



SYNTHESIS AND CHARACTERIZATION OF 5-SUBSTITUTED NAPHTHALENE-1,3,4-THIADIAZOLE-2-BENZAMIDE WITH THEIR BIOLOGICAL STUDIES

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ABSTRACT

Heterocyclic moieties found in a large number of compounds which display biological activity. These are cyclic compounds having at least one heteroatom. 1,3,4-Thiadiazole is the latest in the evolution of open source of organic chemistry. 1,3,4-Thiadiazole is specially powerful and important in syntheses. In present work a novel series of 5-substituted-1,3,4-thiadiazole-2-arylhydrazide were synthesized from 2-amino-5-substituted-1,3,4-thiadiazole. The synthesized compound having maximum yield and low E-factor. All the chemicals uses during the synthesis of compounds is non hazardous as well as purified. The synthesized compounds characterized by elemental analysis, IR-Spectra, NMR spectra, mass spectra

Keywords: Synthesis, Characterizations, Substituted 1,3,4-thiadiazole, Antibacterial, Antioxidant activity

1. Introduction

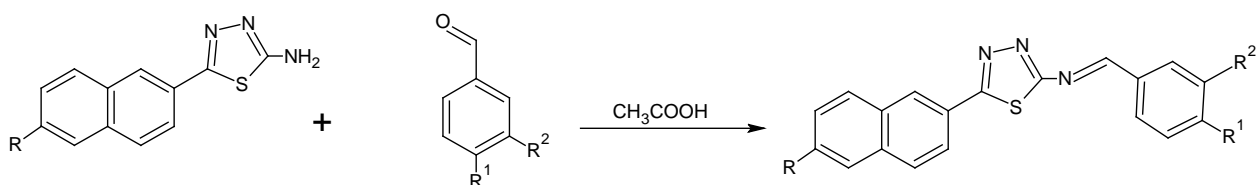
Heterocyclic moieties found in a large number of compounds which display biological activity. These are cyclic compounds having at least one heteroatom. Thiadiazole contains the five-member diunsaturated ring structure having molecular structure formula $C_2H_3N_3S$ containing a two carbon atom, three hydrogen, three nitrogen and one sulphur. Derivatives of 1, 3, 4-thiadiazoles¹ have been recognized as molecules with high antimicrobial activity. In

accordance with the availability of the earlier drugs having thiadiazole nucleus for the chemotherapy of bacterial diseases, differently substituted thiadiazole moieties have also been found to have other interesting activities such as antibacterial², antimicrobial³, anti-convulsant⁴ and anti-hepatitis B viral activities. In recent years 1,3,4-thiadiazole derivatives have received significant attention and have been increasingly investigated due to their diverse range of biological properties. 1,3,4-thiadiazole have many biological activities as antimicrobial activity, anti-inflammatory, anti-fungal, etc. Derivatives of 1, 3, 4-thiadiazoles have been recognized as molecules with high antimicrobial activity. In accordance with the availability of the earlier drugs having thiadiazole nucleus for the chemotherapy⁷ of bacterial diseases, differently substituted thiadiazole moieties have also been found to have other interesting activities such as antibacterial³, antimicrobial⁸, anti-convulsant⁹ and anti-hepatitis B viral activities.

2. EXPERIMENTAL DATA

Scheme 1

A mixture of substituted benzaldehyde react with of aminonaphthalene-1,3,4-thiadiazole in glacial acetic acid was refluxed for two hours, after cooling the reaction mixture poured in ice-cold water with stirring till precipitation was complete.



Where,

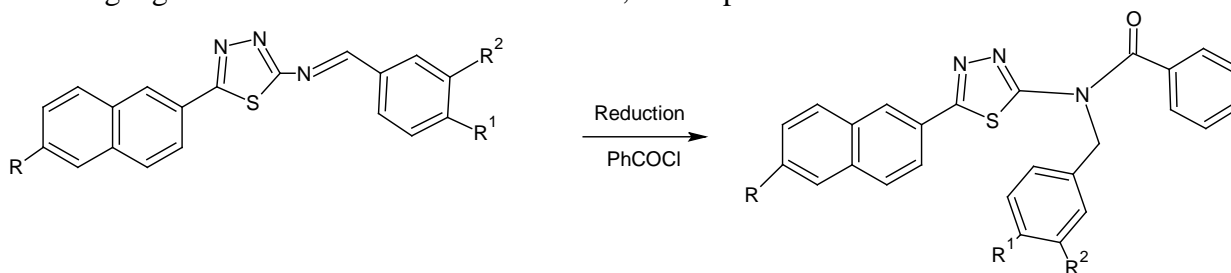
R : -Cl,

R¹: -N(CH₃)₂, R²: -Cl, -NO₂

Scheme 2

A compound react with PhCOCl in presence of reducing agent was refluxed for three hours,

after cooling the reaction mixture poured in ice-cold water with stirring till precipitation was complete.



3. Characterization

Compound No.	R ¹	R ²	R	Molecular formula	Molecular wt	Melting Pt. ⁰ C	Yield %
1			Cl	C ₂₁ H ₁₇ N ₄ SCl	392.90	90	30
2	N(CH ₃) ₂	H	Cl	C ₁₉ H ₁₁ N ₄ O ₂ SCl	394.83	160	35
3	H	NO ₂	Cl	C ₁₉ H ₁₁ N ₃ SCl ₂	384.28	110	42
4	H	Cl	Cl	C ₂₈ H ₂₃ N ₄ OSCl	499.02	190	30
5	N(CH ₃) ₂	H	Cl	C ₂₆ H ₁₇ N ₄ O ₃ SCl	500.95	200	45
6	H	NO ₂					
	Cl	H	Cl	C ₂₆ H ₁₇ Cl ₂ N ₃ O ₅	490.40	210	35

4. Spectral Analysis of SA1

4.1 IR Spectra

1662.64 cm⁻¹ C-N bond.

1595.13 cm⁻¹ N-H bend.

1438.90 cm⁻¹ C-H bend.

810.03 cm⁻¹ para substitution.

729.09 cm⁻¹ indicates presence of C-Cl bond.

4.2 ¹H NMR :

6.9.3-8.35 (m,15H, Ar-H), 3.07 (s, 6H, CH₃), 5.3 (s,2H, CH₂)

5. Biological Activity

Antibacterial activity of synthesized molecule is studied by disk diffusion method using MH-media. The molecules have high antimicrobial activity against *E. coli*, *S. Aureus* and *P. Seudomonas* and *S.Mutanas*. For some compounds the activity is better than the reference drugs. Following result are obtained.



6. Anti-oxident Activity of Schiff base

1,1-diphenyl-2-picrylhydrazyl (DPPH) radical scavenging assay, hydroxyl radical (OH·) scavenging activity, reducing power assay and

chelation power on all compounds was performed with a few modifications for plate reader analysis.

7. Conclusion

An environmental benign method was adopted to synthesize Schiff base of thiadiazole and its derivatives. The method is economical and very efficient. The yield is quite high with good purity of the molecules. The molecules have good anti-microbial activity as compare to reference drugs. The molecules have good anti-oxidant activity.

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