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ONE STEP NOVAL SYNTHESIS AND BIOLOGICAL EVALUATION OF 2-AMINO-5-SUBSTITUTED-1,3,4-THIADIAZOLES.

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Abstract:

Recently in our laboratory a novel synthesis of 2-Amino-5-Substituted-1,3,4-thiadiazoles synthesis was successfully carried out by condensation of aryl acid with thiosemicarbazide in presence of $POCl_3$ by using 'green chemistry' approach. The reactions are simple one step reactions. The purity of synthesized compound and its derivatives was justified by Thin Layer Chromatography. The conformation of structure was done as usual by chemical characteristics, elemental analysis and spectral studies. IR spectra was recorded on FT-IR SHIMADAZU, and X-ray Diffraction by RIGAKUMINIFLEXII, The synthesized compounds were tested for their antimicrobial activity against three microorganisms namely E-coli, S. Aureus and P.seudomonas, and the minimum inhibitory concentrations (MICs) of the tested compounds were determined by the dilution method using Ampicillin, Chloramphenicol, Tetracycline.

Key words: *2-Amino-5-substituted-1,3,4-thiadiazoles,synthesis, biological activities.*

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INTRODUCTION:

1, 3, 4-thiadiazole nucleus having heterocyclic have their own importance and significance in medicinal, agricultural, industrials, pharmaceutical and drug chemistry and its derivatives are widely applied in medicine [3] and agriculture [4] as pesticides. Nowadays, Schiff bases and its analogs having thiadizoles nucleus created their own importance in medicinal chemistry, the research field dealing with Schiff base coordination chemistry has expanded enormously [6] Schiff bases resulted from aromatic aldehydes have been reported in their biological properties, such as, antibacterial [7], antifungal activities [8-10], concedering the applications of 1,3,4-thiadizole nucleus we work out on this scheme.

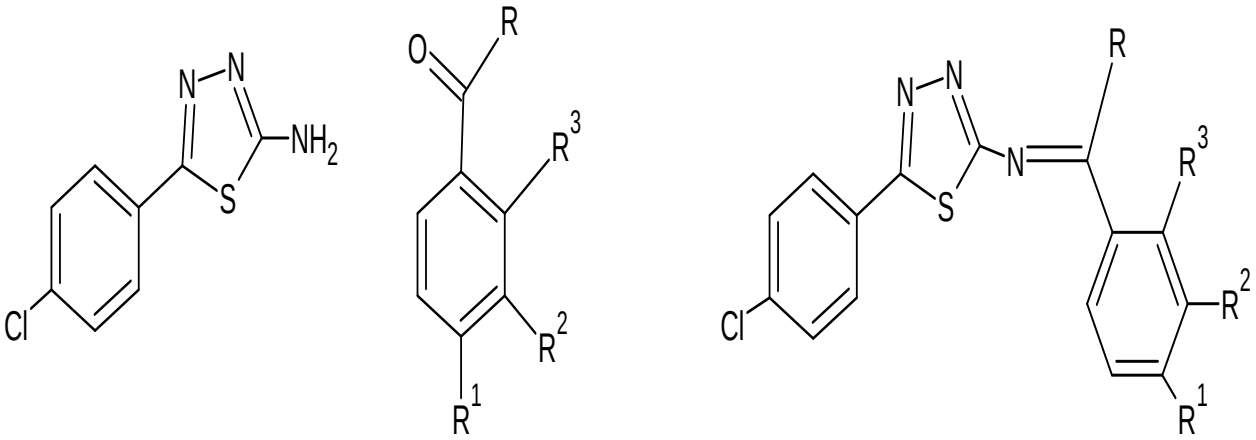
EXPERIMENTAL DATA:

TLC was performed by using glacial acetic acid and ethyl acetate (1;1) ratio as a solvent and iodine and a visualing agent . In experimental study the melting point were taken in capillary tube at a room temperature which are incorrected. All derivatives are pure by crystallization process and the purity of derivatives confirmed by TLC. FT-IR (SHIMADAZU), X-ray Diffraction-RIGAKUMINIFLEXII,

METHODOLOGY AND DISCUSSION OF RESULTS:

General method for synthesis of Schiff bases

A mixture of substituted thiadiazole and substituted aromatic aldehyde in glacial acetic acid was refluxed for two hours, cooled and poured cold water with stirring till precipitation was complete.



