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NOTE

Synthesis and Antimicrobial Activity of Bis[2-(substituted phenyl)-5-carboxy methyl 4-Thiazolidinone] Derivatives of Dapsone

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Some 4-thiazolidinone derivatives were synthesised from Schiff base and screened for their antimicrobial activity against *E. coli*, *Bacillus subtilis*, *Tricoderma viridate* and *Aspergillus niger*.

Key Words: Synthesis, 4-Thiazolidinone, Antimicrobial activity.

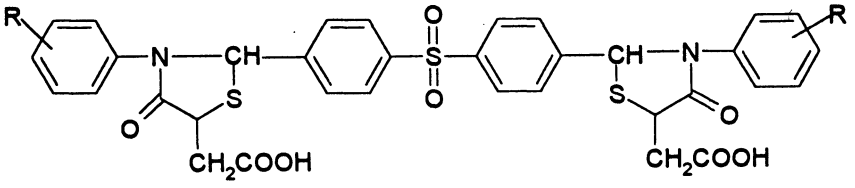
4-Thiazolidinone denvatives[1] have been reported to possess various biological activities. They are well-known for their anti-convulsant[2], hypnotic[3] amoebicidal[4] and chleratic properties. This paper describes the synthesis of 4-thiazolidinone and antimicrobial activities of synthesized compounds.

Preparation of Dapsone Schiff bases

Dapsone (0.01 mol) and various aromatic aldehydes (0.02 mol) in methanol (30 mL) were refluxed at 78–80°C for 6 h. The mixture was poured over crushed ice and the solid obtained was crystallized from DMF.

Preparation of Bis-2-(substituted phenyl)-5-carboxymethyl 4-thiazolidinone] dapsone (1a–e)

The mixture of Schiff base (0.01 mol) and thiomalic acid (0.02 mol) was refluxed at 220°C for 6 h. Then the product was dissolved in sodium bicarbonate solution. It was poured over crushed ice and filtered. The material was recrystallised from DMF.



R = C_6H_5, 4-$OCH_3C_6H_4$, 4-ClC_6H_4, 2-Furyl, 4-OH-3-$OCH_3C_6H_3$

Antimicrobial Activity

The activity was determined by using filter paper disc diffusion plate method[5] by measuring inhibition zone in mm. All compounds were screened *in vitro* for their antimicrobial activity against bacteria and fungi. The activity was determined by using 4% solutions of test compounds and standard drugs Griseofulvin (for fungi) and Streptomycin (for bacteria). All the tested compounds have shown much higher activity than standard substances. Details have been cited in Table-1.

TABLE- 1
ANTIMICROBIAL ACTIVITY OF COMPOUNDS

Compound	Various R substituents	Antibacterial activity		Antifungal activity	
		E. coli	*B. subtilis*	*Tricoderma* sp.	*Aspergillus niger*
Ia	$-C_6H_5$	(15)	(18)	(20)	(22)
Ib	$-4-OCH_3\cdot C_6H_4$	(21)	(19)	(24)	(23)
Ic	$-4-Cl\cdot C_6H_4$	(22)	(20)	(19)	(18)
Id	-2-Furyl	(17)	(19)	(21)	(23)
Ie	$3-OH-4-OCH_3\cdot C_6H_7$	(24)	(21)	(19)	(17)
Streptomycin (standard)		(9)	(11)		
Griseofulvin (standard)				(8)	(10)

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