' chemical properties has taken place all over the world. The synthesis and biodynamic properties of chalcones have been of particular interest. Among the names given by Kostanecki and Tambor [1] was "Chalcones". Chalcones or benzylideneacetophenone are the most important natural components. Chinese liquorice (Glycyrrhizaeinflata) was the original source of this compound [2].

A three-carbon chain connects two aromatic rings in chalcones. Chalcones and their derivatives are an important group of natural products that have been shown to have a wide range of biological and pharmacological properties. Mycobacterium tuberculosis is 90% inhibited by chalcone derivatives produced by Yuh-Heei and colleagues (2002).

Compounds with a 1, 3-diphenyl-2-propen-1-one structure are known as chalcones, and they are part of the flavonoid family. In terms of structure, they are an open-chain flavonoid with two aromatic rings connected by a three carbon, -unsaturated carbonyl system. There are numerous synthetic methods available in the lab for making chalcones. The chalcones template can be easily modified for structural purposes.

Chalcones can be prepared in a variety of ways [3-5].

The Claisen-Schimdt condensation of arylmethylketone and arylaldehyde in the presence of alcoholic alkali is the most convenient technique [6].

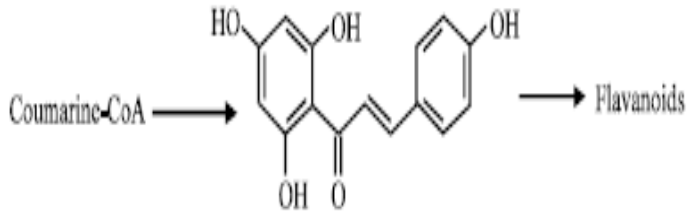

Fig. 1: Biochemical changes of chalcones

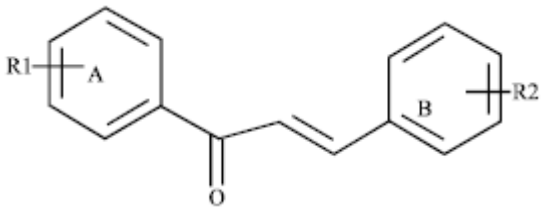

Fig. 2: Parent nucleus of chalcone derivatives

Chalcones can be synthesised via the Claisen-Schmidt condensation reaction, which combines aromatic aldehydes with aliphatic or aromatic ketones in the presence of aqueous alkali. After the nucleophilic addition of the carbanion produced from aryl ketones to carbonyl carbon in aromatic aldehydes, an aldol type condensation occurs. Unsaturated ketones or chalcones are formed by the dehydration of the hydroxy ketones (Fig. 2). (Yerra et al., 2004).

[7–10] Antifungal [8–10] Antioxidant (11–12) Cytotoxicity (12) Anticancer (13–16) Chalcones have been reported to have numerous beneficial qualities.

It is likely that Michael addition of nucleophilic species to the double bond of the enone is responsible for the antimalarial action of many chalcones [17,18]. Claisen-Schmidt condensation of acetophenone and benzaldehyde in the presence of potassium hydroxide (KOH) is used to manufacture Chalcone in this study. They will be re-crystallized after being synthesised. The melting point will be used to determine the purity. In order to confirm the structure of the chalcone derivatives produced by IR and UV, as well as to investigate their biological properties.

1.1. Nomenclature:

Different methods of nomenclatures for chalcone were suggested at different times. The following pattern has been adopted by "Chemical Abstracts" published by American chemical society. (Fig. 3)

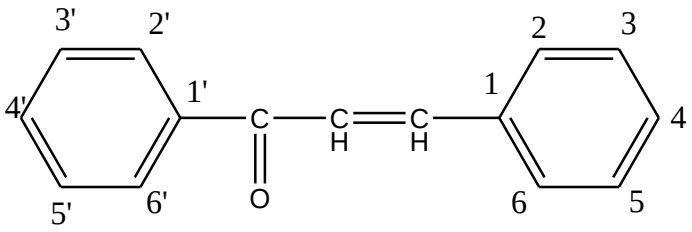

Fig.3: Nomenclature 1

The British Chemical Abstract and Journal of Chemical Society have followed the following system. (Fig. 4)

