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Synthesis and Antimicrobial Evaluation of Novel Chalcones Bearing Coumarin Moiety

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ABSTRACT

Novel Chalcones bearing Coumarin moiety were synthesized by the reaction of 2-oxo-4-(piperazin-1-yl)-2H-chromene-3-carbaldehydewith different acetophenonesin presence of piperidine. All the newly synthesized chalcones were characterized by different spectroscopic techniques and elemental analyses. All the compounds were evaluated for their antibacterial activity against S. aureus, E.coli and for their antifungal activity against C. albicans.

Keywords: Chalcones, Coumarin Moiety,Antibacterial Activity, Antifungal Activity

I. INTRODUCTION

Literature survey revealed that Coumarins display wide range of pharmacological activities such asantithrombotic, LOX inhibitory, anticoagulant, vasodilator[1-3]. Many literature reports describingdifferent coumarin derivatives as potential antimicrobial agents further bring to light the importance of this class in medicinal chemistry[4-10].
Chalcones bearing Coumarin moiety are reported to possess remarkable pharmacological activities such as anticancer[11], antioxidant[12], anti-inflammatory[13], antiviral [14], trypanocidal[15],analgesic[16] etc. Above observations prompted us to synthesize novel Chalcones bearing Coumarin moiety and evaluate their antimicrobial activity.

II. METHODS AND MATERIAL

Melting points were determined in open capillaries and are uncorrected. IR spectra were recorded on SHIMADZU-FT-IR-8400 [Fourier transform–infrared (FT-IR)]. The IR spectra were taken usingKBr pellets. 1H NMR were recorded on Bruker AMX spectrometer. All the chemicals were commercial products and were used without further purification.

Procedure for the Synthesis of 4-chloro-2-oxo-2H-chromene-3-carbaldehyde (1a)

The synthesis of 4-chloro-2-oxo-2H-chromene-3-carbaldehyde was accomplished using reported procedure[17].

Procedure for the Synthesis of 2-oxo-4-(piperazin-1-yl)-2H-chromene-3-carbaldehyde (2a)

To a solution of 4-chloro-2-oxo-2H-chromene-3-carbaldehyde **(1a)** (0.01 mol) in 15 mL of ethanol, piperazine (0.02 mol) was added. The resulting solution was refluxed. The reaction progress was monitored using TLC. After the completion of the reaction, the reaction mixture was allowed to cool, the resulting solid material was filtered and re-crystallized from ethanol.

General Procedure for the Synthesis of 3-((E)-3-(aryl)-3-oxoprop-1-enyl)-4-(piperazin-1-yl)-2H-chromen-2-ones (3a-e)

A mixture of 2-oxo-4-(piperazin-1-yl)-2H-chromene-3-carbaldehyde (0.01mol) and appropriate acetophenone(0.01 mol) was dissolved in ethanol. To the resulting solution, few drops of piperidine were added and the resulting mixture was refluxed. The reaction progress was monitored using TLC. After the completion of the reaction, the reaction mixture was

allowed to cool, the separated chalcone was filtered and re-crystallized from ethanol.

3-((E)-3-oxo-3-phenylprop-1-enyl)-4-(piperazin-1-yl)-2H-chromen-2-one (3a)
Yield 67%. mp 178-180 °C. ^{1}H NMR δ 3.78-3.91 (m, 8H, piperazine-CH_2), 7.10-7.89 (m, 9H, Ar-H), 8.05-8.08 (d, 1H, =CH), 8.35-8.38 (d, 1H, =CH). MS: m/z 360.

3-((E)-3-(4-methylphenyl)-3-oxoprop-1-enyl)-4-(piperazin-1-yl)-2H-chromen-2-one (3b)
Yield 73%. mp 204-206 °C. ^{1}H NMR δ 2.45 (s, 3H, CH_3), 3.81-3.95 (m, 8H, piperazine-CH_2), 7.25-7.32 (m, 4H, Ar-H), 7.49-7.66 (m, 4H, Ar-H), 8.08-8.11 (d, 1H, =CH), 8.31-8.35 (d, 1H, =CH). MS: m/z 374.

3-((E)-3-(3-chlorophenyl)-3-oxoprop-1-enyl)-4-(piperazin-1-yl)-2H-chromen-2-one (3c)
Yield 53%. mp 195-197 °C. ^{1}H NMR δ 3.80-3.93 (m, 8H, piperazine-CH_2), 7.39-7.78 (m, 8H, Ar-H), 8.10-8.13 (d, 1H, =CH), 8.41-8.44 (d, 1H, =CH). MS: m/z 394.

