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Synthesis and Characterization of Biologically Significant Bis-[2-(Aryl/Furyl)-5-Carboxylic-4-Thiazolidinone] Sulfaguanidine Derivatives

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In the present work, some new bis-[2-(aryl/furyl)-5-carboxylic-4-thiazolidinone sulfaguanidine derivatives were prepared. In the synthesis of these compounds sulfaguanidine was refluxed with different types of aromatic aldehyde to prepare the Schiff base derivative (**a**). The compound (**a**) on reaction with thiomalic acid gives the bis-[2-(aryl/furyl)-5-carboxylic-4-thiazolidinone] sulfaguanidine derivative (**b**). The structures of the products were characterized by IR spectral study. All the compounds were evaluated for antifungal and insecticidal activities. Some of the synthesized compounds showed good activity against fungi and insects.

Key Words: Synthesis, Bis-[2-(aryl/furyl)-5-carboxylic-4-thiazolidinone], Sulfaguanidine derivatives, Biological activity.

INTRODUCTION

Gabriel and Bachstez[1] have reported thiazoles by general methods. In the last few years thiazolidinone derivatives have been discovered which show potential antiinflammatory, anaesthetic, pesticide, antifungal, antibacterial, insecticidal, anthelmintic and antihistamine activities.

We are reporting in the present communication the synthesis and characterization of some new compounds: bis-[2-(aryl/furyl)-5-carboxylic-4-thiazolidinone] sulfaguanidine derivatives.

Sulfaguanidine reacts with different types of aromatic aldehydes in methanol solvent and these reactions give a substituted Schiff base (**a**). The compound (a) on treatment with thiomalic acid gave a bis-[2-(aryl/furyl)-5-carboxylic-4-thiazolidinone] sulfaguanidine derivative (**b**). All the compounds of the series have been screened for insecticidal and antifungal activity. The structures of these compounds were identified by IR spectra.

EXPERIMENTAL

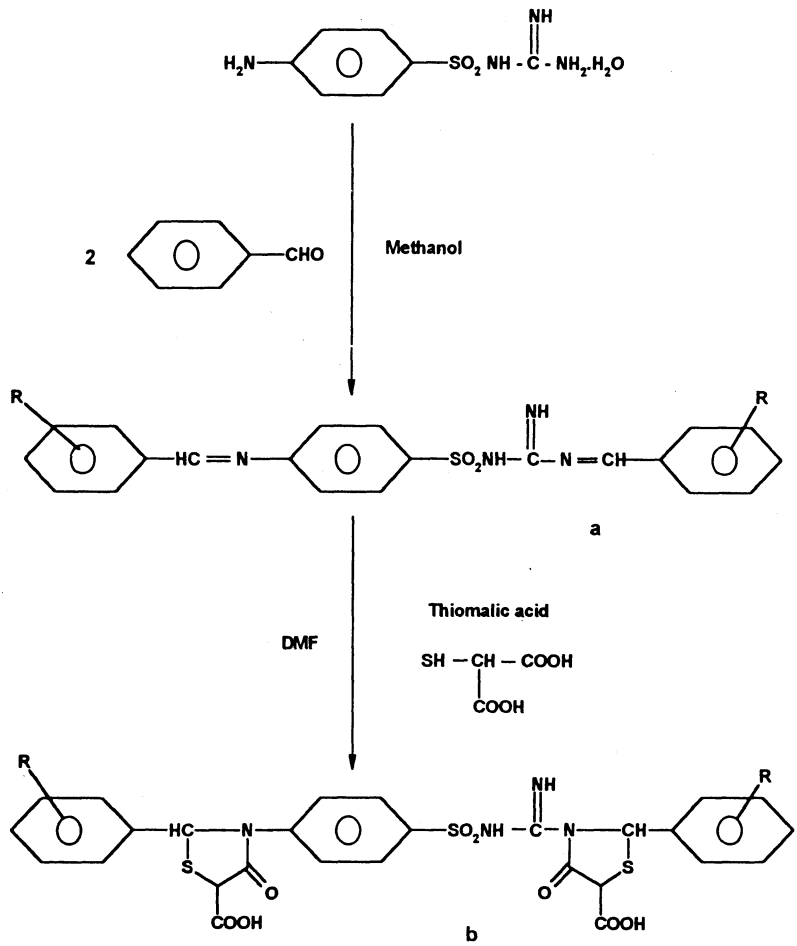
Preparation of Schiff bases (a)

Sulfaguanidine (0.01 mol, 2.20 g) was refluxed with benzaldehyde (0.02 mol, 2.12 mL) in methanol (30 mL) at 70-80°C for 6 h. The liquid obtained was poured over crushed ice; the solid obtained was filtered off, dried and recrystallized from N,N'-dimethyl formamide (DMF).

