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Synthesis and Characterization of Biologically Significant Bis-[2-(aryl/furyl)-5-H-4-thiazolidinone] Dapsone Derivatives

MANISH KUMAR PATASKAR* and J.T. RAO
Synthetic Organic Chemistry Laboratory, Chemistry Department
Dr. H.S. Gour University, Sagar-470 003, India

The heterocyclic compounds containing thiazolidinone moiety have been found to have chemical properties of biological importance; so some new bis[2-(aryl/furyl)-3-H-4-thiazolidinone] dapsone derivatives were prepared. In the synthesis of these compounds dapson was refluxed with different types of aromatic aldehydes to prepare a Schiff base derivative **(a)**. The compound **(a)** on reaction with thioglycollic acid gives the (bis)-[2-(aryl/furyl)-5-H-4-thiazolidinone] daspsone derivatives **(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-H-4-thiazolidinone], Dapsone derivative, Biological activity.

INTRODUCTION

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

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

Dapsone was reacted with different types of aromatic aldehydes in methanol solvent and these reactions gave a substituted Schiff base **(a)**. The compound **(a)** on treatment with thioglycollic acid gave a bis-[2-(aryl/furyl)-5-H-4-thiazolidinone] dapsone derivatives **(b)**. All the compounds of the series have been screened for insecticidal and antifungal activity.

EXPERIMENTAL

Preparation of Schiff bases (a)

Dapsone (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.

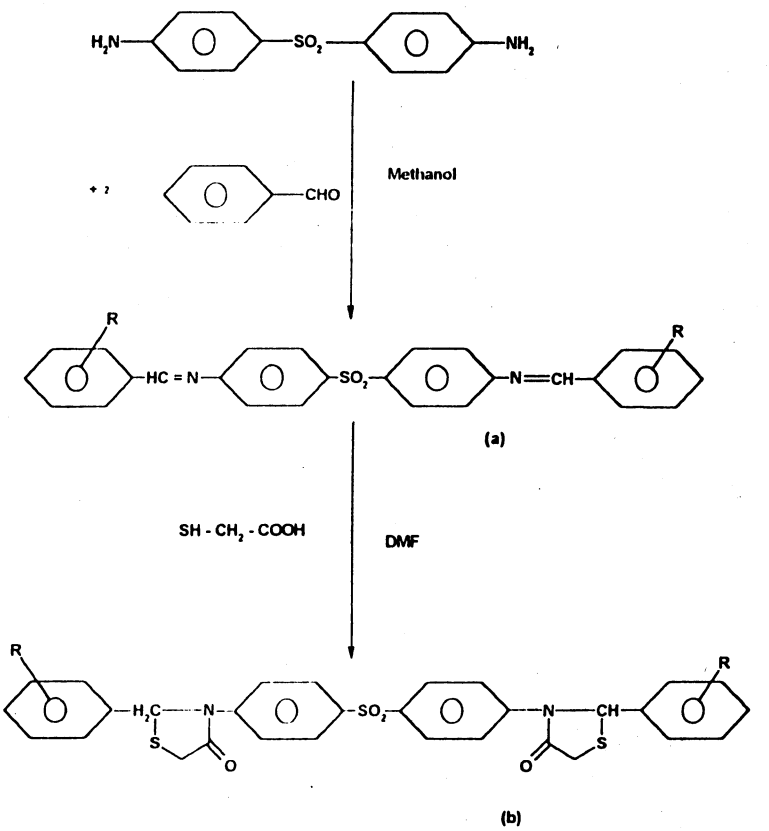
Synthesis of bis-[2-(aryl/furyl)-5-H-4-thiazolidinone]-dapsone (b).

The mixture of Schiff base (a) (0.01 mol, 3.64 g) and thioglycolic acid (0.02 mol, 1.84 mL) was refluxed on an 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.

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.

IR spectra (KBr) were recorded on spectrophotometer. The structure of the compounds was established on the basis of their elemental analysis and IR spectra. The IR spectra of the compounds show characteristic bands at:

ν_{max} (cm^{-1}) (KBr): 3300–3200 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 Str, aliphatic), 1585–1570 ν(C—H Str, aromatic), 1520–1480 ν(C=C).



R = (1) -H, (2) O-Furfuryl, (3) 4-Cl, (4) 4-OCH_3, (5) 4-OH-3-OCH_3

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	$C_{30}H_{22}N_2O_4S_3$	570	170	75	63.15 (63.21)	4.91 (4.89)	3.85 (4.24)	16.84 (16.87)
2.	2-Furyl	$C_{26}H_{18}N_2O_6S_3$	550	200	60	56.72 (56.77)	5.09 (5.09)	3.27 (3.29)	17.45 (17.49)
3.	4-Cl	$C_{30}H_{20}N_2O_4S_2Cl_2$	647	160	70	55.64 (55.69)	4.32 (4.32)	3.09 (3.11)	14.83 (14.86)
4.	4-OCH_3	$C_{32}H_{26}N_2O_6S_3$	639	185	80	60.09 (60.14)	4.38 (4.38))	4.06 (4.10)	15.02 (15.05)
5.	4-OH-3-OCH_3	$C_{32}H_{26}N_2O_8S_3$	671	190	65	57.22 (57.28)	4.17 (4.17)	3.87 (3.90)	14.30 (14.33)

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) which is reported and shown in Table-2.

TABLE-2
INSECTICIDAL ACTIVITY

S. No.	Compounds R	Time of death (min) (KD value)
1.	C_6H_5	20
2.	2-Furyl	16
3.	4-Cl	18
4.	4-OCH_3	15
5.	4-OH-3-OCH_3	21
	Standard malathone	5

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

Antifungal activity

The antifungal activity of the compounds was screened by using the filter paper disc diffusion method[34]. The testing was carried out by taking 6 mm diameter filter paper discs against the fungi *Aspergillus niger, Aspergillus parastica, 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.

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

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