The data of physical properties of synthesized Schiff bases are given in table 1. All compounds are studied by IR, NMR, X-ray diffraction which discussed as below respectively,

Where, R = H, CH_3 R^1 = Cl, -$N(CH_3)_2$, H R^2= NO_2 R^3 = -OH, H

Table 1:

Sr.No.	compound no.	Molecular formula	M. Pt. ^0C	R1	R2	R3	R4	Yield (%)	Mol.wt gm/mole
1	S1	$C_8H_6N_3SCl$	128	--	--	--	--	--	221.5
2	Mas1	$C_{17}H_{14}N_4SCl$	90	H	$N(CH3)_2$	H	H	45	341.5
3	Mas2	$C_{15}H_9N_3SClO$	219	H	H	H	OH	50	314.5
4	Mas3	$C_{15}H_8N_3SCl_2$	160	H	Cl	H	H	40	333
5	Mas4	$C_{15}H_8N_4SClO_2$	110	H	H	NO_2	H	42	333
6	Mas5	$C_{16}H_{12}N_3SClO$	180	CH_3	H	H	OH	35	343.5

Table 2- FT-IR Spectra in cm^{-1}

Mas 131 - 1662.64 (C=N bond), 1595.13(N-H bend), 1438.90(C-H bend), 812.03(para substitution), 729.09(C-Cl bond).

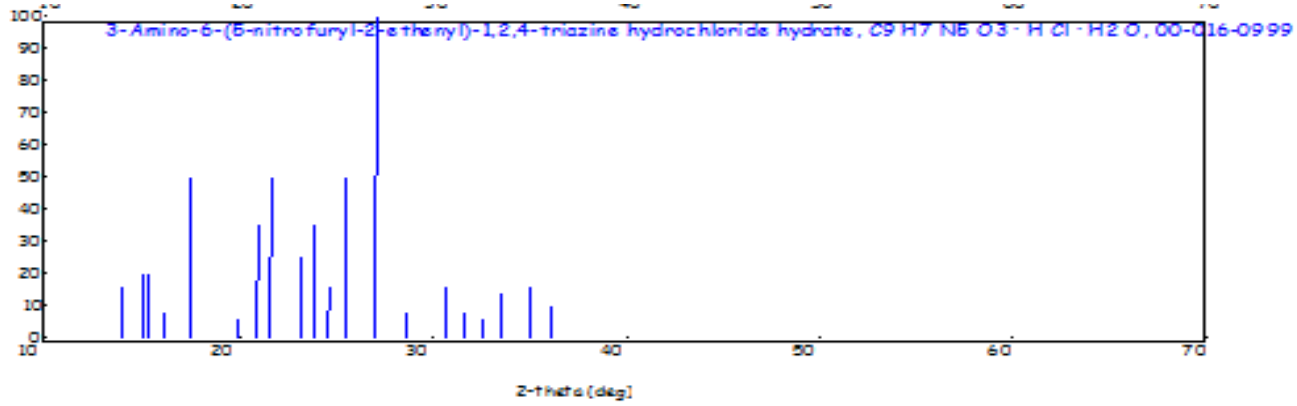
Mas 132 - 3736.12 (-OH bond), 3088.03(C-H stretch), 831.32(meta substitution-OH), 669.30(C- Cl stretch)

Mas 134 - 3282.84 (N-H stretch), 1508.33(Ar C=C stretch), 775.38(C-Cl stretch)

H^1NMR(Compound S1) : 7.3 (d. 1H, J=7.31), 7.5 (d, 1H, J=7.48), 7.9 (d,1H, J=7.93)

6.8 (s, J=6.83).

X-ray diffraction



Crystal data and structure refinement for S1 Molecule

Empirical formula	C6H8NCl
Temperature	293K
Formula weight	179.2
Crystal system	Centro symmetric
Unit cell dimensions	a = 9.3, b = 7.25A˚, 91.5^0,c = 11.0A˚

Biological assay: Antibacterial study of Schiff base.

Table 2: FT-IR Spectra in cm^{-1}

Sr.No.	*S.aureus*	*Pseudomonas*	*E. coli*
S1	15	17	15
Mas1	19	16	19
Mas2	15	16	19
Mas3	17	13	20

CONCLUSION:

An environmental benign method was adopted to synthesize1,3,4- thiadiazole and its derivatives. The method is economical and very efficient[9]. The yield is quite high with good purity of the molecules. The molecules have good anti-microbial activity [10].

In the present work, various derivatives of 1,3,4-thiadiazole were synthesized by using aromatic carboxylic acid as starting material with moderate to good yield. The method is atom economic, easy and efficient and eco –friendly. The method has advantages of cheaper chemicals and safely too. The method has additional advantage of easy work up and the compounds are obtained in high purity without any tedious separation. Thus, the method has good number of advantages. The Rf values, determined for two molecules viz. compound number 1 and its derivatives, are close to 0.5. X-ray diffraction studies give the crystalline nature of the compounds

The biological assay indicates high antimicrobial activity against *E. coli*, *S. Aureus* and *P. Seudomonas*. For some compounds the activity is better than the reference drugs. This indicates that the molecules are good candidates for lead optimization.

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