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Synthesis and antimicrobial studies of some 4-oxo thiazolidines derivatives

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ABSTRACT

New anils were synthesized in good yield from 4,4'-diaminodiphenyl sulphone and various benzaldehydes. Further these anils were converted into 4-thiazolidines by the action of mercapto acetic acid. All the products have been evaluated for their *in vitro* antimicrobial activity against various strains of bacteria.

INTRODUCTION

Schiff bases have diverse physiological and pharmacological activities such as anticancer[1], antipyretic[2], Anti-tubercular activity[3], anti-inflammatory[4] and anti-tumor[5]. 4-oxo-thiazolidines playa vital role in pharmaceutical sciences owing to wide biological applications[6,7]. 4-oxo-thiazolidineshave-beenreportedfor their antibacterial, antiparkinsonian and anticonvulscant[8] activities. 4-oxo-thiazolidines find their application as local anesthetics and also asmoderate tuberculostic agent[9]. Moreover, 4-oxo-thiazolidinewithsty-rylmoietyhas shown antibacterial[10], anti-HIV and anticancer activities. These interesting biological activities have attracted our attention to the chemistry of nitrogen and sulfur containing heterocycles. Hence it was thought of interest that 4-oxo-thiazolidine, if coupled to styryl moiety; the resulting compounds may possess significant biological potency. Keeping in view of these varied pharmacological activities, we have planned to synthesize new 4,4'-di (2-aryl) 1, 3 thiazolidine 4-one] di phenyl sulfone by condensation of anils of aromatic system by the action of the mercaptoacetic acid. The constitution of all the products has been characterized usin gelemental analyses, IR, 1HNMRandmass spectral study. All the compounds were screened for their *in vitro* antimicrobial activity against different strains of bacteria.

EXPERIMENTAL

All the melting points are determined in open capillary tubes and are uncorrected. Thin layer chromatography was used for monitoring the reaction and to check purity. IR spectra recorded on Bio-Rad FTS-40 spectrophotometer on KBr disc. 1H NMR spectra were recorded on amodelDPX-200 Brucker FT-NMR instrument using TMS as an internal standard, FAB mass spectra were recorded on JEOL SX 102/DA 6000 spectrophotometer. All the compounds gave satisfactory elemental analyses.

Preparation of 4,4'-di[(2-aryl) 1, 3 thiazolidine 4-one] di phenyl sulfone

Synthesis of symmetric double Schiff's bases by microwave irradiated method

A 0.01 mol 4-4' Diamino diphenyl sulfone and 0.02 mole aromatic aldehyde were mixed together at ambient temperature in a 50 ml Erlenmeyer flask and the

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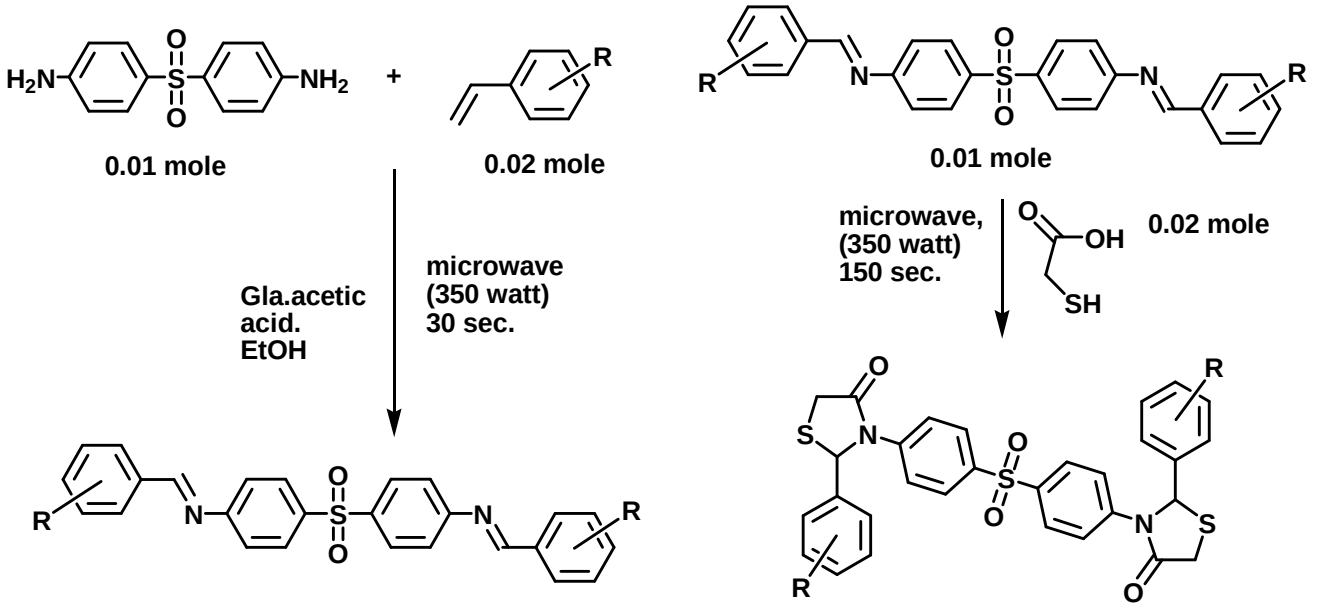
mixture was subjected to microwaves (350watt) for an optimized time(30 sec). The progress of the reaction was monitored by simultaneous TLC in appropriate solvent system and purity was checked by TLC.

