Journal of Science and Technology
ISSN: 2456-5660 Volume 5, Issue 4, July-August 2020

www.jst.org.in *DOI: https://doi.org/10.46243/jst.2020.v5.i4.pp335-343*

Synthesis, Characterization and Antimicrobial Activity of A Chalcone Derivative

E. Kalaiselvi[1], R. Arunadevi[2], S. Sashikala[3]
[1, 2, 3](Department of Chemistry, D.K.M. College for Women (Autonomous), Vellore)
[2]Corresponding Author: arunarajan3@gmail.com

To Cite this Article
E. Kalaiselvi, R. Arunadevi and S. Sashikala, "Synthesis, Chracterization and Antimicrobial Activity of A halcone Derivative", Journal of Science and Technology, Vol. 05, Issue 04, July-August 2020, pp335-343

Article Info
Received: 12-04-2020 Revised: 15-07-2020 Accepted: 18-07-2020 Published: 21-07-2020

Abstract: *An area of great interest in recent years has been chalcones. Chalcones are the important component of many natural sources and have variety of biological activities. Chalcones which are also known as α,β-unsaturated ketones is an significant class of organic compounds and reported to possess a wide spectrum of biological activities such as antibacterial, antifungal, anticancer, anti-inflammatory etc. Abundant research papers have been published and chalcones continue to show promise for new drug investigations. The derivative of chalcones were prepared using Claisen–Schmidt condensation scheme. The structure of the synthesized was confirmed by UV and IR. The compound was also tested for their antimicrobial activity.*

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

I. Introduction

The chemistry of chalcones has generated intensive scientific studies throughout the world. Especially interest has been focused on the synthesis and biodynamic activities of chalcones. The name "Chalcones" was given by Kostanecki and Tambor [1].Chalcones or benzylideneacetophenone are the important constituents of natural sources. It was first isolated from Chinese liquorice (*Glycyrrhizae inflata*) [2].

In chalcones, two aromatic rings are linked by an aliphatic three carbon chain. Chalcones and its derivatives are an important group of natural product and have been reported to possess varied biological and pharmalogical activity. Yuh-Heei *et al.* (2002) synthesized different series of chalcone derivatives, which are having 90% inhibitory activity against ***Mycobacterium tuberculosis***.

Chalcones is a generic term given to compounds bearing the 1, 3-diphenyl-2-propen-1-one framework and it belongs to the flavonoid family. Chemically they are open-chain flavonoid in which the two aromatic rings are joined by a three carbon α, β-unsaturated carbonyl system. Chalcones are readily synthesized in the laboratory by various synthetic methods. Structural modification of chalcones template can be readily achieved.

Different methods are available for the preparation of chalcones [3-5].The most convenient method is the Claisen-Schimdt condensation of equimolar quantities of arylmethylketone with arylaldehyde in the presence of alcoholic alkali [6].

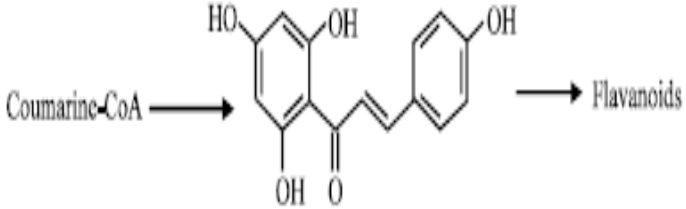

Fig. 1: Biochemical changes of chalcones

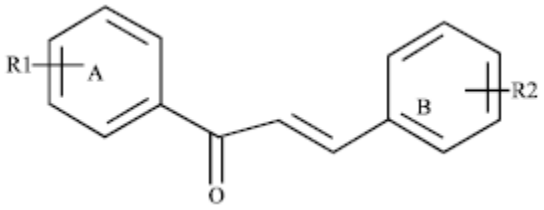

Fig. 2: Parent nucleus of chalcone derivatives

In Claisen-Schmidt condensation reaction for synthesizing chalcones, aromatic aldehydes can be condensed with aliphatic or aromatic ketones in the presence of aqueous alkali to form α, β unsaturated ketones called chalcones. In this mechanism, the first step is condensation of the aldol type involving the nucleophilic addition of carbanion derived from the aryl ketones to carbonyl carbon of the aromatic aldehydes. Dehydration of the hydroxy ketones to form the conjugated α, β unsaturated ketones or chalcones (Fig. 2) (Yerra *et al*., 2004).