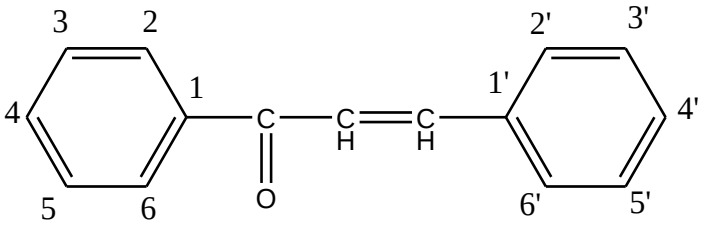

Fig.4: Nomenclature 2

2. Experimental

2.1. Methodology:

A variety of methods are available for the synthesis of chalcones. The most convenient method is the one that involves the Claisen-Schmidt condensation of equimolar quantities of substituted acetophenone with substituted aldehydes in presence of aqueous alcoholic alkali.

2.2 General synthesis of chalcone:

Chalcones**(3)** are prepared by simple condensation of simple aromatic aldehyde **(1)** with simple acetophenone **(2)** in the presence of alkali.

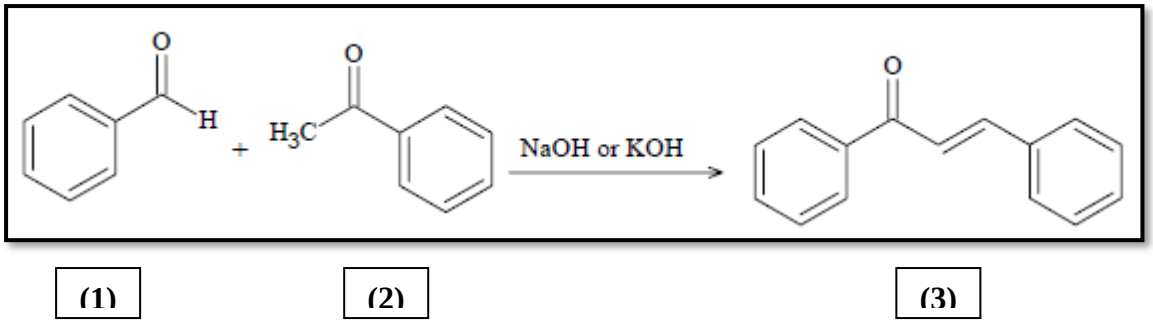

Fig.5:General synthesis of chalcone

2.3 Synthesis of chalcone derivative (GK1):

A solution of acetophenone (0.1 mol) in ethanol (15mL) and 4-methoxybenzaldehyde(0.1 mol) in ethanol(15mL) was mixed together with constant stirring. To this mixture aqueous solution of potassium hydroxide (60%) was poured gradually with constant stirring and the stirring was continued for 4hrs.Then it was poured into 400mL of cold distilled water with constant stirring and then refrigerated for 14hrs.The precipitate was filtered and washed with ice cold water.

3. Results and Discussion

The chalcone synthesis is a one-step process. IR validated the chalcone derivative's structure during synthesis. Found was the amount of the synthesised derivative. In addition to UV spectroscopy, the biological activity of the derivative was tested.

3.1 Yield

The yield of the synthesized chalcone derivative was 89%.

3.2 FTIR

Trans-(s-trans)-chalcone coexists alongside trans-(s-cis) chalcone in solution, according to FTIR research. This is because the C=O stretching mode has two distinct peaks. As doublets, these conformers are found in the wavelength range of 1600–1700 cm-1. When it comes to C=O stretching modes, the lower frequency s-trans conformer has the C=O stretching mode, while the higher frequency s-cis conformer has the C=O stretching mode. KBr discs are preferred for recording infrared spectra in order to avoid the creation of shoulders on carbonyl doublets. There was no carbonyl splitting in FTIR spectra when only trans- (s- cis)-chalcone was present in the solid state.

