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Synthesis and antibacterial activity of some 5-[(2',6'-dinitro-4'-trifluoromethylphenoxy)-4"-methylphenyl)-2'(phenyl)]-benzothia-4"-methylphenyl)-2-(phenyl)]-benzothiazepine

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ABSTRACT

5-[(2',6'-Dinitro-4'-trifluoromethylphenoxy)-4"-methylphenyl)-2'(phenyl)]-benzothia-4"-methylphenyl)-2-(phenyl)]-benzothiazepine have been synthesized by the condensation of 5-[(2',6'-Dinitro-4'-trifluoromethylphenoxy)-4"-methylphenyl)-2'(phenyl)]-benzothia-4"-methylphenyl)-2-(phenyl)]-benzothiazepine" chalcone with o-amino-thiophenol.These compounds were screened for antibacterial activity against S.aureus and E.coli.

Keywords: *S.aureus* and *E.coli*, Spectrometer

INTRODUCTION

Benzothiazepines are known for their physiological importance[1-5] .Jadhav Ingle and co-workers[6] have synthesized some new Benzothiazepines which were evaluated as antibacterial agents. The present communication deals with the reaction of 1-[$2^{/}$ - hydroxyl – $5^{/}$- methylphen -$1^{/}$ - yl) -3- phenyl – 2- propen – 1 – one[7] with 4-chloro3,5,-dinitrobenzotrifluoride in presence of aqueous potassium hydroxide which gave I@ condensation of I@ with o-aminothiophenol furnished a number of new Benzothiazepine II@.

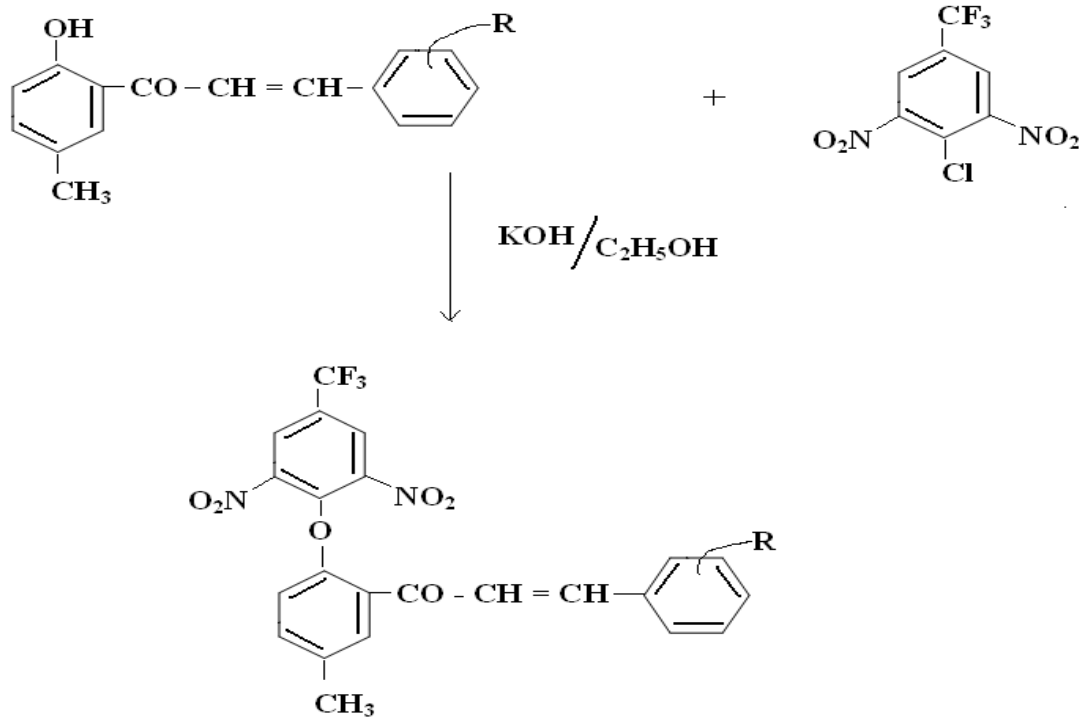
Antibacterial Activity
Compounds were screened for antibacterial activity using cup-plate agar diffusion method[8]. The testing was carried out at concentration of 50mg using gram-positive bacteria.Stahylocoecus aurens and gram-negative bacteria Eschrichia coli.The result of antibacterial activity are given in table.

