Journal of Medicinal Chemistry and Drug Discovery
ISSN: 2347-9027
Special Issue
Analytical Chemistry Teachers And Researchers
Association
National Convention/Seminar
18 January 2015

SYNTHESIS OF NEW CONDENSATION PRODUCT OF HYDROXY COUMARIN

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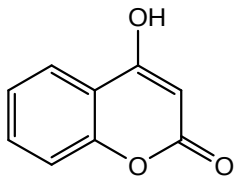
Abstract

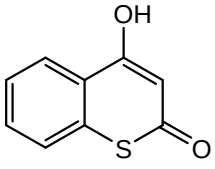
A Series of new coumarin derivatives (1-8) was synthesized by condensation of 4-hydroxy coumarin with appropriate chloro compounds by using simple, efficient and generally applicable method. The structures of all compounds were confirmed by elemental analysis and spectral data.

Introduction: 4-hydroxy coumarin and their derivatives have been reported to exhibit a broad spectrum of biological activities, such as analgesic[1], anti- arthritis[2], anti-inflammatory[3], anti-pyretic[4], anti-bacterial[5],anti-viral[6],anti- cancer[7], and anti-microbial activity[8].A number of comparatives pharmacological investigations of 4-hydroxy coumarin derivatives have shown good anti-coagulant activity combined with low side effect and little toxicity[9].In synthesis, structure modification and very wide variety of biological activities of 4-hydroxy coumarin have been reported in many research papers[10,11,12,13,14]. On the basis of above all properties of 4-hydroxy coumarin and its derivatives, we decide to synthesizenew derivatives of 4-hydroxy coumarin by well known method [15].

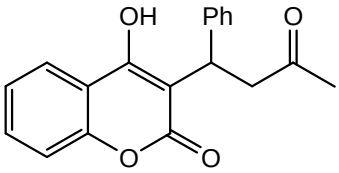
Fig. I



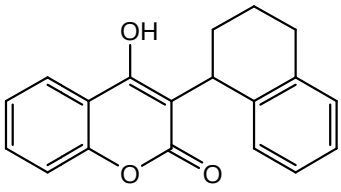
4-Hydroxy Coumarin



4-Hydroxy Thio-Coumarin



Warfarin



Coumatetralyl

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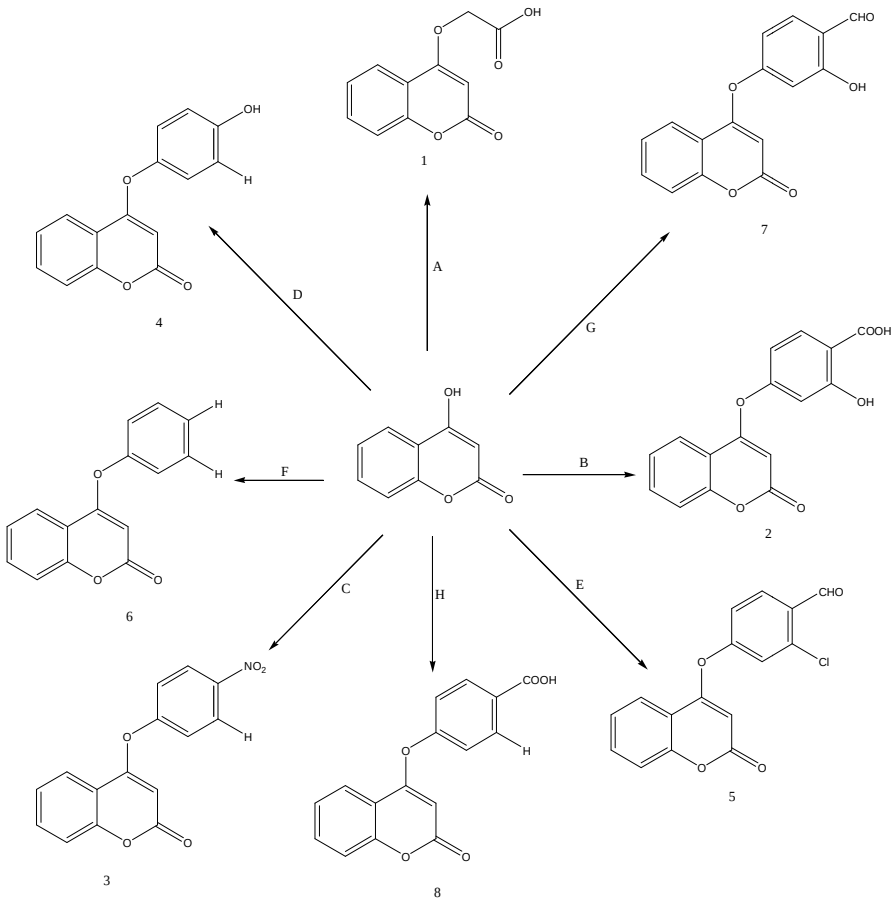
Table I : Characterization data of compounds prepared.

