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Synthesis and Antimicrobial Activity of Substituted Coumarin and their Derivative

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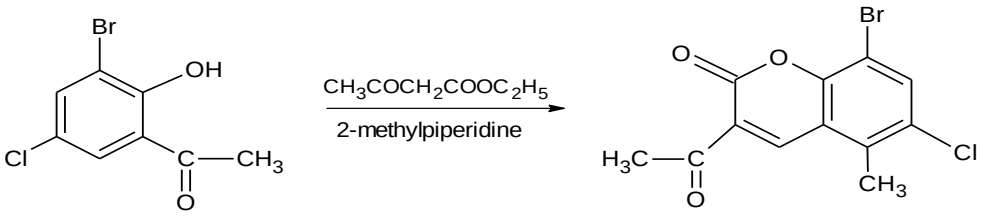
ABSTRACT

Coumarins were prepared by heating of 2-hydroxy substituted acetophenone and 4-chloropheenol with acetoacetic ester in presence of catalytic amount of 2-methylpiperidine.Characterisation and structural elucidation were done on the basis of chemical, analytical and spectral analysis. The antibicterial activities of these coumarins were assayed against the test organism E.coli, S.aureus, B.subtilis, P.aeruginosa, B.polymyxa. All bacterial species used in present investigation are plant pathogens. Compounds have been evaluated for their in vitro growth of inhibitory activity against E.coli, S.aureus, B.subtilis, P. aeruginosa, B. polymyxa.

Graphical Abstract:



IIa

Keywords: Synthesis, Antibacterial, Coumarin.

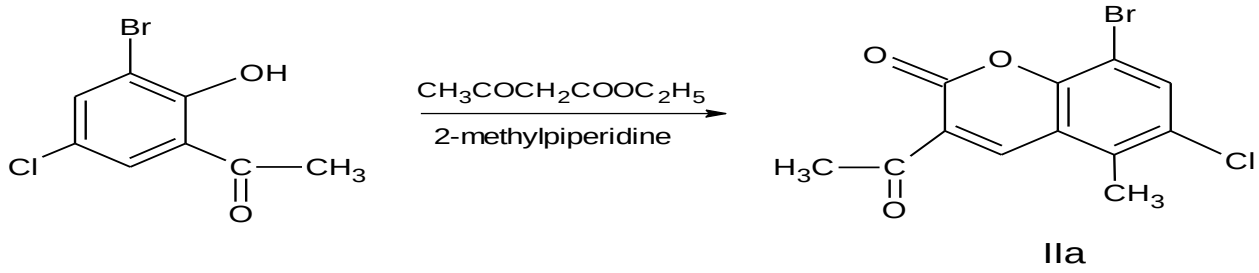
INTRODUCTION

Coumarins are the group of polyphenolic compounds isolated from plant product Tonka bean, coumarou in 1820. Coumarins are colorless and crystalline phytochemical substance [1]. There are four main Coumarin subtypes the simple Coumarin, Furano Coumarin, pyrano Coumarin and the pyrano substituted Coumarin [2]. Coumarins are well recognized naturally occurring compounds which isolated and present in large number of compounds in the plant kingdom mostly occur in higher plants, richest sources being Rutaceae and umbelliferone [3]. They are also found at high levels in some essential oils such as cassia oil, cinnamon bark oil and lavender oil [4]. coumarin in itself possess much of broad range of biological activities namely anticoagulation ,antibiotic, antifungal, antipsoriasis, cytotoxic, antiHIV, antiinflamatory [5]. Coumarins are also used as fluorescent brighteners, efficient laser dyes and additives in food and Cosmetics [6]. In recent years a variety of chalcones have been reviewed for their cytotoxic, anticancer

chemoprevenive and mutagenic as well as antiviral, insecticidal and enzyme inhibitory properties [7]. The molecular manipulation of promising lead compounds is still a major line of approach to develop new and efficient drugs. coumarin and chalcones. Coumarin derivatives have well known pharmacological activities such as antibacterial, antitumor, anti-inflammatory, antithrombotic, cardio protectors or enzymatic inhibitors [8].

