Int. J. Chem. Sci. : 1(4), 2003, 407-409

SYNTHESIS AND ANTIBACTERIAL ACTIVITY OF SOME NEW BENZYLIDENES-2-HYDRAZINO BENZOTHIAZOLE

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ABSTRACT

New benzylidenes-2-hydrazino benzothiazole have been prepared and tested for their antimicrobial activity *in vivo.* Some of the compounds were found more active.

Key words : Benzylidene–2–hydrazino benzothiazole, Antibacterial activity.

INTRODUCTION

A series of Schiff bases[1] of N-hydroxy-N'-aminoguanidine tosylate (SB-HAG) were prepared and tested for their *in vitro* antitumoral, antiviral and antibacterial activities. Several compounds displayed a weak anticancer activity and an intersting activity against viruses. Lein[2] prepared other series of SB-HAG, which displayed intresting activities as antileukemic and antiadenoviral agents'. Supuran *et al*[3]. prepared a series of Schiff bases by reaction of sulfanilamide and substituted benzene and heterocyclic aldehydes. These new compounds act as inhibitors with equally high affinity towards cytosolic and membrane bound isozymes. Some new substituted ureas / thioureas have been synthesised and evaluated as new hypoglycemic agents with antidiabetic properites. These title compounds were synthesised from the 3-formylchromone Schiff bases of sulphanilamide[4]. Chromone linked β-lactams viz, azetidenones[5,6] were prepared from 3-formyl chromone Schiff bases.

Compounds having benzothiazole moiety in their structure exhibit several pharmocological activities. Benzothiazole nucleus containing large number of compounds are industrially important. Schiff bases of aminothiazoles are expected to be biologically active. In continuation of our work, the preperation and antimicrobial activity of Schiff bases, we report here in, the synthesis and antimicrobial activity of some benzylidene-2-hydrazino benzothiazole.

EXPERIMENTAL

New iodo-substituted benzylidenes were prepared by condensation of equimolar amount of different aromatic aldehydes / aromatic ketones and 2-hydrazino benzothiazole in ethanol, in the presence of a drop of acetic acid. The corresponding benzylidene-2-hydrazino benzothiazole were obtained in good yield. The structures of these compounds were confirmed by halogen and nitrogen analysis and IR spectra (Table 1).

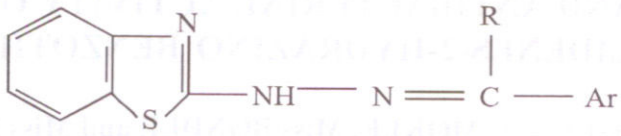

Table 1. Analytical and biological analysis of benzylidenes - 2 hydrazino benzothiazole

Compound No.	R	Ar	M.P. (°C)	Yield (%)	Molecular Formula	% of Halogen found (required)	IR $\nu_{max}(cm^{-1})$	Antimicrobial activity Zone of inhibition in mm	
								E.coli	*P.valgaris*
1	H	4-OH, 3-I, 5-OCH_3-phenyl	235	60	$C_{15}H_{12}N_3O_2IS$	29.88 (29.48)	1610	6	4
2	H	2-OCH_3-naphthyl	220	50	$C_{19}H_{15}N_3OS$	--	1615	6	3
3	H	4-Cl-naphthyl	248	45	$C_{18}H_{12}N_3ClS$	10.51 (10.11)	1617	7	9
4	H	4-Br-naphthyl	250	30	$C_{18}H_{12}N_3BrS$	20.92 (20.52)	1625	3	5
5	$-CH_3$	5-Cl-2-OH-3-I-phenyl	215	40	$C_{15}H_{11}N_3ClIOS$	39.48 (39.08)	1620	6	8
6	$-CH_3$	2-OH-3,5-I-phenyl	206	50	$C_{15}H_{11}N_3I_2OS$	47.47 (47.07)	1613	No zone	No zone
7	$-CH_3$	2-OH,3-I, 4-CH_3,5-Cl-phenyl	216	40	$C_{16}H_{13}N_3ClIOS$	35.51 (35.11)	1619	6	3
8	$-CH_3$	4-OH,3,5-I-phenyl	192	50	$CH_{11}N_3I_2OS$	47.47 (47.07)	1623	1.5	6
9	-H	3-Br,4-OH, 5-OCH_3-phenyl	222	50	$C_{15}H_{12}N_3O_2BrS$	23.09 (22.69)	1611	0.7	2.5
10	-H	9-anthyrl	226	30	$C_{22}H_{15}N_3S$	-----	1625	----	-----
11	-H	1-naphthyl	202	30	$C_{18}H_{13}N_3S$	----	1615	----	----
12	$-CH_3$	3,5-Br,2,4-OH- phenyl	200	30	$C_{15}H_{11}N_3Br_2O_2S$	36.64 (36.24)	1618	2	7
13	$-CH_3$	2-OH,3-I,5 -CH_3- phenyl	220	40	$C_{16}H_{14}N_3IOS$	24.75 (24.35)	1610	No zone	1.5
		Ampicillin	-	-	-	-	-	5	4

Compounds 2, 10, 11 showed correct nitrogen analysis.

The IR spectra of these compounds showed a band near ν_{max} 1612-1630 cm^{-1} for C=N stretch, an absorption at 1560-1600 cm^{-1} due to C=C and at 3350 cm^{-1} due to N-H. PMR spectra of compound (Ia) was taken as a representative case. PMR spectra of I(a) : δ 4.05 (s, 3H, - OCH_3), δ 8.22 (s, 1H, =RCH methine proton), δ 7.82 (s, 1H, OH), δ 7.05-7.92 (m, 6H, Ar-H).

Antimicrobial activity

The activity was studied using disc diffusion method by measuring the diameter of zone of inhibition in mm. All the compounds were tested against *Escherichia Coli* and *Proteus Vulgaris*. The Whatmann filter paper disc were soaked (4 mm). The compounds dissolved in dimethyl sulphoxide were tested at the concentration of 150 ppm using 4 mm filter paper discs. The soaked discs were placed at the bacteria seeded nutriant agar plates and incubated at 26°C for 24 hrs. Ampicillin was used as a standared drug for comparison. Zone of inhibition in mm was measured after 24 hrs. (Table 1).

Melting points are uncorrected. IR spectra were scanned on FT-IR spectrometer Bruker IFs 66v. Purity of the compounds were checked by T. L. C.

3-iodo-4-hydroxy-5-methoxy-benzylidene-2-hydrazino benzothiazole

Iodovaniline (0.278 g, 0.001 M) and 2-hydrazino benzothiazole (0.172 g, 0.001 M) were taken in ethanol (20 mL). A few drops of acetic acid was added and reaction mixture was refluxed for 2.5 hrs. The reaction mixture was cooled and poured in cold water. The separated solid was filtered, washed with cold water and recrystallised from acetic acid. Yield and m.p. are given in Table 1. Similarly other compounds were prepared.

ACKNOWLEDGMENT

The authors are thankful to Dr. Y. B. Vibhute. Principal, Yeshwant Mahavidyalaya, Nanded for his keen interst and Dept. of Microbiology, Yeshwant Mahavidyalaya, Nanded for providing necessary facilities.

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Accepted : 29.9.2003