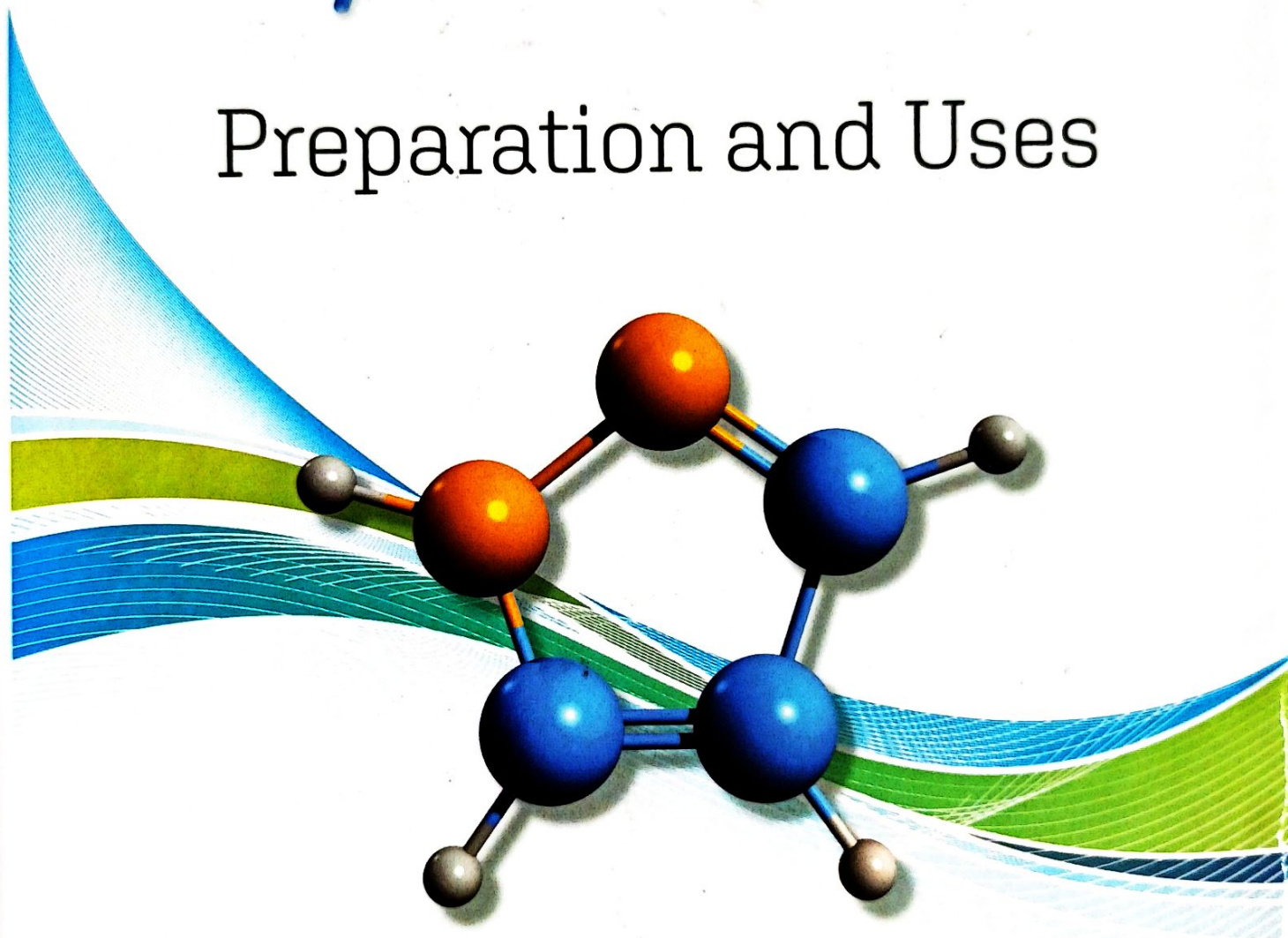


CHEMISTRY RESEARCH AND APPLICATIONS

Pyrazole

Preparation and Uses



Dilipkumar Pal

Editor

NOVA

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CONTENTS

Preface		xi
Chapter 1	Current Status of Pyrazolo Moiety in Drug Discovery (Synthetic vs. Natural) <i>Chandi Charan Kandar and Dilipkumar Pal</i>	1
Chapter 2	Synthesis and Therapeutic Applications of Pyrazole Scaffold <i>Roli Mishra and Satyendra Mishra</i>	27
Chapter 3	Pyrazole Based Ligands: Versatile Building Blocks <i>Preeti Oswal, Aayushi Arora, Gyandshwar Kumar Rao, Sushil Kumar, Arun Kumar and Ajai Kumar Singh</i>	49
Chapter 4	Pyrazole and Its Analogues as Potential Anti-Angiogenesis Agents <i>Dilipkumar Pal and Souvik Mukherjee</i>	91
Chapter 5	Green Chemistry Methods in Pyrazole Synthesis <i>Maja Molnar and Mario Komar</i>	107
Chapter 6	Pyrazoles as Antiviral Agents <i>Jeanne Fichez and Patricia Busca</i>	145
Chapter 7	Recent Research Advances in Aqueous Phase Synthesis of Pyrazoles <i>Venkata Durga Nageswar Yadavalli, Nelson L. C. Domingues, Ramesh Katla and Rakhi Katla</i>	179
Chapter 8	Pyrazole Moiety as a Source of Natural Products <i>Dilipkumar Pal, Souvik Mukherjee, Om Prakash Panda, Sitansu Sekhar Nanda and Dong Kee Yi</i>	195

