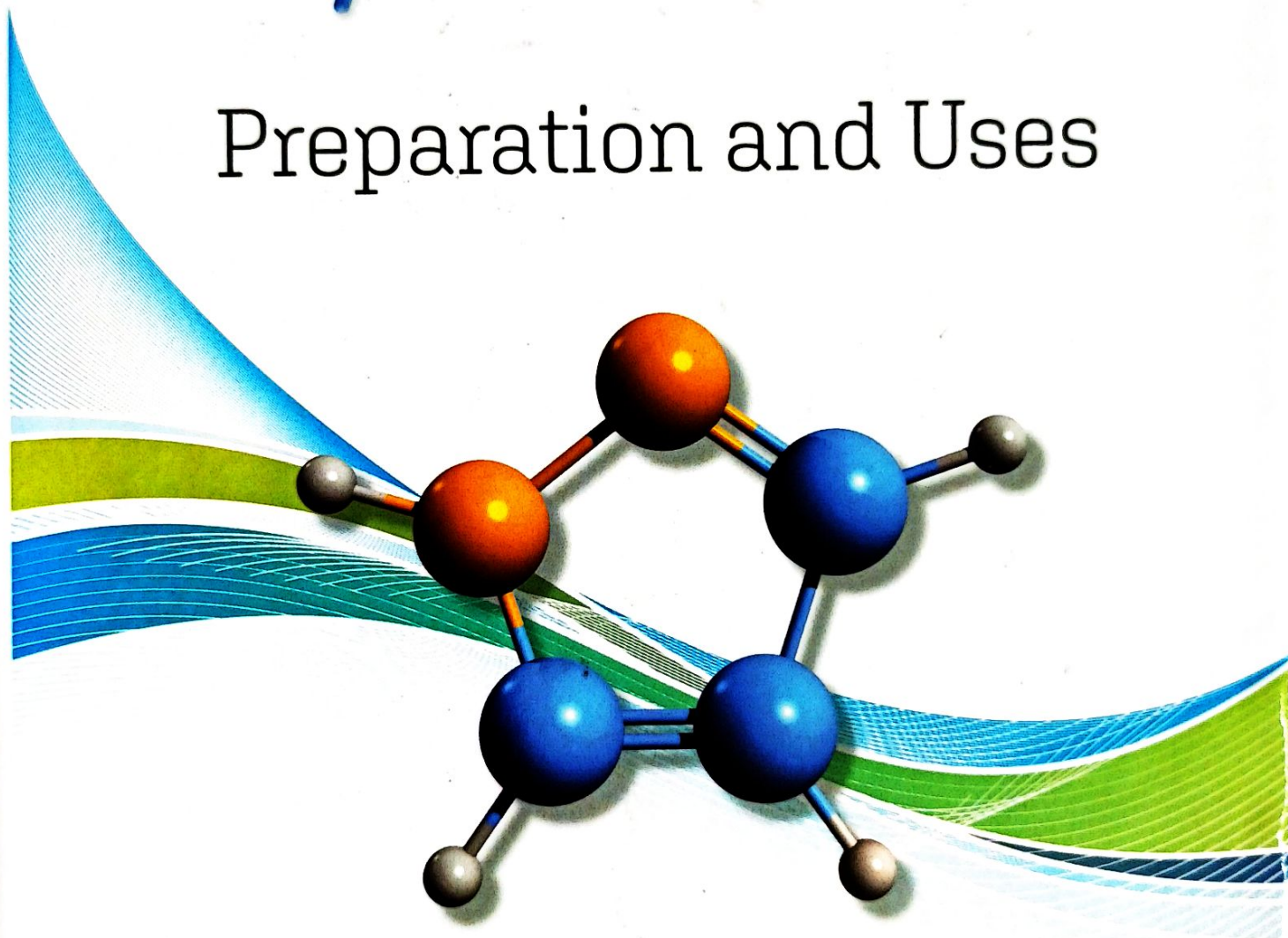


CHEMISTRY RESEARCH AND APPLICATIONS

Pyrazole

Preparation and Uses



Dilipkumar Pal

Editor

NOVA

Copyright © 2020 by Nova Science Publishers, Inc.