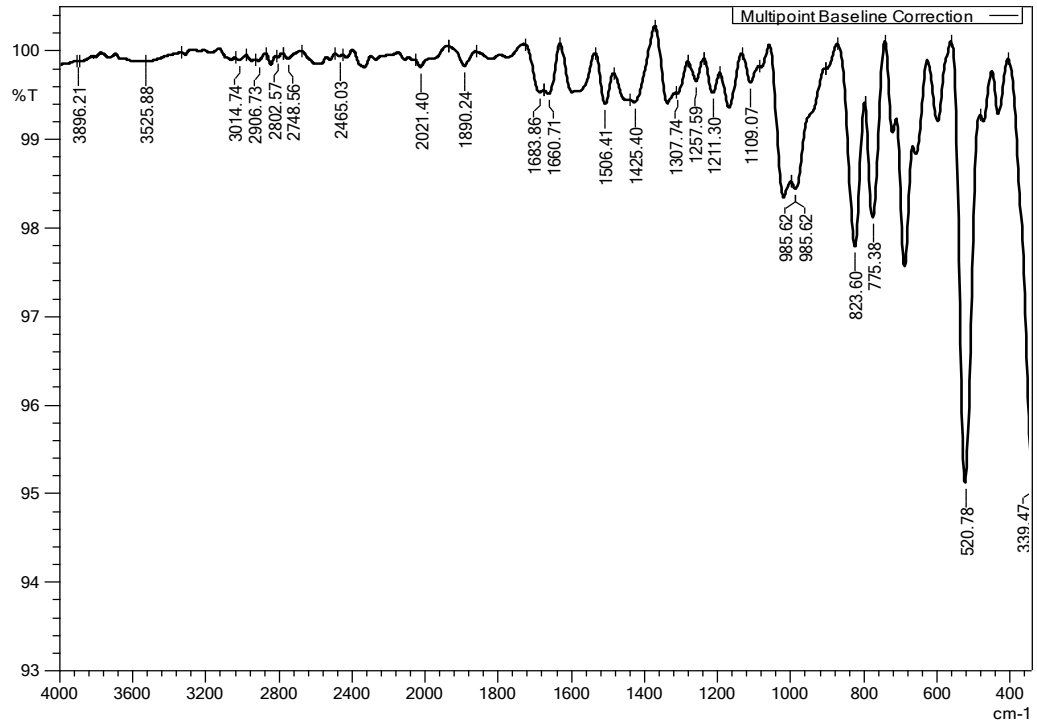

Fig.6: FTIR of synthesized chalcone derivative

3.3 UV

Two primary absorption bands in the UV spectra of 2'OH chalcones in ethanol are defined by their maximum absorption at 295–365 nm (band I, transition p–p*) and 223–235 nm (band II, transition p–p*). 2'-hydroxy 4-methoxychalcone, for example, has two distinct UV absorption bands that peak at 295 and 365 nm. Band I of 2'-OH-4X chalcones is bathochromic due to the electron-donating substituents favouring planarity and delocalizing cinnamoyl group electrons..

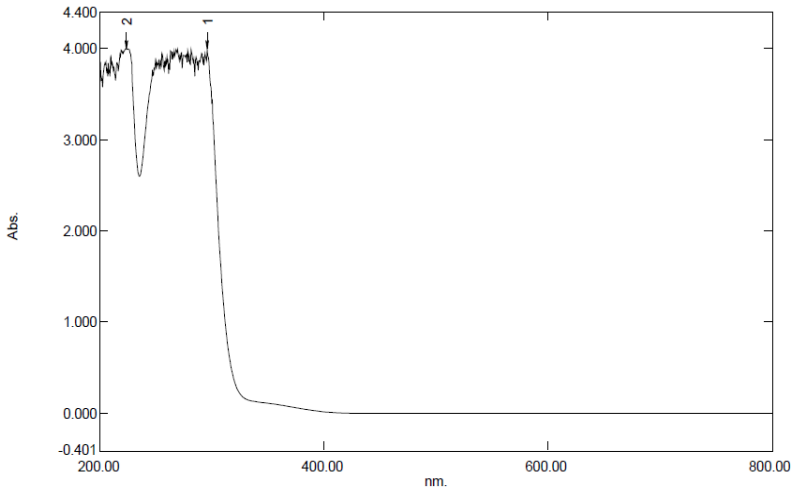

Fig.7: UV of chalcone derivative

3.4 Antimicrobial activity

Staphylococcus aureus and Escherichia coli were the two microorganisms studied for their antibacterial properties. Candida albicans and Mucor sps were the fungi used to test the antifungal activity of the Chalcone derivative. In order to compare the results, the antibacterial drug Ciprofloxacin, which is efficient against the specified bacteria, was utilised as a reference. In the same way, the antifungal medicine Amphotericin B, which is effective against the particular fungus under study, was employed as a standard reference.

