ABSTRACT

Hydroxyl substituted 2-benzylidene-1-benzofuran-3-ones are commonly known as aurones. This class of bioactive heterocycles belongs to flavonoid family. The article intends to put forth the rational design and synthesis of a new series of aurones using 2,4-dihydroxy chalcones and mercuric chloride in the presence of DMSO. The different aurones have been synthesized and tested for their purity by melting point method and spectral interpretation techniques viz. FTIR and H1 NMR.

Keywords: Chalcones; Aurones.

1. INTRODUCTION

Substituted 2-benzylidene-1-benzofuran-3-ones are commonly known as aurones that belongs to the naturally occurring flavonoids [1,2] and are structurally isomeric to flavones. They play significant role for the pigmentation of the flowers in which they are found. Antifungal, antibacterial, antiplasmodial, antileshmanial and antiviral activities of aurones have also been reported [3-6] apart from being anticancer [7-9], antiangiogenic [10] anti-infective and anti-inflammatory [11-15].

2. MATERIAL AND METHODS

2.1. Materials

2.1.1. Chemical reagents

2,4-dihydroxy acetophenones, benzaldehyde, furfuraldehyde, p-chlorobenzaldehyde and HgCl2.

2.2. Instrument

MAC- melting points apparatus, TLC, FTIR, IR spectrophotometer.

2.3. Methodology

2.3.1. Synthesis of chalcone

An equimolar mixture of acetophenone and aldehyde was dissolved in ethanol, and added 30% KOH drop wise until the solid mass is obtained then keep this mixture at room temperature for 24 hours. Then, added crushed ice & dil HCl till pH < 7. The separated product is filtered, washed with large amount of water. Recrystallized the product from ethanol. Purity checked by spectral interpretation and melting point.

2.3.2. Synthesis of 1-(2,4-dihydroxyphenyl)-3-phenyl prop-2-en-1-one [C1]

2.3.3. Synthesis of (E)-1-(2,4-dihydroxyphenyl)-3-(furan-2-yl)prop-2-en-1-one [C2]

2.3.3. Synthesis of (E)-3-(4-chlorophenyl)-1-(2,4-dihydroxyphenyl)prop-2-en-1-one [C3]
2.4. Synthesis of aurones from chalcones.

About 0.01M of chalcones and 0.01M of mercuric chloride (2.35 gm) was dissolved in 20 ml of DMSO in a round bottom flask. Reflux the reaction mixture for 3 hours, then reaction mixture was hydrolyzed by using acidified ice cold water, filter the crude product and wash it 3-4 times by distilled water, dried, and crystallized by ethanol, solid product was obtained aurone.

2.4.1. Synthesis of E-2-benzylidene-6-hydroxybenzofuran-3(2H)-one [A1]

2.4.2. Synthesis of (E)-2-(furan-2-ylmethylene)-6-hydroxybenzofuran-3(2H)-one [A2]

2.4.3. Synthesis of (E)-2-(4-chlorobenzylidene)-6-hydroxybenzofuran-3(2H)-one [A3]

3. RESULTS AND DISCUSSION

Table - 1: Physical data of chalcones (melting point & color)

<table>
<thead>
<tr>
<th>Symbol</th>
<th>Name of compound</th>
<th>Melting point</th>
<th>color</th>
</tr>
</thead>
<tbody>
<tr>
<td>C1</td>
<td>1-(2,4-dihydroxyphenyl)-3-phenyl prop-2-en-1-one</td>
<td>110°C</td>
<td>Dark Brown</td>
</tr>
<tr>
<td>C2</td>
<td>1-(2,4-dihydroxyphenyl)-3-(furan-2-yl)prop-2-en-1-one</td>
<td>100°C</td>
<td>Yellowish black</td>
</tr>
<tr>
<td>C3</td>
<td>3-(4-chlorophenyl)-1-(2,4-dihydroxyphenyl)prop-2-en-1-one</td>
<td>90°C</td>
<td>Peach pink</td>
</tr>
</tbody>
</table>

Table - 2: Physical data of substituted benzofuran (melting point & color)

<table>
<thead>
<tr>
<th>Symbol</th>
<th>Name of compound</th>
<th>Melting point</th>
<th>color</th>
</tr>
</thead>
<tbody>
<tr>
<td>A1</td>
<td>2-benzylidene-6-hydroxybenzofuran-3(2H)-one</td>
<td>90°C</td>
<td>Brown</td>
</tr>
<tr>
<td>A2</td>
<td>(E)-2-(furan-2-ylmethylene)-6-hydroxybenzofuran-3(2H)-one</td>
<td>85°C</td>
<td>Black</td>
</tr>
<tr>
<td>A3</td>
<td>(E)-2-(4-chlorobenzylidene)-6-hydroxybenzofuran-3(2H)-one</td>
<td>78°C</td>
<td>Reddish</td>
</tr>
</tbody>
</table>

Table - 3: The IR spectral analysis of compound showed the presence of following absorption bands

<table>
<thead>
<tr>
<th>Name of compound</th>
<th>V (C=O) cm⁻¹</th>
<th>V(c-o-c) cm⁻¹</th>
<th>V(c=c) cm⁻¹</th>
<th>V(-OH) cm⁻¹</th>
<th>Any special substituent</th>
<th>V(c=c) cm⁻¹</th>
</tr>
</thead>
<tbody>
<tr>
<td>A1</td>
<td>1650</td>
<td>1260</td>
<td>1642</td>
<td>3295</td>
<td></td>
<td>1596</td>
</tr>
<tr>
<td>A2</td>
<td>1670</td>
<td>1019</td>
<td>1640</td>
<td>3280</td>
<td>1210 furan ring -0-</td>
<td>1586</td>
</tr>
<tr>
<td>A3</td>
<td>1665</td>
<td>1014</td>
<td>1635</td>
<td>3300</td>
<td>1310-CL</td>
<td>1570</td>
</tr>
</tbody>
</table>

Table - 4: The H1 NMR spectral analysis of compound aurone showed the presence of following absorption bands

<table>
<thead>
<tr>
<th>Name of compound</th>
<th>(δ ppm)</th>
<th>No.of protons</th>
<th>Assignment</th>
</tr>
</thead>
<tbody>
<tr>
<td>A1</td>
<td>7.13, 3.24, 7.30, 5.12</td>
<td>1H, 1H, 1H, 1H</td>
<td>Ar-H, C=H, Ar-H, Ar-OH</td>
</tr>
<tr>
<td>A2</td>
<td>6.54, 3.32, 8.21, 5.40</td>
<td>1H, 1H, 1H, 1H</td>
<td>Ar-H, Ar-H, Ar-H, Ar-OH</td>
</tr>
<tr>
<td>A3</td>
<td>6.48, 6.68, 7.22, 5.80</td>
<td>1H, 1H, 1H, 1H</td>
<td>Ar-H, C=H, Ar-H, Ar-OH</td>
</tr>
</tbody>
</table>
4. CONCLUSION

The compound i.e substituted aurones was successfully synthesized and their purity and conformation was checked by melting point, TLC and from spectral data.

Acknowledgement

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5. REFERENCES


