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Antimicrobial Activity of 1, 3, 4-Thiadiazole & Its Derivative

Pranali P. Lade[1*], Akshay A.Thorat[2], Sayali S. Jadhav[3], Aniket T. Devkar[4], Dr. Shrinivas K. Mohite[5]
[1-4]Student, Rajarambapu College of pharmacy, Kasegaon, India
[5]Department of Pharmaceutical Chemistry, Rajarambapu College of Pharmacy, Kasegaon, India
*Corresponding Author Email - ladepranali1997@gmail.com

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ABSTRACT

For a long time, researchers have been fascinated by the chemistry of heterocyclic compounds. The 1,3,4-thiadiazole heterocyclic nucleus is a crucial family of compounds for the development of new medications. The synthesis of novel thiadiazole derivatives, as well as the investigation of their chemical and biological activity, has grown increasingly relevant in recent decades. In medicinal chemistry, the search for antibacterial drugs with improved selectivity and lower toxicity is still a hot area. Bacterial resistance to various antibiotics has been recognised as a barrier to infection treatment, spurring the development of new antimicrobial drugs. In recent years, various research programmes have focused on novel 1,3,4-thiadiazole molecules having a wide range of biological activity.

Keywords: 1,3,4 thiadiazole ,acetamide, thiosemicarbazide, phthalic acid, p'chlorobenzoic acid ring closure reaction

I. INTRODUCTION

1.1 Chemistry

Chemistry is the study of matter, including its composition, characteristics, and transformations. The ability to describe the ingredients in a cake and how they change as the cake bakes is referred to as chemistry. Matter is defined as anything that has mass and occupies space, i.e., anything that is physically real. Some items, such as this book, are immediately identifiable as matter. Others, on the other hand, have a lower profile. We forget that air is also matter since we move through it so frequently.

Although modern chemistry has many areas, it is usually grouped into five basic disciplines or fields of study:

1.2 Physical chemistry

It is a branch of chemistry that deals with the physical properties of matter. Physical chemistry is the study of macroscopic properties, atomic properties, and events in chemical systems. Chemical reaction rates, energy transfers in processes, and the molecular structure of materials are all investigated by physical chemists.

1.3 Organic chemistry:

The study of carbon-hydrogen-containing substances is known as organic chemistry. Carbon is one of the most common elements on the planet, and it may be utilised to create a wide range of compounds (over twenty million so far). The majority of chemicals found in all living things are made up of carbon.

1.4 Inorganic chemistry:

The study of chemicals that do not contain carbon in the classical sense is known as inorganic chemistry. A wide range of rocks and minerals contain inorganic chemicals. One of the most important fields of inorganic chemistry right now is the design and characteristics of materials used in energy and information technology.

1.5 CANCER

Cancer is a disease in which some of the body's cells grow out of control and spread to other sections of the body

- Cancer can start anywhere in the billions of cells that make up the human body. Human cells extend and multiply (through a process called cell division) to generate new cells as needed by the body. When cells get old or wounded, they die and are replaced by new cells. This well-ordered mechanism can occasionally fail, leading to abnormal or damaged cells developing and reproducing when they shouldn't. These cells can form tumours, which are tissue lumps. Tumors can be benign or cancerous (benign).
- Cancerous tumours can infiltrate or expand into nearby tissues and migrate to distant parts of the body, resulting in the formation of new tumours (a process called metastasis).
- Cancerous tumours that have spread throughout the body are known as malignant tumours. Many malignancies, including leukaemia, grow into solid tumours, whereas blood cancers do not.
- Benign tumours do not penetrate or spread to neighbouring tissues. Malignant tumours, on the other hand, frequently return after they have been removed. On the other hand, benign tumours can develop to be quite large. Benign brain tumours, for example, might present with significant symptoms and potentially be fatal. [2]

II. ANTIMICROBIAL AGENT

An antimicrobial is a chemical that kills or prevents germs from growing. Antimicrobial medications are categorised according to the microorganisms they are designed to kill. Antibiotics are used to treat bacteria, whilst antifungals are used to treat fungi. [3]

2.1 THIADIAZOLE

A large number of scientists are still interested in 1,3,4-thiadiazole and its derivatives. A Chemical Abstracts search based on structure (1) yielded 6621 hits between 1982 and 1994, with the nature of the bonds and substituent's not defined. The search yielded 831 publications and 386 patents from only three Chemical Abstracts sections.

