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Synthesis and Antimicrobial Activity of 1-Phenyl/Substituted Phenyl/Benzyl/Naphthyl-2-Phenyl-4-(3′-Phenoxy Benzylidene)-Imidazoline-5-ones

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2-Phenyl-4-(3′-phenoxy benzylidene)-2-oxazole-5-one react with aromatic/ substituted aronaatic/benzyl/naphthyl amine in presenee of pyridine to give 1-phenyl/substituted phenyl/benzyl/naphthyl-2-phenyl-4-(3′-phenoxy benzylidene)-imidazoline-5-ones. The physical and spectral data of the synthesised compounds were determined by elemental and spectral analysis. The synthesised compounds were screened for their *in vitro* antibacterial activity.

Key words: Synthesis, Antimicrobial activity, Imidazoline-5-one.

INTRODUCTION

In continuation of our work on the synthesis of imidazoline-5-one[1, 2], we have prepared some new 1-phenyl/substituted phenyl/benzyl/naphthyl-2-phenyl-4-(3′-phenoxy benzylidene)-imidazoline-5-ones. The methods for the synthesis of imidazoline-5-ones have been reported[3–7]. The benzylidene imidazoline-5-ones are biologically active[8, 9]. Recently imidazoline-5-ones have been reported to possess antibacterial activity[10, 11]. Imidazoline-5-ones have been found to possess potent CNS depressant[12], anticonvulsant[13], antiinflammatory[14], sedative and hypnotic activity[15]. 1,2,4-Trisubstituted-imidazoline-5-ones have been reported to possess mono amine oxidase (MAO) inhibitory activity[16]. This observation prompted us to synthesise 1-phenyl/substituted phenyl/benzyl/naphthyl-2-phenyl-4-(3′-phenoxy benzylidene)-imidazoline-5-ones.

Interaction of aromatic/substituted aromatic/benzyl/naphthyl amine with 2-phenyl-4-(3′-phenoxy benzylidene)-2-oxazole-5-one (azlactone) which was prepared by well known Erlenmeyer azalactone synthesis[17] yields the corresponding 1-phenyl/substituted phenyl/benzyl/naphthyl-2-phenyl-4-(3′-phenoxy benzylidene-imidazoline-5-ones. In this reaction pyridine was used as a solvent.