Similarly other substituted Schiff bases were prepared with different aromatic aldehydes. Purity of the compounds was checked by TLC.

Synthesis of bis-[2-(aryl/furyl)-5-carboxylic-4-thiazolidinone] Sulfaguanidine (b)

The mixture of Schiff base **(a)** (0.01 mol, 3.64 g) and thiomalic acid (0.02 mol, 1.84 mL) was refluxed on oil bath for 6 h. The oil obtained was filtered with sodium bicarbonate solution; the solid obtained was filtered off, washed with distilled water, dried and recrystallized from DMF.



R: (1) -H, (2) O-Furyl, (3) 4-Cl, (4) 4-OCH_3, (5) 4-OH-3-OCH_3

Similarly other 4-thiazolidinones were prepared and melting points were determined in open capillaries in a liquid paraffin bath and are uncorrected. Purity of the compounds was checked by TLC.

The IR spectra of the compounds show characteristic bands at ν_{max} (cm^{-1}) (KBr): 3300–32000 ν(N—N), 1180–1110 ν(S=O), 906–825 ν(C=S), 1760–1650 ν(C=O), 1220–1020 ν(C—N) 700–600 ν(C—S—C), 2880–2860 ν(C—H,), 1585–1570 ν(C—H), 1520–1480 ν(C=C).

The yields, melting points and elemental analysis data are shown in Table-1.

TABLE-1
ANALYTICAL AND PHYSICAL DATA

S.No.	R	m.f.	m.w.	m.p. (°C)	Yield (%)	Analysis %: Found (Calcd.)			
						C	N	H	S
1.	C_6H_5	$C_{27}H_{20}N_4O_8S_3$	596	170	75	54.36 (54.41)	9.39 (9.40)	3.35 (3.38)	16.10 (16.11)
2.	2-Furyl	$C_{27}H_{16}N_4O_{10}S_3$	604	200	60	45.69 (45.73)	9.27 (9.27)	2.64 (2.64)	15.89 (15.89)
3.	4-Cl	$C_{27}H_{18}N_4O_8S_3Cl_2$	692	160	70	46.82 (46.86)	8.09 (8.09)	2.60 (2.62)	13.87 (13.90)
4.	4-OCH_3	$C_{29}H_{24}N_4O_{10}S_3$	684	185	80	50.87 (50.92)	8.18 (8.19)	3.50 (3.53)	14.03 (14.06)
5.	4-OH-3-OCH_3	$C_{29}H_{24}N_4O_{12}S_3$	716	190	65	48.60 (48.64)	7.82 (7.82)	3.39 (3.37)	13.40 (13.43)

Insecticidal activity: Cockroaches were selected for insecticidal activity. Different percentage solutions of synthesized compounds were prepared in acetone and injected into the abdominal region of the cockroaches. Time of death was noted as KD value (knock down value) are reported and shown in Table-2.

TABLE-2
INSECTICIDAL ACTIVITY

S. No.	Compounds R	Time of death (min) (KD value)
1.	C_6H_5	22
2.	2-Furyl	15
3.	4-Cl	21
4.	4-OCH_3	12
5.	4-OH-3-OCH_3	20
	Standard malathone	5

Antifungal activity: The antifungal activity of the compounds was screened by using filter paper disc diffusion method[3, 4]. The tests were carried out by taking

6 mm diameter filter paper discs against the fungi [*Aspergillus niger, Aspergillus parasitica, Trichoderma viridae* and *Chrysosporium*]. It was observed that most of the compounds were moderately active against different stains of fungi. The results of antifungal activity are shown in Table-3.

TABLE 3
ANTIFUNGAL ACTIVITY

S.No.	Fungi	%	Compounds (R)				
			C_6H_5	2-Furyl	4-Cl	4-OCH_3	4-OH-3-OCH_3
1.	*Aspergillus niger*	4	–	++	–	+++	++
		2	–	+	+	+	+
2.	*Aspergillus parasitica*	4	++	+++	+++	+	++
		2	+	++	+	–	–
3.	*Trichoderma viridae*	4	+++	++	+	+	++
		2	+	+	–	++	–
4.	*Chrysosporium* sps.	4	–	+	+	–	+++
		2	–	+++	++	+	+

– Growth absent + Low growth ++ Medium growth +++ High growth

In conclusion, the compounds exhibited moderate to good insecticidal and antifungal activity.

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