ISSN: 0974 - 7516 Volume 8 Issue 4

Trade Science Inc.

Organic CHEMISTRY

An Indian Journal

Full Paper

OCAIJ, 8(4), 2012 [151-154]

N-[(4'-chlorophenyl)-(phenyl) methyl] aryl amides/aryl sulphonamide

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Received: 1st September, 2011 ; Accepted: 30th September, 2011

ABSTRACT

N-[(4'- Chlorophenyl)-(phenyl) methyl] aryl amide/(3a-3l) and N-[(4'-chlorophenyl)-(phenyl)-methyl]arylsulphonamides (4a-4l) have been synthesized. The products have been assayed for their biological activity against Gram +ve, Gram –ve bacteria and fungi. Some of the products showed moderate activity in concentration 50µg/ml. The structures of the products have been elucidated by IR, ^{1}HNMR, Mass spectral data, elemental analysis and thin layer chromatography.

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KEYWORDS

Arylamide;
Sulphonamides.

INTRODUCTION

Arylamides and sulphonamides derivatives possess good activity in the field of pharmaceutical as well as agrochemical field e.g. insecticidal[1], antimicrobial[2], anti-inflammatory[3], analgesic[4], etc, in view of getting we have synthesized arylamide and sulphonamides derivatives and evaluated its antimicrobial activity. The products arylamide (3a-3l) and sulphonamides (4a-4l) have been synthesized and assigned the IR, ^{1}H NMR, Mass spectral data, and elemental analysis. The physical data and antimicrobial activities are represents in TABLE 1

ANTIMICROBIAL ACTIVITY

N-[(4'-Chlorophenyl)(phenyl) methyl] arylamides (3a-3l)/ sulphonamides (4a-4l) products were evaluated in vitro for their antimicrobial activities against *Bacillus Megatarium, Staphylococcus aureus, Escherichia coli, Salmonella typhy, and Aspergillus niger* using DMF as solvent at 50 µg / ml. concentration by cup plate method[5]. After 24 hrs of incubation at 37°C, the zones of inhibition were measured in mm. The activity was compared with the known antibiotic, viz, Ampicillin, Chloramphenicol, Norfloxacin, and Gresiofulvin at same concentration.

All the synthesized compounds **(3a-3l)** and **(4a-4l)** showed moderate to good and remarkable activities compare to known standard drugs at same concentration. The physical data and antimicrobial activities are represented in TABLE l and comparable antimicrobial activity represented in TABLE 2.

EXPERIMENTAL

All the melting points were measured in open glass capillary method and are uncorrected. I.R. absorption

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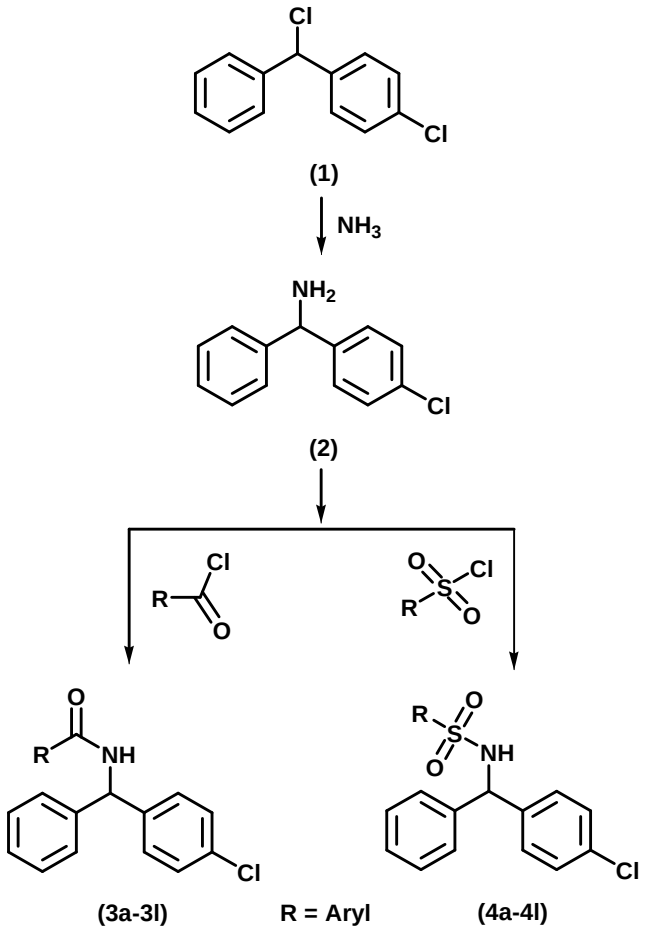

Reaction scheme

spectra (in cm^{-1}) were recorded on a shimadzu FT-IR 8400-spectrophotometer using KBr pallet method and ^1H NMR spectra on BRUKER spectrometer (300 MHz) using TMS as internal standard (chemical shifts in δ ppm) and compounds were routinely checked by TLC using silica gel G.

