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# Synthesis and Antioxidant Activity of Some 3-Aroyl Chromanone and Flavanones

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Flavanones and chromanones are known to be biologically important compounds. In the present work two 3-aroyl flavanones and one 3-aroyl chromanone were prepared from phenol and *p*-cresol as starting material. The compounds were characterized on the basis of elemental and spectral analysis and were screened for their antioxidant activity by DPPH method. The compounds exhibit antioxidant activity in the range of 8-11 mg $mL^{-1}$ concentration. The activity was corelated with the structure. It is observed that the antioxidant activity increases with substitution of $-OCH_3$ and furyl groups.

**Key Words: Flavanone, Chromanone, Antioxidant activity, DPPH.**

## INTRODUCTION

Prevention of disease by the development of active treatments that control illness with minimum side effect to the body has become the most important goal of modern health care. Medical research has proved through extensive evidence that most of the diseases are mainly caused by a breakdown in our body's key defense systems that protect the body from the ravages of free radicals. It is reported that[1,2] free radicals contribute to more than 100 disorders in human including atherosclerosis, arthritis, ischemia and reperfusion injury of many tissues, central nervous system injury, gastritis, cancer and AIDS. Free radicals due to environmental pollutants, radiation, chemicals, toxins, deep fried and spicy food as well as physical stress, cause depletion of immune system antioxidants, change in gene expression and induce abnormal proteins. Due to depletion of immune system natural antioxidants in different maladies, consuming antioxidants as free radical scavengers may be necessary[3-5].

The antioxidant activity of several plant materials have been recently described in the literature[5-9]. Many common plants have been evaluated for their antioxidant activities. These plants have been documented to be rich in flavonoids[10-12].

Flavonoids are diphenyl propanes that commonly occur in plants and are most frequently present compounds in human diet. The immediate family member of flavonoid includes flavone, isoflavone and 2,3-dihydro derivative of flavones,

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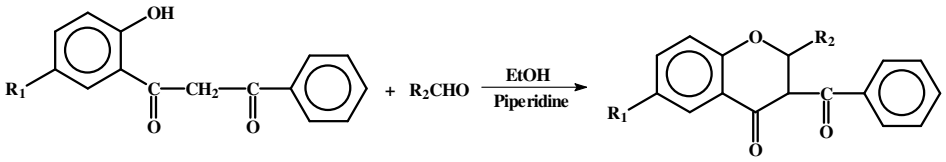
namely, flavanones which are interconvertible with isomeric chalcones[13]. The biological activities of flavonoids have been extensively reviewed. Some of them have been found to posses biological activities like antibacterial, antiinflammatory, analgesic, antiischemic, antiplatelet, antilipoperoxidant, antioxidant *etc*.,[14, 15].

Literature reveals that flavonoids are very good antioxidant[10-12] and can play important role in protecting many diseases like aids, cancer, *etc*. Chromanone resembles with flavanones in structure and many properties. So it was thought of interest to synthesize some flavanones and chromanone and check their antioxidant activity.

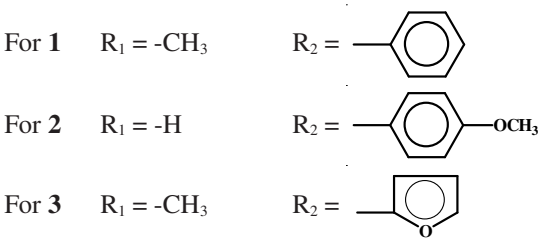
## EXPERIMENTAL

**Synthesis of compounds:** Starting from phenol and *p*-cresol three 1,3-diphenyl substituted 1,3-propanediones were prepared by acetylation, fries migration, benzoylation and Baker Venkatraman transformation by literature method[16].

Three compounds namely 3-benzoyl-6-methylflavanone (**1**), 3-benzoyl-4'-methoxyflavanone (**2**) and 3-benzoyl-2-(2'-furyl)-6-methyl chromanone (**3**) were prepared by condensing 1-(2-hydroxy-5-substituted phenyl)-3-phenyl-1,3- propanediones with benzaldehyde, anisaldehyde and furfuraldehyde, respectively[16] (**Scheme-I**).