Chalcones have been reported to possess many useful properties, including anti-inflammatory [7], antifungal [8-10], antioxidant [11], cytotoxic [12] and anticancer [13-16] activities.Many chalcones have been reported as having high antimalarial activity, probably as a result of Michael addition of nucleophilic species to the double bond of the enone [17,18]. In this work aim to synthesis Chalcone through Claisen-Schmidt condensation reaction of acetophenone and benzaldehyde in the presence of KOH and to determine the yields of the synthesized compounds. The synthesized compounds will be recrystallized. The purity will be checked by its melting point. To confirm the structure of the synthesized compounds by IR & UV and to study the Biological properties of the chalcone derivatives.

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)

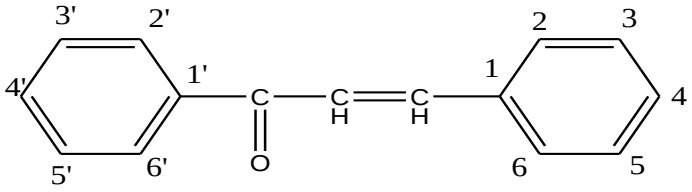

Fig.3: Nomenclature 1

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

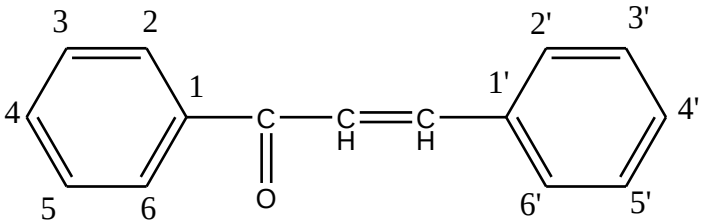

Fig.4: Nomenclature 2

II. 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.

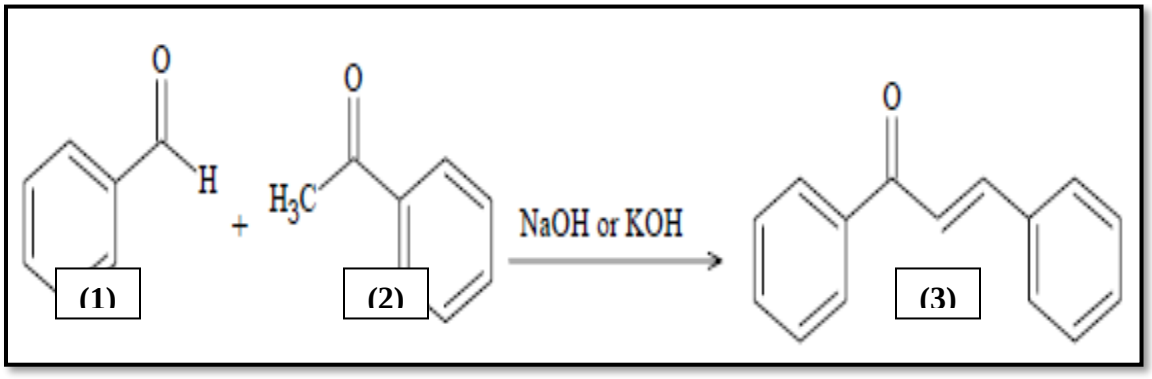

Fig.5: General synthesis of chalcone

2.3 Synthesis of chalcone derivative (GK1)

A solution of acetophenone (0.1 mol) in ethanol (15 mL) and 4-methoxybenzaldehyde (0.1 mol) in ethanol (15 mL) 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 4 hrs. Then it was poured into 400 mL of cold distilled water with constant stirring and then refrigerated for 14 hrs. The precipitate was filtered and washed with ice cold water.

III. Results and Discussion

The synthesis of the chalcone is a single step method. The structure of the synthesized chalcone derivative was confirmed by IR. The yield of the synthesized derivative was found. The derivative was also characterized by UV and the biological activity was also carried out.

3.1 Yield

The yield of the synthesized chalcone derivative was 89%.

3.2 FTIR

FTIR studies show two peaks for the C=O stretching mode which suggest that a second isomer, trans-(s-trans)-chalcone, coexists in solution with trans-(s-cis)-chalcone. These conformers are observed in the range of 1600–1700 cm^{-1} as doublets. The C=O stretching mode of s-trans conformer is observed at the lower frequency, whereas the C=O stretching mode of s-cis conformer is observed at the higher frequency. In order to avoid the shoulder formation on carbonyl doublets, KBr disc is prefered for recording the infrared spectra. The presence of only trans- (s- cis)-chalcone in the solid state caused disappearance of the splitting of the carbonyl in the FTIR spectra.

