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Modified procedure for synthesis of some hydroxyl substituted benzofuran and its structural determination

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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 H^1 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-chlorbenzaldehyde and $HgCl_2$.

2.2. Instrument

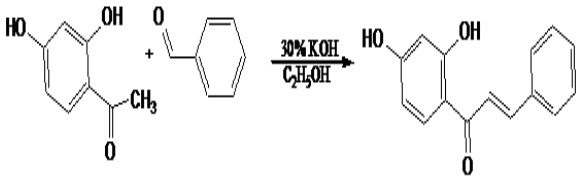
MAC- melting points apparatus, TLC, FTIR,IRspectrophotometr .

2.3. Methodology

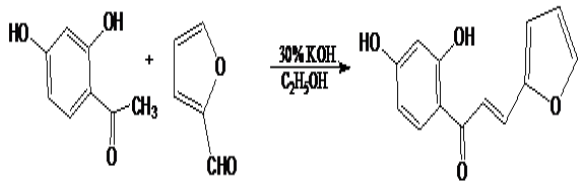
2.3.1. Synthesis of chalcone

Anequimolar mixture ofacetophenone 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 separatedproduct is filtered, washed with large amount of water. Recrystallized the product from ethanol. Purity checked by spectral interpretation and melting point.

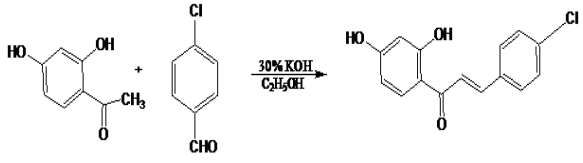
2.3.2. Synthesis of 1-(2,4-dihydroxyphenyl)-3-phenyl prop-2-en-1-one [C1]



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



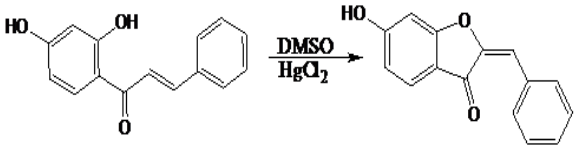
2.3.3. Synthesis of (E)-3-(4-chlorophenyl)-1-(2,4-dihydroxyphenyl)prop-2-en-1-one[C3]



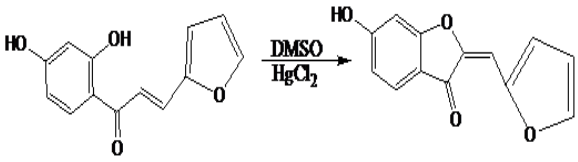
2.4. Synthesis of aurones from chalcones.

About 0.01M of chalcones and0.01Mof 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 i.eaurone.

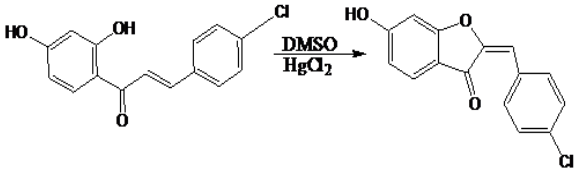
2.4.1. Synthesis of E-2-benzylidene-6-hydroxybenzofuran-3(2H)-one [A1]



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)

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

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

Symbol	Name of compound	Melting point	color
A1	2-benzylidene-6-hydroxybenzofuran-3(2H)-one	90°C	Brown
A2	(*E*)-2-(furan-2-ylmethylene)-6-hydroxybenzofuran-3(2*H*)-one	85°C	Black
A3	(*E*)-2-(4-chlorobenzylidene)-6-hydroxybenzofuran-3(2*H*)-one	78°C	Reddish

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

Name of compound	V (C=O)cm^{-1} Cyclic	V(c-o-c) cm^{-1}	V(c=c) cm^{-1} aliphatic	V(-OH) cm^{-1} Meta substituted	Any special substituent	V(c=c) cm^{-1} Aromatic
A1	1650	1260	1642	3295	-	1596
A2	1670	1019	1640	3280	1210 furan ring –O-	1586
A3	1665	1014	1635	3300	1310-CL	1570

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

Name of compound	(δ ppm)	No.ofpotons	Assignment
A1	7.13, 3.24,7.30,5.12	1H, 1H, 1H, 1H	Ar-H, C=C-H,Ar-H,Ar-OH
A2	6.54, 3.32,8.21,5.40	1H, 1H, 1H, 1H	Ar-H Ar-H, , Ar-H, Ar-OH
A3	6.48,6.68,7.22,5.80	1H, 1H, 1H, 1H	Ar-H, C=C-H, Ar-H, Ar-OH

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.

