Oriental Journal of Chemistry Vol. **26**(3), 1179-1182 (2010)

Synthesis of 2-(4-N, N-dimethyl aminophenyl) 3-(substituted phenyl)-4-oxo-thiazolidine and its antimicrobial activity

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(Received: February 01, 2010; Accepted: April 29, 2010)

ABSTRACT

In the present study, we report 2-thiophenyl-3-substituted phenyl-4-oxthiazolidine and its antimicrobial activity. 4-oxothiazolidine has antibacterial activity and acts as an antimicrobial and antitubercular agent.

Key words: Antimicrobial activity, compounds, thiazolidinones.

INTRODUCTION

It was observed from the literature that most of the compounds having thiazolidnie nucleus posses pharmacological action. For instance they are sedative antitubercular, antiflammatory of anaesthetics etc. 4-thiazolidinone are endowed a very of biological activities[1-5].

Thiazolidinones are also used as sedatives[6-7] local anaesthetics[8,9], hypnotics[10,12], analgesic[11] or antitubercular and antispasmodic[14] or anticonvulsants[13]. Thiazolidinones are employed in the synthesis or mercyamine dyes which are used in photographic film industry.

In the present study, we report 2-thiophenyl-3-substituted phenyl-4-oxthiazolidine and its antimicrobial activity[15]. 4-oxothiazolidine has antibacterial activity[16-17] and acts as an antimicrobial and antitubercular agent[18].

EXPERIMENTAL

Preparation of 2-(4'-N, N-dimethylaminophenyl)-3-(chlorophenyl)-4-oxo-thiozolidine (M_1)

A mixture of N-(4-chlorophenyl)-4-N,N-dimethyl amino) phenyl amozmethine (0.01M, 2.58 gm) and thioglycolic acid (0.01M, 1ml) was dissolved in 20 m benzene. The mixture was refluxed for 2 hours, on water bath and allowed to stand at room temperature over night. The whole mass was treated with saturated sodium bicarbonate solution. Resulting and was crystallised from ethanol to give compound (M_1), m.pt. 122°C, yield 72%.

Properties of compounds M_1

- ´ It is mid buff cyrstalline solid compound, m.pt. 122°C.
- ´ From analytical data, molecular formula was found $C_{17}H_{17}N_2OSCl$. The molecular weight being 332.5.
- ´ UV-VIS uv-vis spectrum was recorded in methanol lmax value is 237 nm. It is due to n-π* transaction
- I-R: The I.R. spectrum was recorded in Nujol
  1] C-H stretching in CH_2) 2983 cm^{-1}
  Aromatic - C-H str 3030 cm^{-1}
  C=C str 1525 cm^{-1}
  2] Thizolidine moiety
  1) C=O str 1675 cm^{-1}
  2) C-N str 1163 cm^{-1}
  3) C-S-C str 732 cm^{-1}
  4) C-Cl str 742 cm^{-1}
- ´ P.M.R. - The P.M.R. spectrum was recorded in CDCl3
  3.03 δ(S 6 H, N-$(CH_3)_2$)
  3.6 δ(S 2, S - CH_2-C=O)

Table 1: Synthesis, m.pt. Yield and colour of 2-(4'-N,N-dimethy laminophenyl)-3-(substituted phenyl)-4-oxo-thiozolidine

