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Potential antimicrobial agens of 5-imidazolones

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ABSTRACT

1-(5'-Bromofuran-2-carboxyamido)-2-phenyl-4-(substituted benzylidene)-imidazolin-5-ones ***(3a-j)*** *have been synthesised by the condensation of different 5-oxazolones with 5-bromofuran-2-carbohydrazide. The structures of all the synthesised compounds were confirmed by their elemental analysis and IR, ^{1}H NMR spectral data. All the synthesised compounds have been screened for their antimicrobial activity.*

Keywords: Azlactones, 5-Imidazolones, Antimicrobial activity, Spectral data.

INTRODUCTION

Literature survey reveals that 5-Imidazolones have exhibited promising biological and pharmacological activities [1,2]. Imidazolone derivatives constitute an important class of compounds possessing diverse types of biological properties including CNS depressant [3,4], antiallergic [5], antifilarial [6], antiparkinsonian [7], anthelmintic [8] etc… activities. In a view of above observation and in a continuation of our work [9-13] on 5-imidazolones, it is though of interest to synthesis 5-Imidazolones **(3a-j)**. Imidazolin-5ones have been prepared by the condensation of different oxazolones with 5-bromofuran-2-carbohydrazide. 5-Imidazolones derivatives have been prepared by Erlenmeyer condensation of hippuric acid with the different substituted aldehydes in the presence the of sodium acetate and acetic anhydride.

MATERIALS AND MATHODS

All the melting points were determined in an open capillary and are uncorrected. The IR spectra were recorded on Perkin-Elmer spectrum BX series FT-IR spectrophotometer. ^{1}H NMR spectra on a Varian Gemini 400 MHz spectrometer with $CDCl_3$ as a solvent and TMS as internal reference. The chemical shifts are expressed in part per million (ppm) downfield from the internal standard and signals are quoted as *s* (singlet), *d* (doublet) and *m* (multiplate). Thin Layer Chromatography (TLC) analytical separation was conducted with Silica Gel 60 F-254 (Merck) plates of 0.25mm thickness eluted with visualized with UV (254nm) or iodine to check the purity of the synthesised compounds. Elemental analyses were carried out on a Perkin-Elmer series ii 2400 equipment.

Preparation of 1-(5'-bromofuran-2'-carboxamido)-2-phenyl-4-(3'-methoxy benzylidene)-imidazolin-5-one (3a) : A mixture of 2-phenyl-4-(3'-methoxy benzylidene)-oxazole-5-one (0.01 mol), 5-bromofuran-2-carbohydrazide (0.01 mol) and pyridine (15 mL) were taken in a round bottom flask and refluxed for 13 h in the presence of a few drops of glacial acetic acid. After that the reaction mixture was a poured into crushed ice and neutralized with conc. HCl. The solid separated out was filtered, washed with water, dried and recrystallized from ethyl alcohol to give (3a).

Similarly, the remaining compounds **(3b-j)** were prepared by this method. Their physical data are given in **Table-1**

Compounds 3(a) (IR, KBr, cm^{-1}) : 3015 (=CH str), 1639 (C=C), 830 (-CH str; 1,4-substitution), 1239 (C-O-C), 1656 (C=O, -CONH), 1730 (C=O, Imidazolone ring), 639 (C-Br). ^{1}H NMR ($CDCl_3$ δ ppm) : 3.88 (*s*, 3H, m-OCH_3), 6.5 (*s*, 1H, -CH-Ar), 7.0-8.4 (*m*, 12H, -Ar-H + Ar-CH= + CH of furan ring), 9.1 (*s*, 1H, -CONH).

RESULTS AND DISCUSSION

Minimum inhibitory concentration (MIC) of all the synthesised compounds have been screened by Broth dilution method against four different strains, viz. Gram positive bacteria (*S. aureus* MTCC 96 and *S. pyogenes* MTCC 442) and Gram negative bacteria (*E.coli* MTCC 443 and *P. aeruginosa* MTCC 1688) and compared with standard drug Ampicillin. Antifungal activity against *C. albicans* MTCC 227, *A. niger* MTCC 282 and *A. clavatus* MTCC 1323 organisms was determined by same method and compared with standard drug Griseofulvin.

Antibacterial Activity

In Gram positive bacterial strains compounds **3a, 3d, 3e, 3f** and **3i** showed good to very good activity (25 – 150 µg/ml) against *S. aureus* ; where as compound **3e** and **3f** showed very good activity (62.5 – 100 µg/ml) against *S. pyogenes* compared with Ampicillin. In Gram negative bacterial strains : The result shows that compounds **3d** and **3f** showed very good activity (25 – 125 µg/ml) against *E. coli* ; compound **3f** showed good activity (50 – 100 µg/ml) against *P. aeruginosa*. All others compounds show moderately active or less active against all bacterial strains.

Antifungal Activity

From the screening results **(Table – 2)**, compounds **3g, 3h** and **3j** showed very good activity against *C. albicans*, while Compound 3b showed good activity against *C. albicans* compared with Griseofulvin. Rest of the compounds moderately active or less active against all bacterial strains.

