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Synthesis, Characterization and Examination of Antimicrobial Action of 2-Azetidinone Derivatives

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ABSTRACT: In our resent study the Schiff bases are undergo cyclization accompanying chloroacetylchloride in triethylamine to produce the corresponding 2-azetidinones. Structures of the recently blended 2-azetidinone derivatives fixed on the basis of spectral details (IR, 1H NMR). Further, all compounds examine for antimicrobial action such as *Escherichia coli, Pseudomonas aeruginosa,* gram negative bacteria and *Staphylococcus aureus, Bacillus subtilis,* gram positive bacteria. These titles compounds show potent activity.

Keywords: β-Lactam, Synthesis, Characterization, Biological Study, 2-Azetidinones Derivative, Antimicrobial Study.

INTRODUCTION: The therapy of infective diseases still remains demanding and challenging problem due to increasing number of multidrug resistant capacity of microbial pathogens. To increase humane resistant power with less side effect, co-ntrol microbial infections and several kinds of other diseases it is challenge to develop a new drug. 2-Azetidinones are valuable category of moiety in organic, pharmaceutical chemistry and medicinal chemistry because of their versatile activity against microbial agents. Azetidinones are the carbonyl derivatives of azetidines consist of carbonyl body at the position-2. It is commonly called as β-lactam and has most important contributions of science field to mankind. 2-Azetidinones (β-lactam) play trustful role in organic, pharmaceutical chemistry and medicinal chemistry. Miracle antibiotics, such as penicillins and cephalosporins are hallmark due to the display of 2-azetidinone ring in them. 2-Azetidinone (β-lactam) possesses a broad spectrum of activities and are the routinely prescriptive medicines (antibiotics) for treating bacterial diseases, specially as antitubercular[1-3], antibacterial[4-6], antifungal[7-8], anti-inflammatory[9-10] and anticonvulsant[11-12] activity. The construction of 2- azetidinones (β-lactam) moiety by Staudinger's method involving cycloaddition of monochloroacetyl chloride with imine (Schiff base) finally get target moiety it is the most common method for the synthesis of 2- azetidinones (β-lactam).

MATERIAL AND METHODS: All solvents and chemicals used were of commercial or LR grade, and were used without further purification. The constructed combo are first purified by recyrstallisation using appropriate solvents. The melting points (ºC) were recorded by open capillary tubes method and were uncorrected. IR spectra's were recorded on Shimadzu FTIR using KBr discs. ^{1}H NMR spectra were recorded on Bruker Avance II 400 spectrometer in $CDCl_3$ using TMS as a internal standard reference.

General Procedure for synthesis of 2-Azetidionones derivatives: A mixture of Schiff base (1a-e) (0.01 mol) and triethyl amine [TEA] (0.01 mol) was diffuse in 1,4-dioxane (50 ml), cooled and stirred. To this well-stirred cooled solution chloro acetyl chloride (0.01mol) was added drop wise with stirring. The reaction mixture was then stirred for 3 hrs and left at room temperature for 48 hrs. The final mixture was concentrated, cooled, poured in to ice cold water, filter further dried. To remove the unreacted Schiff's base and the solid obtained with product was recrystallized by ethanol.

SCHEME

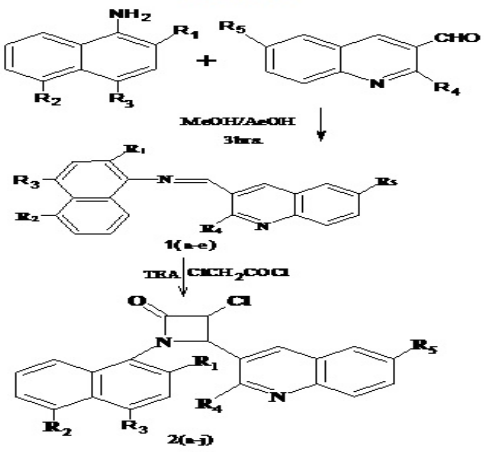

Table 1: Physical Properties of compounds.

Comp. No	R_1	R_2	R_3	R_4	R_5	Molecular Formula	MP°C	% Yield	R.F. Value	% Nitrogen	
										Found	Calculated
2a	H	SO_3H	H	Cl	CH_3	$C_{23}H_{16}Cl_2N_2O_4S$	155	65	0.59	5.71	5.74
2b	CH_3	H	H	Cl	CH_3	$C_{24}H_{18}Cl_2N_2O$	182	61	0.52	6.63	6.65
2c	H	NO_2	H	Cl	CH_3	$C_{23}H_{15}Cl_2N_3O_3$	218	66	0.60	9.24	9.29
2d	H	H	H	Cl	CH_3	$C_{23}H_{16}Cl_2N_2O$	180	66	0.53	6.84	6.87
2e	H	H	Br	Cl	CH_3	$C_{23}H_{15}BrCl_2N_2O$	211	62	0.54	6.85	6.89
2f	H	SO_3H	H	H	H	$C_{22}H_{15}ClN_2O_4S$	165	62	0.54	6.36	6.38
2g	CH_3	H	H	H	H	$C_{23}H_{17}ClN_2O$	199	64	0.51	7.48	7.51
2h	H	NO_2	H	H	H	$C_{22}H_{14}ClN_3O_3$	216	66	0.58	10.36	10.40
2i	H	H	H	H	H	$C_{22}H_{15}ClN_2O$	235	60	0.55	7.77	7.81
2j	H	H	Br	H	H	$C_{22}H_{14}BrCl\ N_2O$	216	63	056	7.78	7.83

Table 2: Antimicrobial Study.

Comp. No.	Gram -Ve		Gram +Ve	
	E. coli	***P. aeruginosa***	***S. Aureus***	***B. subtilis***
2a	19	15	16	18
2b	15	11	12	13
2c	19	18	19	15
2d	16	14	14	15
2e	14	15	16	14
2f	10	12	13	15
2g	13	15	12	11
2h	19	16	14	17
2i	10	11	07	13
2j	14	12	11	12

Strongly active range 15-19 mm, Moderately active range 11-14mm, Weakly active range 7-10 mm, Inactive range --

Antimicrobial activity: The antimicrobial activity of entire freshly coalescence admixtureremain examined respectively against gram-negative such as *Escherichia coli, Pseudomonas aeruginosa* and gram-positive bacteria such as *Staphylococcus aureus, Bacillus subtilis.* The culture of each microbes species was incubated at 37 °C and the region of inhibition on agar plates (diffusion method) was measured after 24 hrs. Most of these admixtures remain found active.

CONCLUSION: In antimicrobial screening (Table-2) it was observed that the above synthesized 2-Azetidionones (2 a-j) derivatives were found effective against all the microb strains. On the basis of screening data it was observed that these heterocyclic compounds can be easily used against treatment of disease caused by test microbes.

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