

Tailoring, Characterization, & Microbiological Evaluation of Heterocyclic Moiety

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Abstract: The presents work deals with tailoring of heterocyclic compounds which bearing hetero atoms such nitrogen, sulphur and oxygen. Heterocyclic moiety is an important class for pharmaceutical applications. All synthesized compounds are identified by spectral data. Reaction progress and competition of reaction monitored by the TLC. The structures of the synthesised compounds were analysed by spectral data from IR (Fourier-transform infrared spectroscopy) and ¹H-NMR, which revealed the expected frequencies and signals. The investigated compounds were screening for microbiological activities against Gram-positive bacteria, Gram-negative bacterial strains in which some compounds showed excellent activity while some exhibited moderate activity against bacterial stains.

Keywords: Heterocyclic, IR, ¹H-NMR, Microbial activity

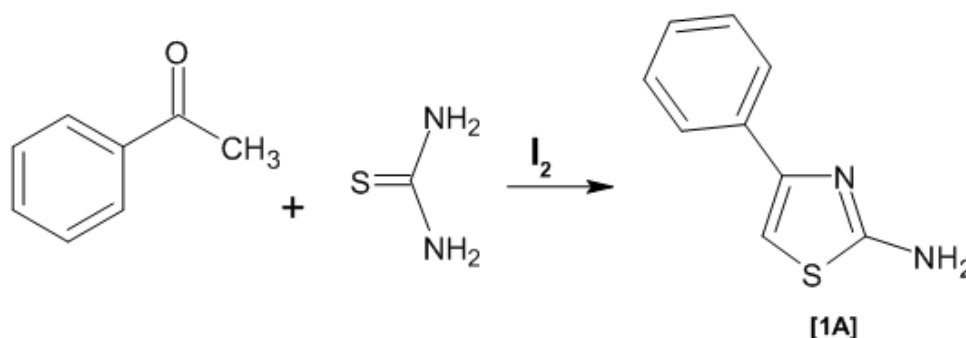
1. Introduction

Azomethine moiety derived from amino and carbonyl compounds that are condensation product in the presence of condensing agent⁰¹⁻⁰³. Hugo Schiff, a German chemist, first reported azomethine moiety bases in 1864; hence, azomethine moiety known as the name Schiff bases⁰⁴. Azomethine moiety are sometimes known as imines⁰⁵. Azomethine (C=N) moiety has been reported to show remarkable pharmacological activity but the presence of other heteroatoms such as sulphur and oxygen atom have wide applications in food industry, dye industry, analytical chemistry, catalysis, fungicidal, agrochemical, anti-inflammatory activity, antiradical activities and biological activities⁰⁵⁻⁰⁹. Azomethine moiety coordinate with metal ions and form complexes¹⁰. These complexes have anticorrosion properties and biological activities such as antimicrobial¹¹.

2. Materials and Methods

Synthesis of 4-phenyl-1,3-thiazol-2-amine(1A):

Thiourea (0.20 mole) and acetophenone (0.10 mole) were taken in the round bottom flask kept it in ice bath. To it iodine (0.090 mole) was added in small amounts and was heated on steam bath for 10 hr. after that liquid was filtered under the suction, cooled and the filtrate was made alkaline with strong ammonia. The progress of the reaction was confirmed by TLC. The precipitated 4-phenyl-1,3-thiazol-2-amine [1A] was filtered and recrystallised from ethanol which looked like to the needles shaped crystals obtained.



Reaction Scheme: [01]

Synthesis of Azomethine moiety bearing (4-phenyl-1, 3-thiazol-2-yl) methanimine:

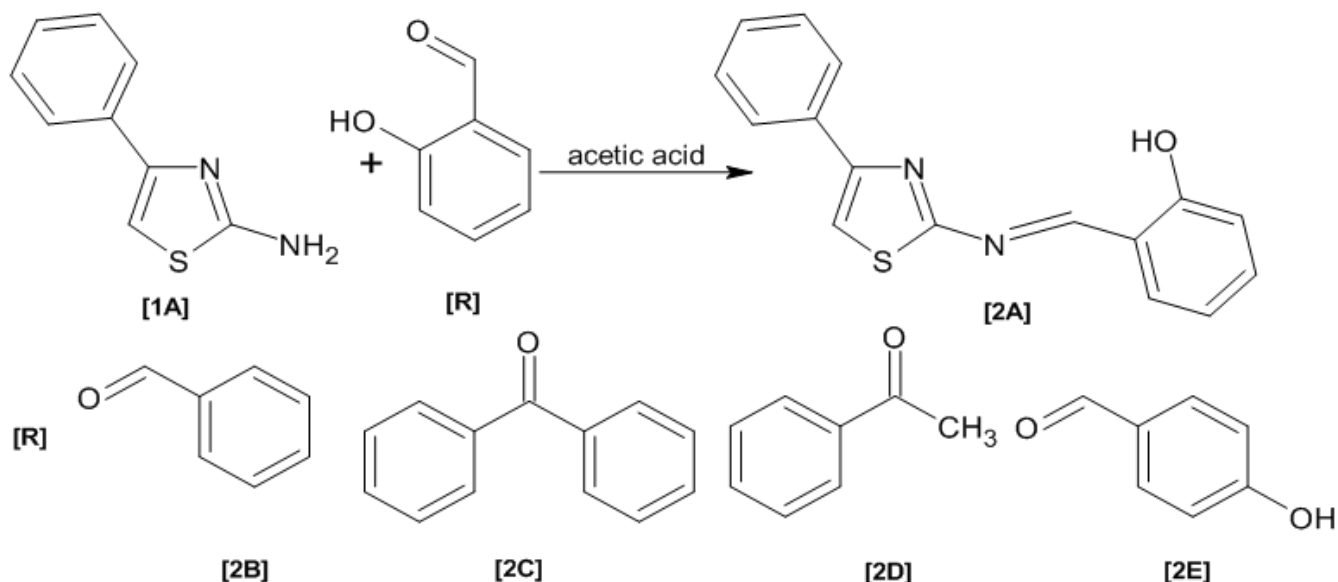
The compound 4-phenyl-1, 3-thiazol-2-yl) imino]methyl] phenol (2A) was prepared by condensation of 4-phenyl-1, 3-thiazol-2-amine and Salicylic aldehyde in equivalent molar ration in the round bottom flask followed by few drops of glacial acetic acid. The reaction mixture was

