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Synthesis and Antibacterial Activity of 2-Aryl-3-(4′-Trifluoro Methyl Phenyl)-4-Oxo-Thiazolidines

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Several new 2-(Phenyl/substituted phenyl/thienyl/furanyl)-3-(4′-trifluoromethyl phenyl)-4-oxo-thiazolidines were prepared by cyclocondensation of Schiff base and mercapto ethanoic acid. The synthesized compounds were screened for their antibacterial activity. The synthesized compounds were characterized on the basis of elemental analysis and spectral data.

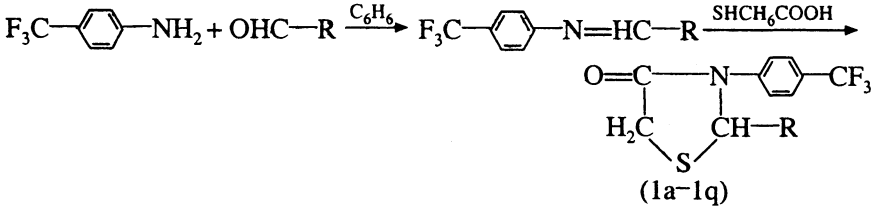
Key Words: Antibacterial activity, 4-Amino benzotrifluoride, Mercapto ethanoic acid.

INTRODUCTION

4-Oxo-thiazolidines[1-10] have been reported to possess various biological activities. They are well-known for their anti-convulsant[11-13], hypnotic[14] amoebicidal[15], sedative[16] and choleretic[17] properties. This paper describes the synthesis of 4-oxo-thiazolidines, the characterization of the compounds on the basis of spectral studies and antibacterial activity of the synthesized compounds.

Addition of mercapto ethanolic acid to Schiff bases, synthesized by condensing 4-amino benzotrifluoride with various aldehydes resulted in formation of 4-oxo-*thiazolidines.*

*Physical data consistan*t with the formula of 4-oxo-thiazolidines **(1a–1q)** are noted in Table-1. Infrared spectra and NMR spectra display characteristic bands.



EXPERIMENTAL

Melting points of the compounds were determined in open capillary tubes and were uncorrected. Purity of the compounds was checked on TLC using Silica Gel-G. Elemental analysis was performed on Carlo Erba-1108 analyzer. IR spectra (KBr) were recorded on a Perkin Elmer 283 spectrophotometer. NMR spectra ($CDCl_3$) were recorded on BRUKER Avance DPX 200 MHz Instrument.

Preparation of 2-(Phenyl/substituted phenyl/thienyl/furanyl)-3-(4′-trifluoro methyl phenyl)-4-oxo-thiazolidines (1a–1q): A mixture of 4-amino benzotrifluoride (0.01 mol) and different aldehydes (0.01 mol) were refluxed in dry benzene (70 mL) using Dean-Stark water Separator. The reaction mixture was

refluxed till theoretical quantity of water separated. Then it was cooled and mercapto ethanoic acid (0.012 mol) was added in it. Further the reaction mixture was refluxed till theoretical quantity of water separated. Excess of solvent was distilled off at reduced pressure. The product isolated was treated with saturated solution of $NaHCO_3$. The product thus obtained was finally recrystallized from alcohol to give 4-oxo-thiazolidine **(1a–1q).**

