



Synthesis and Biocidal activity of some new Schiff Bases

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Abstract

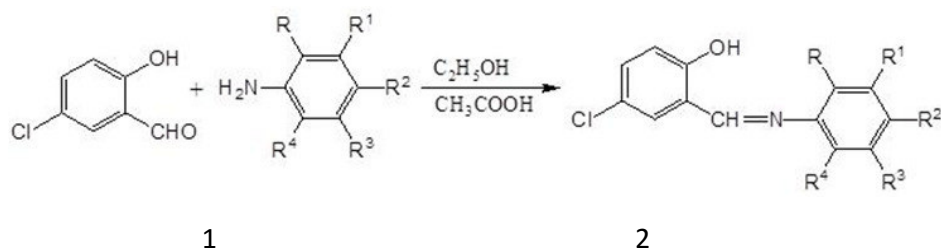
A simple method for synthesis of Schiff bases, from o-hydroxy benzaldehyde and substituted aromatic primary amines dissolved in ethyl alcohol, under microwave irradiation is reported. The remarkable advantages of this method are simple experimental procedure, short reaction time with pure product and excellent yield. Synthesized compounds were tested for antibacterial activity.

Keywords: o-hydroxy benzaldehyde, aromatic primary amines, antibacterial activity, Schiff base, ethyl alcohol and microwave irradiation.

Introduction

The Schiff bases constitute one of the most active classes of the compounds possessing diversified biological applications. The Schiff bases have been reported to possess higher degree of insecticidal¹, antibacterial², antitubercular³ antimicrobial⁴, anticonvulsant⁵, antifeedant⁶ activity. One of the important and interesting roles of Schiff base is an organic intermediate which used in production of special chemicals, e.g. pharmaceutical or rubber additives⁷, as an amino protective group in organic synthesis⁸⁻¹¹. Schiff bases are used as starting material for the synthesis of various heterocyclic compounds like 4-thiazolidinones, 2-azetidiones, benzoxazines and formazans. The wide application and diverse potential biological activities of Schiff bases prompted

Scheme



Method

Experimental

All melting points were taken in open capillaries and are uncorrected. FT-IR spectra were recorded on Perkin-Elmer-157 spectrophotometer instrument using KBr discs. ¹HNMR were recorded on Bruker WN-400 FRMHz NMR instrument using DMSO/CdCl₃ solvent and TMS as an internal standard.

Typical procedure for preparation of Schiff bases

A mixture of o-hydroxy benzaldehyde and aromatic amines (0.01 mol) dissolved in ethyl

alcohol were taken in a 100 ml Pyrex flask and to ascertain their microbial activity.

Microwave-induced organic reaction enhancement (MORE) chemistry has gained importance as a non-conventional technique for rapid organic synthesis¹² and many researchers have described accelerated organic reactions. MORE chemistry has been termed as e-chemistry as it is easy, effective, economical and eco-friendly and is believed to be a step toward green chemistry. In view of these observations, we have planned to synthesize the new Schiff bases (2a-g) by microwave assisted method and studied their antibacterial activity. The desired Schiff bases were synthesized by condensation of halogeno substituted o-hydroxy benzaldehyde and aromatic primary amines.

alcohol were taken in a 100 ml Pyrex flask which is capped with funnel. The flask is kept in microwave oven and irradiated for 10 sec. 12 times (total 2 min.) with simultaneous cooling and giving a short interval of some minutes to avoid excess solvent evaporation. Reaction was monitored on TLC. On cooling solid separated out which was filtered, washed with water and crystallized from ethanol or acetic acid. Physical and analytical data is given in Table-I.

2-[(4-Bromo-phenyl-imino)-methyl]-4-chloro phenol

IR (KBr) cm^{-1} : 3219(OH), 1628 (C=N), 1630, 1589, 1512 (Aromatic C=C)

$^1\text{H NMR}$: δ 6.99-7.76 (m, 7H, ArH), 8.93 (s, 1H, =CH), 12.69 (s, 1H, OH)

2-[(2-methyl-5-nitro-phenyl-imino)-methyl]-4-chloro phenol

IR (KBr) cm^{-1} : 3399(OH), 1628 (C=N), 1593, 1521, 1452 (Aromatic C=C)

$^1\text{H NMR}$: δ 2.45(s, 3H, CH_3), 7.6-8.27 (m, 4H, ArH), 9.02 (s, 1H, =CH), 14.17 (s, 1H, OH)

Antibacterial Activity

Synthesized Schiff bases were evaluated for their antibacterial activity against plant pathogen *Xanthomonas citri* (Xc) and *Erwinia carotovora* (Ec), animal pathogen *Escherichia coli* (E.coli) and *Bacillus subtilis* (Bs). The activity was studied using disc diffusion method¹³ 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

Table-I

Comp.	R	R ¹	R ²	R ³	R ⁴	M.P.	Yield	Crystal Appearance	Elemental analysis (%)		Zone of inhibition (in mm) (After 12 hours) Bacteria			
									X(Cl,Br,I)	N	Bs	Ec	E.coli	Xc
2a	H	H	Cl	F	H	240	81	Yellow Orange	30.98 (31.44)	4.52 (4.94)	16	12	40	43
2b	Cl	H	H	H	Cl	164	90	Colorless	35.12 (35.54)	4.21 (4.66)	09	12	20	23
2c	H	H	Br	H	H	168	85	Yellow	37.50 (37.29)	4.87 (4.50)	07	10	07	12
2d	H	H	Cl	H	H	148	76	Yellow	26.25 (26.69)	5.04 (5.26)	09	14	16	12
2e	Cl	H	I	H	Cl	167	78	Colorless	55.12 (54.80)	4.32 (3.27)	11	14	13	19
2f	CH_3	H	H	NO_2	H	160	69	Yellow	11.97 (12.37)	10.08 (9.64)	10	05	06	19
2g	CH_3	H	I	NO_2	H	165	73	Yellow	39.33 (39.08)	6.31 (6.71)	16	20	24	31
Ampicillin											18	20	23	25

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soaked and incubated at 27°C for 24 hr. Ampicillin 150 ppm was used as a standard antibiotic for comparison. All the compounds tested showed good inhibitory action, but compounds 2a, 2b and 2g showed slightly more inhibitory action than standard (Table-I).

Results and Discussion

In conclusion, we have synthesized some new Schiff bases from o-hydroxy benzaldehyde and aromatic primary amines under microwave irradiation. For microwave assisted reactions, the reaction times were always short, simple experimental procedure, and pure products. Thus, the method is mild and eco-friendly. From antibacterial screening, it was observed that all compounds exhibited antibacterial activity against all organisms employed. The compounds 2a, 2b and 2g showed greater or nearly same antibacterial activity than standard drug Ampicillin, where as other compounds showed moderate to good activity.

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