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Synthesis and biological screening of 1-aroyl/aryl sulphonamido aminoindan

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ABSTRACT

1-aroyl aminoindan (2a-2j) and 1-arylsulphonamido indan have been synthesized. The product have been assayed for their biological screening against Gram +ve bacteria, Gram -ve bacteria and fungi. Some of the products showed moderate activity compare with known standard drugs. The products have been characterised by IR, ^{1}H NMR, Mass spectral studies and elemental analysis.

KEYWORDS

Aryl amide;
Sulphonamides.

INTRODUCTION

Arylamide and sulphonamide derivatives showed good biological activity e.g. antimicrobial[1], anti-inflammatory[2], analgesic[3] etc. In view of getting better therapeutic agents, we have synthesised arylamide (2a-2j) and sulphonamides (3a-3j). The products were assigned by IR, ^{1}H NMR, Mass spectral data, TLC and elemental analysis. The physical data and biological screening with MIC are represented in TABLE 1 and comparable MIC of synthesised product compare with known standard drugs are represented in TABLE 2.

BIOLOGICAL SCREENING

The antimicrobial activity was determined by broth dilution method[4,5] using DMSO as a solvent. The antibacterial activity was taken against Gram positive bacteria *S.aureus, S.pyogenes,* Gram negative bacteria *E.coli., P.aeruginosa* and anti fungal activity against *Candida albicans.* The minimum inhibition concentration (MIC) was measured in µg/ml which is represented in TABLE 1. The activity was compared with known standard drugs viz. Ampicillin, Chloramphenicol, Ciprofloxacin and Griseofulvin which is represented in TABLE 2 & TABLE 3

EXPERIMENTAL

All the melting points were measured by open glass capillary method and are uncorrected. IR absorption spectra (in cm-1) were recorded on a Shimadzu IR spectrophotometer using KBr pellet method, ^{1}H NMR spectra on Bruker (500MHz) spectrometer using DMSO-d6 solvent, TMS as internal standard (chemical shift in δppm) and mass spectra on Joel 300eV. The purity of the compounds was routinely checked by TLC using silica gel-G.

Synthesis of 1-N-(4'-methoxy benzoylamino) indan (2j)

A mixture of 1-aminoindan (1.33g,0.01M) and 4-methoxy benzoylchloride (1.70g,0.01M) in dry pyridine (20ml) was refluxed for 2 hrs. The resulting mixture was

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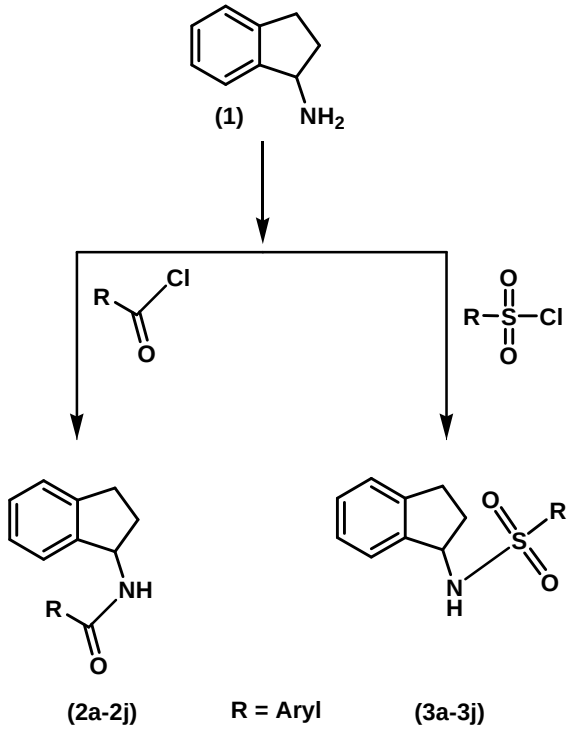
REACTION SCHEME



poured into crushed ice and neutralized with HCl. The product was filtered, washed with cold water and crystallized from methanol. Yield:61.24%, mp:147°C (Found:C:76.36; H:6.30; N:5.21%; $C_{17}H_{17}O_2N$ Cald. C:76.40; H:6.36; N:5.24%) IR (KBr) (cm^{-1}): 2937 (C-H str.asym), 2839 (C-H str.sym), 3022 (C-H str.aromatic), 1479, 1537 (C=C ring skeletal), 1627 (>C=Ostr), 3261 (N-H str.), 1249 (C-O-C str.) ^{1}H NMR (δppm):3.8 (s,3H,-OCH3), 1.9-2.9 (m,5H,C-H), 7.1-7.9 (m,8H,Ar-H) m/z:267, 152, 135, 117, 107, 92, 77, 65, 44

Similarly other arylamide (2a-2j) were synthesised and their physical data are recorded in TABLE 1.

