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Synthesis, Antibacterial and Antifungal Activity of 2-{1'-Aryl-1'-[4''-(2''', 3'''-Dichlorophenyl) Piperazin-Yl]-Methyl}-Cyclohexanone Hydrochloride

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Abstract: ***2-{1'-Aryl-1'-[4''-(2''',3'''-dichlorophenyl)piperazin-yl]-methyl}-cyclohexanone hydrochloride (4a-4l)have been synthesized. The products have been assayed for their antibacterial and antifungal activity against Gram+ve, Gram-ve bacteria and fungi. All the products were assigned with IR, [1]HNMR, Mass Spectra, TLC, and elemental analysis. Some of the products showed moderate activity, compare with known standard drugs.***

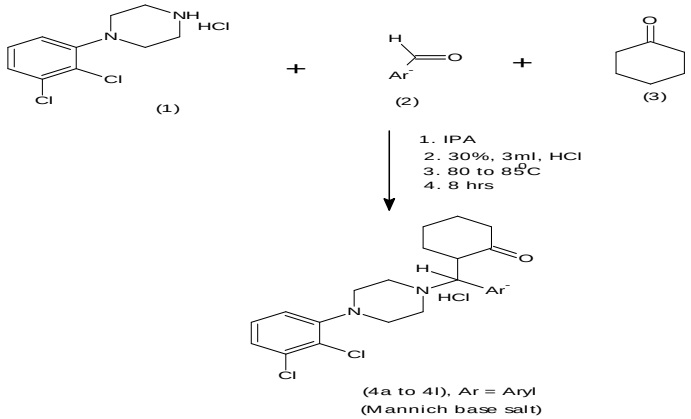
I. INTRODUCTION

Piperazine derivatives showed a vital role largely due to the wide ranging of therapeutic activities. Taking into consideration diverse biodynamic activities such as analagesic[1], antibacterial[2], antidiabetic[3], antifungal[4], antialcer[5, 6], antihistaminic[7], anthlminitic[8], antiinflammatory[9], antimicrobial[10]etc.The Mannich bases (4a-4l) have been synthesized by the condensation of 4-(2,3'-dichlorophenyl) piperazine hydrochloride, cyclohexanone with aromatic aldehyde in the presence of hydrochloric acid. All the products (4a-4l)were assigned with IR, [1]HNMR, Mass Spectra, TLC and Elemental analysis. The physical data recorded in Table no: I. antibacterial and anti-fungal activity recorded in Table no: II and comparable antibacterial and anti-fungal activity compared with known standard drugs represented in Table no: III.

A. Antibacterial and antifungal activity:

All the products (4a-4l) were tested by Cup-plate method[11] against the Gram positive Bacteria Bacillus megaterium; S.aureus, Gram negative bacteria Escherichia coli, S.Taphimarium and for antifungal activity against Aspergillusniger, Anrobacterawamori at a concentration of 50µg/ml, using DMF as a solvent. After 24hrs of incubation at 37°C, the zone of inhibition were measured in mm. The activity was compared with known standard drugs viz. Ampicillin, Chloramphenicol, Norfloxacin, Fluconazole at the same concentration (50µg/ml) which is represented in Table no II.All the synthesized compounds (4a-4l)showed moderate to good and remarkable activities with known standard drugs at same concentration which is represented in Table no III.

II. REACTION SCHEME



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III. EXPERIMENTAL SECTION

All the melting points were measured in open glass capillary method and are uncorrected. IR absorption Spectra (in cm^{-1}) were recorded on a SHIMADZU IR-435 spectrophotometer using KBr pellet method , ^{1}HNMR spectra on BRUKER (300mHz) spectrometer using $CDCl_3$ as internal standard (chemical shift in δppm) and Mass spectra on a Jeol-JMSD 300 Mass spectrometer at 70ev.The compounds were routinely checked by TLC method using silica gel G.

A. Synthesis of 2-{1'-(4''''-Methoxyphenyl-1'-[4''-(2''',3'''-dichlorophenyl) piperazin-yl]-methyl}-cyclohexanonehydrochloriode (4e)

