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Synthesis of New Schiff Bases and Evaluation of Antibacterial Activity- An Environmentally benign Organic Solvent Free Approach*

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Abstract: The present research work deals with the synthesis of heterocyclic moiety containing Schiff bases by the condensation of aromatic amines with substituted benzaldehyde under organic solvent free condition efficiently in the presence of water. The Schiff bases were obtained in good yields and were easily isolated by filtration. Their structures were confirmed by UV, IR, 1HNMR, GCMS and elemental analysis. Most of the Schiff bases have showed potent antibacterial activity.

1. Introduction

The Schiff bases constitute one of the most active class of the compounds possessing diversified biological activity such as antitubercular[1],anticancer[2], antibacterial[3-10], antifungal[10], analgesic[11], CNS depressant[11], anti-inflammatory[12], anticonvulsant[13], insecticidal[14], plant growth inhibitors[15]. Schiff bases are used as starting material for the synthesis of various bioactive heterocyclic compounds like 4-thiazolidinones, 2-azetidinones, benzoxazines and formazans. One of the important role of Schiff base is an intermediate in the biologically important transmination reaction. Schiff bases are used as protective agent in natural rubber[16]. Schiff bases are used as amino protective group in organic synthesis. Dabholkar and More[17] have synthesized Schiff bases under microwave irradiation. Recently Schiff bases [18-19]have been synthesized by condensing carbonyl compounds and amines in water suspension medium. These wide application and diverse potential biological activities of Schiff bases prompted us to synthesize new Schiff bases containing heterocyclic moiety and to as certain their microbial activity.

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2. Materials and Methods Experimental Section

Melting point was determined in an open capillary tube using Precision Digital Melting Point Apparatus- Model MP-D and is uncorrected. The IR spectra were recorded on a Perkin Elmer IR Spectrometer using KBr disc of the sample. The NMR spectra were recorded as 400 MHz FT-NMR Spectrometer in DMSO using TMS as an internal standard. Chemical shift is given inδ ppm.

3. Typical procedure for preparation of Schiff bases

A mixture of aldehydes and aromatic amines (0.01 mol) were taken in mortar. Added to it acetic acid (0.25 ml), water (5 ml.) and stirred at room temperature for 45-50 min. Reaction was monitored on T.L.C. After completion of reaction, water (25 ml) added.Separated solid was filtered, washed with water and crystallized from ethyl alcohol.

4. Scheme of Reaction

$$Ar\text{-}NH_2 + Ar'\text{-}CHO \xrightarrow[\text{Stirr ar Room Temp.}]{H_2O} Ar\text{-}NH{=}\ CH\text{-}Ar'$$

(Ia-f)
Schiff's base

Physical and analytical data is given in Table-1.

5. Antibacterial Activity

Synthesized Schiff bases were evaluated for their antibacterial activity against plant pathogen *Xanthomonas citri (Xc), Ervinia carotovara (Ec)* and animal pathogen *Escherichia coli (E.coli)* and *Bacillus subtilis (Bs)*. An activity was studied using disc diffusion method[20]by measuring diameter of zone of inhibition in mm. The compounds were dissolved in 5% aqueous DMF at the concentration of 150 ppm and discs were soaked and incubated at 27^0C for 24 hr. Ampicillin 150 ppm was used as a standard antibiotics for comparison. All the compounds tested showed good inhibitory action but compounds Ia and Ic showed slightly more inhibitory action than standard (Refer Table-1).

6. Results and Discussion

In this communication, we have prepared six new Schiff bases under solvent free condition. Halogenosubstituted hydroxy benzaldehydes and heterocyclic amines were taken in a mortar. Added to it traces of acetic acid and water to wait the reaction mixture. Reaction mixture was grinded for 30-

45 min. Reaction was monitored on T.L.C. After completion of reaction, water was added and stirred. Separated solid was filtered, washed with water and crystallized from ethyl alcohol. Structures of the Schiff bases were confirmed by IR, ^{1}HNMR and elemental analysis. This procedure eliminates the use of organic solvent, completes within 30-45 min. and isolation of the product is simple (Table-1).

Compound	Ar	Ar'	MP(°C)	Yield (%)	Crystal Colour	Time Reqd. (min.)	Elemental Analysis Found(Cal.)		Spectral Analysis IR (cm^{-1})		^{1}HNMR(δ)	Antimicrobial Activity Zone of Inhibition after 12 hrs.			
							X(Cl,Br,I)	N	C=N	C=C Aromatic		*Xc*	*Ec*	*E.coli*	*Bs*
Ia	C_7H_5NS	$C_6H_3O_2Cl_2$	192	84	Colourless	40	20.41 (20.86)	9.85 8.29	1612	1595,1490	8.48(s,1H,=CH)7.45-8.01(m,5H,Ar-H) 11.50(s,2H,-OH)	25	27	28	33
Ib	C_7H_5NS	$C_6H_4O_2I$	185	63	Pale yellow	40	30.45 (30.90)	6.45 (6.81)	1628	1615,1602	3.95 (s,3H,OCH_3) 8.40 (s,1H=CH), 12.05 (s,1H,OH), 7.45-8.26 (m,6H, Ar-H)	24	26	29	32
Ic	C_7H_5NS	$C_7H_5OI_2$	190	92	Yellow	35	49.85 (50.09)	5.21 (5.52)	1622	1612,1500	8.52 (s,1H, =CH), 11.85 (s,1H, OH), 7.68-8.30 (m,6H, Ar-H)	24	22	21	34
Id	C_7H_5NS	$C_6H_3OBr_2$	183	93	Yellow	45	38.60 (38.74)	7.01 (6.77)	1630	1618,1605	8.45 (s,1H,=CH),7.65-8.40 (m,6H, Ar-H) 12.35 (s,1H,OH),	12	14	17	20
Ie	$C_7H_6N_2$	$C_6H_3O_2Cl_2$	253	61	Pale yellow	30	26.12 (25.81)	20.05 (20.36)	3340 (N-H) 1626	1615,1593	4.01 (s,2H,CH_2)8.35 (s,1H,=CH), 12.90 (s,1H, OH), 7.45 (s, 1H, 2Ar-H), 7.34 (s, 1H, 6Ar-H)	13	18	15	19
If	$C_7H_6N_2$	$C_7H_6O_2Cl$	248	80	Colourless	30	13.80 (14.11)	21.63 (21.97)	3135 (N-H) 1625	1590,1582	4.25 (s,1H,NH), 3.85 (s,2H,CH_2) 4.05 (s, 3H,OCH_3), 8.55 (s, 1H, = CH), 7.35, (s1H,=2Ar-H), 7.52 (s, 1H,6Ar-H), 7.62 (s, 1H,Ar-H)	14	17	14	24
Amphicillin												25	24	-	-
Streptomycin												-	-	26	35

7. Conclusion

Procedure of synthesis of Schiff bases eliminates the use of organic solvent. Reaction completes within 30-45 minutes. Isolation of the product is simple. All the compounds are more or less active to tested bacteria. Compounds Ia and Ic found slightly more inhibitory to bacteria than standard.

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