Table -1 Characterization data of compounds (3a-j)

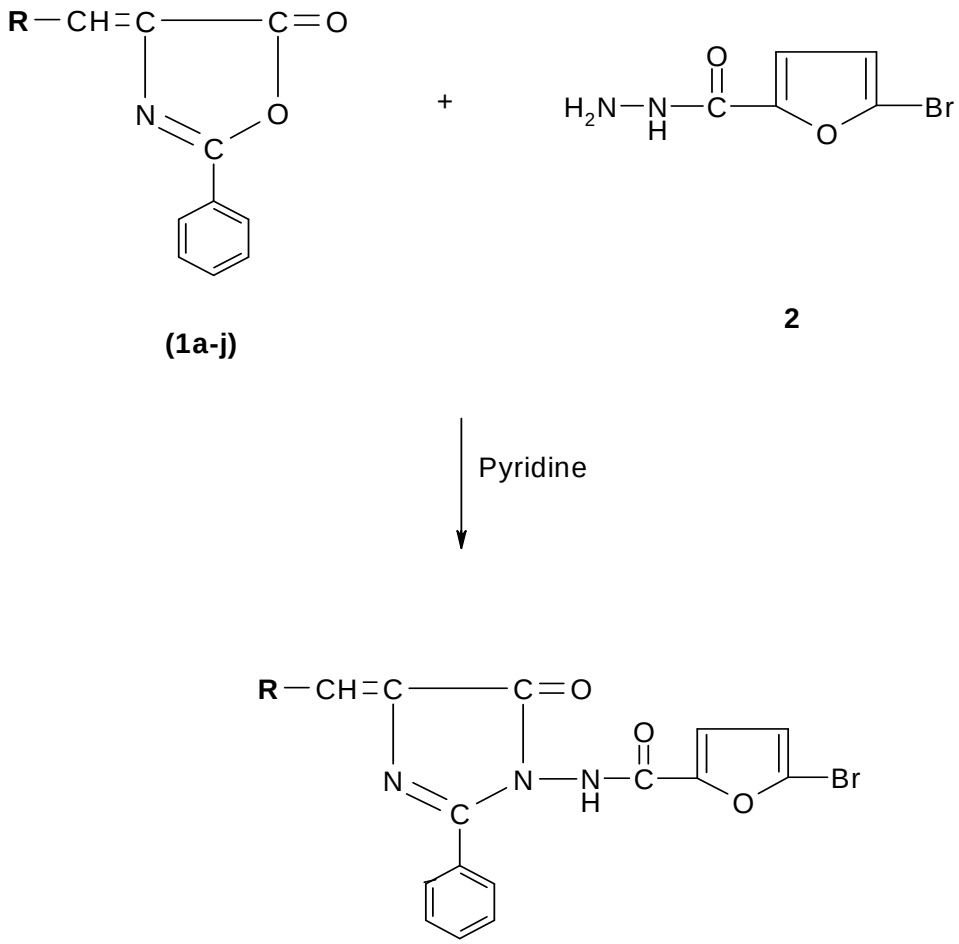
Comps	R	M. F.	m.p. °C	Elemental Analysis		
				% C Found (Calcd)	% N Found (Calcd)	% H Found (Calcd)
3a	3-Methoxyphenyl	$C_{22}H_{16}BrN_3O_4$	158	56.67 (56.65)	9.01 (9.00)	3.46 (3.44)
3b	4-Hydroxy-3-methoxyphenyl	$C_{22}H_{16}BrN_3O_5$	143	54.79 (54.77)	8.71 (8.69)	3.34 (3.32)
3c	4-Hydroxy-3-ethoxyphenyl	$C_{23}H_{18}BrN_3O_4$	182	57.71 (57.70)	8.75 (8.73)	3.78 (3.76)
3d	2-Bromo-4-hydroxy-3-methoxyphenyl	$C_{22}H_{16}Br_2N_3O_5$	255	47.09 (47.07)	7.49 (7.45)	2.69 (2.66)
3e	4-Hydroxyphenyl	$C_{21}H_{14}BrN_3O_4$	149	55.77 (55.75)	9.29 (9.27)	3.12 (3.10)
3f	4-Ethylphenyl	$C_{23}H_{18}BrN_3O_3$	188	59.50 (54.47)	9.05 (9.03)	3.91 (3.89)
3g	4-Ethoxylphenyl	$C_{23}H_{18}BrN_3O_4$	175	57.71 (57.69)	8.75 (8.74)	3.78 (3.77)
3h	3,4-Dimethyphenyl	$C_{23}H_{18}BrN_3O_3$	121	59.50 (54.48)	9.05 (9.02)	3.91 (3.90)
3i	3-Methylphenyl	$C_{22}H_{16}BrN_3O_3$	195	58.68 (58.65)	9.33 (9.31)	3.58 (3.55)
3j	4-N,N-Diethylphenyl	$C_{25}H_{23}BrN_4O_3$	119	59.18 (59.16)	11.04 (11.02)	4.57 (4.55)

Table 2 – Antibacterial and antifungal activity data of compounds (3a-j)

Compound	Minimal bactericidal concentration µg/ml				Minimal fungicidal concentration µg/ml		
	Gram positive		Gram negative				
	S. aureus MTCC 96	*S. Pyogenus* MTCC 442	*E. coli* MTCC 443	*P. aerug* MTCC 1688	*C. albicans*MTCC 227	*A. niger* MTCC 282	*A. clavatus* MTCC 1323
3a	125	125	200	125	1000	>1000	>1000
3b	500	500	250	200	500	1000	1000
3c	200	200	250	250	>1000	500	1000
3d	62.5	250	62.5	125	1000	1000	1000
3e	100	100	200	200	1000	>1000	>1000
3f	100	100	100	100	100	1000	1000
3g	250	250	250	200	250	>1000	>1000
3h	250	250	200	200	200	1000	1000
3i	120	200	200	200	1000	250	250
3j	200	200	250	200	250	>1000	>1000
Ampicillin	250	100	100	100	-	-	-
Griseofulvin	-	-	-		500	100	100

CONCLUSION

Compound having ethyl group have exhibited more antimicrobial activity. These results suggest that the chalcone derivatives have excellent scope for further development as commercial antimicrobial agents.



SCHEME

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