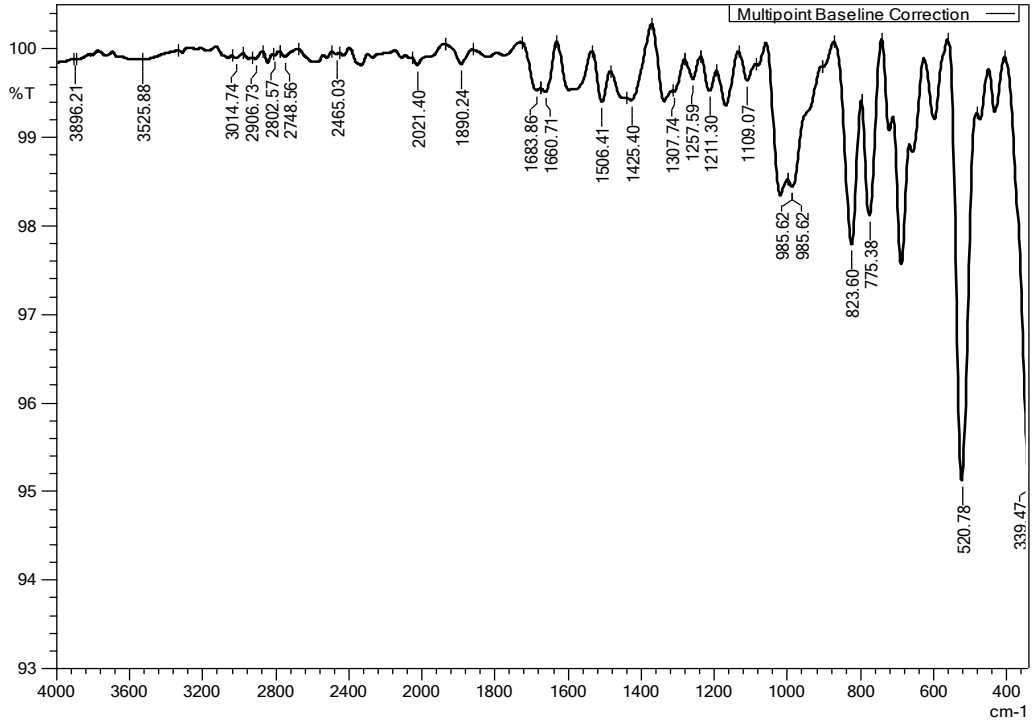

Fig.6: FTIR of synthesized chalcone derivative

3.3 UV

The UV spectrum of 2'-OH chalcones in ethanol are characterized by two main absorption bands with maxima between 295 and 365 nm) and 223 and 235 nm (band II, transition p®p*) due to the benzoyl and cinnamoyl groups, respectively. For example, the UV absorption spectra of 2'-hydroxy 4-methoxy chalcone in ethanol is characterized by two absorption bands with maxima at 295 and 365 nm. In 2'-OH-4X-chalcones, the electron-donating substituents favour planarity and π electronic delocalization of the cinnamoyl group and this causes a bathochromic UV spectral shift of band I.

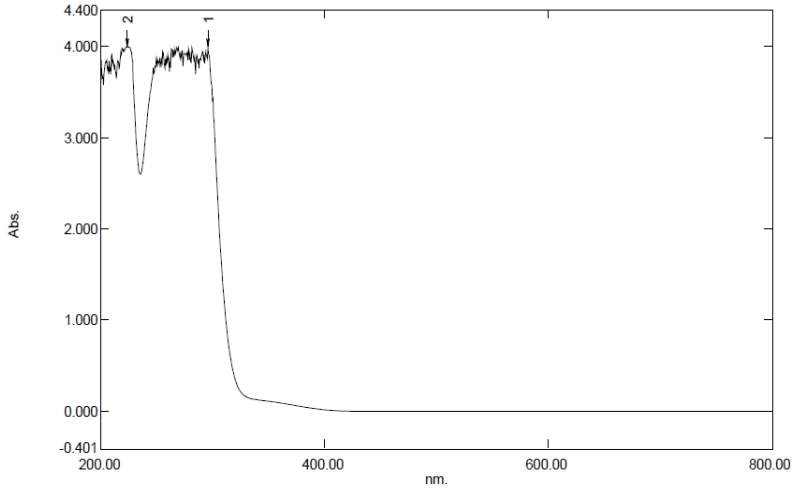

Fig.7: UV of chalcone derivative

3.4 Antimicrobial Activity

The bacteria selected to study the anti-bacterial activity of the Chalcone derivative were Staphylococcus aureus and Escherichia coli. The fungal organisms selected to study the anti-fungal activity of the Chalcone derivative were Candida albicans and Mucor sps .The drug Ciprofloxacin which is an effective antibacterial agent towards the selected bacteria was used as a reference antibacterial agent in order to compare the results. Likewise, the drug Amphotericin B which is an effective antifungal agent towards the fungal species selected was used as a reference antifungal agent.