Synthesis of symmetric double thiazolidinone by microwave irradiated method

A 0.01 Schiff's base and 0.02 mol thioglycolic acid were mixed at ambient temperature in a 50 ml Erlenmeyer flask and the mixture was subjected to microwaves (350watt) for an optimized time. The progress of the reaction was monitored by simultaneous TLC in appropriate solvent system and purity was checked by TLC. Similarly other 4-oxo-thiazolidineswere prepared. The physical data are recorded in TABLE 1.



Scheme 1

TABLE1 : Physical constants of the compounds

Comp. No.	R	Molecular formula	M.W.	M.P. °C	%of yield	%of Nitrogen Cal.	%of Nitrogen found
a	C6H5-	$C_{30}H_{24}N_2O_4S_3$	572.72	68	77	4.89	4.84
b	4(OH)C6H4 -	$C_{30}H_{24}N_2O_6S_3$	604.08	210	82	4.63	4.60
c	2(OH)C6H4 -	$C_{30}H_{24}N_2O_6S_3$	604.08	192	83	4.63	4.60
d	4(OCH3)C6H4-	$C_{32}H_{28}N_2O_6S_3$	632.77	122	72	4.43	4.38
e	2(OCH3)C6H4-	$C_{32}H_{28}N_2O_6S_3$	632.77	82	72	4.43	4.38
f	4(CH3)C6H4-	$C_{32}H_{28}N_2O_4S_3$	600.77	96	66	4.66	4.62
g	4(Cl)C6H4-	$C_{30}H_{22}Cl_2N_2O_4S_3$	641.61	114	72	4.37	4.34
h	4(NO2)C6H4-	$C_{30}H_{22}N_4O_8S_3$	662.71	134	76	8.45	8.41

TABLE 2 : Antimicrobial activity of the compounds

Comp. No.	R	E.coli	S.aureus
a	C6H5-	10	11
b	4(OH)C6H4 -	12	14
c	2(OH)C6H4 -	12	11
d	4(OCH3)C6H4-	10	12
e	2(OCH3)C6H4-	13	10
f	4(CH3)C6H4-	12	10
g	4(Cl)C6H4-	10	11
h	4(NO2)C6H4-	13	10

RESULTSAND DISCUSSION

Compounds **(a)** were screened for their *in vitro* antibacterial activity using cup-plate agar diffusion method[12] at a concentration of 40μg/ml using gram positive bacterial strains such as Staphylococcus and gram negative bacterial strain such as *Escherichia coli*. Known antibiotics like ampicillin, amoxycillin, norfloxacin, penicillin and greseofulvin were used for comparison purpose. By visualizing the antimicrobial

data, these compounds have no noteworthy activity as observed in TABLE 2. Only compounds **(a)**, **(d)**, **(d)** and **(g)** have good activity against *S.aureus*. While compounds **(b)**, **(c)**, **(e)**, **(f)** and **(h)** possess very good activity against *E. coli*.

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