Compounds	Mol. For	MP(0C)	Yield(%)
1	$C_{11}H_8O_5$	240	82
2	$C_{16}H_{10}O_6$	352	75
3	$C_{15}H_9NO_5$	-	70
4	$C_{15}H_{10}O_4$	265	81
5	$C_{16}H_9ClO_4$	260	80
6	$C_{15}H_{10}O_3$	152	90
7	$C_{16}H_{10}O_5$	332	85
8	$C_{16}H_{10}O_5$	335	77

Scheme I



Where,
A = Cl-ACOH, B= Para-Cl-Salicylic Acid, C= Para Cl-Nitro Benzene, D= Para C l-Phenol
E= 2,4-Di-Cl-benzaldehyde, F= Cl-Benzene, G= 4-Cl-Salicyladehyde, H= 4-Cl-B enzoic Acid

Experimental

Meltngpoints weredetermined in open capillary tubes and are uncorrected. The FT-IR spectra were recorded in KBrpallets on a shimandzu FT-IR spectrophotometer.^{1}H-NMR spectra were recorded on a 200 MHz by using TMS as a internal Std. Chemical shift expressed in δppm.All compounds gave satisfactory C,H,N analysis.The physical characterization data are presented in table-I.

Synthesisof 1-8

A mixture of compounds 4-hydroxy coumarin (0.01 mol), and corresponding chloro compounds (0.02 mol), and 10 % NaOH (20ml) in ethanol (30 ml) was refluxed on a water bath for 3 Hrs. The reaction mixture was cooled and poured onto crushed ice. The solid obtained was filtered, dried and recrystalliedfrom a suitable solvent to afford the desired product.

1: IR (KBr cm^{-1}): 1110 (C-O-C Str), 1738 (C=O Acidic gr Str), 2937 (C-H Ali Str) , 3062 (C-H ArStr).

NMR ($CDCl_3$δ ppm): 6.9-7.30(m,4H,Ar-H), 5.07(s,1H,ethylene),4.89 (s,2H,methylene), 11.05(s,1H,O-H)

MS m/z: 220 (bp),221(M+1).

Elemental Analysis: C, 60.01; H, 3.68; O,36.08.

2:IR (KBr cm^{-1}): 1100 (C-O-C Str), 1737 (C=O Acidic gr Str), 3055 (C-H ArStr), 3360 (O-H broad Str).

NMR ($CDCl_3$δ ppm):6.5-7.80(m,7H,Ar-H), 5.62(s,1H,ethylene), 5.02(s,1H,O-H), 10.9(s,1H,COO-H)

MS m/z:298.0 (bp), 299 (M+1), 300 (M+2).

Elemental Analysis:C,64.50; H,3.4; O,32.02.

3:IR (KBr cm^{-1}): 1310 (C-O-C Str), 1610 (Ar-NO_2str), 1735 (lactone C=O Str), 3066 (C-H ArStr).

NMR ($CDCl_3$δ ppm): 7.02-7.99(m,8H,Ar-H), 5.62(s,1H,ethylene),

MS m/z: 283 (bp), 284(M+1), 285.

Elemental Analysis:C,63.6; H,3.30; O,28.30.

4:IR (KBr cm^{-1}): 860,844,829 (sub. Benzene Str), 1710 (C=O Str), 3066 (C-H ArStr) , 3366 (O-H broad Str).

NMR ($CDCl_3$δ ppm): 6.5-7.30(m,8H,Ar-H), 5.61(s,1H,ethylene), 5.01(s,1H,O-H),

MS m/z: 254 (bp), 255(M+1), 256.

Elemental Analysis: C, 71.01; H, 4.01; O,25.0.

5:IR (KBr cm^{-1}):559 (Ar-C-ClStr), 1734 (lactone C=O Str), 1705 (C=O Str) , 1050 (C-O-C Str).

NMR ($CDCl_3$δ ppm): 6.8-7.60(m,7H,Ar-H), 5.60 (s,1H,ethylene), 10.20(s,1H,CHO).

MS m/z: 300(bp), 301 ,302.

Elemental Analysis: C,64.0; H,3.0; O,21.3.

6:IR (KBr cm^{-1}): 1210 (C-O-C Str), 1730 (lactone C=O Str), 3056 (C-H ArStr).

NMR ($CDCl_3$δ ppm):6.5-7.29 (m,9H,Ar-H), 5.5 (s,1H,ethylene).

MS m/z:238 (bp),239, 240.

Elemental Analysis: C, 76.02; H,4.20; O,20.10.

7:IR (KBr cm^{-1}): 3059 (C-H ArStr), 1090 (C-O-C Str), 3350 (O-H Str broad), 1710 (C=O Str).

NMR ($CDCl_3$δ ppm): 6.4-7.30(m,7H,Ar-H), 6.3 (s,1H,ethylene), 5.01(s,1H,O-H), 10.30(s,1H,CHO).

MS m/z: 282 (bp), 283,284.

Elemental Analysis: C,68.0; H,3.6; O,28.02.

8:IR (KBr cm^{-1}): 1152 (C-O-C Str), 1708 (lactone C=O Str), 1738 (C=O Acidic gr Str).

NMR ($CDCl_3$δ ppm): 6.9-7.9 (m,8H,Ar-H), 5.65 (s,1H,ethylene), 10.98 (s,1H,COO-H).

MS m/z: 282(bp),283,284.

Elemental Analysis: C,67.8; H,3.60; O,28.09.

Acknowledgment

Authors are thankful to UGC for financial support and Principal, Yashwant College Nanded,for providing necessary laboratory facility with constant encouragement throughout the research work.

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