

Synthesis and Insecticidal activity of Bis 4, 4'-(2-substituted aryl thiazolidine-4-one) diphenyl sulphone

CHINNARAO GANTLA*, M. SARAT, Y. SURESH ,
S. HARIKRISHANA and S.P. SHRIVASTAVA

Department of chemistry , Heterocyclic Chemistry Laboratory,
Dr.H.S.GOUR University, Sagar (India).

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ABSTRACT

A series of thiazolidin-4-one have been synthesized by condensation of 4,4'-diaminodiphenyl sulphone with four different substituted aromatic aldehyde to yield the Schiffsbases. Cyclocondensation of schiff's bases with thioglycolic acid afforded bis 4,4'-(2-substituted aryl thiazolidine-4-one)diphenyl sulphone. The structure of newly synthesized compounds were confirmed analytical and spectral (IR & PNMR) data. All these compounds were evaluated for their *insecticidalactivity*. All four compounds have equipotent Insecticidal activity with the standard drug cypermethrin.

Key words: Thiazolidine-4-one, antimicrobial agent.

INTRODUCTION

Dapson (4,4'-diaminodiphenyl sulphone), asulphone analog, has been proved to be a powerful antimicrobial agent. Thiazolidine-4-one is associated with antibacterial¹⁻⁹, anti-toxoplasma gondii² antifungal¹⁻¹⁰, insecticidal and antitubercular¹¹⁻¹⁴ activity and has diverse biological activities.

EXPERIMENTAL

4,4'-diaminodiphenyl sulphone was condensed with various aromatic aldehyde in ethanol in the presence of concentrated sulphuric acid as a catalyst to yield the Schiff bases. These schiff bases treatment with mercaptoethanoic acid yield substituted thiazolidine-4-one (II a-d) The structure assignment of the product was based on their elemental, IR and NMR spectral data of the title compounds were tested insecticidal activity.

RESULTS AND DISCUSSION

All melting points were taken by open capillary tubes and were incorrect IR spectra recorded on a Perkin Elemer IR spectrophotometer, using KBr pellets, NMR on Bruker DRX300 (300MHz) NMR spectrophotometer in DMSO using TMS as internal standard.

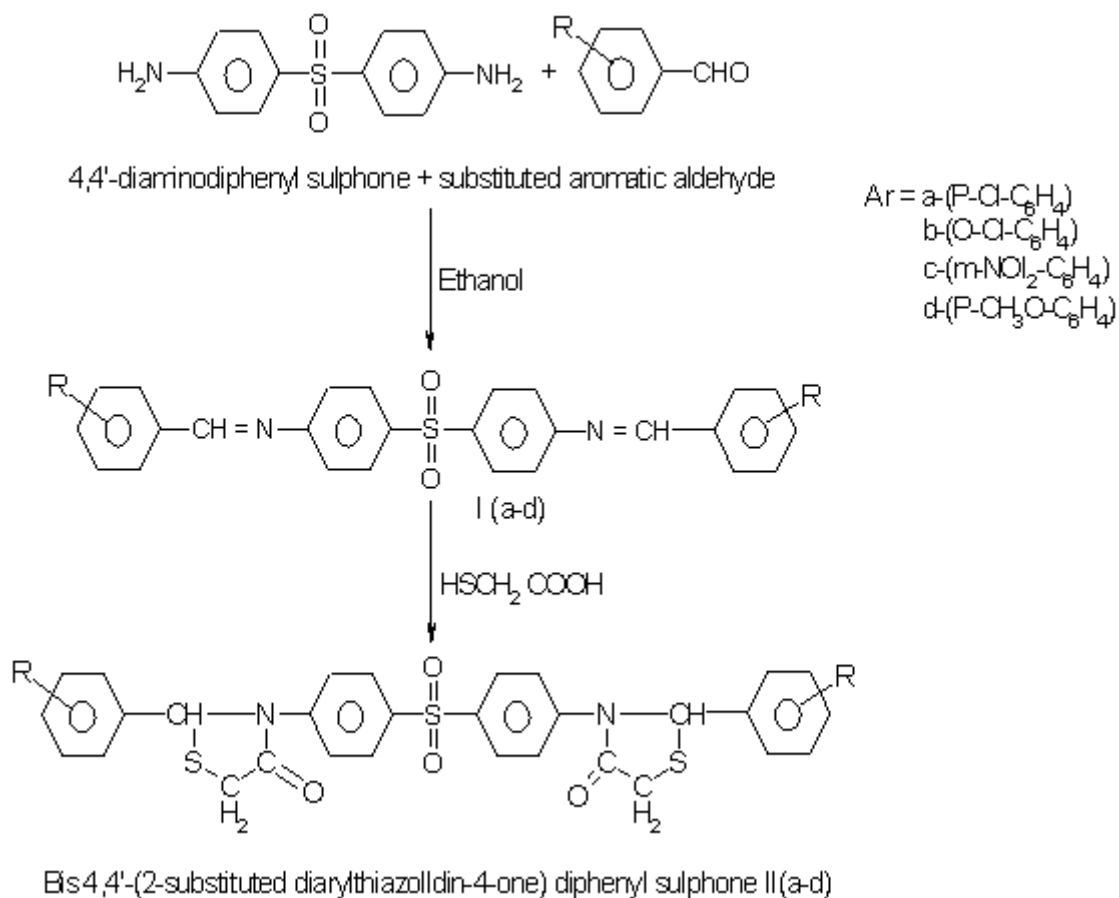
To mixture of 4,4'-diaminodiphenyl sulphone and substituted aromatic aldehydes (0.01 mol) dissolve ethanol, one drop of concentrated H₂SO₄ was reflux 6 h. The reaction mixture was then poured in to crushed ice. Separated solid was filtered, dried and recrystallised from ethanol to give Schiff base compounds.