Synthesis of 4-[(4'- chlorophenyl) (phenyl) methyl] amine (2)

A mixture of 4-[(4'-chlorophenyl) (phenyl) methyl] chloride (2.37 gm, 0.01 M) in toluene ammonia gas (0.85 gm, 0.05 M) is purged for 8 hrs at 70-80°C and then toluene was distilled out. Yield: 54 %, m.p-70-72°C.

Synthesis of *N*-4-[4'-chlorophenyl) (phenyl) methyl]-4"-methoxy benzamide (3g)

A mixture of 4-[(4'-chlorophenyl) (phenyl) methyl] amine (2.18 gm, 0.01 M) and 4-methoxy benzoyl chloride (1.70 gm, 0.01 M) in dry pyridine (20 ml) was refluxed for 8 hrs. The resulting mixture was poured onto crushed ice and neutralized with hydrochloric acid. The product was filtered, washed with cold water and crystallized from ethanol. Yield 62%, m. p. 173°C. ($C_{21}H_{18}ClNO_2$: required: C 71.69; H, 5.12; N, 3.98; found: C, 71.67; H, 5.10; N, 3.90 %).

TABLE 1 : The physical data and antimicrobial activity of compounds (3a-3l) and (4a-4l)

Comp.	R	Molecular Formula	M.P.° C	Antimicrobial activity zones of inhibition in mm				Antifungal activity	% of Nitrogen	
				B.Mega	*S.aureus*	*E.Coli*	*S.typhi*	*A.niger*	Calcd.	Found.
3a	C_6H_5 -	$C_{20}H_{16}ClNO$	206	14	13	19	12	18	4.35	4.31
3b	2-CH_3-C_6H_4-	$C_{21}H_{18}ClNO$	212	16	11	15	13	16	4.17	4.12
3c	3-CH_3-C_6H_4-	$C_{21}H_{18}ClNO$	239	19	16	16	18	18	4.17	4.11
3d	4-CH_3-C_6H_4-	$C_{21}H_{18}ClNO$	154	17	12	14	11	20	4.17	4.14
3e	2-OCH_3-C_6H_4-	$C_{21}H_{18}ClNO_2$	159	13	15	14	14	17	3.98	3.96
3f	3-OCH_3-C_6H_4-	$C_{21}H_{18}ClNO_2$	145	18	11	15	10	14	3.98	3.97
3g	4-OCH_3-C_6H_4-	$C_{21}H_{18}ClNO_2$	173	16	13	16	13	15	3.98	3.90
3h	4-NH_2-C_6H_4-	$C_{20}H_{17}ClN_2O$	226	20	14	13	11	17	8.32	8.30
3i	3-4-$(CH_3)_2$-C_6H_3-	$C_{22}H_{20}ClNO$	163	17	12	12	14	20	4.00	7.65
3j	2-OH-C_6H_4-	$C_{20}H_{16}ClNO_2$	235	14	10	15	12	17	4.15	4.10
3k	4-NO_2-C_6H_4-	$C_{20}H_{15}ClN_2O_3$	146	15	10	17	10	18	7.64	7.60
3l	$C_4H_3N_2$-(pyrazine)	$C_{18}H_{14}ClN_3O$	239	17	15	15	11	19	12.98	12.95
4a	3-COOH- C_6H_4-	$C_{20}H_{16}ClNO_4S$	181	15	13	13	14	18	3.49	3.47
4b	4-OCH_3-3-COOH-C_6H_3-	$C_{21}H_{18}ClNO_5S$	134	18	16	15	13	14	3.24	3.22
4c	5-OCH_3-3-COOH-C_6H_3-	$C_{21}H_{18}ClNO_5S$	193	17	12	14	17	16	3.24	3.21
4d	4-OH-3-COOH-C_6H_3-	$C_{20}H_{16}ClNO_5S$	166	19	15	16	11	18	3.35	3.32