A compound of 4-(2',3'-dichlorophenyl) piperazine hydrochloride (2.68gm, 0.01m); cyclohexanone (0.98ml, 0.01m); 4-methoxy benzaldehyde (1.36ml, 0.01m); and hydrochloric acid (30%, 3.0ml) were charged in Isopropyl alcohol (10.0ml) solvent. The reaction mixture was refluxed 80-85°C for 8hrs. After the completion of reaction Isopropyl alcohol was distilled out(6.0ml to 7.0ml) and Acetone was charged (10.0ml). Reaction mixture was refluxed for two hrs and cooled to 30-35°C. The reaction mass was filtered and washed with Acetone (5.0ml) and dried. % Yield: 82.00%; M.P.198°C (Required: C: 64.29;H:6.47;N:6.25 , $C_{24}H_{28}O_2N_2Cl_2$; Found: C: 64.25;H:6.42;N:6.21%). IR(KBr)(cm^{-1}): 2937 (C-H Str. Asym);2833(C-H Str. Sym);1444(C-H Str. Def); 3370(C-H Str., aromatic);1141(C-H Str., i.p.def);715(C-H Str., O.O.P def); 1307(C-N Str.), 1715 (C=0 str.)); ^{1}HNMR (δ ppm):2.62-2.66 (8H, d,d-CH_2); 3.31-3.37 (8H,d,-CH_2);3.77(3H,S,-OCH_3);6.85-7.69(4H,m,Ar-H);m/z: 86,97,110,131,177,199,265,310,387,413,448.Similarly other Mannich base salts(4a-4l)have been synthesized. The physical data of compounds represented in Table-I and antibacterial and anti-fungal activity of compounds (4a-4l) have been represented in Table-II and comparable antibacterial and anti-fungal activity represented in Table-III.

Table-I
The physical data of compounds (4a-4l)

Compound	Ar	Molecular formula	M.P. °C	% Yield	%Nitrogen	
					Calculated	Found
4a	C_6H_5-	$C_{23}H_{26}ON_2Cl_2$	178	88.00	6.71	6.69
4b	2-ClC_6H_4-	$C_{23}H_{25}ON_2Cl_3$	195	75.22	6.20	6.18
4c	4-ClC_6H_4-	$C_{23}H_{25}ON_2Cl_3$	188	73.01	6.20	6.16
4d	4-FC_6H_4-	$C_{23}H_{25}ON_2Cl_2F$	181	70.50	6.44	6.19
4e	4-OCH_3 C_6H_4-	$C_{24}H_{28}O_2N_2Cl_2$	198	82.00	6.25	6.21
4f	2,5-(OCH_3) C_6H_3-	$C_{25}H_{30}O_3N_2Cl_2$	202	71.15	5.87	5.84
4g	3,4-(OCH_3) C_6H_3-	$C_{25}H_{30}O_3N_2Cl_2$	219	72.30	5.87	5.83
4h	3,4,5-(OCH_3) C_6H_2-	$C_{26}H_{32}O_4N_2Cl_2$	237	78.25	5.52	5.50
4i	2-OH-C_6H_4-	$C_{23}H_{26}O_2N_2Cl_2$	163	71.60	6.47	6.43
4j	2-$NO_2C_6H_4$-	$C_{23}H_{25}O_3N_3Cl_2$	205	81.00	9.09	9.01
4k	3-$NO_2C_6H_4$-	$C_{23}H_{25}O_3N_3Cl_2$	220	85.15	9.09	9.02
4l	4-$NO_2C_6H_4$-	$C_{23}H_{25}O_3N_3Cl_2$	237	87.20	9.09	9.04

Table-II

Compound	Ar.	Antibacterial activity				Antifungal activity	
		Gram +ve bacteria		Gram –ve bacteria			
		B.mega	S.aureus	E.coli	S.Taphimarium	A. niger	A. awamori
4a	C_6H_5-	14	15	19	13	17	13
4b	2-ClC_6H_4-	19	16	18	18	20	19
4c	4-ClC_6H_4-	21	19	22	13	21	19
4d	4-FC_6H_4-	19	17	21	16	16	15
4e	4-OCH_3 C_6H_4-	18	19	17	16	19	18
4f	2,5-(OCH_3) C_6H_3-	21	18	17	14	18	19
4g	3,4-(OCH_3) C_6H_3-	20	19	18	18	13	21
4h	3,4,5-(OCH_3) C_6H_2-	19	17	21	15	14	20
4i	2-OH-C_6H_4-	13	18	17	22	16	15
4j	2-$NO_2C_6H_4$-	17	22	21	15	22	18
4k	3-$NO_2C_6H_4$-	16	19	20	19	16	17
4l	4-$NO_2C_6H_4$-	18	21	20	18	19	17
Note: Zone of inhibition in mm							

Table-III
Comparable antibacterial and anti-fungal activity with known standard drugs.