All rights reserved. No part of this book may be reproduced, stored in a retrieval system or transmitted in any form or by any means: electronic, electrostatic, magnetic, tape, mechanical photocopying, recording or otherwise without the written permission of the Publisher.

We have partnered with Copyright Clearance Center to make it easy for you to obtain permissions to reuse content from this publication. Simply navigate to this publication's page on Nova's website and locate the "Get Permission" button below the title description. This button is linked directly to the title's permission page on copyright.com. Alternatively, you can visit copyright.com and search by title, ISBN, or ISSN.

For further questions about using the service on copyright.com, please contact:

Copyright Clearance Center

Phone: +1-(978) 750-8400

Fax: +1-(978) 750-4470

E-mail: info@copyright.com.

NOTICE TO THE READER

The Publisher has taken reasonable care in the preparation of this book, but makes no expressed or implied warranty of any kind and assumes no responsibility for any errors or omissions. No liability is assumed for incidental or consequential damages in connection with or arising out of information contained in this book. The Publisher shall not be liable for any special, consequential, or exemplary damages resulting, in whole or in part, from the readers' use of, or reliance upon, this material. Any parts of this book based on government reports are so indicated and copyright is claimed for those parts to the extent applicable to compilations of such works.

Independent verification should be sought for any data, advice or recommendations contained in this book. In addition, no responsibility is assumed by the Publisher for any injury and/or damage to persons or property arising from any methods, products, instructions, ideas or otherwise contained in this publication.

This publication is designed to provide accurate and authoritative information with regard to the subject matter covered herein. It is sold with the clear understanding that the Publisher is not engaged in rendering legal or any other professional services. If legal or any other expert assistance is required, the services of a competent person should be sought. FROM A DECLARATION OF PARTICIPANTS JOINTLY ADOPTED BY A COMMITTEE OF THE AMERICAN BAR ASSOCIATION AND A COMMITTEE OF PUBLISHERS.

Additional color graphics may be available in the e-book version of this book.

Library of Congress Cataloging-in-Publication Data

Names: Pal, Dilipkumar, 1971- editor. Title: Pyrazole : preparation and uses / Dilipkumar Pal (editor), Associate Professor, Department of Pharmaceutical Sciences, GURU GHASIDASH VISHWAVIDYALAYA (A Central University), Koni, Bilashpur, India.
Description: New York : Nova Science Publishers, [2020] | Series: Chemistry research and applications | Includes bibliographical references and index. | Summary: "This book has comprehensively reviewed the latest information on pyrazoles, their preparations and uses. It provides extended ideas on pyrazole and its derivatives including their synthesis, chemistry, structure activity relationship (SAR) and therapeutic applications. The health promoting properties of these pyrazoles are discussed in this book with different therapeutic applications of pyrazole scaffold. Topics related to pyrazole and its analogues as potential anticancer, anti-angiogenesis, antiviral, antioxidative, anti-convulsive, anthelmintic, anti-inflammatory, antidiabetic agents are described in this book in detail. Furthermore, current status and future prospects of pyrazole moiety in drug discovery, importance of it in plant systems, its relevance in neurological drug discovery, its potency as herbicidal and antimicrobial agents have been enumerated through different chapters. In a summary, this book is a valuable resource for research scholars, academics, students, industrialists and subject experts working in the multidisciplinary fields like medicinal chemistry, synthetic chemistry, biochemistry, pharmacology, natural product chemistry and other related areas in the field of pyrazole derivatives drug discovery and research"--
Provided by publisher. Identifiers: LCCN 2020031403 (print) | LCCN 2020031404 (ebook) | ISBN 9781536182507 (hardcover) | ISBN 9781536183801 (adobe pdf)
Subjects: LCSH: Pyrazoles. Classification: LCC QD401 .P9918 2020 (print) | LCC QD401 (ebook) | DDC 547/.593--dc23
LC record available at <https://lccn.loc.gov/2020031403>
LC ebook record available at <https://lccn.loc.gov/2020031404>

