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Synthesis and Anti-Microbial Activity of Some Novel Mannich Bases Derived from 1-[4-N, N-dimethyl amino phenyl] pent-1-en-3-one

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Abstract: Mannich bases are of considerable importance because their derivatives exhibit a wide variety of biological activity such as Analgesic, Anti-inflammatory, Anti-histaminic, Anti-convulsant, Local anaesthetic and Anti-microbial activity.

A series of Mannich bases were synthesized by condensing 1-[4-N,N-dimethyl amino phenyl] pent-1-en-3-one with different secondary amines in the presence of Para- formaldehyde. These are characterized on the basis of IR, NMR and Mass spectral data. The final compounds were evaluated for anti-microbial activity by cup-plate method.

Keywords: 1-[4-N, N-dimethyl amino phenyl] pent-1-en-3-one, synthesis, Anti-microbial activity.

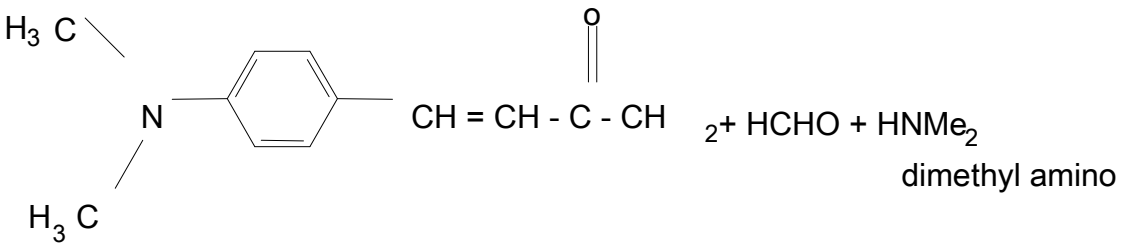
INTRODUCTION

Several new mannich bases from 1-[4-N, N-dimethyl amino phenyl] pent-1-en-3-one were found to display anti-inflammatory[1], local anaesthetic[2], anti convulsant[3], anti bacterial[4], anti fungal[5], and insecticidal activities[6]. Based on these observations, it stimulated our interest to synthesis some new biologically active mannich bases II –VII and evaluate them for anti microbial activity.

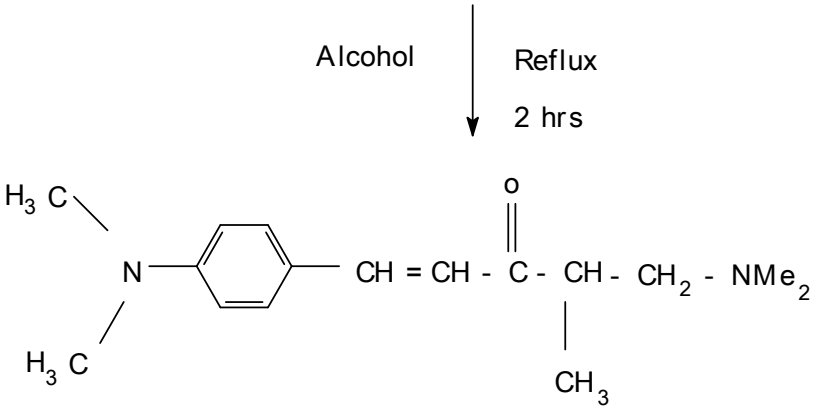
Synthesis of mannich bases (II-VII)

The 1-[4-N, N-dimethyl amino phenyl] pent-1-en-3-one was treated in an equimolar proportion with different secondary amines in the presence of Para formaldehyde. The reaction has been carried out by refluxing the mixture with ethanol for 2 hours. The products have been purified by recrystallization from Pet. ether and characterized by satisfactory analytical and spectral data.