Compound	Name of Compounds	M.pt	% yield	Colour
M_1	2-(4'-N,N-dimethyl amino phenyl) -3-(4"-chloro phenyl)-4-oxo-thiazolidine	122°C	72	Mid Buff
M_2	2-(4'-N,N-dimethyl amino phenyl) -3-(4"-methoxy phenyl)-4-oxo-thiazolidine	115°C	78	Valcano
M_3	2-(4'-N,N-dimethyl amino phenyl) -3-(4"-nitro phenyl)-4-oxo-thiazolidine	142°C	73	Copper leaf
M_4	2-(4'-N,N-dimethyl amino phenyl) -3-(4"-methyl phenyl)-4-oxo-thiazolidine	92°C	79	Sunrise
M_5	2-(4'-N,N-dimethyl amino phenyl) -3-(4"-methyl phenyl)-4-oxo-thiazolidine	126°C	72	Nut Brown
M_6	2-(4'-N,N-dimethyl amino phenyl) -3-(4"-methyl phenyl)-4-oxo-thiazolidine	106°C	70	Brown
M_7	2-(4'-N,N-dimethyl amino phenyl) -3-naphthyl-4-oxo-thiazolidine	97°C	68	Golden yellow
M_8	2-(4'-N,N-dimethyl amino phenyl) -3-(4"-nitro phenyl)-4-oxo-thiazolidine	104°C	65	Biscuit
M_9	2-(4'-N,N-dimethyl amino phenyl) -3-phenyl-4-oxo-thiazolidine	84°C	80	Sandstone
M_{10}	2-(4'-N,N-dimethyl amino phenyl) -3-(2"-Carboxyphenyl)-4-oxo-thiazolidine	118°C	69	Dusty pink

Table 2 : Antimicrobial activity of synthesized compounds (M_1-M_{10}) by Cup-plate Method

Compound	*Bacillus magatherium*	*Bacillus subtilis*	*Proteus vulgaris*	*Escherichia coli*
M_1	++	-	-	+++
M_2	+++	++	-	++
M_3	++	-	++	+
M_4	+	+++	-	+++
M_5	++	-	+++	-
M_6	-	+++	++	++
M_7	++	-	-	-
M_8	-	++	++	+++
M_9	-	++	++	-
M_{10}	+++	+++	+++	+++

Control-DMF

(+++) Higly active (21-30 mm)

(++) : Moderately active (17-20mm)

(+): Weakly active (12-16 mm)

(-): Inactive (Less than 12 mm)

6.1 δ (S 1J. C-H or thiozolidine)
6.69-7.75 (m 8H, Ar - H)

From these spectral and chemical data the compound is 2-(4'N,N-dimethyl amino-phenyl)-3-(4"-Chlorophenyl)-4-oxothiazolidine

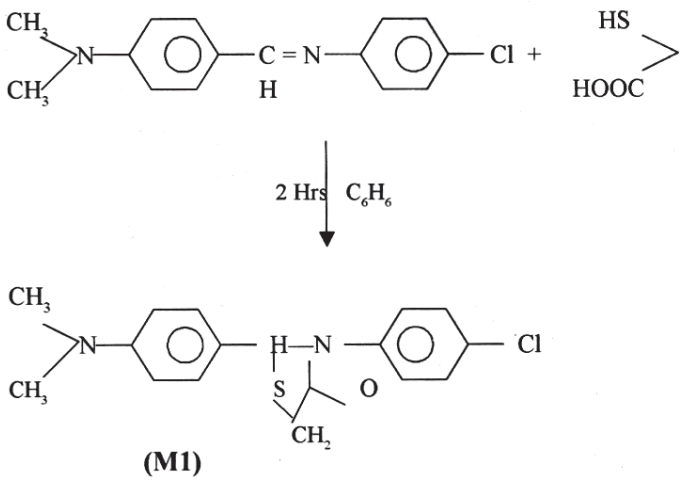
Antimicrobial actitivies

All the syntheized compounds were studied for their antibacterial activity using cup-plate diffusion method[19]. The bacterial organism used included both gram+ve and gram -ve strain such as *E. coli, B. subtills, P. vulgaris* and *B. megatherium*.

Sensitivity plates were studied with their bacterial inoculum of 1×10^6 CIU/ml and each other were diameter (100 mm) was loaded with 0.1 of that compound solution (1000 µg/ml) in DMF so that concentration was 100 µg/ml. The zones of inhibition were studied after incubation for 24 hours using vernier calliper.

Inhibition zone record of the compounds, clearly indicated that compound No. M_4, M_g, M_{10} were found to be highly active against *E. coli*. Compound M_5 and M^{10} were found highly against. P. vulgaris, M_4, M_6, M_8 and M_{10} are found to be highly active against *B. subtilis*.

And majority of the compound were found to moderately active and rest of the compounds are found to be resistant against the organism given in the table 2.



(M1)

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