refluxed for 4 hr on water bath. The progress of the reaction was confirmed by TLC. The compound containing azomethine moiety 4-phenyl-1,3-thiazol-2-yl) imino] methyl] phenol was cooled to room temperature and collected by filtration, followed by recrystallization in ethanol and dried.

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**Reaction Scheme: [02]**

Compounds coded [2B-2E] were synthesized by followed same method as used for [2A] compound.

3. Results and Discussion

The compounds bearing azomethine moiety (2a-2e) have a variety of applications including biological, clinical and

analytical. The synthesized compounds have been improved by condensing with a variety of carbonyl compounds. Physical characteristics, IR data, and NMR data, are given in table [1] and [2]:

Table 1: Physical data of synthesized compounds

Com. Code	Compound Name	Mole. Formula	Mole. Weight	MP ($^{\circ}$ C)	Yield (%)
[2A]	(4-phenyl-1,3-thiazol-2-yl)imino]methyl]pheno	$C_{16}H_{12}N_2OS$	280	112	74
[2B]	1-phenyl-N-(4-phenyl-1,3-thiazol-2-yl)methanimine	$C_{16}H_{12}N_2S$	264	102	58
[2C]	1,1-diphenyl-N-(4-phenyl-1,3-thiazol-2-yl)methanimine	$C_{22}H_{16}N_2S$	340	130	69
[2D]	1-phenyl-N-(4-phenyl-1,3-thiazol-2-yl)ethan-1-imine	$C_{17}H_{14}N_2S$	278	104	66
[2E]	(4-phenyl-1,3-thiazol-2-yl)imino]methyl]phenol	$C_{16}H_{12}N_2OS$	280	108	82

Table 2: FTIR Spectral data

Compounds code	IR functional group data (cm^{-1})				
	C=N	C-S	C=C	O-H	CH ₃
[2A]	1631	652	2892	3220	--
[2B]	1625	652	2905	--	--
[2C]	1617	656	2901	--	--
[2D]	1624	658	28094	--	1322
[2E]	1642	652	2895	3234	--

FTIR spectrum analysis

The IR spectra of the synthesized compound [2a] are compared with other four compounds that determine the changes during the substitution. The bands range at 1617-1642 cm^{-1} , 652-658 cm^{-1} , 2892-2905 cm^{-1} , and 3220-3234 cm^{-1} assignable to ν C=N (azomethine moiety), C-S (thiazol), ν C=C (aromatic ring), and ν OH (phenolic) stretching modes respectively. The stretching frequencies 3220 cm^{-1} and 3234 cm^{-1} indicating the presence of OH group in compound [2A] and [2E] respectively while 1322 cm^{-1} confirmed the presence of CH₃ in compound [2D].

1H NMR spectrum analysis

1H NMR spectrum:

The 1H NMR spectrum of the synthesized novel compounds bearing heterocyclic ring was recorded in Acetone- d_6 . In the 1H NMR spectra of compound [2A], a peak appeared at 9.13 ppm was assigned to the proton of the phenolic group and a singlet peak appeared at 8.17 ppm was assigned to protons of azomethine moiety.

Other multiplies appear in the range of 7.1-7.8 ppm due to presence of aromatic protons in the synthesized compounds.

Biological Applications

Antimicrobial Activity:

The synthesized compounds dissolved in DMSO were examined using Agar Well Diffusion method. In this method, all glass wares used were sterilized in a hot air oven. The gram-negative bacteria *Escherichia coli* was used. The bacterial cells were evenly swabbed onto the nutrient agar plates, were soaked in the different test samples at same concentration, drained and using sterilized forceps placed in the agar plates. The plates were then incubated for 48 hours at 37 $^{\circ}$ C. After the incubation period, the zones of inhibition were measured in mm. The compound [2A] and [2E] show very good antimicrobial properties against *Escherichia coli* while compound [2B], [2C], and [2D] show moderate activity.

4. Conclusion

All the synthesized compounds characterized by melting point, IR, ¹HNMR and TLC. IR data gives strong support in favour of synthesized compound by presences of functional groups and ¹HNMR data gives the signals due to variable protons in the synthesized compounds. While TLC gives the information competition of the reaction.

Antimicrobial activity due to compound [2A] and [2E] show good properties indication that the presence of hydroxyl group of phenolic increases the activity.

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