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NOTE

Synthesis and Antibacterial Activity of Some Coumarin Compounds

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Hydroxy coumarins are widely used as therapeutic agents. Several coumarin derivatives are constituents of plants so that plants are used for the treatment of disease in Ayurveda. They have been condensed with the S-triazines and the antibacterial activity of the modified coumarins has been tested against two bacterial species. All the derivatives are found moderately effective except 2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(2′-methyl phenyl thioureido)-S-triazine, which shows highest antibacterial activity.

Many plants belonging to Rutaceae family have been used as folk medicines having antimicrobial activities, found to be due to the coumarins present in them. The coumarins possess a wide range of pharmacological properties, *viz.*, antibacterial[1], anticoagulant[2], vasodilatory[3], and antiallergic[4]. Many scientists have synthesised various coumarin compounds and they also found them important as pharmaceutical compounds.[5–9]

The coumarin derivatives were synthesised by condensing various phenols and β-ketonic esters using sulphuric acid as condensing agent. Depending on the nature of phenol, the nature of β-ketonic esters and condensing agents, various derivatives could be synthesised.

In the present work 4-methyl-7-hydroxy-8-acetyl coumarins have been prepared using resorcinol (22.0 g), methyl acetoacetate (23.0 g) and sulphuric acid (150 mL dropwise) at 20–30°C temperature, which was later on reacted with cyanuric chloride, *p*-methoxy aniline and various aryl thioureas as shown in Fig. 1 and ten different compounds have been prepared.

The compounds prepared were later on tested for their antibacterial activity against *E. coli* and *S. aureus*. Table 1 shows their molecular formulae and their physical data along with the antibacterial activity.

The compounds were found to be more effective against gram –ve *E. coli* but negligible activity was found against *S. aureus*. The most effective compound is 2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(2′-methyl phenyl thioureido)-S-triazine showing nearly 12.0 mm zone size and could be used as antimicrobial agent.

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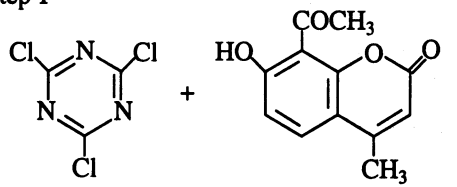
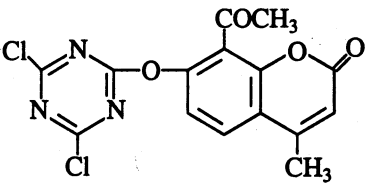
Step I



Cyanuric chloride

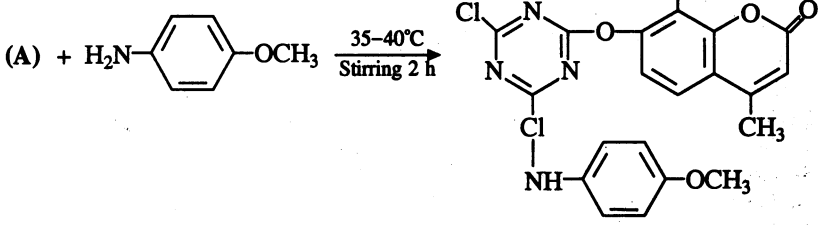
4-Methyl-7-hydroxy-8-acetyl coumarin



(A)

2(4′-Methyl-8′-acetyl coumarinyl-7′-oxy)-4,6-dichloro-S-triazine

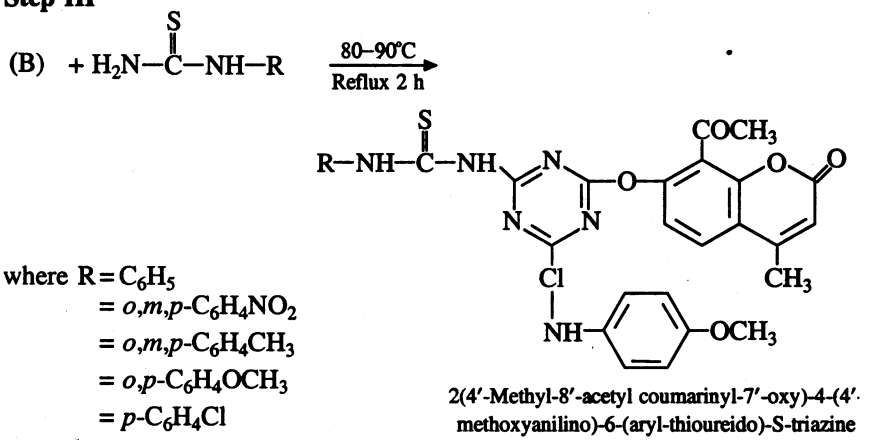
Step II



(B)

2(4′-Methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxyanilino)-6-chloro-S-triazine

Step III



where R = C_6H_5
= *o,m,p*-$C_6H_4NO_2$
= *o,m,p*-$C_6H_4CH_3$
= *o,p*-$C_6H_4OCH_3$
= *p*-C_6H_4Cl

2(4′-Methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxyanilino)-6-(aryl-thioureido)-S-triazine

Fig. 1. Schematic representation of basic chemical reactions used for synthesis of coumarins.

TABLE-1
CHARACTERIZATION AND ANTIBACTERIAL DATA OF COMPOUNDS

Compound	m.f.	m.p. (°C)	Zone of inhibition in mm	
			E. coli	*S. aureas*
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(phenyl thioureido)-S-triazine	$C_{29}H_{24}O_5N_6S$	148	8.0	6.5
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(2′-nitro PTU)-S-triazine	$C_{29}H_{23}O_7N_7S$	143	8.5	7.0
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(3′-nitro PTU)-S-triazine	$C_{29}H_{24}O_5N_6S$	153	7.0	6.0
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(4′-nitro PTU)-S-triazine	$C_{29}H_{24}O_5N_6S$	205	7.5	6.5
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(2′-methyl PTU)-S-triazine	$C_{30}H_{26}O_5N_6S$	188	11.5	6.5
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(3′-methyl PTU)-S-triazine	$C_{30}H_{26}O_5N_6S$	186	9.0	8.0
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(4′-methyl PTU)-S-triazine	$C_{30}H_{26}O_5N_6S$	169	8.0	7.0
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(2′-methoxy PTU)-S-triazine	$C_{30}H_{26}O_6N_6S$	155	10.0	6.0
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(4′-methoxy PTU)-S-triazine	$C_{30}H_{26}O_6N_6S$	198	10.0	7.0
2-(4′-methyl-8′-acetyl coumarinyl-7′-oxy)-4-(4′-methoxy anilino)-6-(4′-chloro PTU)-S-triazine	$C_{29}H_{23}O_5N_6SCl$	160	11.0	6.0

Symbol: PTU = phenyl thioureido

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