

Preface

The heterocyclic series “Green Bioactive Heterocycles” consists of 9 volumes till date. Each volume of this series deals with different aspects of bioactive heterocycles. This 7th volume, entitled “*Bioactive Four-Membered Heterocycles: Natural Products, Green Synthesis and Bioactivity*”, of the above series focuses on various aspects of four membered heterocycles and is divided into twelve chapters. All the chapters have exhaustively covered the topics and the corresponding literature. Those engaged in research in related areas will find the text very useful.

It is believed that the reader is aware of the basic aspects of four membered heterocycles regarding their structure, nomenclature and stability *etc.* The four-membered heterocycles especially azetidine, oxetane and thietane have received a lot of attention over the past few decades because the four-membered heterocycles are very promising candidates for drug development. The four membered rings provide a vast possibility of synthesizing novel heterocycles with fused or linearly attached four-membered rings. A large number of drugs available in the market are known to contain four-membered heterocycle ring as a component. Therefore, the four-membered heterocycles are very promising candidates for synthesis of new novel potential drug molecules.

Now-a-days, organic synthesis is focused on developing newer green and clean methodologies for the synthesis of biologically and industrially important heterocycles so as to curb the alarming rate of environmental pollution. Therefore the focus is on development of green methodologies involving green tools like focusing on high atom efficiency reactions, use of green media and especially the aqueous media, catalyst free reactions, green and recyclable catalysts, organocatalytic processes, photochemical reactions, electrochemical reactions, application of techniques like ultrasound and microwave irradiation *etc.* Multicomponent reactions (MCRs), involving reactions of three or more reactants to form a single molecule, provide an easy access to the synthesis of heterocycles with high levels of molecular complexity and diversity.

Chapter one sets the tone by summarizing the commercially available β -lactam antibiotics belonging to five different generations which are classified into five groups i.e., cephalosporins, carbapenems, penicillins, carbacephems and monobactams. β -Lactams have received a lot of attention of medicinal chemists after Penicillin G was used clinically in 1940.

Chapter two focuses on the synthesis and biology of β -lactams as anticancer agents though they also possess other activities besides their role as antibiotics. The anticancer properties of β -lactams along with their cell cycle and biological targets are described.

Chapter three has reported role of four-membered heterocycles in drugs and their application in drug designing with specific targets.

Chapter four has described synthesis of pyrrole-substituted β -lactams by application of diverse catalytic methods such as bismuth salts, (particularly bismuth nitrate), *N*-bromosuccinimide, iodine and PTSA.

Chapter five has detailed the applications of greener methodologies like the synthesis of oxetanes in water, adopting organocatalytic processes, under MWI and visible light (use of non-conventional energy source), and *via* C-H functionalization (use of greener reaction strategy) for the synthesis of oxetanes.

The synthesis of four-membered heterocycles *via* photochemical reactions is reported in chapters six and seven. The reactions/processes involved are Paterno-Buchi reaction and its modifications, photochemical hydrogen abstraction and electrocyclic ring opening, continuous flow photochemical reactors, photocatalytic approaches, photo-rearrangements and sensitization approaches.

Synthesis of four-membered heterocycles by microwave irradiation under solvent-free conditions, by use of benign reaction media, and the use of solid-supported, reusable catalysts has been reported in chapter eight.

The electrochemical synthesis, a versatile and environment friendly green approach, for the synthesis of a wide variety of four-membered bioactive heterocycles of importance both in chemistry and industry has been summarized in chapter nine.

Organocatalysis, which has drawn significant attention of synthetic chemists as a green tool, has been reported in chapter ten for the synthesis of four-membered heterocycles with biologically active nuclei.

The synthesis of five to eight-membered and even larger-membered heterocycles from azetidine, azetine, β -lactams, oxetanes and thietanes *via* ring-expansion reactions, due to their inherent ring strain and specific structural features has been described in chapter eleven. Chapter twelve has listed industrial applications of four-membered heterocycles. Their industrial applications range from pharmaceuticals to materials science.

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Prof. Jitender Mohan Khurana

&

Dr. Bubun Banerjee