MATERIALS AND METHODS

Melting points are uncorrected .The IR spectra(KBr) were taken on the Perkin-Elmer-377 model –spectrometer and elemental analysis were carried out by Carlo.Erba-1108 analyzer.

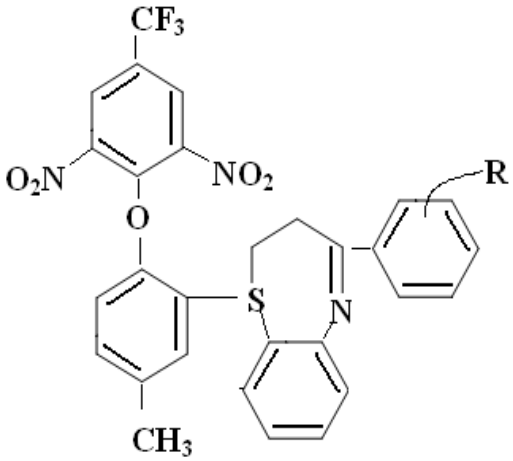
A mixture of 1-[2'-hydroxy-5'-methyl-phen-1'-yl]-3-phenyl-2-propen-1-one(4.72 gm 0.001 mol) aqueous potassium hydroxide (20%,5 ml ,4-chloro-3,5,dinitrobenzotrifluoride (2.70gm,0.01 mol) and absolute alcohol (25 ml) was then poured into crushed ice and took about 8 hours when the product separated. It was filtered and crystallized from ethanol.

Yield 56%,m.p.92.c,found c=58.3%,H=3.12%,N=6.04%,F=12.16%,C23H15O6N2F3 requires C=58.42%, H=3.17%, N=5.93%, F=12.07%; λ max (KBr) 535 (C-CF3,1535,1355(NO2)1255,1020(C-O-C)1635(C=O),and 1590cm (C=C)



I ⓐ

o –amino thio phenol + methanol + gl. Acetic acid



II ⓐ

The other compounds were prepared by the above mentioned method.

Table-1 Physical data of 5-[(2',6'-Dinitro-4'-trifluoromethylphenoxy)-4"-methylphenyl)-2'(phenyl)]-benzothia-4"-methylphenyl)-2-(phenyl)]-benzothiazepine"

Compound No.	R	m.p. (O^0 C)	Colour	Yield (%)	Molecular Formula
1a	-H	92	Y	56%	$C_{23}H_{15}O_6N_2F_3$
1b	-Cl (2)	73	LY	54%	$C_{23}H_{14}O_6N_2F_3Cl$
1c	-Cl (4)	169	LY	50%	$C_{23}H_{15}O_6N_2F_3Cl$
1d	-Cl (2) -Cl (4)	78	Y	49%	$C_{23}H_{13}O_6N_2F_3Cl_2$
1e	-Cl (14) -Cl (16)	144	Y	47%	$C_{23}H_{13}O_6N_2F_3Cl_2$
1f	$-NO_2$(4)	158	BY	42%	$C_{23}H_{14}O_8N_2F_3$
1g	$-NO_2$(3)'	120	BY	47%	$C_{23}H_{14}O_8N_2F_3$
1h	$-NO_2$(4)	154	Y	48%	$C_{23}H_{14}O_8N_2F_3$
1i	CH_3 (4)	131	Y	46%	$C_{24}H_{17}O_6N_3F_2$
1j	C_2H_5 (4)	137	DY	44%	$C_{25}H_{19}O_6N_2F_3$
1k	C_3H_7 (4)	146	DY	44%	$C_{26}H_{21}O_6N_2F_3$
1l	$-OCH_3$ (2)	89	Y	47%	$C_{24}H_{17}O_7N_2F_3$
1m	$-OCH_3$ (3) $-OCH_3$(4)	94	Y	45%	$C_{25}H_{19}O_8N_2F_3$
1n	$-N(CH_3)_2$(4)	87	OR	48%	$C_{25}H_{20}O_6N_3F_2$
1o	$-O(CH_3)$(3), OH'(4)	119	OR	45%	$C_{24}H_{17}O_8N_2F_3$