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5. REFERENCES

1. Geissmann TA. **The Chemistry of Flavonoids Com- pounds.** Pergamon Press, Oxford, London, 1962; 100(1): 60-61.
2. Katritzky AR, Odens HH, Zhang SM, Rostek CJ and Maender OW. Novel Syntheses of 2,3-Dihydro- 1,5-benzothiazepin-4(5*H*)-ones and 2*H*-1,4-benzothiazin- 3(4*H*)-ones. **Journal of Organic Chemistry,** 2001; 66(20): 6792-6796.
3. Kayser O, Kiderlen AF and Brun R. *In Vitro* Activity of Aurones against Plasmodium Falciparum Strains K1 and NF54. ***Planta Medica*,** 2001; 67(8): 718-721.
4. Kayser O, Kiderlen AF, Folkens U and Kolodziej H. *In Vitro* Leishmanicidal Activity of Aurones. ***Planta Medica*,** 1999; 65(4): 316-319.
5. Malhotra B, Onyillagha JC, Bohm BA, Towers GHN, James D, Harborne JB and French CJ. Inhibition of Tomato Ring-Spot Virus by Flavonoids. ***Phytochemistry*,** 1996; 43(6): 1271-1276.
6. Hadj-Esfandiari N, Navidpour L, Shadnia H, Amini M, Samadi N, Faramarzi MA and Shafiee A. Synthesis, Antibacterial Activity, and Quantitative Structure-Acti- vity Relationships of New (Z)-2(Nitroimidazolylmeth- lene)-3(2H)-bezofuranone Derivatives. **Bioorganic and Medicinal Chemistry Letters,** 2007; 17(22): 6354-6363.
7. Lawrence NJ, Patterson RP, Ooi LL, Cook D and Ducki S. **Bioorg. Med. Chem. Lett.** 2006; 16: 5844.
8. Cabrera M, Simoens M, Falchi G, Lavaggi ML, Piro OE, Castellano EE, Vidal A, Azqueta A, Monge A, De Cerain AL, Sagrera G, Seoane G, Cerecetto H and Gonzalez M. **Bioorg. Med. Chem.** 2007; 15: 3356.
9. Boumendjel A, Boccard J, Carrupt PA, Nicolle E, Blanc M, Geze A, Choisnard L,Wouessidjewe D, Matera EL and Dumontet CJ. **Med. Chem.** 2008; 51: 2307.
10. Mojzis J, Varinska L, Mojzisova G, Kostova I and Mirossay L. **Pharmacol. Res.** 2008; 57: 259.
11. Nowakowska Z. **Eur. J. Med. Chem.** 2007; 42; 125.
12. Meng CQ, Ni L, Worsencroft KJ, Ye Z, Weingarten MD, Simpson JE, Skudlarek JW, Marino EM, Suen KL, Kunsch C, Souder A, Howard RB, Sundell CL, Wasserman MA and Sikorski J. **A. J. Med. Chem.** 2007; 50: 1304.
13. Kim YH, Kim J, Park H and Kim HP. **Biol.Pharm. Bull.** 2007; 30: 1450.
14. Lee SH, Seo GS, Kim JY, Jin XY, Kim HD and Sohn DH. **Eur. J. Pharmacol.** 2006; 532: 178.
15. Cheng JH, Hung CF, Yang SC, Wang JP, Won SJ and Lin CN. **Bioorg. Med. Chem.** 2008; 16: 7270.