Antibacterial analysis was followed using standard agar well diffusion method to study the antimicrobial activity of compounds [19,20,21]. Each bacterial and fungal isolate was suspended in Brain Heart Infusion (BHI) broth and diluted to approximately 105 colony forming unit (CFU) per mL. They were flood-inoculated onto the surface of BHI agar and then dried. Five-millimeter diameter wells were cut from the agar using a sterile cork-borer and 30 µL (5µg compound in 500 µL DMSO) of the sample solution were poured into the wells. The plates were incubated for 18 h at 37qC for bacteria and at room temperature for fungi. Antimicrobial activity was evaluated by measuring the zone of inhibition in mm against the test microorganisms. DMSO was used as solvent control. Ciprofloxacin was used as reference antibacterial agent. Amphotericin B was used as reference antifungal agent. The tests were carried out in triplicates.

3.4.1 Antibacterial activity chalcone derivative

Antimicrobial activity of the synthesized chalcone derivative was determined by disc diffusion method [27-29]. All human pathogenic bacteria viz. Staphylococcus aurenus and Escherichia coli was used for activity determination. Preparation of nutrient broth, Subculture, base layer medium, agar medium and peptone water was done as per the standard procedure. The results of antibacterial studies are given in Table 1.

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

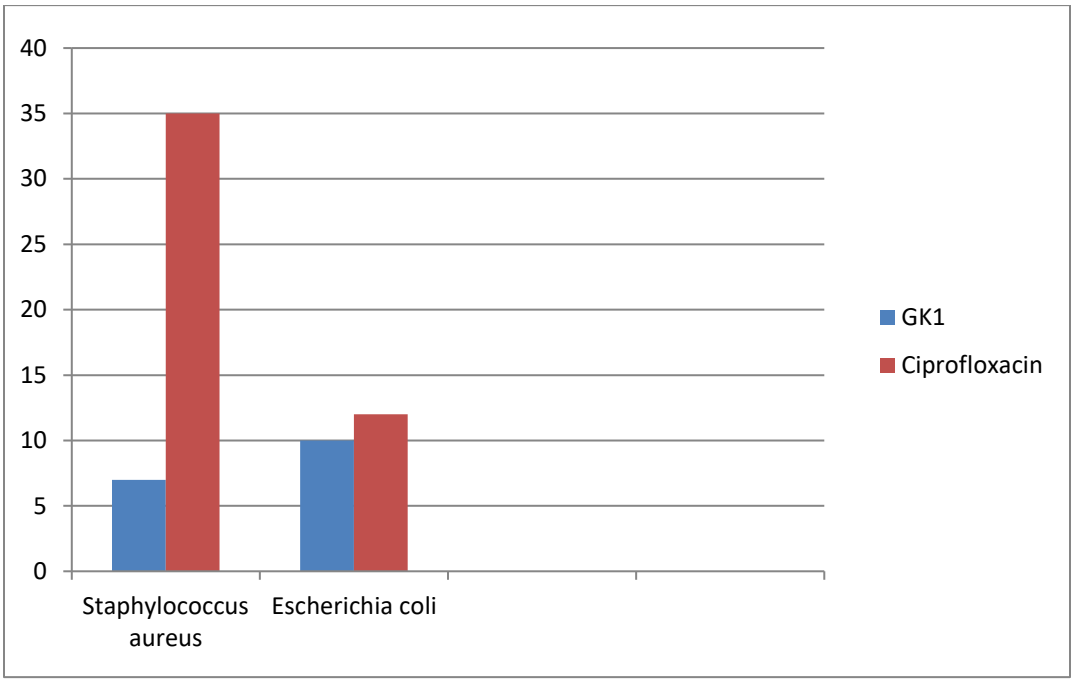

Fig. 8: The antibacterial activity of synthesized chalcone derivative

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.