The antibacterial activity of substances was studied using the usual agar well diffusion method [19,20,21]. Each bacterial and fungal isolate was diluted to approximately 105 CFU per mL in Brain Heart Infusion (BHI) broth. Inoculated in a flood onto BHI agar and dried after inoculation. Sample solution was diluted to 30 L (5g chemical in 500L DMSO) and placed into wells that were cut into the agar with a sterilised cork-borer. For bacteria, the plates were incubated at 37°C for 18 hours; for fungi, they were incubated at room temperature for 18 hours. The zone of inhibition against the test microorganisms was measured in millimetres. As a solvent check, DMSO was employed. As a standard antibacterial agent, ciprofloxacin was utilised. It was used as a standard antifungal agent. There were three sets of each test.

3.4.1 Antibacterial activitychalcone derivative

The disc diffusion method was used to test the chalcone derivative's antimicrobial properties [27-29]. Staphylococcus aurens and Escherichia coli were the only human pathogenic microorganisms tested. The conventional protocol was followed for the preparation of nutrition broth, subculture, base layer medium, agar medium, and peptone water. Table 1 lists the findings of antibacterial research.

Table-1 Antimicrobial activity

S.No.	Microorganisms	Control	GK1	Ciprofloxacin
		Zone of inhibition in mm		
1.	Staphylococcus aureus	-	07	35
2.	Escherichia coli	-	10	12

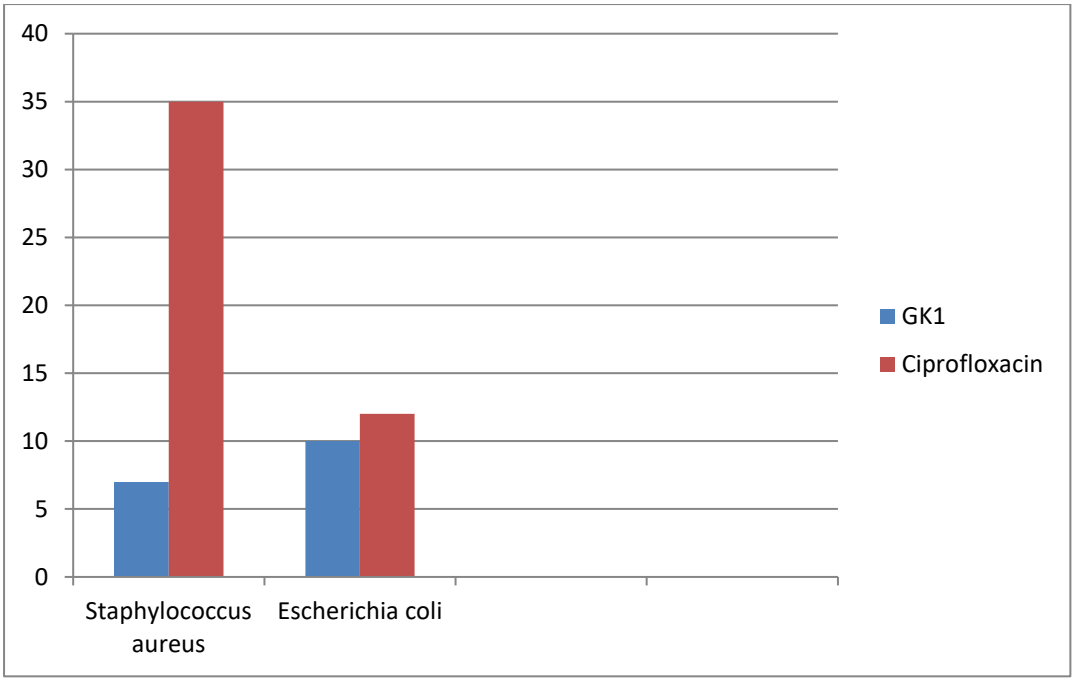

Fig. 8: The antibacterial activity of synthesized chalcone derivative

Table 1 and Figure 8 show the antibacterial activity of the synthesized chalcone derivative. From the observations of the activities of the derivative against the bacterial species, it is seen that the derivative showed some activity but lesser than the standard drug, Ciprofloxacin.