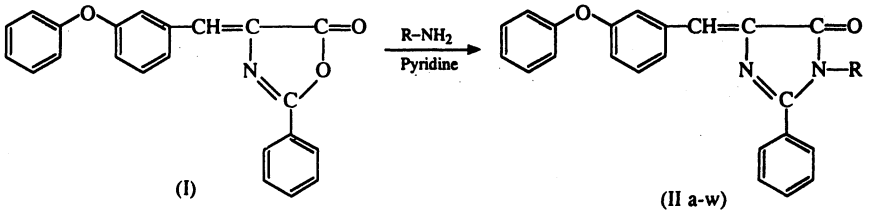

TABLE-1
PHYSICAL AND ANALYTICAL DATA OF COMPOUNDS

Comp. No.	R	m.f.	m.p. (°C)	Elemental analysis %, Found (Calcd.)		
				C	H	N
IIa	phenyl	$C_{28}H_{20}N_2O_2$	125	80.70 (80.77)	4.77 (4.81)	6.69 (6.73)
IIb	2-chloro phenyl	$C_{28}H_{19}N_2O_2Cl$	155	74.48 (74.58)	4.21 (4.22)	6.18 (6.22)
IIc	3-chloro phenyl	$C_{28}H_{19}N_2O_2Cl$	178	74.56 (74.58)	4.11 (4.22)	6.13 (6.22)
IId	4-chloro phenyl	$C_{28}H_{19}N_2O_2Cl$	231	74.58 (74.58)	4.09 (4.22)	6.19 (6.22)
IIe	2-methyl phenyl	$C_{29}H_{22}N_2O_2$	110	80.95 (80.93)	5.15 (5.12)	6.48 (6.51)
IIf	3-methyl phenyl	$C_{29}H_{22}N_2O_2$	168	80.89 (80.93)	5.01 (5.12)	6.50 (6.51)
IIg	4-methyl phenyl	$C_{29}H_{22}N_2O_2$	167	80.91 (80.93)	5.06 (5.12)	6.40 (6.51)
IIh	2-methoxy phenyl	$C_{29}H_{22}N_2O_3$	Limpid	78.01 (78.03)	4.81 (4.93)	6.23 (6.28)
IIi	3-methoxy phenyl	$C_{29}H_{22}N_2O_3$	160	77.91 (78.03)	4.90 (4.93)	6.28 (6.28)
IIj	4-methoxy phenyl	$C_{29}H_{22}N_2O_3$	183	78.00 (78.03)	4.88 (4.93)	6.12 (6.28)
IIk	2-ethyl phenyl	$C_{30}H_{24}N_2O_2$	114	80.90 (81.08)	5.28 (5.40)	6.31 (6.31)
III	4-ethyl phenyl	$C_{30}H_{24}N_2O_2$	187	81.01 (81.08)	5.38 (5.40)	6.39 (6.31)
IIm	2-ethoxy phenyl	$C_{30}H_{24}N_2O_3$	Limpid	78.26 (78.26)	5.22 (5.22)	6.01 (6.09)
IIn	4-ethoxy phenyl	$C_{30}H_{24}N_2O_3$	188	78.15 (78.26)	5.19 (5.22)	6.03 (6.09)
IIo	3-acetamido phenyl	$C_{30}H_{23}N_3O_3$	103	76.13 (76.11)	4.72 (4.86)	8.70 (8.88)
IIp	4-acetamido phenyl	$C_{30}H_{23}N_3O_3$	164	76.05 (76.11)	4.79 (4.86)	8.75 (8.88)
IIq	2-phenoxy phenyl	$C_{34}H_{24}N_2O_3$	175	80.20 (80.31)	4.60 (4.72)	5.40 (5.51)
IIr	4-phenoxy phenyl	$C_{34}H_{24}N_2O_3$	199	80.25 (80.31)	4.72 (4.72)	5.44 (5.51)
IIs	2,3-dichloro phenyl	$C_{28}H_{18}N_2O_2Cl_2$	impid	69.14 (69.28)	3.66 (3.71)	5.75 (5.77)
IIt	2,5-dichloro phenyl	$C_{28}H_{18}N_2O_2Cl_2$	impid	69.18 (69.28)	3.69 (3.71)	5.72 (5.77)
IIu	4-bromo phenyl	$C_{28}H_{19}N_2O_2Br$	222	67.80 (67.88)	3.79 (3.84)	5.54 (5.66)
IIv	1-naphthyl	$C_{32}H_{22}N_2O_2$	160	82.39 (82.40)	4.71 (4.72)	5.93 (6.01)
IIw	benzyl	$C_{29}H_{22}N_2O_2$	82	80.80 (80.93)	5.04 (5.12)	6.39 (6.51)

TABLE-2
ANTIMICROBIAL ACTIVITY OF COMPOUNDS

Comp. No.	Diameter of zone of inhibition (in mm)			
	S. aureus	*E. coli*	*P. vulgaris*	*P. aeruginosa*
IIa	11	14	–	–
IIb	22	11	10	9
IIc	16	11	–	–
IId	13	12	–	–
IIe	17	13	–	–
IIf	18	15	–	–
IIg	15	14	–	–
IIh	13	13	8	9
IIi	12	13	–	–
IIj	14	16	–	–
IIk	16	11	–	–
III	13	12	–	–
IIm	19	17	12	11
IIn	15	16	–	–
IIo	16	12	9	8
IIp	12	13	10	10
IIq	11	11	–	–
IIr	11	12	–	8
IIs	18	18	9	10
IIt	16	14	10	9
IIu	13	10	13	12
IIv	16	15	–	10
IIw	15	20	–	–

EXPERIMENTAL

All melting points were determined in open capillary and are uncorrected. IR spectra in KBr were taken on Perkin-Elmer 237 spectrophotometer. NMR spectra in $CDCl_3$ were recorded on Bruker-Avance DPX 200 MHz spectrophotometer. Satisfactory elemental analyses were obtained. Purity of the compounds was checked on TLC using silica gel-G.

General Procedure

2-Phenyl-4-(3′-phenoxy benzylidene-oxazole-5-one (I) was prepared by the reported method.

Preparation of 1-(4′-methyl phenyl)-2-phsnyl-4-(3′-phenoxy benzylidene)-imidazoline-5-one (IIg): A mixture of 2-phenyl-4-(3′-phenoxy benzylidene)-oxazole-5-one (0.01 mol), 4-methyl aniline (0.01 mol) and 10 mL of dry pyridine were taken in a round-bottom flask and heated under reflux for 12 h. After that the reaction mixture was poured into crushed ice and HCl. The product obtained

was filtered or decanted and washed with cold water, dried and recrystallised from suitable solvent. Similarly other compounds were also prepared by the same method.

IR (KBr) cm^{-1}: **IIg**: 1650 ν(C=O), 1620 ν(C=N), 1590 ν(C=C), 1250 ν(C—O—C) and 2860 ν(—CH_3).

NMR ($CDCl_3$): **IIg**: 2.3 δ (s, 3H, CH_3), 7.7 δ {s, 1H, ethylenic proton), 6.5–7.5 δ (m, 18H, aromatic proton).

Antibacterial activity:

The synthesised compounds were tested for their antimicrobial activity against *S. aureus, E. coli, P. vulgaris* and *P. aeruginosa* using agar cup method[18] at 100 μg/mL. 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 penicillin. Zone of inhibition was measured in mm. Most of the compounds show quite good activity towards *S. aureus* and *E. coli* while inactive against *P. vulgaris* and *P. aeruginosa.*

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