A mixture of I@ and o-ortho amino thio phenol in anhydrous methanol (100ml)and glacial acetic acid (10 ml)was refluxed at 75-80 c for 2 hours on water bath. The reaction mixture was then cooled and excess methanol was collected and crystallized from ethyl alcohol(98%),blackish yellow tiny needles,m.p.125c ,Yeild 45%(3.5 gm)analysis = C29H19F3N3SO5, found C=60.20%, H=3.28%, N=7.26%, F=11.27% requires C=60.05%, H=3.15%, N=7.14%, F=11.15%, λmax (KBr) 490(C-CF3),1540,1360(NO2)1250,1030(C-O-C),1590(C=N) 2450 - 2500-S'-

The other benzothiazepine were prepared by the above mentioned method.

Table-2 Physical data of S'-[2'-6'-Dinitro-4'-triFluoro-methyl phenol)-4"-methyl phenyl)-2-pynyl] benzodiazepine (substituted products)

Compound No.	R	m.p. (O^0 C)	Colour	Yield (%)	Molecular Formula
IIa	-H	125	BY	45%	$C_{29}H_{19}F_3N_3SO_5$
IIb	-Cl (2)	131	PY	45%	$C_{29}H_{18}F_3N_3ClSO_5$
IIc	-Cl (4)	139	BB	47%	$C_{29}H_{18}F_3N_3ClSO_5$
IId	$-NO_2$(2)	120	BY	45%	$C_{29}H_{18}F_3N_4SO_7$
IIe	$-NO_2$(3)	118	BY	47%	$C_{29}H_{18}F_3N_3SO_7$
IIf	-Cl (2), -Cl (4)	152	BY	47%	$C_{29}H_{17}F_3N_3ClSO_5$
IIg	$-N(CH_3)_2$ (4)	172	RB	45%	$C_{31}H_{24}F_4N_3SO_5$
IIh	-OH(4), OCH_3 (3)	114	OR	45%	$C_{24}H_{17}F_3N_3SO8$
IIi	Formaldehyde	192	BY	42%	$C_{27}H_{16}F_3N_2SO_6$

All compounds gave satisfactory elemental analysis
By=Blackish yellow,Py= Pale Yellow
Bb= Brownish black,By =Brown yellow
Rb= Raddish black, Or = Orange red

Table-3 Antibacterial activity of the compounds 2a-2i and standard drugs

Compound No.	Zone of inhibition in mm after 24 hours. Disc potency 50 µg	
	S. Aureus	E-coli
2- a		2.0
2- b	3.0	3.0
2- c	2.5	2.5
2- d	2.0	2.5
2- e	2.5	2.5
2- f	2.5	2.0
2- g	1.5	1.0
2- h	1.0	1.5
2- i	2.0	1.5

Standard drugs		
Ampicillin	5.0	-
Tetracycliune	-	6.0

RESULTS AND DISCUSSION

The zone of inhibition in mm for the compound 2a-2j tested for antibacterial activity.Activities of standard drugs are also given for comparison. Evaluation of bacterial activity reveals that the compound 2-b having chlorine group in 2 position of substituted phenyl ring shows activity upto 3.0mm against both bacteria.

It is rarely 60-50% as active as ampicillin and tetracycline.It was also observed that the compound possessing group of ortho position showed better activity than the compound possessing a group at para position.

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