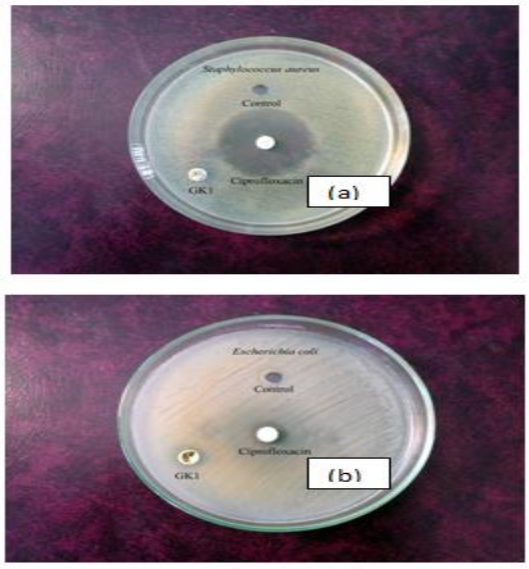

Fig.9 & 10: Antimicrobial activity of chalcone derivative

3.4.2 Antifungal activity chalcone 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

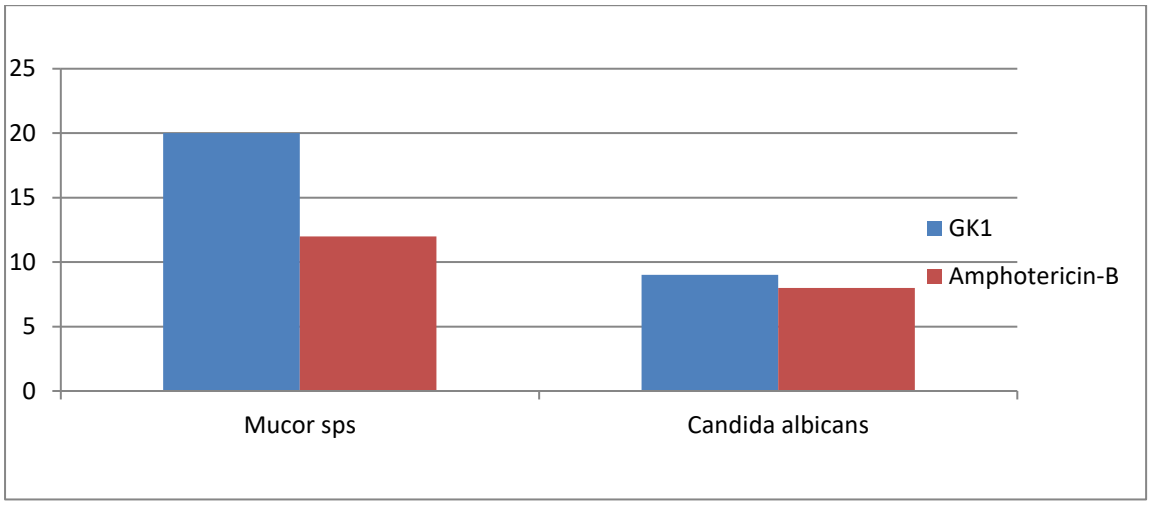

Fig 11: The antifungal activity of synthesized chalcone derivative

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.

