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Synthesis, Characterisation, Antibacterial and Antifungal activity of some 1,4 Dihydro -2,6 diethoxy-4-(aryl –substituted/2-furyl) pyridine -3,5- di- β -naphthamide derivatives

Anil Kumar Ahirwar[1]* and Santosh Narayan Chadar[2]
Department of Chemistry[1], Govt. P.G. College Bichhua, Chhindwara (M.P.), India
Department of Chemistry[2], Govt. Shyam Sundar Agrawal P.G. College, Sihora, Jabalpur (M.P.), India

Abstract:-
1,4-Dihydro- 2,6 diethroy -4- (aryl –substituted/2-furyl) pyridine 3,5 – di – β - naphthamide derivatives were prepared in two steps. (i) 1,4 – dihydro – 2,6 – diethoxy – 4- (aryl substituted/2-furyl) pyridine 3,5 – dicarboxylic acid diethylester, were prepared by malonic ester, aromatic aldehyde and ammonia in presence of ethanol (I). I[st] on treatment with β -naphthyl amine and 1,4 dioxan gave 1,4- Dihydro- 2,6 diethoxy-4- (aryl – substituted/2-furyl) pyridine-3,5- di β - naphthamide (II).

1,4 – dihydropyridine and its derivatives[1] are the most important class of calcium channel modulators [2] . They have been reported to posses' weak analgesic, carare like properties[3], antitumour[4]. and coronary dilating activating New derivatives of 1,4- dihydropyridine were tested for antihypertensive active by shinde et al.[5]

Keywords: - *1,4 Dihydropyridene, di-β –naphthalamide, Antibacterial. Antifungal activity*

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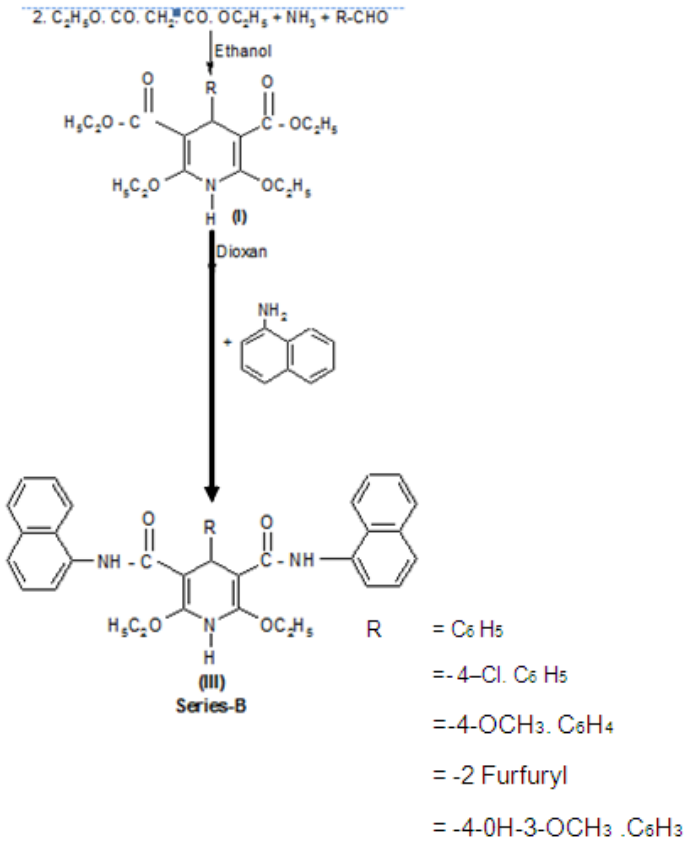
I. REACTION SCHEME-I



II. EXPERIMENTAL:

Final compounds were prepared in the following two steps.

(i) Synthesis of 1,4 – dihydro -2,6 diethoxy-4' (aryl- substituted /2- furyl) pyridine- 3,5 – dicarboxylic acid diethyl ester (compound no I)

- Malonic ester (0.6 mol.) was mixed with different aldehydes (0.3 mol) in ethanol (30 ml) and ammonia (6 ml).
- The mixture was refluxed for 7 hrs. on sand both and solid thus obtained was collected by filtration. The product was re-crystallized from ethanol and its purity checked by TLC.