To this mixture of compound (1(a-d)) (0.01mol) in dry dioxane (15 ml), a solution of mercaptoethanoic acid (0.01 ml) in dry dioxane (15ml) was add and the reaction mixture was reflux

Table 1: Physical & Incticidal Activity of Synthesized Compounds

Compound	m.p. ^o C	yield	Insecticidal activity (K.D.values)		Elemental analysis Calculated (Found)		
			2%solution	4%solution	C	H	N
III a	165 ^o	50	12	8	60.88 (60.30)	3.60 (3.12)	4.58 (4.08)
III b	172 ^o	55	15	10	60.88 (60.20)	3.60 (3.10)	4.58 (4.12)
III c	148 ^o	60	18	15	52.91 (53.05)	3.12 (3.10)	7.96 (7.00)
III d	159 ^o	60	14	12	66.89 (66.10)	4.22 (4.20)	9.45 (9.00)
std			7	8			

All title compounds were shown potential insecticidal activity

**Scheme 1**

for 24h. the reaction mixture was poured in to crushed ice. The separated solid was naturalized by sodium bicarbonate remove excess of marcapto ethanoic acid .The solid compound obtained was recrystallized from ethanol to give Bis 4,4 (2-substituted aryl thiazolidine-4-one)diphenyl sulphone.

I.R & NMR Interpretation

IIIa. Bis 4,4' [(2-p- chlorophenyl) thiazolidine-4- one]diphenyl sulphone. Ar-H str. (3100 cm^{-1}); C-H str. (2985 cm^{-1}) C=O str. (1756 cm^{-1}) C-N str. (1368 cm^{-1}) Ar-H Ben. Disubstitued aromatic Ring 1156 cm^{-1} S=O str. 3(890 cm^{-1}). 5.6 δ ppm (m. 8H); 6.3 δ ppm (m. 8H); 4.1 δ ppm (s. 4H); 2.3 δ ppm (s. 2H);

IIIb. Bis 4,4' [(2-o- chlorophenyl) thiazolidine-4- one]diphenyl sulphone. Ar-H str. (3103 cm^{-1}); C-H str. (2989 cm^{-1}) C=O str. (1760 cm^{-1}) C-N str. (1370 cm^{-1}) Ar-H Ben. Disubstitued aromatic Ring 1180 cm^{-1} S=O str. 3(840 cm^{-1}). 5.8 δ ppm (m. 8H); 6.7 δ ppm (m. 8H); 4.2 δ ppm(s.4H); 3.4 δ ppm (s.2H); IIIc. Bis 4,4' [(2-m-nitrophenyl) thiazolidine-4- one)]diphenyl sulphone.Ar-H str. (3101 cm^{-1}); C-H str. (2992 cm^{-1}) C=O str. (1755 cm^{-1}) C-N str. (1369 cm^{-1}) S=O str. 3(926 cm^{-1}). 6.2 δ ppm (m. 8H); 6.9 δ ppm (m. 8H); 4.6 δ ppm (s. 4H); 3.4 δ ppm (s. 2H);

III d. Bis 4,4' [(2-p- methoxyphenyl)

thiazolidine-4- one]diphenyl sulphone.Ar-H str. (3100 cm^{-1}); C-H str. (2984 cm^{-1}) C=O str. (1767 cm^{-1}) C-N str. (1356 cm^{-1}) S=O str. (3924 cm^{-1}) Ar-H Ben. Disubstitued aromatic Ring 1181 cm^{-1} C-O-CH₃ (1240 cm^{-1}). 5.9 δ ppm. (m. 8H); 6.1 δ ppm. (m. 8H); 4.6 δ ppm. (s. 4H); 3.5 δ ppm. (m. 2H); 2.3 d ppm

Inseticidal Activity.

Cockroaches were selected for the present investigation on 2%(w/v) and 4% (w/v) solution of titled synthesized compounds (III a ,III b,III c, III d)have prepared in acetone.2%, 4%solution 1-2 milimoles of solutions synthesized compounds were injected in to the abdominal region of cockroach with help of microsyringe. The time was noted K.D value(knock down value) .At the time of death, the antennae become motionless the appendages shrunk and folded towards the ventral side cockroach dorsally.For each sample four replication performed and averge K.D.values noted the standard drug averge cypermethrin 25%E.C

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