Synthesis of 1-N- (5'-carboxy-2'-methoxy benzene sulphonamido) indan (3b)

A mixture of 1-aminoindan (1.33g,0.001M) and 3- (chloro sulphonyl)-4-methoxy benzoic acid (3.47g,0.01M) in presence of pyridine (5ml) was refluxed. The reaction mixture was poured into crushed ice and filtered, washed with water and recrystallized

TABLE 1 : The physical data and biological screening of compounds (2a-2j) and (3a-3j)

Compd.	R	mp°C	Antimicrobial Activity (MIC in µg/ml)				Antifungal Activity (MIC in µg/ml)	% of Nitrogen	
			Gram +ve bacteria		Gram -ve bacteria				
			S.aurius	S.pyogenes	E.coli	P.aeruginosa	C.albicans	Cald.	Found
2a	C_6H_5-	138	500	500	250	250	250	5.90	5.88
2b	C_6H_5-CH=CH-	102	500	500	100	100	1000	5.32	5.31
2c	2Cl-C_6H_4-	113	100	100	200	200	1000	5.15	5,11
2d	4-Cl-C_6H_4-	208	200	200	62.5	100	200	5.15	5.14
2e	2-CH_3- C_6H_4-	84	250	250	200	200	500	5.57	5.52
2f	3-CH_3- C_6H_4-	146	250	250	250	250	500	5,57	5.54
2g	4-CH_3- C_6H_4-	109	200	200	100	100	250	5.57	5.56
2h	3-NO_2- C_6H_4-	110	62.5	100	200	250	1000	9.92	9.89
2i	4-NO_2- C_6H_4-	117	200	200	200	250	1000	9.92	9.90
2j	4-OCH_3- C_6H_4-	147	250	250	250	200	250	5.24	5.19
3a	3-COOH- C_6H_4-	112	200	200	250	200	250	4.41	4.40
3b	2-OCH_3,5-COOH- C_6H_3-	114	100	100	200	250	1000	4.06	3.98
3c	4-OH,3-COOH- C_6H_3	173	200	200	100	100	1000	4.20	4.18
3d	4-Cl,3-COOH- C_6H_3	104	200	200	62.5	100	500	3.98	3.97
3e	3-CH=CHCOOH- C_6H_4-	98	250	250	200	62.5	200	4.08	4.04
3f	4-NH_2,3-COOH- C_6H_3	164	250	250	200	200	200	8.43	8.40
3g	4-$NHCOCH_3$- C_6H_4-	153	250	250	100	100	100	8.43	8.42
3h	4-CH_2COOH- C_6H_4-	62	100	100	200	200	250	4.22	4.18
3i	2-CH_3,5-COOH- C_6H_3-	118	200	200	250	250	500	4.22	4.17
3j	3-CH_3,5-COOH- C_6H_3	78	100	100	100	100	100	4.22	4.19

from methanol. Yield: 72.88%, mp:114°C (Found: C:58.73, H:4.87, N:3, 98%; C_{17} H_{17} O_5 SN; Cald. C:58.78, H:4.89; N:4.06%) IR (KBr) (cm^{-1}): 2937 (C-H str. asym.), 2848 (C-H str. sym.), 3022 (C-H str. aromatic), 1489 (C=C ring skeletal), 3273 (N-H str.),1639 (C=O str.), 3100-3300 (O-H str.), 1323 (S=O str.asym.), 1159 (S=O str. sym.), 1273 (C-O-C str.) 1H NMR (δppm):3.9 (s,3H,-OCH3), 9.0 (s,1H,-COOH), 7.1-7.9 (m,8H,Ar-H), 8.4 (s,1H,N-H), 1.9-2.9 (m,5H,C-H) m/z: 347, 231, 214, 133, 132, 116, 104, 89, 77, 57

Similarly other sulphonamides (3a-3j) were synthesised and their physical data are recorded in TABLE 2.

TABLE 2 : Compound showing comparable activity with known standard drugs:

Compound	S.aureus	S.pyogenes	E.coli	P.aeruginosa	C.albicans
(2a-2j)	2c, 2e, 2f, 2g, 2h, 2i, 2d, 2j	2c, 2i	2b, 2e, 2h	2b, 2e, 2h	2a, 2e, 2f, 2g, 2h, 2j
(3a-3j)	3a, 3b, 3c, 3d, 3e, 3f, 3g, 3h, 3i, 3j	3b, 3h, 3j	3c, 3d, 3g, 3j	3c, 3d, 3g, 3j	3a, 3d, 3e, 3f, 3g, 3h, 3i, 3j

TABLE 3 : Activity of standard drugs (MIC in μg/ml):

Sr. No.	Drugs	S.aureus	S.pyogenes	E.coli	P.aeruginosa	C.albicans
1	Ampicillin	250	100	100	100	-
2	Chloramphenicol	50	50	50	50	-
3	Ciprofloxacin	50	50	25	25	-
4	Griseofulvin	-	-	-	-	500

CONCLUSION

1-aroyl aminoindan (2a-2j) and 1-aryl sulphonamide indan (3a-3j) have been synthesised.

The compounds 2c, 2d, 2e, 2i, 3d, 3e, 3g, 3j showed good comparable antimicrobial activity with known standard drugs.

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