The theme of drugs is as old as disease. Along with globalization lot of new diseases occur in the world. To control and cure these diseases is a quest for chemists so search of new drugs never ends. Now a day’s more than 65% drugs used in practice are synthesized derivatives. The synthesis of drugs with least side effects has been an essential part so gradually the scope of synthetic medicinal chemistry is increasing.

Majority of the heterocyclic compounds have significant uses in material science such as dyestuff, fluorescent sensor, data storage, plastics. Their use was observed in polymer chemistry, organic conductors, semiconductors, molecular wires, photovoltaic cells, organic light-emitting diodes (OLEDs), chemically controllable switches, synthetic intermediates. They acts as protecting groups, chiral auxiliaries and metal ligands in inorganic synthesis. Some of the synthetic heterocycles are used in photography and as rocket propellants. The ability of many heterocyclic compounds to produce stable complexes with metal ions has great biochemical significance.

In the biological world heterocyclic compounds are everywhere. Carbohydrates are heterocyclic so are chlorophyll and heme, which makes leaves green and blood red and bring life to plants and animals. Heterocycles form the sites of reaction in many enzymes and co-enzymes. Heredity comes down, ultimately to the particular sequence of attachment of a half-dozen heterocyclic rings to the long chains of nucleic acids.

Study of heterocyclic compounds is essential because most probably about two-thirds of organic compounds belongs to this class and many of them
are most important compounds for human beings. If only drugs are considered history of medicines can be explained by heterocycles. In the sixteenth century quinine was used to prevent and treat malaria, though the structure of drug was not known. The first synthetic drug was antipyrine (1887) for the reduction of fevers. The first effective antibiotic was sulphapyridine (1938). The first multi-million pound drug (1970) was tagamate the antiulcer drug.

Among various applications key role of heterocyclic compounds reflect in medicinal chemistry. Heterocyclic compounds commonly found as a structural unit in synthetic pharmaceuticals and agrochemicals. As this is a demanding area for chemists it results in synthesis of various heterocyclic compounds as useful drugs. Good organic synthesis is a key for discovery of a new drugs. Therapeutic values of heterocyclic compounds turn our intension to prepare heterocyclic compounds and to assess their biological activities.

Thesis presents a complete introduction of the total work carried which includes the synthesis of biologically active ketimines, heterocyclic compounds starting from carbonyl and amine compounds and their biological evaluation.

Chapter-2 is divided in to two parts first part includes the synthesis of ketimines while in second part bis-ketimines were synthesized. Heterocyclic
skeleton present in Schiff’s bases was observed to be decisive for various biological and pharmacological activities. Now a day’s considerable attention was gained by Schiff bases in drug research and development. Antibacterial, antifungal, antiviral, anticancer etc. are some properties shown by Schiff bases. Wide range of these compounds have been synthesized from the aldehydic carbonyl source however significant attention have not given when precursors are keto carbonyls.

Therefore in this study, several ketimines were synthesized from substituted 2-hydroxypropiophenones with aliphatic/aromatic amine by solvent free microwave irradiation method. Second part includes synthesis of bis-ketimines from substituted 2-hydroxypropiophenones and ethylene diamine by classical method and solvent free microwave irradiation method.

Thiazolidinone derivatives constitute an interesting class of organic compounds with diverse chemical and pharmacological applications. 4-Thiazolidinones encompass various remarkable activity profiles such as bactericidal, antifungal, anticonvulsant, antituberculotic, anti-histaminic, antitumor, diuretics, nematicidal, and anti-viral.

The effectiveness of some thiazolidinone derivatives as anti-HIV and anticancer has further highlighted the importance of these heterocycles in medicinal chemistry.

For long it has been familiar that thiazolidinones could be synthesized through interaction of Schiff bases with mercapto acetic acid. With this literally accessible route, chapter-3 reflects synthesis of some novel
Chapter 1

Introduction


4-thiazolidinones from ketimines by using microwave accelerated method.

Chapter-4 includes the synthesis of 1,3-benzoxazines involving the reaction of triphosgene with ketimines by reflux method.

Benzoxazine are very important and useful compounds in organic and pharmaceutical chemistry. Benzoxazine derivatives have attracted the attention of organo chemists. This has lead to the discovery of a wide variety of compounds that are of high interest from the point of view antimicrobial, antmycobacterial, antidiabetic, antidepressant, antiviral, tuberculotic, anticonvulsant, anti-inflammatory, analgesic, antipyretic, anti-fertile, vasodilatory, antiulcer, narcotic potentiatic activities.

Chapter-5 deals with the synthesis of 1,5-benzodiazepines from substituted 2-hydroxypropiophenones and o-phenylene diamine by microwave irradiation method.

1,5-benzodiazepines show antifungal, antibacterial, antifeedant, anti-inflammatory, analgesic, antihypnotic, anticonvulsant, antidepressive and sedative activities. Some benzodiazepines and allied derivatives are known to exhibit muscle relaxant, anticoagulant, antiobesity, antiulcer properties. Now a days the pharmacological attention turns its way towards benzodiazepines for treatment of diseases like cancer and cardiovascular disorders.

Chapter-6 concerns with the biological evaluation. Antibacterial and antifungal activities of synthesized compounds were carried out.