Compound	Maximum antibacterial and anti-fungal activity					
	B.mega	*S.aureus*	*E.coli*	*S. Taphimarium*	*A. niger*	*A. awamori*
(4a-4l) (50µg/ml)	4b,4c,4d,4f, 4g,4h	4c,4e,4g,4j, 4k,4l	4a,4c,4d,4h,4j, 4k,4l	4i,4k	4b,4c,4e,4j,4l	4b,4c,4f,4g,4h
Ampicillin 50µg/ml	22	21	20	21	-	-
Chloramphenicol 50µg/ml	21	22	23	20	-	-
Norfloxacin50 µg/ml	23	20	22	21	-	-
Fluconazole50 µg/ml	-	-	-	-	21	21

IV. CONCLUSION

The compounds 2-{1'-Aryl-1'-[4''-(2''',3'''-dichlorophenyl) piperazin-yl)]-methyl}-cyclohexanone hydrochloride (4a-4l) have been synthesized. Some of the compounds showed good remarkable antibacterial and antifungal activity with compared with known standard drugs e.g: Ampicillin, Chloramphenicol, Norfloxacin and Fluconazole.

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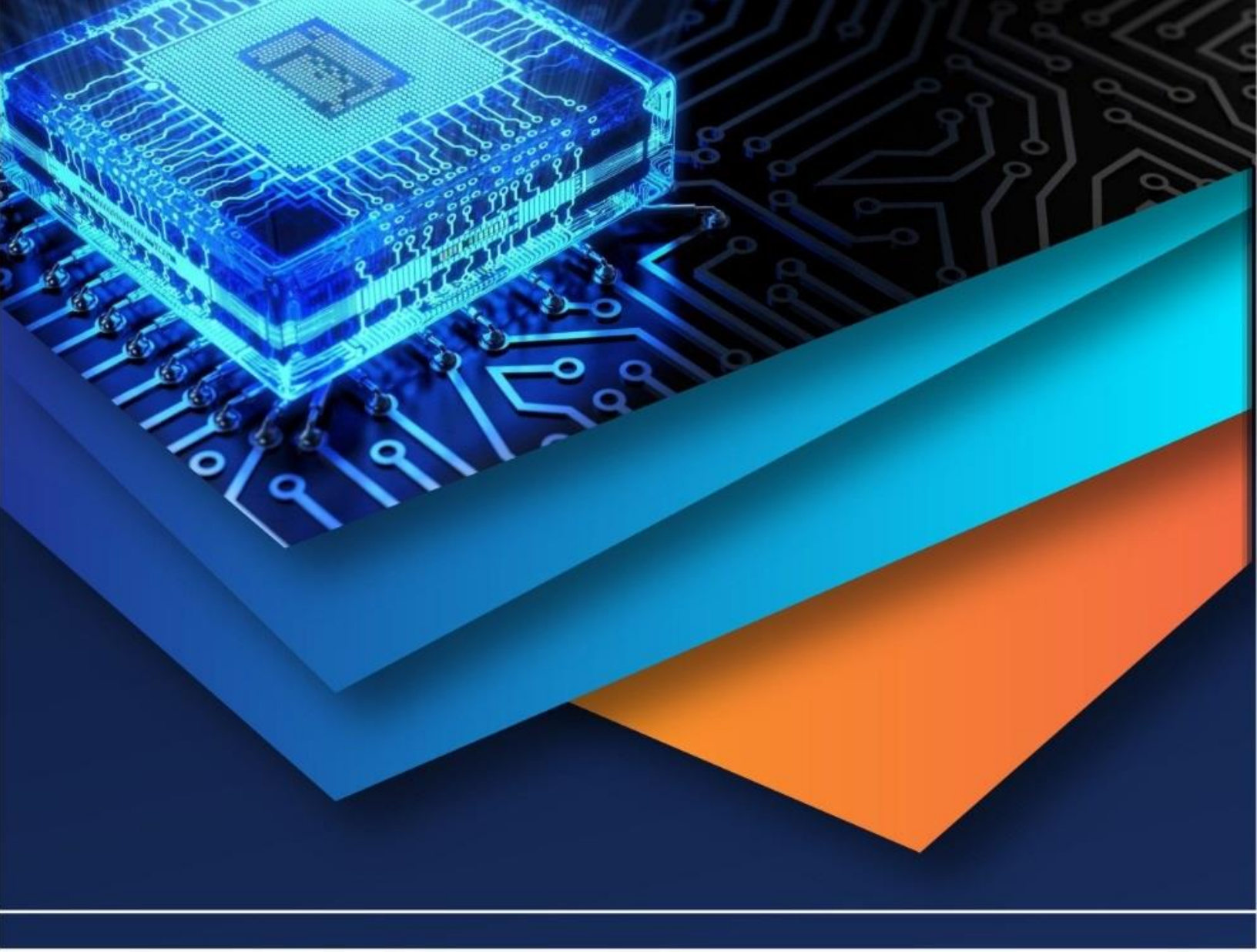

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