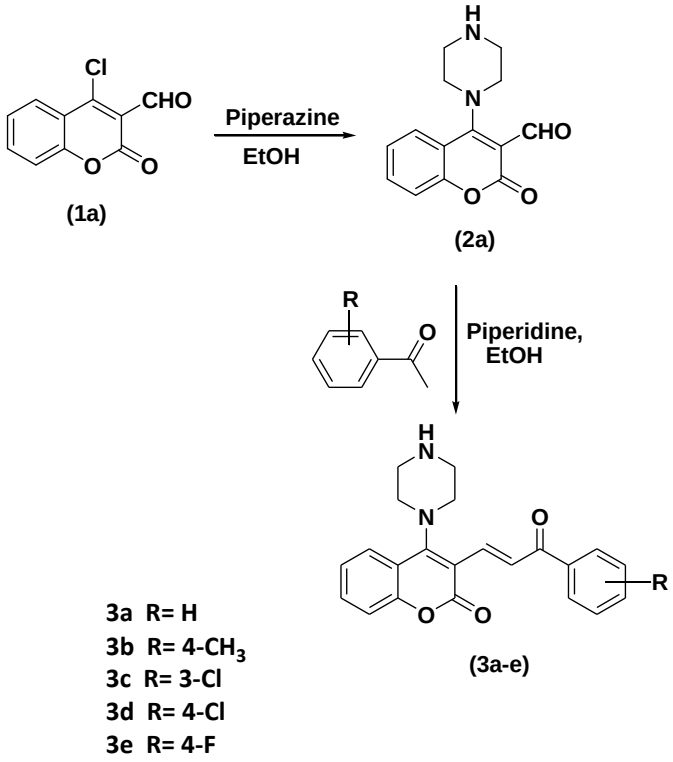
3-((E)-3-(4-chlorophenyl)-3-oxoprop-1-enyl)-4-(piperazin-1-yl)-2H-chromen-2-one (3d)
Yield 59%. mp 162-164 °C. ^{1}H NMR δ 3.82-3.94 (m, 8H, piperazine-CH_2), 7.52-7.58 (m, 4H, Ar-H), 7.82-7.89 (m, 4H, Ar-H), 8.13-8.17 (d, 1H, =CH), 8.33-8.37 (d, 1H, =CH). MS: m/z 394.

3-((E)-3-(4-Fluorophenyl)-3-oxoprop-1-enyl)-4-(piperazin-1-yl)-2H-chromen-2-one (3e)
Yield 59%. mp 174-176 °C. ^{1}H NMR δ 3.77-3.91 (m, 8H, piperazine-CH_2), 7.23-7.28 (m, 4H, Ar-H), 7.88-7.92 (m, 4H, Ar-H), 8.11-8.15 (d, 1H, =CH), 8.38-8.41 (d, 1H, =CH). MS: m/z 378.

III. RESULTS AND DISCUSSION

Chemistry

The synthesis of 2-oxo-4-(piperazin-1-yl)-2H-chromene-3-carbaldehyde **(2a)**was accomplished by the reaction of 4-chloro-2-oxo-2H-chromene-3-carbaldehyde **(1a)** with piperazine using ethanol as solvent, which was then reacted with different acetophenones in presence of catalytic amount of piperidine to furnish the title compounds **(3a-e)** (Scheme 1).



Scheme 1. Synthesis of Chalcones (3a-e)

All the newly synthesized Chalcones**(3a-e)**were characterized by different spectroscopic techniques.
The purity of the compounds was controlled by TLC. The spectral data of all the newly synthesized compounds were in full agreement with the proposed structures.

Biological screening

The compounds **(3a-e)** were evaluated for their antibacterial activity against Escherichia coli, Staphylococcus aureus and antifungal activity against Candida albicans using the broth-dilution method. After 24 h of incubation at 37 °C, the zones of inhibition were measured in mm. The activities were compared with those of some known drugs, viz. Ampicillin, Ciprofloxacin and Nystatin. The results are summarized in **Table 1**.

Table-1.Antimicrobial Evaluation of Chalcones (3a-e)

	Minimum inhibition concentration (μg mL^{-1})		
Compound	**Antibacterial Activity**		**Antifungal Activity**
	E. coli	S. aureus	C. albicans
3a	500	500	125

3b	1000	1000	500
3c	500	500	500
3d	250	125	500
3e	125	125	500
Ampicillin	100	250	-
Ciprofloxacin	25	50	-
Nystatin	-	-	100

IV.CONCLUSION

The newly synthesized Chalcones exhibited moderate to good antimicrobial activity, which makes them suitable as leads for further structural modification in order to develop new classes of antimicrobial compounds.

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