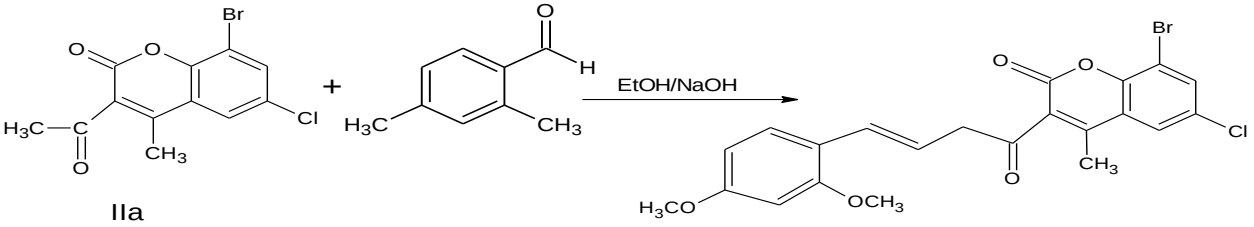
MATERIALS AND METHODS

Synthesis of 3-acetyl-4-methyl-6-chloro-8-bromo coumarin: Reaction mixture of2-hydroxy-5-methyl acetophenone (0.01 M), acetoacetic ester (0.01 M) and 2-methylpiperidine (5 drops) was stirred. This solution was added dropwise in conc. H_2SO_4 (10 ml). The mixture was stirred, and the temperature was kept below 10°C by means of ice and salt. After all the solution has been added, the reaction mixture was stirred continuously for 30 min. The reaction mixture was poured in ice-cold water with vigorous stirring. The precipitate was collected on filter and washed with cold water and recrystalized from ethanol, a dark green shiny crystals of were obtained.



IIa

Synthesis of 3-(2',4'-dimethoxyphenyl-2-propen-1-one)-4-methyl-6-chloro-8-Bromo coumarinyl chalcone: A mixture of 3-acetyl-4-methyl-6-chloro-8-bromocoumarin (0.01M) dissolved in ethanol and 2,4-dimethoxybenzaldehyde (0.01M) was added to it, the mixture was heated to boiling, aqueous sodium hydroxide solution 40% was added to it drop wise with constant stirring. The mixture was mechanically stirred for 30 minutes at room temperature and kept overnight. Then the mixture was acidified with HCl (10%). The solid product thus obtained was filtered, washed with sodium bicarbonate (10%) followed by washing with water to get the crude product. It was crystallized from ethanol-acetic acid mixture to get the compound.



IIa IIb

Properties and constitution of the compound:

Compound	Molecular Formula	M.P.	Yield	Rf
IIa	$C_{12}H_8BrCl$	55^0C	78%	0.72
IIb	$C_{15}H_8O_2Cl_4$	69^0C	70%	0.74

The important frequencies observed in the IR spectrum recorded in KBr are correlated as Follows-IR **(**υmax**)** cm-2929 (-C-H (alkyl) stretching), 1638(>C=O stretching), 1683(>C=C< stretching), 1234(C-O-C stretching)

The NMR spectrum of compound was recorded in DMSO. The chemical shift can be correlated as, 7.61-6.81(s, 3H, Ar-H), 2.61(s, 3H,-COCH3), 2.28(s, 3H,-CH3).

The important frequencies observed in the IR spectrum recorded in KBr are correlated as Follows-IR **(**υmax**)** cm-.712(Ar-Br); 693(Ar-Cl); 1682(-C=O stretching); 1681(>C=C< stretching); 1213(C-O-C stretching).

The H^1 PMR spectrum of the compound recorded in $CDCl_3$ with TMS as an internal standard. The observed chemical shifts can be correlated as: 3.90(1H, s,-CH-Br); 3.88(1H,s,-CH-Cl); 7.97-6.03, (s, 5H, Ar-H), 3.2-3.8(3H, s,-OCH3)

RESULTS AND DISCUSSION

The coumarin when screened in vitro against some common bacteria viz *E.coli, S.aureus, B.subtilis, P. aeruginosa, B. polymyxa* it was noticed that most of all these compounds have shown remarkable inhibitory activity. An assay of newly synthesized coumarin and their derivative revels that, almost all the compounds were strongly active against all the test pathogens *E.coli, S.aureus, B.subtilis, P. aeruginosa, B. polymyxa*. Their inhibitory impact on the bacterial growth is remarkable.

S. No	**Test Compound**	**Zone of inhibition (mm)**				
		E.coli	*S. aureus*	*B. subtilis*	*P. aeruginosa*	*B. polymyxa*
1	IIa	29	28	24	32	22
2	IIb	32	31	27	34	28

APPLICATIONS

The aim of this work has been to synthesize new coumarin-chalcone hybrids containing different sustituents in the aromatic rings that can potentially be used as new compounds in drug discovery, as antibacterial agents. Compounds were synthesized using a two steps synthetic strategy that allows us to obtain the desired compounds in good yields. Coumarins are a group of plant-derived polyphenolic compounds. They belong to the benzopyrones family and possess a wide variety of cytoprotective and modulatory functions, which may be turned to therapeutic potentials for multiple diseases. The coumarins are of great interest due to their pharmacological properties. In particular, their physiological, bacteriostatic and anti-tumor activity makes these compounds attractive backbone derivatisation and screening as novel therapeutic agents.

CONCLUSIONS

In conclusion, the present paper describes the synthesis and antibacterial activity of new coumarin derivatives and was screened against five bacterial strains such *E.coli, S.aureus, B.subtilis, P.aeruginosa, B.polymyxa*. It is observed that compound 8-Bromo-6-chloro-4-methyl-3-(2',4'-dimethoxyphenyl-2-propen-1-one)-coumarin is is highly active against all microbes.

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