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Synthesis and Antimicrobial Activity of 1-(4′-Trifluoro methylphenyl)-2-phenyl-4-(benzylidene/substituted Benzylidene/2′-furylidene/2′-thienylidene)-imidazolin-5-ones

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Some new 1-(4′-trifluoromethyl phenyl)-2-phenyl-4-(benzylidene/substituted benzylidene/2′-furylidene/2′-thienylidene)-imidazolin-5-ones have been prepared by refluxing the mixture of 5-oxazolones with 4-amino benzotrifluoride in pyridine. The synthesized compounds were screened for their antibacterial activity. Structures of the synthesized compounds have been elucidated on the basis of their elemental analysis and spectral data.

Key Words: Imidazolin-5-ones, 5-Oxazolones, 4-Amino benzotrifluoride, Antibacterial activity.

INTRODUCTION

Literature reveals that imidazolin-5-ones have exhibited promising biological and pharmacological activities[1, 2]. Recently the chemistry of oxazolones has received important attraction due to their use as intermediates for the synthesis of some heterocyclic systems[3]. Imidazolin-5-ones have been found to possess potent CNS depressant[4], anti-convulsant[5], anti-inflammatory[6], sedative and hypnotic activity[7]. 1,2,4-Trisubstituted-imidazolin-5-ones have been reported to possess mono-amino oxidase (MAO) inhibitory activity[8]. The methods for the synthesis of imidazolin-5-ones have been reported[9–13].

In the present work imidazolin-5-ones have been prepared by condensation of 4-amino benzotrifluoride with different 5-oxazolones (azalactones). These azalactones have been prepared by Erlenmeyer condensation of hippuric acid with different aldehydes in presence of sodium acetate and acetic anhydride[14].

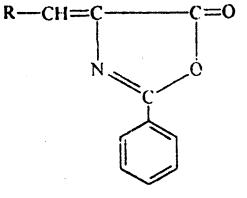
EXPERIMENTAL

All melting points were determined in open capillary and are uncorrected. IR spectra in KBr were taken on Perkin-Elmer 283 spectrophotometer. NMR spectra in $CDCl_3$ were recorded on Bruker-Avance DPX 200 MHz spectrophotometer. Satisfactory elemental analyseis were obtained on Carlo-Erba 1108 analyzer. Purity of the compounds was checked on TLC using Silica gel-G. All the physical data and analytical data are shown in Table-1.

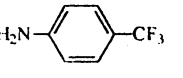
TABLE-1
PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS (1–19)

Compd. No.	R	m.f.	m.p. (°C)	Elemental analysis (%)			
				C		N	
				Found	Calcd.	Found	Calcd.
1.	Phenyl	$C_{23}H_{15}F_3N_2O$	165	70.35	70.40	7.13	7.14
2.	2-Chlorophenyl	$C_{23}H_{14}ClF_3N_2O$	255	64.77	64.71	6.51	6.56
3.	3-Chlorophenyl	$C_{23}H_{14}ClF_3N_2O$	250	64.74	64.71	6.58	6.56
4.	4-Chlorophenyl	$C_{23}H_{14}ClF_3N_2O$	155	64.74	64.71	6.60	6.56
5.	3-Bromophenyl	$C_{23}H_{14}BrF_3N_2O$	256	58.51	58.59	6.00	5.94
6.	2-Nitrophenyl	$C_{23}H_{14}F_3N_3O_3$	145	63.14	63.15	9.64	9.61
7.	3-Nitrophenyl	$C_{23}H_{14}F_3N_3O_3$	114	63.16	63.15	9.66	9.61
8.	4-Nitrophenyl	$C_{23}H_{14}F_3N_3O_3$	160	63.19	63.15	9.59	9.61
9.	4-Methoxyphenyl	$C_{24}H_{17}F_3N_2O$	112	64.22	68.24	6.65	6.63
10.	4-Ethoxyphenyl	$C_{25}H_{19}F_3N_2O_2$	190	68.77	68.80	6.35	6.42
11.	3-Phenoxyphenyl	$C_{29}H_{19}F_3N_2O_2$	217	71.95	71.90	5.71	5.78
12.	2,3-Dichlorophenyl	$C_{23}H_{13}Cl_2F_3N_2O$	167	59.81	59.86	6.00	6.07
13.	2,5-Dimethoxyphenyl	$C_{25}H_{19}F_3N_2O_3$	156	66.32	66.37	6.25	6.19
14.	4-N,N-Dimethylamino-phenyl	$C_{25}H_{20}F_3N_3O$	157	68.93	68.96	9.68	9.65
15.	2-Hydroxyphenyl	$C_{23}H_{15}F_3N_2O_2$	168	67.59	67.64	8.91	6.86
16.	3,4,5-Trimethoxyphenyl	$C_{26}H_{21}F_3N_2O_4$	226	64.34	64.37	5.86	5.80
17.	Cinnamyl	$C_{26}H_{21}F_3N_2O_4$	241	64.70	64.73	5.75	5.80
18.	2-Furanyl	$C_{21}H_{13}F_3N_2O_2$	203	65.91	65.96	7.38	7.32
19.	2-Thienyl	$C_{21}H_{13}F_3N_2OS$	222	63.44	63.31	7.00	7.03