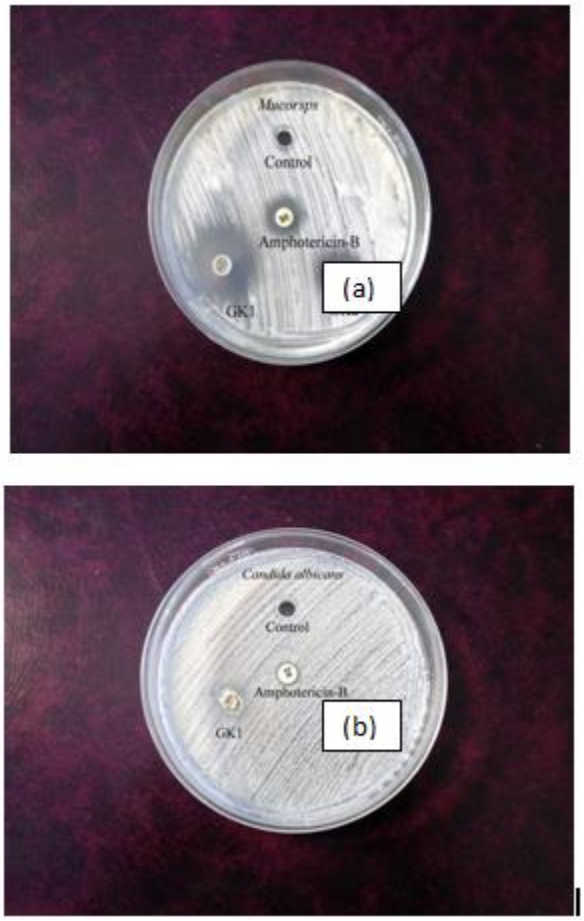

Fig.12 & 13: Antifungal activity of chalcone derivative

IV. Conclusion

Chemistry of heterocyclic compounds continues to be as an important field related to medicinal chemistry. Finding useful therapy to any disease is most important and integral part of the history of the main kind. The normal procedure to prepare novel class of agents is to explore the representative moiety which may be a known synthetic or the natural medicinal agents. Preparation of chalcones (contain a reactive–CO-CH=CH- keto ethylenic group) is of particular attention for different studies since of their significant as pioneer in the biosynthesis of flavanoids abundantly obtainable in plant kingdom. The possibility of bestowing various in the composition of chalcones by changing various substituents has fashioned an attention of specialist's exploration of different fields. Besides being importance as starting material in organic and inorganic chemistry and therapeutic fields (pharmacological proxy showing a large number of actions like antibacterial and antifungal activities). Due to the above properties and applications of related compounds, the present work was planned and the compound was synthesized, characterized and biological activity was evaluated for acetophenone 4-methoxy benzaldehyde derivative. This helps to establish new modern synthetic drugs for a diverse biological application.

References

[1] Kostanecki and Tambor S. V. *J. Chem Ber.* , 32, 1921 **1899.**
[2] Yerra, K.R., S. Fang and Y.M. Tzeng,. Synthesis of 2 oxygenated chalcones. Bioorg. Med. Chem., 12, 2679-2686 **2004**.
[3] Rupe H and Wasserzug D, *J. Chem Ber.* , 34, 3527 **1901.**
[4] Hermes S.A, *Chem Ber.* , 70, 9642 2h **1969.**
[5] Breslow D.S and Houser C.R, *Chem Ber.* , 62, 2385 **1940.**
[6] Kazauki K, Hitayama K, Yokomor S and Soki T, *Chem Abstr.* , 85, 591 **1976.**
[7] Z. Nowakowska, Eur. J. Med. Chem. 42, 125 **2007** CrossRef Google Scholar.
[8] S. Lopez et al., Bioorg. Med. Chem. 9, 1999 **2001** CrossRef Google Scholar.
[9] P. Boeck et al., Arch Pharmacy 338, 87 **2005** CrossRef Google Scholar.
[10] H.N. Elsohly, A.S. Joshi, A.C. Nimrod, L.A. Walker, A.M. Clark, Planta Medica 67, 87 **2001** CrossRef Google Scholar.
[11] N. Yayli et al., J. Photochem.Photobiol. A 169, 229 **2005** CrossRef Google Scholar.
[12] M.V.B. Reddy et al., Bioorg. Med. Chem. 16, 7358 **2008** CrossRef Google Scholar.
[13] G. Saydam et al., Lukemia Research 27, 57 **2003** CrossRef Google Scholar.
[14] M. Cabrera et al., Bioorg. Med. Chem. 15, 356 **2007** CrossRef Google Scholar.
[15] C. Nakamura et al., Bioorg. Med. Chem. 10, 699 **2002** CrossRef Google Scholar.
[16] A. Modzelewska, C. Pettit, G. Achanta, N.E. Davidson, P. Huang, S.R. Khan, Bioorg. Med. Chem. 14, 3491 **2006** CrossRef Google Scholar.
[17] L. Troeberg et al., Mol. Med. 6, 660 **2000** Google Scholar.
[18] V.J. Ram, A.X. Saxena, S. Srivastava, S. Chandra, Bioorg. Med. Chem. Lett. 10, 2159 **2000** CrossRef Google Scholar.
[19] Perez, C., Pauli, M. and Bazerque, P.(1990). An antibiotic assay by the agar-well diffusion method. Acta Biol. Med. Exp. 15: 113- 115.
[20] Erdemogßlu, N., Ku¨ Peli, E., Yes, E. and Ilada, R. (2003). Antiinflammatory and antinociceptive activity assessment of plants used as remedy in Turkish folk medicine. J. Ethnopharmacol.89: 123.
[21] Bagamboula, C.F., Uyttendaele, M. and Debevere, J. (2004). Inhibitory effect of thyme and basil essential oils, carvacrol, thymol, estragol, linalool and p-cymene towards Shigella sonnei and S. flexneri. Food Microbiol. 21: 33-42.