

Microwave Assisted Synthesis of Some New Chromone and their Antibacterial Activity

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ABSTRACT

Chlorosubstituted 3-Aroylchromone were prepared by refluxing of 1-(2-hydroxy-5-chlorophenyl)-3-(2'-furyl)-1, 3-propanedione and glutaraldehyde in ethanol and piperidine. The newly synthesized Chlorosubstituted 3-Aroylchromone were characterized on the basis of elemental analysis and spectroscopic data of IR, NMR. The titled compound were evaluated for their antibacterial activity against species such as *Escherichia coli*, *Staphylococcus aureus*, *Bacillus subtilis* and *Pseudomonas aeruginosa*

Keywords: *Synthesis, Antibacterial Activity, Chromone*

INTRODUCTION

Chromone word is derived from Greek word *chroma* meaning "color" which indicates that many chromone derivatives exhibit a broad variation of colors. Chromones are the heterocyclic compound with benzopyrone network with substituted keto group on pyrone ring. Chromone is an isomer of coumarin. Chromone moiety is obtained from natural sources such as plant, marine and synthetic sources. Oxygen containing heterocycles are abundantly found in nature. Flavones, isoflavones, flavones, catechins, anthocyanins are some phytoconstituents collectively grouped as flavanoids and isoflavonoids.¹ Molecules containing the chromone structure (such as flavonoids and chromones) receive considerable attention in the literatures recently, mainly due to their biological and physiological activities including antimicrobial, antifungal, anticonvulsant,

antimicrobial, mushroom tyrosinase inhibition activities, intermediates to many products of fine chemical industries.² The most of chromones are found to be biologically active agents. Some of the biological activities attributed to chromone derivatives include, neuroprotective, HIV-inhibitory, antimicrobial, antibacterial, antitumor, antifungal, antiallergic, antiviral, anti-inflammatory, anticancer activities.³ Many chromone derivatives are also photoactive and can be used easily in various photo induced reactions affording diverse heterocyclic compounds.⁴ These derivatives also serve as intermediates to many products of fine chemical industries such as pharmaceuticals, agrochemicals and dyestuffs.⁵ In general, chromones are synthesized by the cyclodehydration of 1-(*o*-hydroxyaryl)-1,3-diketones or equivalent intermediates catalyzed by strong acids or strong bases (Vilsmeier-Haack reaction). They have been prepared on a large scale by Diels-Alder reactions, Condensation reactions, Dimerization reactions, Colour reactions provide another synthesis of chromone and its derivatives.

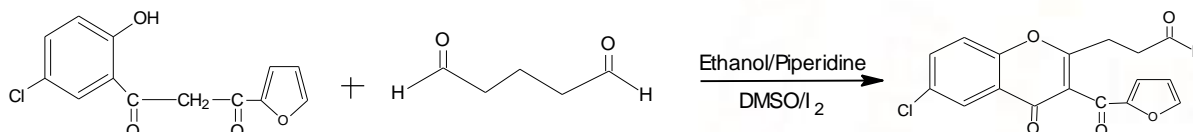
EXPERIMENTAL

The synthesis of 3-furoyl-2-butanal-6-chlorochromone from the mixture of 1-(2-hydroxy-5-chlorophenyl)-3-(2'-furyl)-1,3-propanedione and glutaraldehyde was refluxed in ethanol and piperidine to form chromanone. Again these chromanone was refluxed with crystal of iodine in DMSO to form a chromone. The melting points of these compounds were recorded on 'Tempo' melting point apparatus.

The carbon, hydrogen, oxygen and chlorine analysis was carried out on 'Carlo Ebra 1106' analyzer. The IR spectra were recorded on 'Perkin-Elmer Infra Red spectrophotometer'. The PMR spectra were recorded on DRX 300 spectrometer in CDCl₃. Purity of the compound was tested by TLC. The study were treated for their antibacterial impact against some common pathogenic bacteria viz. *E. Coli*, *S. aureus*, *B. Subtilis*, *P. argenosa*. The solutions of 50 mg of test compounds were prepared in DMSO solvent separately. The discs were soaked, assuming that each disc will contain approximately of the test solution. The culture media was prepared by using following composition for one liter distilled water-

Peptone: 10g
Sodium chloride: 10g
Yeast extract: 10 g
Agar: 20g in 1000ml of distilled water

Initially, the stock cultures of bacteria were revived by inoculating in broth media and grown at 37°C for 18 hrs. The agar plates of the above media were prepared and wells were made in the plate. Each plate was inoculated with 18 h old cultures (100 µl, 10⁴ cfu) and spread evenly on the plate. After 20 min, the wells were filled with compound and antibiotic at different concentrations. All the plates were incubated at 37°C for 24 h and the diameter of inhibition zone were noted



Compound	Molecular formula	M.P.	Yield	Rf
1	C ₁₃ H ₉ ClO ₄	110 ⁰ C	78%	0.76
2	C ₁₉ H ₁₅ ClO ₅	155 ⁰ C	80%	0.89

Spectral Interpretation

The important frequencies observed in the IR spectrum recorded in KBr are correlated as follows-IR (ν_{max}) cm

(1a): 748(C-Cl stretching); 3432(OH stretching); 1650(C=C stretching); 941(2'Furyl) 1680(C=O stretching). The PMR spectrum of the compound was recorded in CDCl₃ with TMS as an internal standard. The observed chemical shifts and their correlations are as follows-NMR 12.08(1H, s, Ar-OH); 6.66-7.99(6H, m, Ar-) 9.72(1H, s-CHO)

(2a): 1690(C=O stretching); 1653(C=C stretching); 952(furan); 2938(-CHO stretching). The observed chemical shifts and their correlations are as follows-NMR; 7.39(m, 6H, Ar-H); 9.72(s, 1H, -CHO-); 2.40(S, 2H, -CH₂)

RESULT AND DISCUSSION

The Chlorosubstitued 3-Aroylchromone were synthesized successfully in moderate to good yield. The newly synthesized compounds were identified melting point, IR, NMR. The chromone were screened in vitro against some common bacteria (*E. coli*, *S. aureus*, *B. subtilis*, *P. argenosa*). It was noticed that most of all these compounds have shown remarkable inhibitory activity. On the newly synthesized chromone were screened for antibacterial activity using Agar diffusion method.

CONCLUSION

In current research It can be concluded that chromone compounds were moderately active against all used bacterial strain. Although the compounds synthesized are not much significant against microbes under investigation but the further purification and

modification of synthesized give scope for further development in the same heterocyclic nucleus. The microwave irradiation method of reaction activation was in many cases successfully used for increasing

the yield as well as to achieve a considerable shortening of reaction time

Antibacterial activities of test compounds

Test compound	Organism	25 µg	50 µg	100 µg	250 µg	500 µg	MICµg
2a	<i>E. coli</i>	26	29	32	34	38	25
	<i>B. subtilis</i>	20	24	27	30	36	25
	<i>P.aeruginosa</i>	30	32	34	35	38	25
	<i>S. aureus</i>	25	28	31	34	36	25

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