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Synthesis and Antimicrobial Activity of Some Schiff Bases from Benzothiazoles

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Some new Schiff bases have been synthesised and tested for their antibacterial and antifungal activity. The structures of these Schiff bases were characterised by analytical and spectral data (IR, ^{1}H NMR and MS).

INTRODUCTION

During the past few decades, the coordination behaviour of organometallic compounds with several oxygen, sulphur and nitrogen containing ligands have been studied extensively. As a consequence of their biological potency, these complexes have received great attention[1–3]. It is well documented that the biological activity of an active ligand is altered quantitatively on coordinating with a suitable metal ion[4, 5]. A number of ligands (Schiff bases) have been reported for their bactericidal[6, 8], fungicidal[6, 7], antipyretic[8], antitumour[9], antitubercular[10], anticancer[11, 19] and sterease inhibitory[12] activities. Some of the Schiff bases were used as chelating agents[13, 14], analytical reagents[15] for transition metal analysis and as catalysts for epoxidation of olefins[16].

Literature survey reveals that the Schiff bases of thiazoleamines have not been synthesised so far, except a few[17–19]. The present paper describes the synthesis, characterisation and antimicrobial activities of Schiff bases derived from substituted aromatic aldehydes/ketones with 2-aminobenzothiazoles and 2-hydrazinobenzothiazoles.

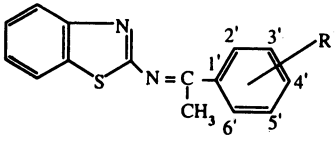
EXPERIMENTAL

M.ps. of the compounds were taken in open capillary tubes and are not corrected. IR spectra were recorded on PE-983 spectrophotometer. ^{1}H NMR spectra ($CDCl_3$) were recorded on a Gemini 200 MHz spectrophotometer (Chemical shifts in δ, ppm). MS spectra were recorded on VG 70–70H. Purity of the compounds was checked on Silica-gel-G TLC plates. Substituted acetophenones were synthesised by known methods[20]. 2-Hydrazinobenzothiazole was synthesised by the literature method[21]. These compounds were then used for the synthesis of various Schiff bases (Scheme-I).

LI1 2-N-(2′-hydroxyacetophenyldimine)-benzothiazole

A mixture of 2-aminobenzothiazole (0.2 mol) and 2′-hydroxyacetophenone

(0.2 mol) was refluxed in ethanol (25 mL) for 3 h. The content was poured in cold water. The Schiff base thus formed was filtered off and recrystallised from hot ethanol (70%).

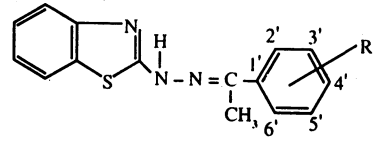


LI

LI1, R=2'-OH

LI2, R=2',5'-$(OH)_2$

LI3, R=2'-OH, 5'-Cl



LII

LII1, R=2'-OH

LII2, R=2',4'-$(OH)_2$

LII3, R=2',5'-$(OH)_2$

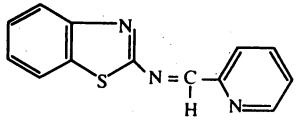
LII4, R=2'-OH, 5'-Cl

LII5, R=2'-OH, 3'-I, 5'-Cl

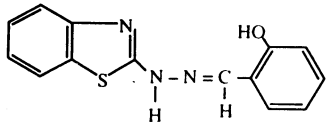
LII6, R=2'-OH, 3'-I, 5'-CH_3

LII7, R=2'-OH, 4'-CH_3, 5'-Cl

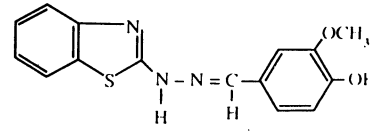
LII8, R=2'-OH, 3'-I, 4'-CH_3, 5'-Cl



LIII



LIV



LV

SCHEME-1

The antibacterial activity of the title compounds was tested against *S. aureus*, *E. coli* and *B. subtilis* using disc diffusion method. Tetracycline was used as a standard for comparison. Antifungal activity of the compounds was evaluated by testing spore germination in petridishes, using two fungal organisms, *Curvularia lunata* and *Helminthosporium oryzae.*

RESULTS AND DISCUSSION

The physical data of the synthesised compounds are presented in Table-1. All compounds have shown band at near region 1630–1615 cm^{-1} for C=N stretch vibrations. Absorption at near 1605–1570 cm^{-1} due to C=C stretch vibrations. Absorption due to —OH stretching vibrations in region 3250 cm^{-1}. Further the

structures of these compounds have been confirmed by ^{1}HNMR and MS spectra of some representative compounds (Table-2).