(ii) Synthesis of 1.4 – Dihydro – 2,6- diethoxy-4- (aryl- substituted /2 furyl) pyridine -3,5 – di- β - napthamide. (compound no. II)

A mixture of (I) (0.02 mol) and β – naphthyl amine (0.04 mol) in dioxan (30 ml) were refluxed for 6 hrs. on sand bath. The solvent was removed by distillation under reduce pressure. The concentrated mixture was poured on to crushed ice. The solid formed was filtered, re-crystallized from methanol and its purity checked by TLC.

TABLE (I):- Physical data of various synthesized compound (II)

Com. No.	R*	M.F.	M.WT	MP in C^0	Yield (%)	Elemental Analysis					
						C		N		H	
						Cal.	Foun.	Cal.	Foun.	Cal.	Foun.
1	$-C_6H_5$	$C_{37}H_{32}H_3O_4$	582.65	166^{0}C	58	76.25	76.27	7.10	7.21	5.51	5.53
2	-4-OCH3. C_6H_4	$C_{38}H_{34}N_30_5$	612.67	187^{0}C	75	76.46	76.49	6.85	6.85	5.36	5.58
3	$-Cl.C_6H_4$	$C_{37}H_{31}N_30_5$	617.09	195^{0}C	72	72.09	72.10	6.79	6.80	5.02	5.05
4	2-furyl	$C_{35}H_{30}N_3O_5$	572.57	205^{0}C	69	73.40	73.42	7.30	7.33	5.25	5.27
5	4-0H-3.OCH_3 C_6H_3	$C_{38}H_{34}N_30_6$	628.68	184^{0}C	70	72.58	72.59	6.65	6.68	5.41	5.44

R* as described in Scheme –I

TABLE (II):- IR spectral data of synthesized compounds (II)

S. No.	Vibration	Frequency Cm^{-1}	Inference
1.	C-Cl Str.	680.35	Ar –Cl group
2.	C= C str	1633.32	In Aromatic (Ring)
3.	N-H str.	3438.16	In branch (chain)
4.	N-H str.	1558.30	In (Pyridine ring)
5.	C-H str.	2933.15	In – CH_3 group
6.	C-O str.	1735.49	in C=O group
7.	-OH str.	3645.36	In compound 5
8.	C-O-C str.	1258.35	In comp 2
9.	C-H str.	2918.6	In CH_3
10.	C-H str.	1172.04	Bending in place
11.	C-H Str	839.67	Bending out of place.

Antibacterial Activity

Antibacterial study[6,7] of synthesized compound. All the synthesized compounds were tested for Antibacterial property against three bacteria. ***Vibrio cholera, Staphylococcus aureus and Escherichia coli.***

TABLE: - (III) Antibacterial Activity of Synthesized compound: (II)

Antibacterial activity zones of inhibition (mm)

Comp. No.	R*	*Vibrio Cholera*		*Staphylococcus aureus*		*Escherichia Coli*	
		2 %	4 %	2 %	4 %	2 %	4 %
1	$-C_6H_5$	14	12	16	20	14	15
2	4-OCH_3. C_6H_4	10	11	12	11	18	20
3	$-Cl.C_6H_4$	13	15	13	17	9	14

4	2-Furyl	12	16	10	10	15	13
5	4-0H,3-OCH_3.C_6H_3	10	13	14	12	10	11

Antifungal Activity:-

The synthesized compounds were screened for their antifungal activity by using filter paper disc diffusion method[8]. All the synthesized compounds were tested for their antifungal property against three fungi. The fungi tested are ***Candida albicans, tricoderma viridae and Aspergilus parasitica.***

TABLE IV: - The Antifingal Activity of Syntesized Compound: (II)

Comp No.	R	*Candida albicans*		*Tricoderma Viridae*		*Aspergillus parasitica*	
		2%	4%	2%	4%	2%	4%
1	-C_6H_5	10	13	10	19	10	12
2	4-OCH_3CoH4	12	17	12	15	10	13
3	-Cl .C_6 H_4	17	20	14	22	17	20
4	2 Furyl	15	16	13	14	11	13
5	4-OH_3 OCH_3 C_6 H_3	9	14	9	13	14	16

III. RESULT AND DISCUSSION:-

The synthesized compound (II) No.1,2 & 3, Have been shown to good antibacterial activity and compound no 1,2,5 have been shown good antifungal activity.

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