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SYNTHESIS AND CHARACTRIONS OF SOME NEW ANTIMICROBIAL HETROCYCLES COMPOUNDS OF IMIDOZOLE DERIVATIVES

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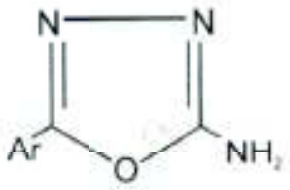
ABSTRACT

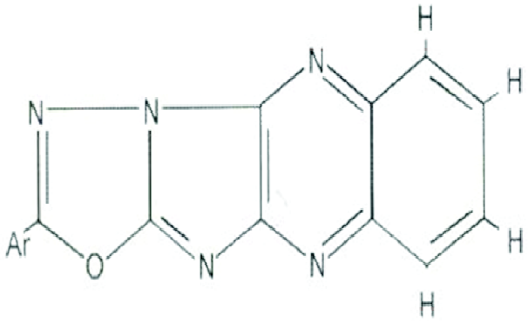
This paper describes the biological properties associated with the imidazole nucleus, it is desirable to obtain facile methods for the synthesis of fused ring systems, derived from fusion of the imidazole nucleus with other biolabile heterocyclis, because these have been less extensively studied as compared to monocyclic imidazole derivatives.

KEYWORDS: Oxadiaozole, Activities, Lmidazole, Heterocyclic

1,3,4-Oxadiaozole ring (1) has been reported to display bactericida, fungicidas, analgesic, antipyretic and insecticidal, activities. lmidazole compounds exhibit antunflammatory (Zhang *et al.*, 2014), hypertensive (Rana *et al.*, 2021), anticonvulsive (Chopra *et al.*, 2020) and antibacterial activities (Chopra and Sahu, 2020). lmidazole exhibit as anthelmintics and nematocides stimulated considerable interest in exploring the possible synthesis of potential condensed in heterocyclic systems in which biologically active imidazole ring is fused with quinoxalin moieties. A large number of quinoxalin derivatives have been reported as bactericides, fungicides, herbicides and insecticides, 2-p-chloro-phenyl-3-chloroquinaxoline useful as fungicide against bean powdery mildew, bean rust, riceblast and angular leaf spot ‘of cucumber. Some 2-styryl-4-amino-6-methoxy quinaxolines have been claimed as bactericides which also inhibited the growth of fungi at higher concentration. 4-Diethylamin'o-2-p-‘chlorostyrl-7- chloroquinoxaline was found to be effective bactericides against Mycobacterium tuberculosis at 0.25-0.5 µg/ml. In the view of above, to synthesis the compound (2) with the anticipation that a combination of 1,3,4-oxadiazole moiety with quinaxolines structure might result compounds of enhanced fungicidal activity.



(1)



(2)

EXPERIMENTAL

2-aryl 1,3,4- oxadiazolo [3,2-6] imidazo [4,5-5] quinoxalines was prepared by the method of Mohan and Kumar, 2003. A Solution of 2-amino-5-aryl-1,3,4-oxadiazole (1.169, 0.0005 mole), 2,3-dichloroquinoxaline (0.999, 0.005 mole) and anhydrons sodium acetate (0.829, 0.01 mole) in absolute ethanol (70 ml.) was heated under reflux for 6 hours. The reaction mixture was concentrated, cooled and poured into cold water. A ppt. obtained which was filtered, dried & recrystallised from methanol. The other compound prepared in this way have been listed in Table 1.

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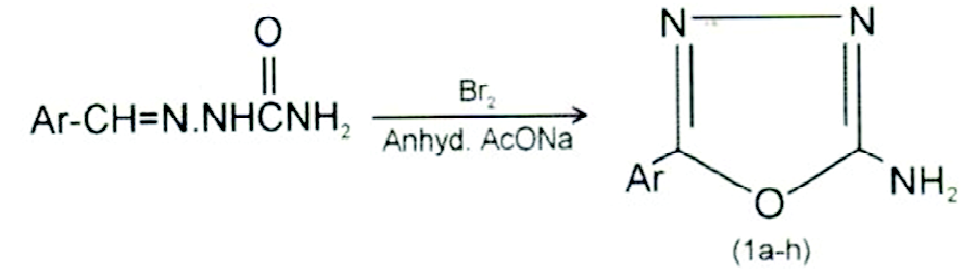
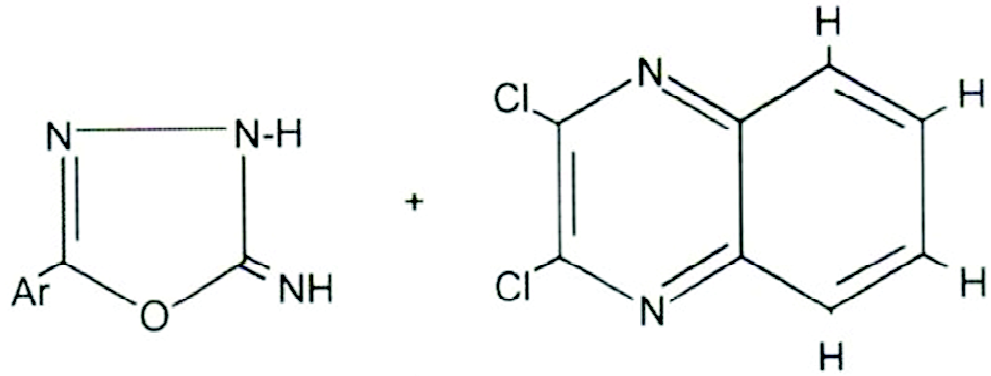
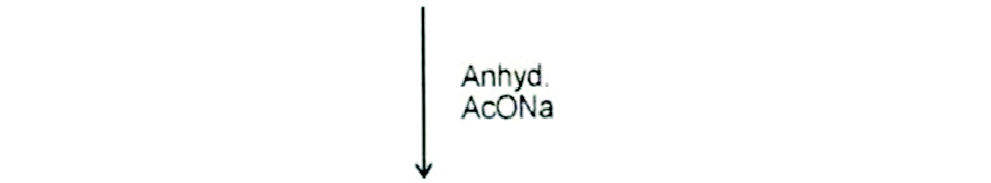
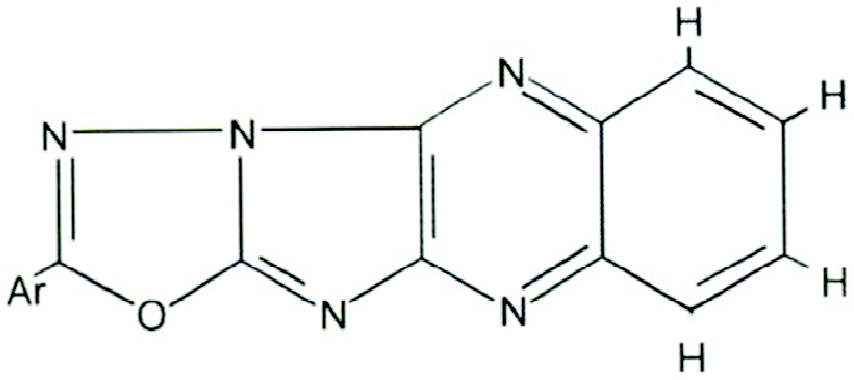
CHEMICAL REACATIONS