- In 1882, Fischer described 1,3,4-thiadiazoles, which were further refined by Busch and his colleagues. The development of sulphur medications and the discovery of mesoionic compounds

- Hantzsch–Widman nomenclature categories thiadiazoles as a subfamily of azole compounds. According to their structure, they are heterocyclic compounds with five members and one sulphur and two nitrogen atoms. Aromatic rings are defined by their two double bonds and the sulphur lone pair. Four distinct structures exist based on the relative positions of the heteroatoms; these structures do not interconvert, hence they are structural isomers rather than tautomers. [5]

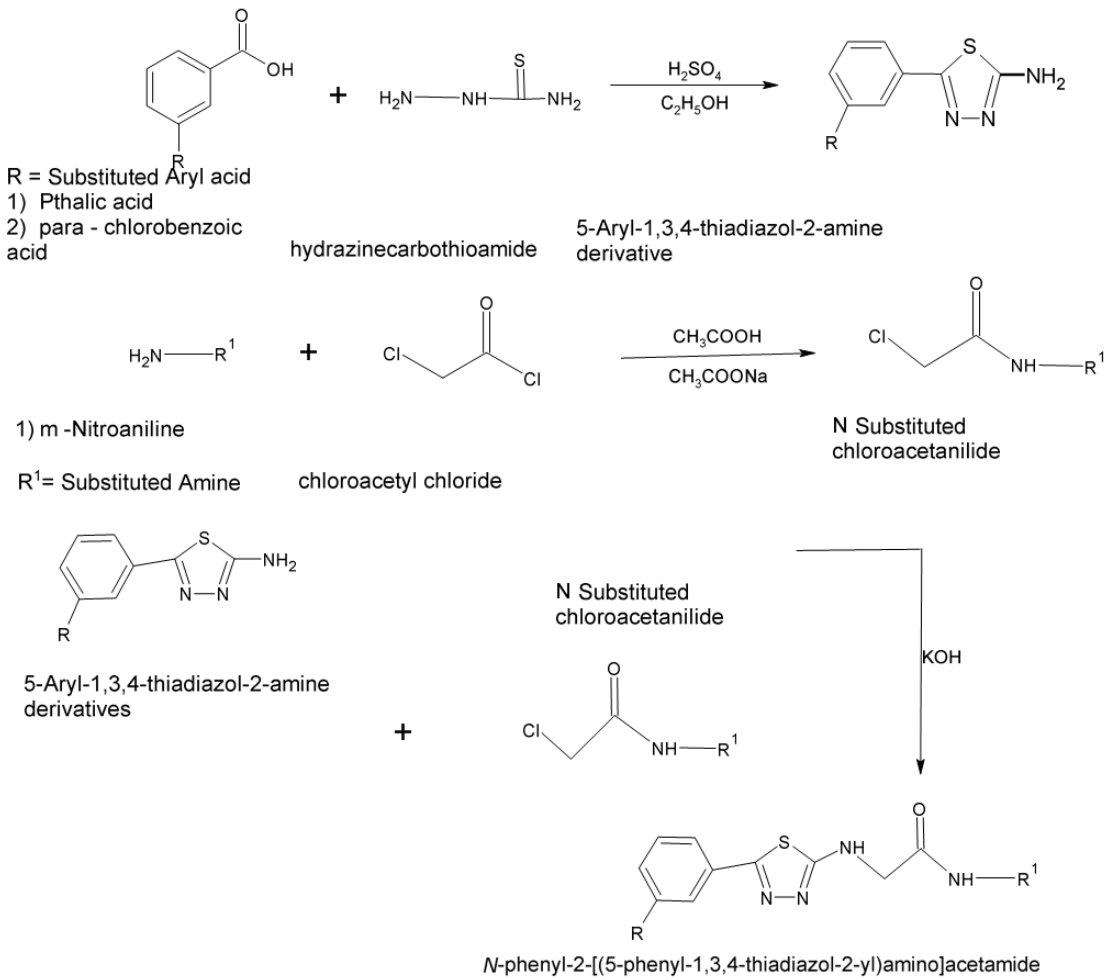
2.2NEED OF INVESTIGATION

New pharmaceuticals are necessary for a variety of reasons, including the advent of new diseases, the development of drug resistance, and our improving understanding of health conditions, which allows us to treat conditions that were previously untreatable.

2.3 EXPERIMENTAL WORK CHEMICAL:

Phthalic acid , p' chlorobenzoic acid Thiasemicarbazide, M nitro aniline, Conc.H2SO4, Chloroacetyl Chloride, Sodium Acetate, Aqueous KOH, Glacial Acetic Acid, Ethanol

2.3.1 SCHEME:



2.3.2 SYNTHESIS PROCEDURE:

Step 1