Chapter 9	Pyrazole and Its Derivatives, Preparation, SAR and Uses as Antioxidative Agent <i>Supriyo Saha and Dilipkumar Pal</i>	211
Chapter 10	Role of Pyrazole Ring in Neurological Drug Discovery <i>Supriyo Saha and Dilipkumar Pal</i>	245
Chapter 11	Pyrano[2,3-c]pyrazole Derivatives: Synthesis and Applications <i>Devendra Dewangan, Trimurti L. Lambat, Sami H. Mahmood and Subhash Banerjee</i>	265
Chapter 12	Pyrazole and Pyrazole Derivatives: A Versatile Platform in Anti-Convulsive Drug Discovery <i>Dilipkumar Pal, Suvadeep Mal and Souvik Mukherjee</i>	301
Chapter 13	Pyrazole Affixed Heterocycles: Synthesis and Their Herbicidal Activity <i>Shridevi Doddamani and Srikantamurthy Ningaiah</i>	323
Chapter 14	Development in Chemistry and Synthesis of Pyrazole Derivatives as Potential Anticancer Agents <i>Ashish D. Patel, Vinod Kumar Gurjar and Dilipkumar Pal</i>	347
Chapter 15	Recent Advances in Chemistry and Synthesis of Pyrazole Derivatives as Potential Promising Antimicrobial Agents <i>Vinod Kumar Gurjar, Dilipkumar Pal and Ashish D. Patel</i>	377
Chapter 16	Scaffold of Pyrazole Derivatives for Enzyme Inhibition <i>Neetu Sachan, Phool Chandra and Dilipkumar Pal</i>	411
Chapter 17	Role of Pyrazolo Ring in Plant System <i>Chandi Charan Kandar</i>	447
Chapter 18	Pyrazole and Its Derivatives: Preparation, SAR and Anthelmintic Activity <i>Arindam Maity</i>	471
Chapter 19	Pyrazole and Its Derivatives, Preparation, SAR and Anti-Inflammatory Activity <i>Kiran Gangarapu, Gouthami Thumma, Niveditha Nakka, Krishna Prasad Devarakonda, Dilipkumar Pal and Arivarasan Vishnu Kirthi</i>	485
Chapter 20	Pyrazole and Its Derivatives as Anti-Diabetic Agents <i>Dilipkumar Pal and Khushboo Raj</i>	505

Chapter 21	Future Prospects of Pyrazole Ring in Drug Discovery	523
	<i>Sajal Kumar Jha and Tanmoy Guria</i>	
About the Editor		533
List of Contributors		535
Index		539

Chapter 8

PYRAZOLE MOIETY AS A SOURCE OF NATURAL PRODUCTS

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ABSTRACT

A large number of structurally diverse natural compounds containing azole nucleus constitute an important class of biologically active heterocycles that are gaining more attention in the field of medicinal chemistry. Among azoles, pyrazoles are rarely found in nature probably due to difficulty in the formation of N-N bond by living organisms. However, they exhibit numerous biological activities, including anti-diabetic, antiviral, anticancer, anti-inflammatory, antibacterial and antifungal activities.

The present review is an attempt to understand the chemistry along with medicinal importance of pyrazole containing natural products reported till date which would certainly help the scientific community to bring further developments in the isolation and synthetic methodologies for pyrazole based novel bioactive compounds.

Keywords: Pyrazole, natural products, watermelon seed, withanolides, synthetic molecules

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1. INTRODUCTION

Natural products are chemical substances found in nature that exhibit a wide range of important biological activities and are being used in the design and discovery of the target based drugs in the pharmaceutical field. A natural product can be considered as such or can be prepared by total synthesis if it displays some promising biological and other activities. Most of the prescription drugs (w70%) are either originally natural products or natural product based biomimetic compounds possessing different kinds of heterocyclic ring systems which are mainly extracted from four types of natural sources, plants, animals, microbial and marine [1].

Among heterocycles, Pyrazole derivatives are an important class of nitrogen-containing five-membered heterocyclic compounds that have attracted much more attention in recent time due to their usefulness in the field of drug discovery and agricultural research. It has also been found that various substituted Pyrazoles are used as chelating and extracting reagents for many metal ions [2].

Moreover, these derivatives are used as starting material for the construction of condensed heterocyclic systems and represent an interesting template for combinatorial chemistry.

They are rarely found in nature probably due to difficulty in the formation of NeN bond by the living organisms but still, a plethora of research publications during last decade further shows the increasing interest of synthetic as well as medicinal chemists providing their wide applications in different fields [3-10].

1.1. Chemistry of Pyrazole

Pyrazole is an organic compound with the formula $C_3H_3N_2H$. It is a heterocyclic compound having five-membered rings composed of three carbon atoms and two adjacent nitrogen atoms. It contains two double bonds within the nucleus, imparting an aromatic character, a weak base, with pK_b 11.5 and pK_a of conjugated acid 2.49 at $25^\circ C$. IUPAC Name of Pyrazole is 1H-Pyrazole or popularly known as 1,2-Diazole. The molecular weight of Pyrazole is 68.08g/mol, boiling point and melting point found to be $186-188^\circ C$ and $66 - 70^\circ C$ respectively [11].

The solubility of Pyrazole in water is about 1g/ml, but it is much less soluble in organic solvents like chloroform, ether, alcohol etc [12]. In the year of 1883, the great German chemist Ludwig Knorr (2nd December 1859 - 4th June 1921) developed "Pyrazole". The main reaction of Pyrazole synthesis involves the "Reaction of hydrazine or substituted hydrazine with 1, 3-dicarbonyl compounds to provide a Pyrazole or Pyrazolone ring system.