Published by Nova Science Publishers, Inc. † New York

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 15

RECENT ADVANCES IN CHEMISTRY AND SYNTHESIS OF PYRAZOLE DERIVATIVES AS POTENTIAL PROMISING ANTIMICROBIAL AGENTS

Vinod Kumar Gurjar¹, Dilipkumar Pal^{2,} and Ashish D. Patel³*

¹School of Pharmacy, Parul University, P. O. Limda,
Vadodara, Gujarat, India

²Department of of Pharmaceutical Sciences, Guru Ghasidas Vishwavidyalaya
(A Central University), Bilaspur, Chhattisgarh, India

³Ramanbhai Patel College of Pharmacy,
Charotar University of Science and Technology, Changa, Gujarat, India

ABSTRACT

Pyrazoles and their variously substituted derivatives are important biological agents and a significant amount of research activity has been directed towards this class. The presence of this nucleus in therapeutic agents of diverse pharmacological categories such as celecoxib, a potent anti-inflammatory, the antipsychotic CDPPB, the anti-obesity drug rimonabant, difenamizole, an analgesic, betazole, an H₂-receptor agonist and the antidepressant agent fezolamide have proved the pharmacological potential of the pyrazole moiety.

The treatment of bacterial infections remains a challenging therapeutic disaster because of emerging infectious diseases and the increasing number of multidrug-resistant microbial pathogens. Despite many antibiotics and chemotherapeutics available, the emergence of old and new antibiotic-resistant bacterial strains in the last decades lead to a

*Corresponding Author's E-mail: drdilip2003@yahoo.co.in.

substantial need for new classes of anti-microbial agents. In recent years, a significant portion of research in heterocyclic chemistry has been devoted to pyrazoles containing different substituents. This gives a great impetus to the search for potential pharmacologically active drugs carrying pyrazole substituents. The changes in their structure offered a high degree of diversity which is useful for the development of new therapeutic agents. The search for novel antimicrobial agents devoid of side effects continues to be an active area of research in medicinal chemistry. Syntheses of pyrazole are employed for several types of synthetic transformations, in particular for the synthesis of heterocyclic compounds such as pyrazole derivatives with antibacterial and antifungal activities.

Owing to the development of novel and new pyrazole-based therapeutic agents at a faster pace, there is a need to couple the latest information with previously available information to understand the status of this moiety in medicinal chemistry research. The chapter herein highlights the chemistry and most relevant synthesis methods worth of pyrazole-derived heterocyclic systems for potential antimicrobial agents. Several active pyrazole-based derivatives developed by numerous scientists across the globe are reported here.

Keywords: pyrazole, antimicrobial, antifungal, pyrazole derivatives

INTRODUCTION

Pyrazoles consists of a doubly unsaturated 5-membered ring containing two nitrogen atoms (1 and 2 positions of the ring) (Figure 1). Knorr synthesizes the first pyrazole derived compound in 1883, which led to the discovery of antipyrine and its derivatives [1]. Since the introduction of antipyrine; the first pyrazolone derivative was used in the treatment of pain, inflammation, and fever in 1884. Great attention has been focused on pyrazole derivatives as a potent anti-inflammatory, analgesic, and antipyretic agent [2-4].

As a result, a large number of pyrazoles have been obtained and some of them gained application on the clinical level. These compounds have diverse biological activities antimicrobial, anticancer, cytotoxic, analgesic, anti-inflammatory, antihypertensive, CNS activities like antiepileptic and antidepressant, etc. Numerous pyrazole derivatives own significant pharmacological activities and they have been proven as valuable compounds in drug research. Pyrazole derivatives play an imperative part amongst antitumor agents because of their better inhibitory activities against BRAF(V600E), EGFR, telomerase, reactive oxygen species (ROS) receptor, receptor tyrosine kinase (RTK), and Aurora-A kinase enzyme. Besides, pyrazole derivatives also exhibit good anti-microbial activities.

Some of the pyrazole containing drugs like celecoxib, antipyrine, phenylbutazone, novalgine, ramifenazone, apixaban, fipronil, rimonabant, pyrazofurin, and many more are already in the market.