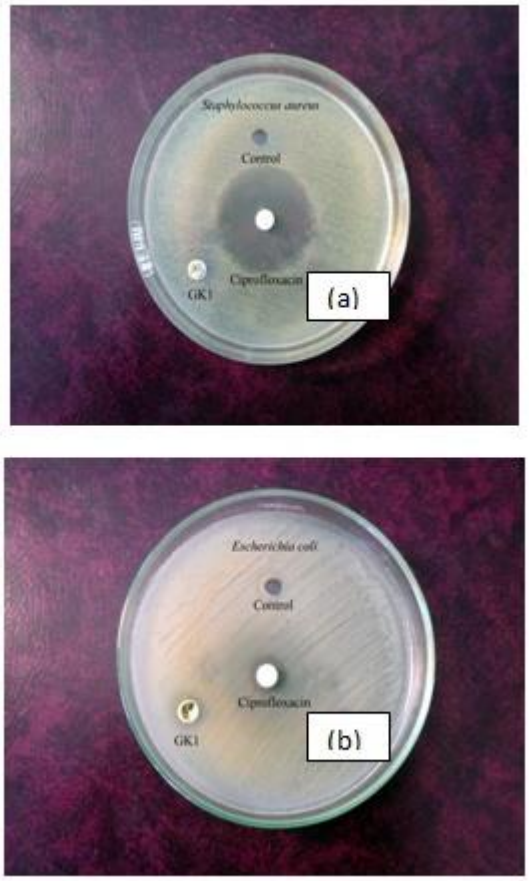

Fig.9 & 10:Antimicrobial activity of chalcone derivative

3.4.2 Antifungal activitychalcone Derivative

The investigated derivative was tested against the following fungi namely Candida albicans and Mucor sps and shown in Table 2 and Figures 10 and 11.