Synthesis of compound II



1 – [4 –N, N – dimethyl amino phenyl] pent - 1 - en – 3- one



1 – [4-N, N – dimethyl amino phenyl] pent – 1- en – 4 – methyl – 5 – dimethyl amino - 3- one

EXPERIMENTAL

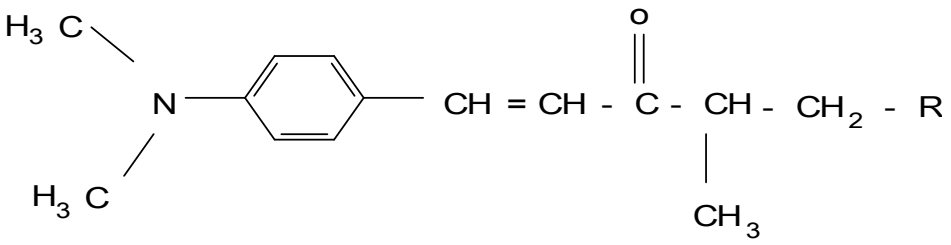
Melting points were determined by capillary method in a paraffin bath and are uncorrected. The purity of the compounds was checked by precoated TLC plates. IR, ^{1}H NMR studies were carried out on the synthesized products on a U-3410 Hitachi double beam spectrophotometer and EM-390 MH_z spectrophotometer respectively.

Characterization

The UV-Visible spectra of compound V [in ethanol] showed absorption at 346.2 nm, [E 3749.6]. IR of the compound III showed characteristic absorption at 1661(-C=C-CO-), 1450 (C-H bending), 1230 (C-N str). ^{1}H NMR (DMSO) – 2 (s, 6H, CH_3, CH_3 protons in diethyl group) 6.6 (d,2H,-CH=CH-), 7.7 (d,4H,Ar-H), 3 (s,9H, $N(CH_3)_2$,CH_3).

Screening of antimicrobial activity

The antimicrobial activity of the newly synthesized compounds were determined by cup plate method[7-9] in Muller Hinton agar (Hi – Media) was used for antimicrobial activity and Sabouraud dextrose agar (Hi – Media) was used for antifungal activity. The Gram positive bacterial strains used were *Bacillus subtilis* (ATCC 6633) and *Staphylococcus aureus* (ATCC 25923) and Gram negative strain *Escherichia coli* (ATCC 25922) and *Pseudomonas aeruginosa* (ATCC 27853). For fungi the strains used were *Candida albicans* (ATCC 10231) and *Aspergillus niger* (ATCC 16404). For antibacterial screening the inoculated plate were incubated at 37 ± 1^{o}C for 24 h, while those used for antifungal activity were incubated at 28^{o}C for 48-72 h. The diameters of zone of inhibitions were recorded. The results were compared to Amikacin (30µg/mL) for antibacterial activity and Fluconazole (100 µg/mL) for antifungal activity by measuring zone of inhibition in mm with the sample 100 µg/mL concentration using cup plate method. The results for the antimicrobial screening are presented in Table – 2.



[II – VII]

TABLE 1: CHARACTERISATION DATA OF THE SYNTHESIZED COMPOUNDS

COMPOUND	R	YIELD %	Melting Point (^{O}C)
II	Dimethylamino	74	71
III	Diethylamino	80	60
IV	Dipropylamino	96	65
V	Dibenzlamino	97	53
VI	Piperidino	86	70
VII	Morpholino	77	55

All the compounds gave correct elemental analysis

TABLE 2: ANTIMICROBIAL SCREENING OF THE SYNTHESIZED COMPOUNDS (II –VII)

Compound	Antibacterial activity				Antifungal activity	
	Zone of inhibition (mm)				Zone of inhibition (mm)	
	S.aureus	*B.subtilis*	*E.coli*	*P.aeruginosa*	*C.albicans*	*A.niger*
II	**24**	**21**	**23**	**21**	**22**	**18**
III	**21**	**20**	**22**	**20**	**20**	**16**
IV	**22**	**18**	**21**	**19**	**18**	**14**
V	**20**	**22**	**21**	**18**	**20**	**16**
VI	**23**	**20**	**22**	**21**	**18**	**16**
VII	**20**	**18**	**20**	**21**	**16**	**12**
Amikacin	**24**	**21**	**23**	**22**	**-**	**-**
Fluconazole	**-**	**-**	**-**	**-**	**24**	**19**

RESULTS AND DISCUSSION

All the compounds were active against all the four bacteria tested at 100µg/mL concentrations. Compounds (II-VII) showed comparable activity against Staphylococcus *aureus*, *Bacillus subtilis*, *Escherichia coli* and *Pseudomonas aeruginosa* at 100µg/mlL concentration using amikacin (30µg/mL) as standard. All the synthesized compounds showed antifungal activity at 100µg/mL concentration using fluconazole (100µg/mL) as standard.

The activity of the compounds depends upon the nature and position of the substituents in 4 – N, N – dimethyl amino phenyl moiety.

Acknowledgement

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