TABLE-1
PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS

Compd. No.	R (m.f.)	m.p. (°C)	% Analysis, Found (Calcd.)		
			C	H	N
Ia	C_6H_5	85	59.37	3.68	4.29
	($C_{16}H_{12}F_3NOS$)		(59.44)	(3.71)	(4.33)
Ib	2-Cl·C_6H_4	97	53.65	3.00	3.85
	($C_{16}H_{11}ClF_3NOS$)		(53.70)	(3.07)	(3.91)
Ic	3-Cl·C_6H_4	limpid	53.70	3.01	3.84
	($C_{16}H_{11}ClF_3NOS$)		(53.70)	(3.07)	(3.91)
Id	4-Cl·C_6H_4	limpid	53.64	3.02	3.87
	($C_{16}H_{11}ClF_3NOS$)		(53.70)	(3.07)	(3.91)
Ie	3-Br·C_6H_4	limpid	47.70	2.30	3.44
	($C_{16}H_{11}BrF_3NOS$)		(47.76)	(2.36)	(3.48)
If	4-OCH_3·C_6H_4	limpid	57.70	3.90	3.92
	($C_{17}H_{14}F_3NO_2S$)		(57.79)	(3.96)	(3.96)
Ig	3-OC_6H_5·C_6H_4	135	63.55	3.80	3.32
	($C_{22}H_{16}F_3NO_2S$)		(63.61)	(3.85)	(3.37)
Ih	3,4,5-$(OCH_3)_3C_6H_2$	limpid	55.15	4.31	3.31
	($C_{19}H_{18}F_3NO_4S$)		(55.20)	(4.35)	(3.38)
Ii	2,5-$(OCH_3)_2$·C_6H_3	limpid	56.35	4.11	3.61
	($C_{18}H_{16}F_3NO_3S$)		(56.39)	(4.17)	(3.65)
Ij	2,3-$(Cl)_2$·C_6H_3	162	48.98	2.56	3.58
	($C_{16}H_{10}Cl_2F_3NOS$)		(48.97)	(2.55)	(3.57)
Ik	3-NO_2·C_5H_4	133	52.20	3.00	7.65
	($C_{16}H_{11}F_3N_2O_3S$)		(52.17)	(2.98)	(7.60)
Il	4-NO_2·C_6H_4	limpid	52.09	2.93	7.55
	$C_{16}H_{11}F_3N_2O_3S$		(52.17)	(2.98)	(7.60)
Im	4-OH·C_6H_4	95	56.59	3.49	4.09
	$C_{16}H_{12}F_3NO_2S$		(56.63)	(3.53)	(4.12)
In	2-OH·C_6H_4	105	56.65	3.55	4.15
	$C_{16}H_{12}F_3NO_2S$		(56.63)	(3.53)	(4.12)
Io	4-$N(CH_3)_2$·C_6H_4	120	58.06	4.59	7.61
	$C_{18}H_{17}F_3N_2OS$		(59.01)	(4.64)	(7.65)
Ip	2-C_4H_3O	101	53.62	3.16	4.42
	$C_{14}H_{10}F_3NO_2S$		(53.67)	(3.19)	(4.47)
Iq	2-C_4H_3S	96	51.00	2.99	1.17
	$C_{14}H_{10}F_3NOS_2$		(51.06)	(3.03)	(1.21)

IR (KBr) for Compound 1f: 1680 cm^{-1} ν(C=O), 690 cm^{-1} ν(C—S—C thiazolidinone ring), 1135 cm^{-1} ν(C=N), **740** cm^{-1} ν(C—Cl).

NMR ($CDCl_3$) for Compound 1f: 6.05 (S, 1H, CH in thiazolidinone ring), 4.10 (S, 2H, CH_2 in thiazolidinone ring), 3.90 (S, 3H, OCH_3), 6.75 to 7.50 (m, 8H, AR—H).

All synthesized 4-oxo-thiazolidines were tested for their antibacterial activity against *S. aureus, S. paratyphi, B. subtilis* and *E. coli* by using agar cup method[18]. The compounds were tested at 100 μg/mL concentration. Bacteria cultures were incubated at 37°C for 24 h using nutrient broth as medium. Zone of inhibition was measured in mm. Under similar conditions control experiment was carried out by using chloramphenicol, amplicillin and norfloxacin as a standard for comparison. All data are given in Table-2.

TABLE-2
ANTIBACTERIAL ACTIVITY OF COMPOUNDS

Compd. No.	Diameter of zone of inhibition (in mm)			
	S. aureus	*S. paratyphi*	*B.Subtilis*	*E. coli*
Ia	14	14	13	12
Ib	20	15	10	11
Ic	15	12	12	12
Id	21	16	16	13
Ie	14	14	16	12
If	18	15	11	12
Ig	11	10	12	—
Ih	16	13	10	9
Ii	12	10	11	—
Ij	10	13	10	9
Ik	10	10	10	—
Il	16	16	14	10
Im	19	16	15	13
In	14	14	17	15
Io	—	12	—	—
Ip	9	—	12	11
Iq	11	17	16	13

Compounds **1b, 1d, 1f** and **1m** were found to be active against *S. aureus.* Compounds **1a, 1c, 1e, 1h, 1l** and **In** were moderately active against *S. aureus.* Compounds **1g, 1i, 1j, 1k, 1p** and 1q were less active, where as Compound **1o** was inactive against the same bacteria.

Compounds **1b, 1d, 1f, 1l, 1m** and **1q** were found to be active against *S. paratyphi A. Compounds* **1a, 1c, 1e, 1h, 1j, 1n** and **1o** were moderately active against S. *paratyphi A.* Compounds **1g, 1i** and **1k** were less active, where as Compound **1p** was inactive against the same bacteria.

Compounds **1d, 1e, 1m, 1n** and **1q** were found to be active against *B. Subtilis.* Compounds **1a, 1c, 1g, 1l** and **1p** were moderately active against *B. Subtilis.* Compounds **1b, 1f, 1h, 1i, 1j** and **1k** were less active, where as Compound **1o** was inactive against the same bacteria.

Compound **1d, 1m, 1n, 1q** were found to be active against *E. coli.* Compounds **1a, 1c, 1e** and **1f** were moderately active against *E. coli.* Compounds **1b, 1h, 1j, 1k** and **1p** were less active where as Compound **1g, 1i, 1k** and **1o** were inactive against the same bacteria.

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