9.11 gm of Thiosemicarbazide and 1 gm of aryl acid Were dissolve in 50 ml of ethanol

The mixture was cooled at 2-5 degree Celsius and 5 ml concentrated H2SO4 added dropwise over a period of time under cold condition

The whole reaction mixture was refluxed for 1 hours at 70 degree Celsius 4] The product was Recrystallized from ethanol

Step 2

take aromatic amine (0.05 mol dissolved in mixture of 25 ml of glacial acetic acid and 25 ml of saturated Was solution of sodium acetate and solution was cooled to 5 degree Celsius

To in this mixture 6.2 ml of Chloroacetyl Chloride was added dropwise at 0 – 5 degree Celsius with constant stirring

Then the mixture was kept at room temperature for 5-6 hours

Step 3

1] 2-amino 5 aryl 1,3,4 thiadiazole (1.79) was dissolved in aqueous KOH solution (0.619 gm in 10 ml water) under stirring till yellow clear solution was obtained and filtered to remove impurities

1] various aromatic N substituted alpha chloroacetanilide were added in small portion with constant shaking at 50-60 degree celsius for 4-5 hours

3] The Reaction mixture was kept overnight then ppt was filtered and washed with cold water to remove excess of KOH [7]

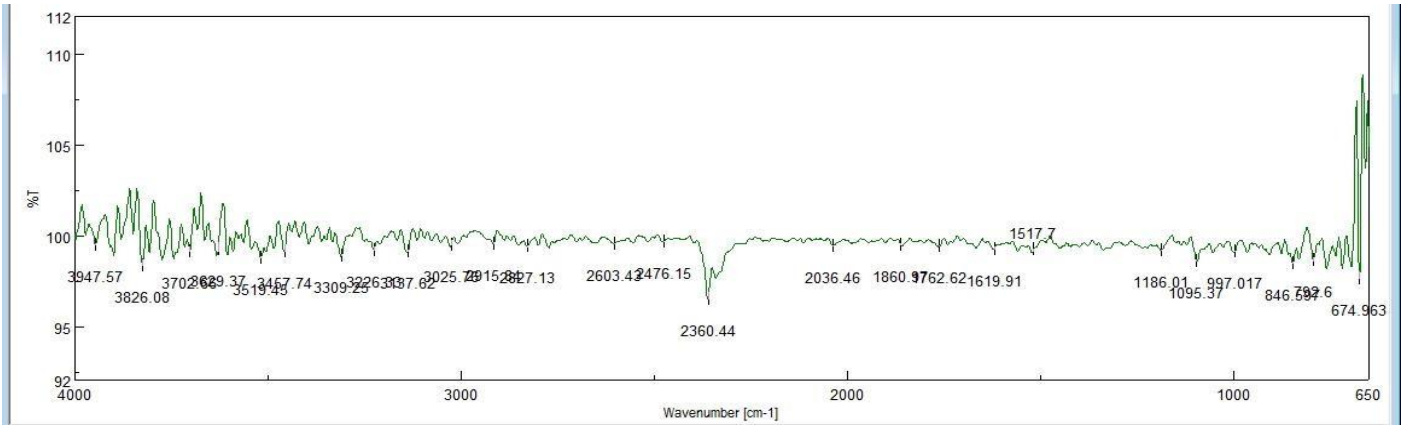
2.4 CHARACTERIZATION OF 1, 3, 4 THIADIAZOLE:

2.4.1 Principle of Infrared Spectroscopy

- The IR spectroscopy theory is based on the idea that molecules absorb specific frequencies of light that are indicative of the molecule's structure. The energies are determined by the shape of the molecular surfaces, the accompanying vibronic coupling, and the atoms' mass.
- • For example, the molecule can absorb the energy contained in incident light, resulting in a faster rotation or stronger vibration.
- • The infrared part of the electromagnetic spectrum, i.e. light with a longer wavelength and lower frequency than visible light, is studied via IR spectroscopy (short for infrared spectroscopy). The study of a molecule's interaction with infrared light is known as infrared spectroscopy.
- There are three ways to look at infrared spectroscopy: reflection, emission, and absorption. The most common application of infrared spectroscopy is to determine the functional groups of molecules, which is essential in both organic and inorganic chemistry.
- • An infrared spectrum is a graph that displays the frequency or wavelength on the X-axis against the absorbed infrared light on the Y-axis. The graphic below depicts the several zones into which light can be classified
- The infrared range contains the energy required to activate a molecule's bonds and force them to vibrate with higher amplitude. Only electromagnetic infrared radiation will interact with a polar connection.
- The presence of defined zones of partial positive and negative charge in a molecule allows the electric field component of an electromagnetic wave to stimulate the vibrational energy of the molecule.

Radiation cannot be absorbed by symmetrical non-polar bonds in NN and O=O because they cannot interact with an electric field. [8]

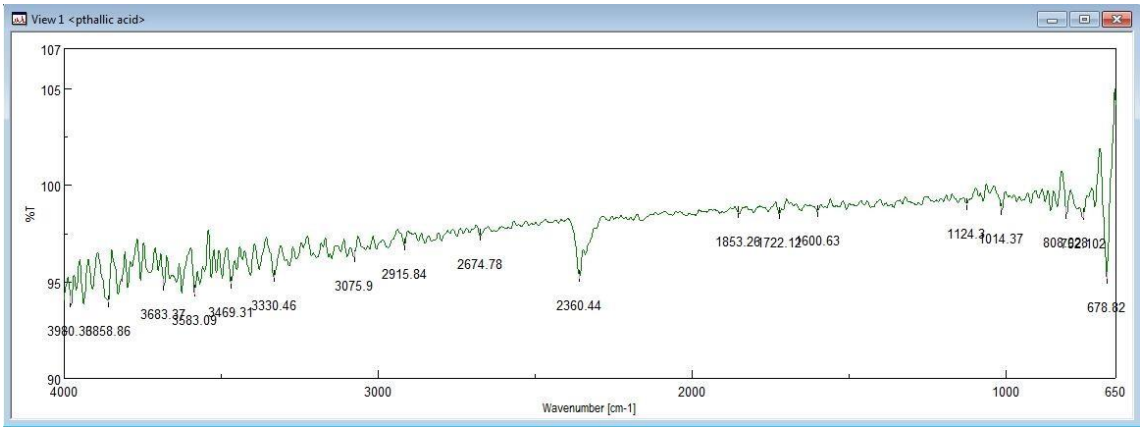
2.4.1.1 IR OF p' Chlorobenzoic acid:



Graph 1.1

Sr No	Functional group	Value (per cm)
1	C-N	**1095.37**
2	C=O	**1619.19**
3	C-Cl	**782.6**
4	C-OH	**1186.1**
5	N-H(STRETCH)	**3326.30**
6	**C-H (AROMATIC)**	**2915.30**

2.4.1.2 IR OF Phthalic acid



SR.NO	FUNCTIONAL GROUP	WAVE NUMBER CM-1
1	Water OH STRECH	**3683.8**
2	N-H STRECH	**3383.09**
3	C-CL	**808.2**
4	=C-H	**3075.9**
5	-C-H	**2915.84**
6	**C=C**	**1653**

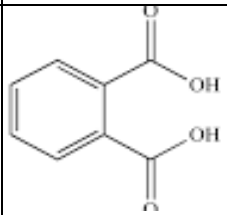
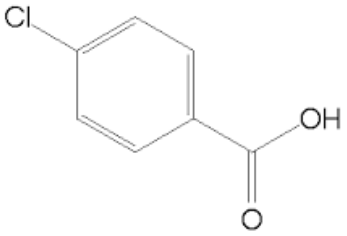
III. PHARMACOLOGICAL ACTIVITIES

Assay of antimicrobial activity of 1,3,4- Thiadiazole

The agar well diffusion method was used to look into the antibiotic activity of the sample. The agar media was prepared and sterilised in an autoclave at 121°C for 15 minutes at 15 bar pressure, then placed in sterile petri plates in an aseptic area (laminar air flow), and incubated for 24 hours at 37°C. Bacillus (gramme positive) and E. coli (gramme negative) bacteria were introduced on plates and wells in agar media were produced after 24 hours. Two wells were filled with 100 mg/ml and 200 mg/ml of synthesised pharmaceutical product, as well as 100 mg/ml and 200 mg/ml of Ceprofloxacin (standard).[8]

Image 1.1

IV. RESULT AND DISCUSSION

Substituted Aryl acid (R)	Molecular formula	Molecular weight	Name of active moeity	Structure
Pthalic acid	C17H13N5O5S	399.38	2-(5-{[2-(3-nitroanilino)-2-oxoethyl]amino}- 1,3,4-thiadiazol-2- yl)benzoic acid	
Para chloro benzoic acid	C16H12CIN5O3S	389.81	2-{[5-(4-chlorophenyl)-1,3,4-thiadiazol-2-yl]amino}-N-(3-nitrophenyl)acetamid e	

4.1 EXPERIMENTAL

In this present study various substituted 1,3,4-thiadiazoles were synthesized by conventional and microwave assisted method and screened antimicrobial activity. By microwave assisted synthesis, time required for synthesis is drastically reduced the technology provides clean , simple effective , rapid and economical the significant advantage of this technology is includes highly accelerated rate with improved output and product quality

Step 1 synthesis of 2-amino -5 aryl 1, 3, 4 - thiadiazole derivative(1a-1b)

Step 2 synthesis of N –substituted chloroacetanilide (2x-2y)

TLC used to determine of completion of reaction and the purity of final product giving a single spot on TLC plate using N-Hexane ethyl acetate (8.2) as a mobile phase .synthesized compound s was achieved and compound shows statisfactory result

IR

IR spectra of compounds was recorded JASCOFTIR compound were presented graph no

and 1.2

the structure identification of was done by interpretation of IR and compound show satisfactory result.

4.1.1 Antibacterial activity:

1 Standard drug Ciprofloxacin	100 mg /ml	200 mg/ml
Diameter of zone of inhibition	9 mm	**12 mm**
2 synthesized drug	100 mg/ml	**200 mg/ml**
Diameter of zone of inhibition	**5 mm**	**7 mm**

Antibacterial activity Performed using well diffusion method and it revealed that test compound showed better inhibition compared to standard ciprofloxacin against bacteria strain because structure containing nitrogen which is electron withdrawal group enhance activity and Considers leads among the series be as possibility to find answer Antibacterial

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