Comp.	R	Molecular Formula	M.P.° C	Antimicrobial activity zones of inhibition in mm				Antifungal activity	% of Nitrogen	
				B.Mega	*S.aureus*	*E.Coli*	*S.typhi*	*A.niger*	Calcd.	Found.
4e	2-OH-5-COOH-C_6H_3-	$C_{20}H_{16}ClNO_5S$	153	14	11	12	13	17	3.35	3.31
4f	4-Cl-3-COOH-C_6H_3-	$C_{20}H_{15}Cl_2NO_4S$	195	20	14	9	12	19	3.21	3.18
4g	2-Cl-5-COOH-C_6H_3-	$C_{20}H_{15}Cl_2NO_4S$	137	17	16	18	14	15	3.21	3.20
4h	2-CH_3-3-COOH-C_6H_3-	$C_{21}H_{18}ClNO_4S$	138	15	15	17	10	17	3.37	3.34
4i	5-CH_3-3-COOH-C_6H_3-	$C_{21}H_{18}ClNO_4S$	143	19	11	16	12	18	3.37	3.35
4j	2-CH_3-5-COOH-C_6H_4-	$C_{21}H_{18}ClNO_4S$	123	16	12	12	16	15	3.37	3.35
4k	2-COOH-$C_4H_2N_{2\text{-(pyrazine)}}$	$C_{18}H_{14}ClN_3O_4S$	158	17	13	13	14	18	10.41	10.38
4l	4-$NHCOCH_3$-C_6H_4-	$C_{21}H_{19}ClN_2O_3S$	178	18	15	10	12	20	6.75	6.72

TABLE 2 : Comparable activity with known standard drugs (zones of inhibition in mm)

Compounds (50 µg/ml)	*A.niger*	*B.mega*	*S. aureus*	*E.Coli*	*S. typhi*
Ampicillin	-	21	19	19	21
Chloramphanicol	-	24	20	25	23
Norfloxacin	-	25	20	25	24
Greseofulvin	25	-	-	-	-
(3a-3l)	3c, 3d, 3f, 3h, 3l	3c, 3e, 3l	3a, 3k	3c	3d, 3i, 3l
(4a-4l)	4b, 4c, 4d, 4f, 4i, 4l	4b, 4d, 4g, 4i, 4k, 4l	4f, 4g	4c, 4j	4f, 4k, 4l

Similarly other aryl amides (3a-3l) were synthesized. The physical data and antimicrobial activity are represented in TABLE 1.

IR (KBr); 2957 (C-H str. asym); 2873 (C-H str. sym); 1380 (C-H def. sym.); 3060 (C-H str.); 1492 (C=C str. aromatic); 1710 (C=O str. arylamide); 1198 (C-N str.); 3107 (N-H Str.), 754 (C-Cl str). ^{1}HNMR (DMF); 2.43 (3H,s,Ar-CH_3); 6.08 (1H,s,C-H);6.89 (2H,d,Ar-H); 7.08-7.21(5H,m,Ar-H);7.37-7.44 (4H,d, Ar-H); 7.62-7.64 (2H,d,Ar-H); 8.01- (1H,s,N-H). m/z: 336, 321, 306, 243, 200, 132, 107, 77.

Synthesis of N-[(4'-Chlorophenyl) (phenyl) methyl]-2"-methyl 4"-carboxy benzene sulphonamides (4i)

A compound of 4-[(4'-chlorophenyl) (phenyl) methyl] amine (2.18gm, 0.01 M) and 2-methyl-4-carboxybenzene sulphonyl chloride (2.34gm, 0.01 M) in presence of 5ml pyridine was refluxed. The reaction mixture was poured in to crushed ice and filtered, washed with water and crystallized from ethanol. Yield; 55%, m.p143ºC, ($C_{21}H_{18}ClNO_4S$: required: C; 60.65; H, 4.36; N, 3.37 Found: C; 60.61; H, 4.33; N, 3.35.).

Similarly other sulphonamides (4a-4l) were synthesized. The physical data and antimicrobial activity are represented in TABLE 1.

IR (KBr); 2949 (C-H str. asym); 2864 (C-H str. sym); 1346 (C-H def.sym.); 3061 (C-H str. aromatic); 1487 (C=C str. aromatic); 1093 (C-N Str.), 1346 (S=O str. asym.); 1184 (S=O str. sym.); 1717 (C=O str); 3161 (O-H str); 3350 (N-H Str.), 705 (C-Cl str.). ^{1}HNMR (DMF); 2.50 (3H,s,Ar- CH_3); 2.92 (1H,s,N-H); 6.64 (1H,s,C-H); 7.57-8.31 (12H,m,Ar-H); 9.99 (1H,s, O-H). m/z: 416, 402, 385, 346, 330, 318, 302, 276, 274, 228, 202, 100.

SUMMARY

N-[(4'- Chlorophenyl)-(phenyl) methyl] aryl amides (3a-3l) and / N-[(4'- Chlorophenyl)-(phenyl) methyl] arylsulphonamides (4a-4l) have been synthesized.

Compounds containing **(3c)**, **(3d)**, **(3l)**, **(4c)**, **(4f)**, **(4g)**, **(4k)**, **(4l)** were showed moderate comparable antimicrobial activity to other compounds. The compounds (3a-3l) and (4a-4l) antimicrobial activity compared with known standard drugs.

ACKNOWLEDGEMENT

The authors are thankful to management and Principal Shree M. & N. Virani science college, Rajkot for

providing research facilities. The authors are thank ful to UGC, Pune for providing research grant for minor research project.

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