

Synthesis of Some Novel Chalcone by Green Methodology and Study of Antimicrobial Activity

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ABSTRACT

A series of chalcones (1-6) were prepared by Claisen-Schmidt condensation of p-hydroxy acetophenone with benzaldehydes in presence of aqueous solution of sodium hydroxide using microwave irradiations. The reaction is clean with shorter reaction time, mild reaction condition, eco-friendly, excellent yield. Variety of functional groups such as nitro, chloro, and ether survived under the reaction conditions. The structures of the novel synthesised chalcones have been established on the basis of their IR spectral data. These compounds were screened for their antibacterial activities against Staphylococcus aureus and Salmonella typhi

Keywords: Chalcones, Microwave Irradiation, Antimicrobial Activity

1. INTRODUCTION

Chalcones – one of the major classes of natural products with widespread distribution in fruits, vegetables, spices, tea and soy-based foodstuff, have been recently subjects of great interest for their interesting pharmacological activities¹. Chalcones are belonged to the flavonoid's family. A vast number of naturally occurring chalcones are polyhydroxylated in the aryl rings. The radical quenching properties of the phenolic groups present in many chalcones have raised interest in using the compounds or chalcone rich plant extracts as drugs or food preservatives. Chalcones are the aromatic ketones which belong to 1, 3-diaryl-2-propen-1-ones, which forms the central core for the synthesis of variety of important biologically active compounds. Chalcones are also key precursors in the synthesis of many biologically important heterocycles such as benzothiazepine², pyrazolines³, 1,4-diketone⁴ and flavones⁵. Some heterocyclic systems based on chalcone precursors are benzothiazepines, benzodiazepines, benzoxazepines, pyrimidines, pyrazoles, and oxazoles⁶. The compounds with the backbone of chalcone have been reported to exhibit a wide variety of pharmacological activity including antimalarial⁷, antibacterial⁸, antituberculosis⁹, anticancer¹⁰, anti-inflammatory¹¹, antifungal¹², antioxidant¹³, antileishmanial¹⁴. During the last few years the potential of s-triazine derivatives in agrochemical and medicinal properties have been subjected to investigation. It is found that substituted s-triazine derivatives are an important class of compounds having antibacterial, anticancer, antitumor, antiviral, antifungal & antimalarial activities^{15,16}. Many acetamido derivatives have been synthesized and have showed antibacterial activity and other activities too¹⁷. Chalcones are a class of compounds that provides an option of developing inexpensive, easily synthetic and therapeutic antibacterial agent

2. MATERIALS AND METHODS

The all reagents used in the present study were of analytical grade. The melting points of the synthesized compounds were determined by open capillary tube method and are uncorrected. The ¹H-NMR spectra were recorded at 400 MHz at BRUKER NMR spectrophotometer in DMSO and chemical shifts are expressed in parts per million (δ) relative to tetramethylsilane.

3. GENERAL PROCEDURE FOR THE SYNTHESIS OF CHALCONES

An equimolar mixture of P-hydroxynacetophenone and substituted benaldehydes dissolved in minimum amount of etanol and NaOH were placed in a conical flask. The conical flask was covered with a funnel and then the flask was taken in a domestic microwave oven. The reaction mixture was irradiated under 180 watt microwave radiation for 30 sec-2 min. The progress of the reaction was monitored by TLC (n-hexane: ethyl acetate, 7:1) after every 30 sec. The reaction mixture was cooled and the obtained solid was recrystallized by ethanol.

IR DATA OF CHALCONES:

1. 1(4-hydroxyphenyl)-3-phenyl-3-phenylprop-en-1-one (chalcone) (IIIa):

1600.92 (C=C stretch), 1699.29 (C=O stretch), 3097.68 (C-H stretch, aromatic), 3300 (-OH stretch aromatic)

2. 3(4-chlorophenyl)-1-(4-hydroxyphenyl) prop-2-en-1-one (chalcone) (IIIb).

758(C-Cl stretch),1597(C=C stretch),1651 (C=O stretch),3064 (C-H stretch, aromatic), 3300 (-OH stretch aromatic)

3. 3(4-bromophenyl)-1-(4-hydroxyphenyl) prop-2-en-1-one (chalcone) (IIIc).

688 (C-Br Stretch), 1600 (C=C Stretch), 1697 (C=O Stretch) 3097 (-C-H Stretch), 3300 (-OH stretch aromatic)

4. 3(4-Nitrophenyl)-1-(4-hydroxyphenyl) prop-2-en-1-one (chalcone) (III d).

1350 (C-NO₂ Stretch), 1311 (C-N Stretch), 1600 (C=C Stretch), 1664 (C=O Stretch), 3089 (-C-H Stretch, aromatic), 3300 (-OH stretch aromatic)

5. 3(4-methoxyphenyl)-1-(4-hydroxyphenyl) prop-2-en-1-one (chalcone) (IIIe).

1105 (C-O-C Stretch), 1597 (C=C Stretch) 1645 (C=O Stretch), 3045, (C-H Stretch aromatic), 3300 (-OH stretch aromatic)

6. 1,3 bis (4-hydroxyphenyl) prop-2-en-1-one (III f).

1105 (C-O-C Stretch), 1597 (C=C Stretch) 1645 (C=O Stretch), 3045, (C-H Stretch aromatic), 3300 (-OH stretch aromatic)

4. CONCLUSIONS

In this work, we have demonstrated the synthesis of chalcones using microwave irradiation. The advantages of this method are high yields, relatively short reaction times, low cost, simple experimental and isolation procedures, and finally, it is in agreement with the green chemistry protocols. The activity data obtained during the study will be certainly useful to go for further research for drug designing and synthesizing new chalcone derivatives.

6. REFERENCES

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