TABLE-1
PHYSICAL DATA OF COMPOUNDS

Sr. No.	Compd. No.	m.f. (m.w.)	m.p. (°C)	Yield (%)	Elemental Analysis (%)				
					C	H	N	S	X(Cl, I)
1.	**LI1**	$C_{15}H_{12}N_2OS$ (268)	119	60	67.01 (67.16)	4.42 (4.47)	10.40 (10.44)	11.90 (11.94)	–
2.	**LI2**	$C_{15}H_{12}N_2O_2S$ (284)	160	72	63.32 (63.38)	4.17 (4.22)	9.77 (9.85)	11.21 (11.26)	–
3.	**LI3**	$C_{15}H_{11}N_2OSCl$ (302.5)	110	78	59.42 (59.50)	3.48 (3.63)	9.13 (9.25)	10.49 (10.57)	11.53 (11.73)
4.	**LII1**	$C_{15}H_{13}N_3OS$ (283)	209	60	63.46 (63.60)	4.48 (4.59)	14.78 (14.84)	11.17 (11.30)	–
5.	**LII2**	$C_{15}H_{13}N_3O_2S$ (299)	174	90	60.26 (60.20)	4.21 (4.34)	14.20 (14.04)	10.56 (10.70)	–
6.	**LII3**	$C_{15}H_{13}N_3O_2S$ (299)	150	83	60.26 (60.20)	4.21 (4.34)	14.18 (14.04)	10.56 (10.70)	–
7.	**LII4**	$C_{15}H_{12}N_3OSCl$ (311)	204	80	56.61 (56.69)	3.84 (3.77)	13.16 (13.22)	10.00 (10.07)	11.04 (11.18)
8.	**LII5**	$C_{15}H_{11}N_3OSClI$ (444.5)	224	75	40.56 (40.49)	2.36 (2.47)	9.32 (9.44)	7.29 (7.19)	36.52 (36.78)
9.	**LII6**	$C_{16}H_{14}N_3OSI$ (424)	210	78	45.33 (45.28)	3.24 (3.30)	9.83 (9.90)	7.51 (7.54)	30.04 (30.18)
10.	**LII7**	$C_{16}H_{14}N_3OSCl$ (331.5)	221	80	58.02 (57.91)	4.13 (4.22)	12.45 (12.66)	9.46 (9.65)	10.82 (10.70)
11.	**LII8**	$C_{16}H_{14}N_3OSCl\,I$ (459.5)	208	62	41.49 (41.78)	3.11 (3.04)	9.10 (9.14)	6.91 (6.96)	35.47 (35.58)
12.	**LIII**	$C_{13}H_9N_3S$ (239)	180	76	–	–	–	–	–
13.	**LIV**	$C_{14}H_{11}N_3OS$ (269)	264	70	62.38 (62.45)	4.13 (4.08)	15.52 (15.61)	11.96 (11.89)	–
14.	**LV**	$C_{15}H_{13}N_3O_2S$ (299)	198	72	60.13 (60.20)	4.39 (4.34)	14.02 (14.04)	10.58 (10.70)	–

All the Schiff bases exhibited antibacterial and antifungal activity due to >C=N linkage. Compounds **LI2, LII2, LII3** were found more active against the microbes used for antibacterial screening. It may be attributed to the presence of two —OH groups on the aromatic ring. All the compounds **LII6, LII7, LII8, LIII** were moderately active where as **LI3, LII4, LII5** were capable of inhibiting these pathogens to some extent.

The fungicidal activity of compounds **LII2, LII3** and **LV** was more while all the other compounds showed normal activity.

The complexation behaviour of these ligands with transition metals and their physical as well as biological properties are under investigation.

TABLE-2
SPECTRAL DATA OF COMPOUNDS

Compd. No.	^{1}H NMR	MS
LI2	δ 2.54 (s, 3H), δ 7.15 (s, 1H,b), δ 11.90 (s, 2H,b), δ 7.59 (m, 4H), δ 7.33 (m, 3H)	M-148 at M/Z 136
LI3	δ 2.59 (s,3H), δ 7.10 (s, 1H, b), δ 12.18 (s, 1H, b), δ 7.54 (m, 4H), δ 7.49 (m, 3H)	M-247.5 at M/Z 155
LII2	δ 2.45 (s, 3H), δ 6.96 (s, 1H, b), δ 12.88 (s, 2H, b), δ 7.19 (m, 3H), δ 7.37 (m, 4H)	
LII3	δ 2.43 (s, 3H), δ 6.71 (s, 1H, b), δ 12.05 (s, 2H, b), δ 6.94 (m, 4H), δ 7.14 (m, 3H)	M-254 at M/Z 45
LII4	δ 2.46 (s, 3H), δ 6.98 (s, 1H, b), δ 12.50 (s, 1H, b), δ 7. 25 (m, 4H), δ 7.44 (m, 3H)	M-17 at M/Z 300
LII5	δ 2.49 (s, 3H), δ 7.02 (s, 1H, b), δ13.94 (s, 1H, b), δ 7.45 (m, 4H), δ 7.17 (s, 2H)	
LII6	δ 2.29 (s, 3H), δ 2.52 (s, 3H), δ 7.03 (s, 1H, b), δ 13.64 (s, 1H, b), δ 7.32 (m, 4H), δ 7.16 (s, 2H)	
LII7	δ 2.31 (s, 3H), δ 2.46 (s, 3H), δ 6.81 (s, 1H, b), δ 12.67 (s, 1H, b), δ 7.40 (m, 4H), δ 7.17 (s, 2H)	
LII8	δ 2.5 (s, 3H), δ 2.61 (s, 3H), δ 7.03 (s, 1H, b), δ13.99 (s, 1H, b), δ 7.49 (m, 4H), δ 7.47 (s, 1H)	
LIV	δ 6.93 (s, 1H, b), δ 8.32 (s, 1H), δ 10.91 (s, 1H, b), δ 7.24 (m, 4H), δ 7.26 (m, 4H)	

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