Reaction

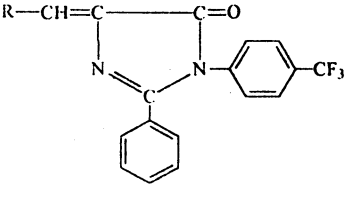


Azalactones



4 - Amino benzotrifluoride

Pyridine



(1-19)

Preparation of 1-(4′-trifluoromethylphenyl)-2-phenyl-(2′,5′-dimethoxy)-benzylidene-imidazolin-5-one (13): A mixture of 2-phenyl-4-(2′,5′-dimethoxy)-benzylidene-oxazol-5-one (0.01 mol) and 4-aminobenzotrifluoride (0.01 mol) in 10 mL of dry pyridine were refluxed for 12 h. After that the reaction mixture was poured into crushed ice and neutralized with HCl. The product obtained was filtered or decanted and washed with water, dried and recrystallised from alcohol.

Similarly other compounds were also prepared by the same method.

IR (cm^{-1}) (KBr): 1650 ν(C=O), 1600 ν(C=N), 1580 ν(C=C), 1250 ν(C—O—C). NMR spectra ($CDCl_3$): 3.8 (s, 3H, *o*-OCH_3), 3.9 (s, 3H, *m*- OCH_3), 7.00 to 7.8 (m, 8H, Ar—H^+=CH—Ar)

Antibacterial Activity

The synthesized compounds have been screened for their antimicrobial activity against *S. aureus, E. coli, S. paratyphi-A* and *B. subtilis* using agar cup method[15] at 40 μg/mL concentration. DMF was used as solvent. The zone of inhibition with respect to controlled medium is presented in Table-2. The sensitivity of the compounds against the said microbes was compared with standard drug as chloramphenicol, streptomycin and penicillin. The zone of inhibition was measured in mm.

TABLE-2
ANTIBACTERIAL ACTIVITY OF COMPOUNDS (1–19)

Compd.	R	Diameter of zone of inhibition (in mm)			
		S. aureus	*E. coli*	*S. paratyphi-A*	*B. subtilis*
1.	Phenyl	—	8	—	9
2.	2-Chlorophenyl	—	10	—	—
3.	3-Chlorophenyl	—	—	—	—
4.	4-Chlorophenyl	10	—	9	—
5.	3-Bromophenyl	—	12	8	—
6.	2-Nitrophenyl	8	10	12	—
7.	3-Nitrophenyl	8	9	8	—
8.	4-Nitrophenyl	—	8	8	10
9.	4-Methoxyphenyl	8		8	—
10.	4-Ethoxyphenyl	10	8	—	—
11.	3-Phenoxyphenyl	—		—	—
12.	2,3-Dichlorophenyl	—	8	—	—
13.	2,5-Dimethoxyphenyl	10	10	—	—
14.	4-N,N-Dimethylaminophenyl	10	9	8	—
15.	2-Hydroxyphenyl	10	8	—	10
16.	3,4,5-Trimethoxyphenyl	—	9	—	—
17.	Cinnamyl	10	11	—	—
18.	2-Furanyl	—	—	—	—
19.	2-Thienyl	—	9	—	—

From the experimental data it has been observed that the compounds **5, 17** and

6 bearing R = 3-bromo phenyl, cinnamyl and 2-nitrophenyl respectively are found to be moderately active against *E. coli* and *S. paratyphi-A*. The remaining compounds show poor activity or inactivity against other microorganisms.

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