(1a-h)







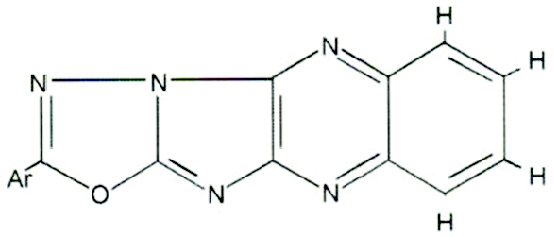
(2a-h)

For 1,2; Ar = a, Phenyl; b, 4-Nitrophenyl; c, 2,Nitropheny|; d, 4-Chlorophenyl; e, 2-Chlorophenyl; f, 4- Methylphenyl; g, 3-Methylphenyl.

RESULTS AND DISCUSSION

The physical data and spectral data of some compounds are recorded in Table 2.

Table 1: 2-ARYL 1,3,4- OXADIAZOLO [3,2-6] IMIDAZO [4,5-5] QUINOXALINES



(1 a-h)

Co.No.	Ar	M.P. °C	Yield %	M.F.	Analysis N%	
					Found	Calculated
1a	C_6H_5	195	61	$C_{16}H_9N_5O$	24.32	24.39
1b	p-NO_2-C_6H_4	262	57	$C_{16}H_8N_6O_3$	25.24	25.30
1c	o-NO_2-C_6H_4	264	55	$C_{16}H_8N_6O_3$	25.20	25.30
1d	p-Cl-C_6H_4	236	50.36	$C_{16}H_8N_5OCl$	21.69	21.77
1e	o-Cl-C_6H_4	238-240	52	$C_{16}H_8N_5OCl$	21.67	21.77
1f	p-CH_3-C_6H_4	--	52	$C_{17}H_{11}N_5O$	23.19	23.25
1g	o-CH_3-C_6H_4	258	54	$C_{17}H_{11}N_5O$	23.17	23.25
1h	m-CH_3-C_6H_4	267	56.02	$C_{17}H_{11}N_5O$	23.19	23.25

Table 2: Spectral data of some representative number of compounds

Comp. No.	IR D_{max} C_m^{-1}	^{1}H NMR 6
1a-	1525 (C-N), 1600 (C=C) 1610 (C=N) 3040 (aromatic C-H stretching) 700, 740, 780, 860 (Disubstituted benzene ring)	7.29-8.12 (9H,m, aromatic proton)
1c-	1532 (C-N), 1605 (C=C) 1615 (C=N) 3052 (aromatic C-H stretching) 710, 760, 810, 840 (Substituted benzene nucleus)	7.07-8.15 (8H,m, aromatic proton)
1g-	1540 (C-N), 1610 (C=C) 1630 (C=N) 3065 (aromatic C-H stretching) 712, 765, 815, 860 (Substituted benzene nucleus)	2.49 (3H, S, CH3 proton) 7.25-8.20 (8H,m, aromatic proton)

Five such compounds have been screened for their antibacterial activity against two bacterial species. The screening data have been reported in Teble-3.

It is observed from the antibacterial data that these compounds are moderately active against both organism at higher concentration.

Table 3: Number of Replication in Each Case = 3

Zone of Inhibition (m.m.)				
Compound No.	**S. aureus Concentrations used**		**E. coli Concentrations used**	
	100 μgml^{-1}	**10** μgml^{-1}	**100** μgml^{-1}	**10** μgml^{-1}
1a	12	11	11	10
1c	20	18	19	17
1e	18	15	16	13
2f	17	14	15	12
2g	15	12	13	10
Amphicillin	26	24	22	19

CONCLUSION

The screening data indicates that all the tested compounds are more active against both S. aureus and E. coli. The compound 1a and 1g are less active than the compound 1a,1c and 1f indicating that introduction of methyl group in aromatic nucleus reduces its activity. Further it is observed from the screening data that introduction of Nitro group or Chloro group in aryl moiety present at heterocyclic ring increase the antibacterial activity.

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