[Compound **1**, **2** and **3**]




**Scheme-I**

The melting points were determined on Tempo make melting point apparatus and are uncorrected. IR spectra were recorded on Perkin-Elmer 202' spectrometer using KBr pellets. The purity of compounds synthesized was tested by TLC on silica gel-G layers. The structures of compounds **1**-**3** were established on the basis of chemical properties, elemental analysis and spectral analysis.

**Determination of antioxidant activity:** The stable 1,1-diphenyl-2-picryl hydrazyl (DPPH) radical scavenging effect of synthesized compounds were carried out using Asian make (Model No. 10601) UV spectrophotometer by literature method[17-19].

Sample compounds of various concentration were mixed to 5 mL of 0.004 % methanolic solution of DPPH. A 0.5 h incubation period at room temperature was used before reading the absorbance at 517 nm. All the tests and analysis were performed in triplicate and average inhibition of DPPH free radical in percent (I %) was calculated using the equation[20]:

$$I\ (\%) = (A_{Blank} - A_{Sample}) \times 100$$

where, $A_{Blank}$ is the absorbance of the control reaction and $A_{Sample}$ is the absorbance of the test sample and the results are reported in Table-1. Ascorbic acid was used as standard control. $IC_{50}$ values denote the concentration of sample which is required to scavenge 50 % of DPPH. $IC_{50}$ for each compound was calculated from the graph plotting inhibition percentage against sample concentration. The values are reported in Table-2.

TABLE-1
INHIBITION FOR 3 TEST SAMPLES AT VARIOUS CONCENTRATIONS (%)

| Compound No. | 1 | 2 | 3 |
|---|---|---|---|
| Conc. in mg/mL | I (%) | I (%) | I (%) |
| 1 | 0.0000000 | 0.0000000 | -0.454545 |
| 2 | -0.9523810 | -0.8818314 | 0.000000 |
| 3 | 0.5714256 | 7.9365080 | 0.454545 |
| 4 | 0.0000000 | 13.9329810 | 0.909090 |
| 5 | 7.6190480 | 18.5185190 | 7.575758 |
| 6 | 11.428571 | 31.9223990 | 26.666667 |
| 7 | 29.142857 | 41.4462080 | 32.424242 |
| 8 | 36.190476 | 46.0317460 | 49.545455 |
| 9 | 43.047619 | 49.0299820 | 71.212121 |
| 10 | 48.380952 | 52.2045860 | 80.757576 |
| 11 | 53.283212 | 55.3131310 | 86.666667 |

TABLE-2
$IC_{50}$ VALUES FOR TEST SAMPLES AND ASCORBIC ACID

| Compounds | 1 | 2 | 3 | Standard control (ascorbic acid) |
|---|---|---|---|---|
| $IC_{50}$ values(mg/mL) | 10.250 | 9.300 | 8.050 | 0.022 |

## RESULTS AND DISCUSSION

From Table-2, it is clear that the test compounds exhibit antioxidant activity. As compare to ascorbic acid (0.022 mg $mL^{-1}$), $IC_{50}$ values for sample compounds (ranges from 8-11 mg $mL^{-1}$) are very high. It may be attributed to the absence of phenolic -OH group in the test samples flavanones and chromanone. Further compound **2** possesses higher antioxidant activity than compound **1**. This increase in activity may be attributed to the presence of $-OCH_3$ group at side benzene ring. Compound **3** possesses highest antioxidant activity may be due to presence of furyl group at position **2**.

## Conclusion

From the results and discussion, it can be concluded that substituted 3-aroyl flavanones and 2-(2'-furyl) substituted-3-aroyl chromanone although less but exhibits antioxidant activity. Literature reveals that presence of phenolic content is responsible for radical scavenging or antioxidant activity[10,21]. Flavanones and chromanones are easily convertible to chalcones possessing phenolic -OH group[13,22]. Hence the compounds synthesized in the present work exhibits antioxidant activity may be attributed to their ability of inter convertibility to chalcones or due to presence of O-atom in the heterocycle because compound **3** possessing extra heterocyclic ring exhibits higher antioxidant activity.

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