Table-2 Antifungal activity

S.No.	Microorganisms	Control	GK1	Amphotericin-B
		Zone of inhibition in mm		

1.	Mucor sps	-	20	12
2.	Candida albicans	-	09	08

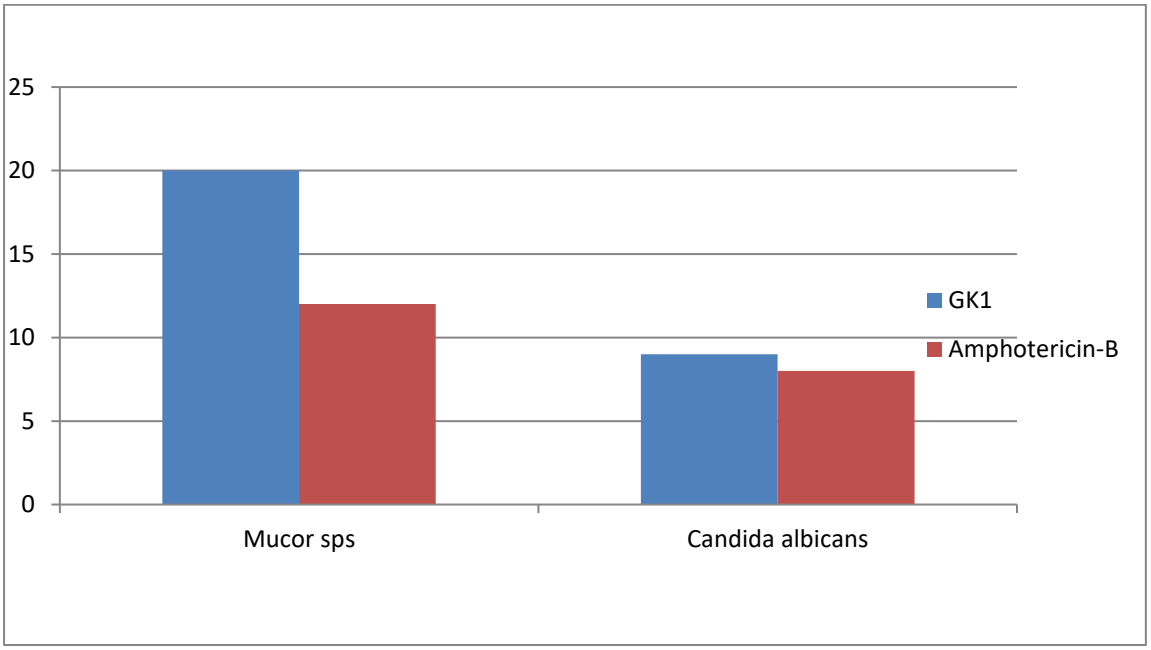

Fig 11: The antifungal activity of synthesized chalcone derivative

Table 2 and Figure 11 show the antifungal activity of the prepared derivative. From the results of the investigations it is seen that in the case of activity against fungi the inhibition was stronger in the order, Mucor sps>Candida albicans as shown by derivative. It is observed that the zone of inhibitions against Mucor sps was significantly higher to the standard drug.

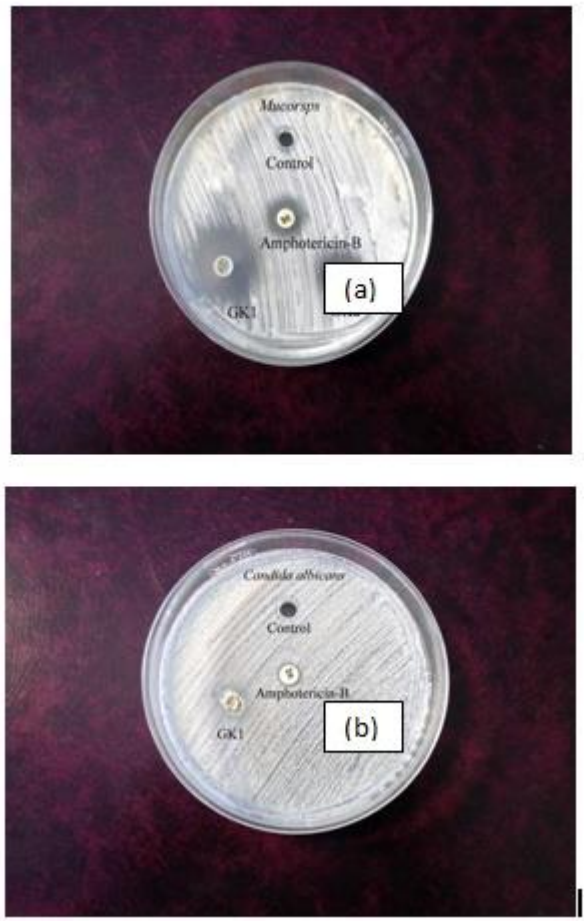

Fig.12 & 13:Antifungal activity of chalcone derivative

4. Conclusion

The study of heterocyclic compounds in medical chemistry is still a vital area of study. Finding an effective treatment for any disease is of paramount importance and has played a crucial role in human evolution at the highest level. In order to create a new class of drugs, researchers typically start by looking for a representative moiety, which could be a well-known synthetic or a naturally occurring medicinal compound. Plant kingdom flavanoids are plentiful, and chalcones are the precursors to their production, hence their preparation is of great interest for many investigations. The ability to impart varied properties to chalcones by altering their substituents has piqued the interest of specialists across a wide range of disciplines. Along with its use in organic and inorganic chemistries and the medical field (pharmacological proxy showing a large number of actions like antibacterial and antifungal activities). Due to the above-mentioned features and applications of related compounds, the present work was planned and the chemical was synthesised, described, and evaluated for acetophenone 4-methoxy benzaldehyde derivatives. Modern synthetic medications with a wide range of biological applications benefit from this.

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FIGURES:

Fig. 1: Biochemical changes of chalcones

Tables: