Chapter – 1

Introduction

1.1 Introduction

Organic chemistry is the chemistry of carbon and carbon compounds. The basic source of carbon compounds has its origin from living organisms, as for example, petroleum and coal which are produced by degradation of a large amount of biomass. Organic chemistry is an important branch of chemistry as it is closely associated with the life on this planet. Organic compounds are broadly classified into acyclic organic compounds and cyclic organic compounds. Cyclic organic compounds are those in which there are three or more atoms bound together via covalent bonding to form a closed structure which can be compared to a ring. When the atoms which are essential part of cyclic structures are only carbon atoms the resulting compounds are known as carbocyclic compounds. When at least one of the carbon atoms in a ring structure is replaced with any other atom (called heteroatom) with capability of covalent bonding, the resulting compounds are classified as **heterocyclic compounds**. The most commonly found heteroatoms are nitrogen, oxygen and sulphur. Heteroatoms are essential part of biomolecules due to which the secondary bonding, also known as supramolecular interactions, becomes possible. These secondary interactions are essential for enzymatic processes in living organisms. Thus heterocyclic compounds occupy very important position in organic chemistry. Heterocyclic compounds can be classified based on the size of the ring and the heteroatom(s) they possess.

The heterocyclic compounds which are aromatic in nature are known as heteroaromatic compounds. When an aromatic ring or another cyclic structure is fused with a heterocyclic ring, the resulting compound is called a fused heterocyclic compound. Five and six member heterocyclic compounds are more common than three or four member or higher member heterocycles based on the strain they possess which influences the ease of formation of the corresponding cyclic structures. Some representative heterocyclic compounds are shown in **figure 1.1**.

1.2 Heterocyclic Compounds

Heterocyclic compounds form a largest group of compounds among the organic compounds. About half of about six million compounds documented in chemical abstracts are heterocyclic compounds.[1]

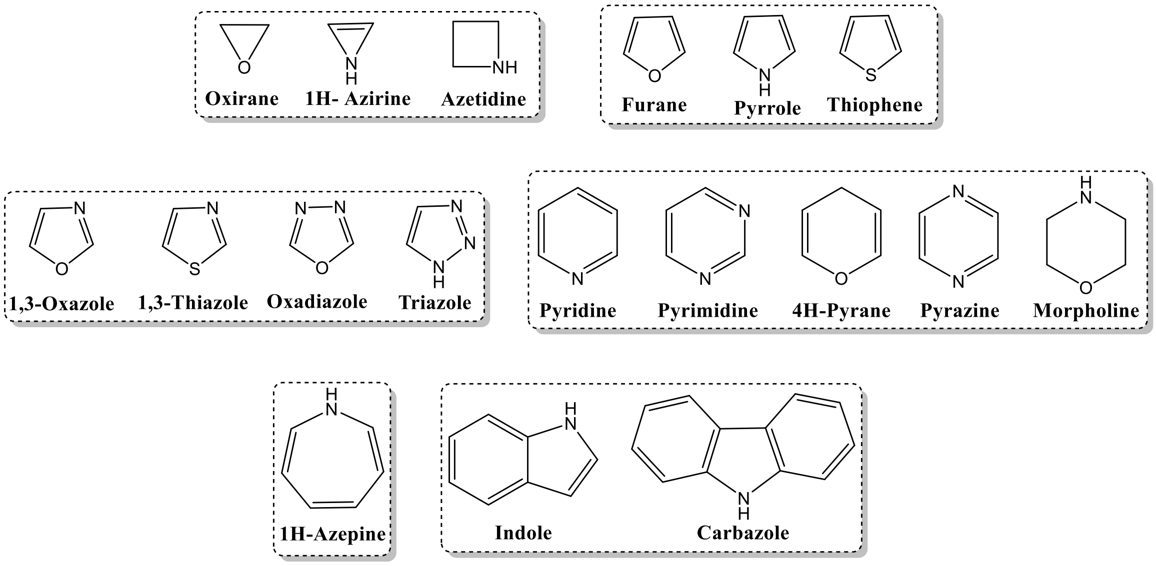
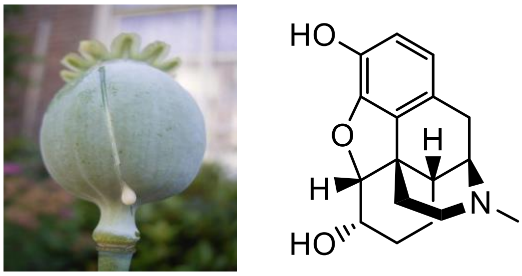


Figure 1.1 ***Some representative heterocyclic compounds.***

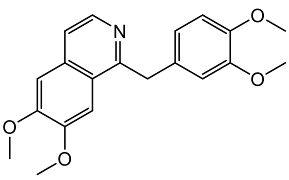
Among heterocyclic compounds, the nitrogen heterocycles are much more common and important due to several reasons. Nitrogen heterocycles are widely prevalent in nature and play very important role in biological processes. The plant kingdom is rich in nitrogen containing compounds, most being heterocyclic with some of them having excessive complexity. Alkaloids form a large group of nitrogen containing heterocyclic natural products. Many herbs in which alkaloids are active principles have been in use for the treatment of various diseases since ancient time and were lately documented in Ayurveda and in Chinese literature as much known Chinese medicines. Alkaloids occur in all parts of plants and have one or the other biological activity.[2–4] Opium plant contains an important analgesic alkaloid, morphine. Morphine can be processed chemically to produce heroin and other opioids for medicinal use.[5] As these compounds are used as narcotics, their isolation, production and distribution are highly restricted.



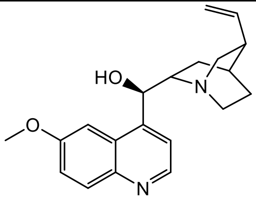
Opium **Morphine**

Figure 1.2

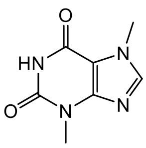
The other important naturally occurring alkaloids (**Figure-1.3**) which are pharmacologically potent and are in therapeutic use for the treatment of various diseases include papavarine (**1**), quinine (**2**), theobromine (**3**), ametine (**4**), atropine (**5**), codeine (**6**) and procaine (**7**) to count a few.



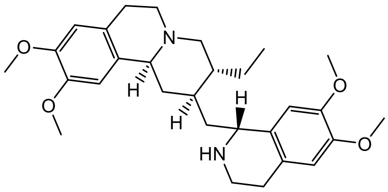
1
Papavarine
Smooth muscle relaxant



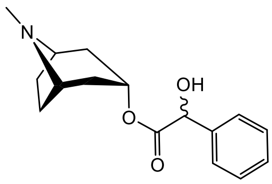
2
Quinine
Anti-malarial



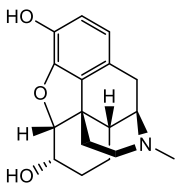
3
Thiobromine
Reduce asthma



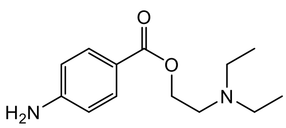
4
Emetine
Anti-protozoal



5
Atropine
Anticholinergic



6
Codeine
Anti-depressant



7
Procaine
Local anesthetic

Figure 1.3 ***Naturally occurring heterocyclic compounds used as drugs.***

It is needless to overemphasise the importance of nitrogen heterocycles in nature as they are also an integral part of nucleic acids namely RNA and DNA which are fundamental macromolecules in life processes. Double helix structure of DNA and functions of RNA and DNA are governed by the presence of nitrogen heterocyclic base pairs (**Figure 1.4**).

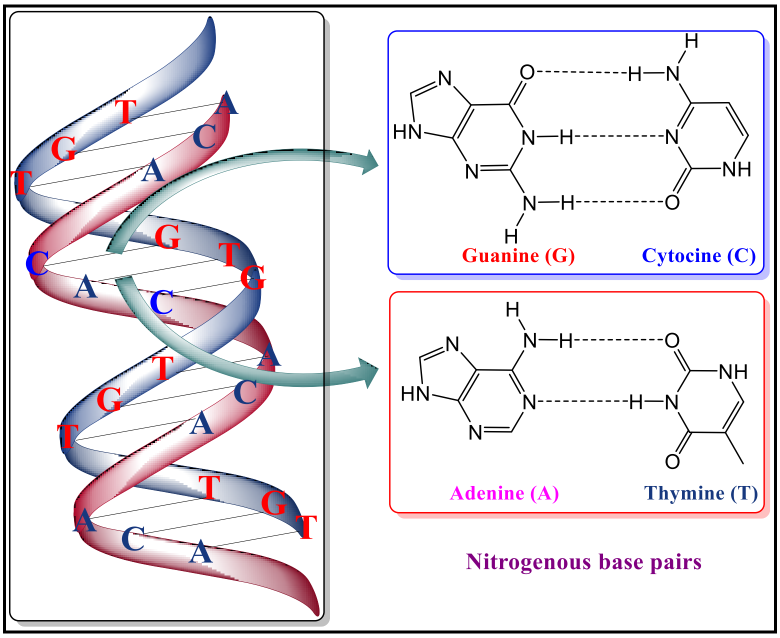
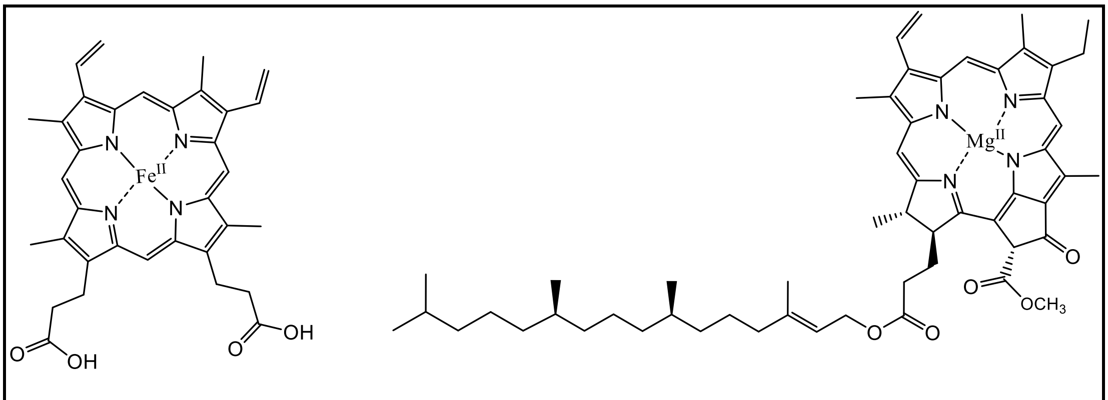


Figure 1.4 ***Structure of deoxyribonucleic acid (DNA).***

The existence of heteroatoms in the other naturally occurring macrocyclic organic compounds is equally important providing them binding ability to act as host molecules or as cyclic ligands for specific metal ions or ionic species. Porphyrins are examples of such nitrogen containing aromatic macrocyclic compounds resulting in the prosthetic groups present in haemoglobin (**8**) and chlorophylls (**9**) which are essential for biological redox processes in animals and in plants (**Figure 1.5**).



8　　　　　　　　　　9

Figure 1.5 ***Structure of haem B and chlorophyll-a.***

1.2.1 N-Heterocyclic Carbenes

Recently discovered application of N-heterocyclic carbenes (NHCs) as ligands for various chiral and achiral complexes has revolutionised organic synthesis with their diverse applications including as Grubb's catalysts (**Figure 1.6**) which are ruthenium complexes with the inclusion of NHCs as ligands.[6–10]

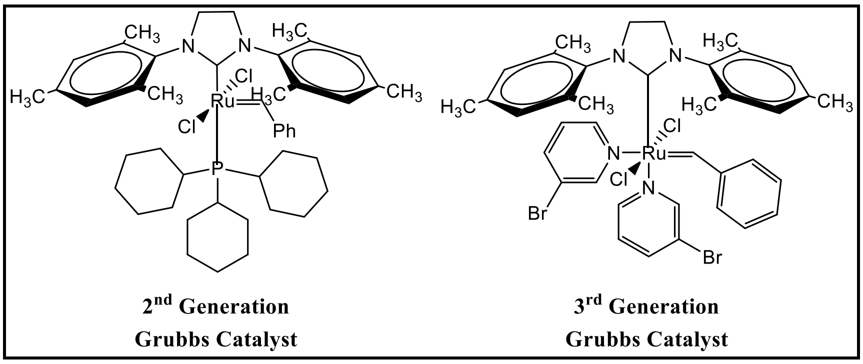


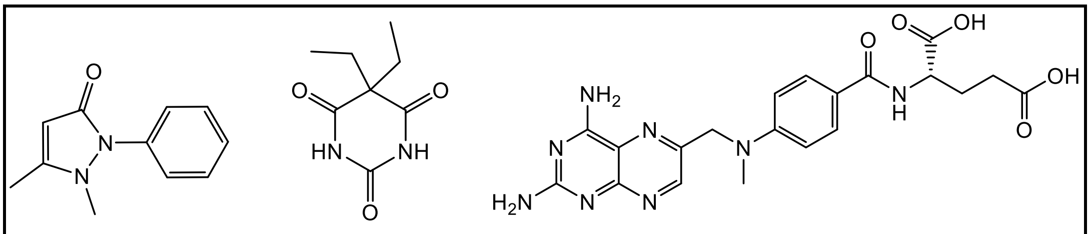
Figure 1.6

Usually highly reactive carbenes are stabilized due to the presence of nitrogen in NHCs and due to their stability and diversity they are also used as organocatalysts and in the preparation of various metal complexes useful as catalysts.[11–14]

1.2.2 Synthetic Heterocyclic Therapeutics

Among pharmaceutically important natural products and synthetic compounds, heterocyclic compounds hold an exceptionally significant position. The exceptional ability of heterocyclic nuclei to serve both as biomimetic and reactive pharmacophores has mainly contributed to their exclusive value as traditional building block elements of drugs. The biological activity of the drug molecules could be enhanced by the introduction of the heterocyclic group in drug molecules.[15,16]

Antipyrine (**10**) (**Figure 1.7**), the first synthetic heterocyclic drug molecule prepared by Knorr in 1885 was a pyrazole based analgesic and antipyretic drug to be patented.[17] Phenobarbital (**11**) (**Figure 1.7**) and other barbituric acid derivatives possessing the nitrogen heterocycle are useful in the treatment of epilepsy.[18] Methotrexate (**12**) (**Figure 1.7**), a pteridine based early drug candidate useful in the treatment of rheumatoid arthritis, acts by inhibiting the formation of folic acid.[19,20]



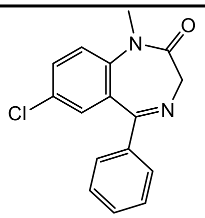
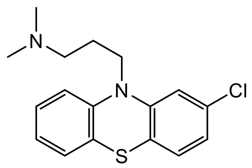
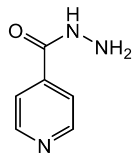
10 **Antipyrine** Analgesic/Antipyratic

11 **Barbital** Hypnotic agent

12 **Methotrexate** Anticancer

Figure 1.7 ***Heterocycles used as a drugs.***

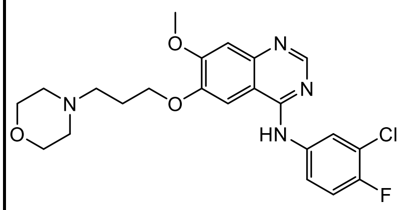
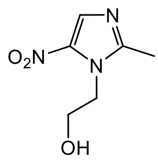
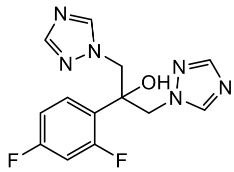
There are numerous other heterocyclic therapeutic compounds which are in use for the treatment of various ailments. Some of the representative examples include diazepam (**13**), chlorpromazine (**14**), isoniazid (**15**), gefitinib (**16**), metronidazole (**17**), fluconazole (**18**), anastrozole (**19**) and sitagliptin (**20**) (**Figure 1.8**).

13 **Diazepam** Sedative

14 **Chlorpromazine** Anti-psychotic

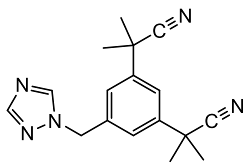
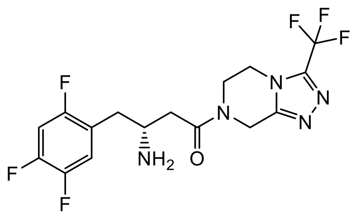
15 **Isoniazid** Anti-tubercular

16 **Gefitinib** Anticancer

17 **Metronidazole** Antibiotic agent

18 **Fluconazole** Antifungal

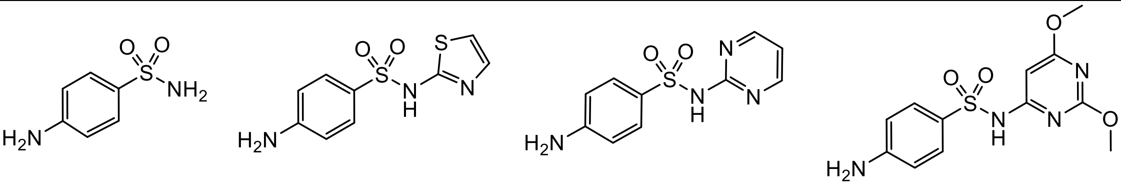



19 **Anastrozole** Anticancer

20 **Sitagliptin** Antidiabetic

Figure 1.8 ***Synthetic heterocyclic compounds used as therapeutic agents.***

Heterocycles are valuable part in design of therapeutic agents due to their ability to serve as handy tools in manipulating lipophilicity, polarity and hydrogen bonding capacity of molecules, which may lead to enhanced pharmacological, pharmacokinetic, toxicological and physicochemical properties of various organic chemical entities. This fact is well supported by the example of p-aminobenzenesulphonamide (**21**) (**Figure 1.9**) an active drug molecule itself, on incorporation of heterocyclic moiety noticeably improved its biological activity. The resulting drugs such as sulphathiazole (**22**), sulphadiazine (**23**), sulphadimethoxine (**24**) (**Figure 1.9**) show high efficiency towards numerous bacterial strains[21–23] compared to p-aminobenzenesulphonamide itself.



21
p-Aminobenzene sulphonamide

22
Sulphathiazole

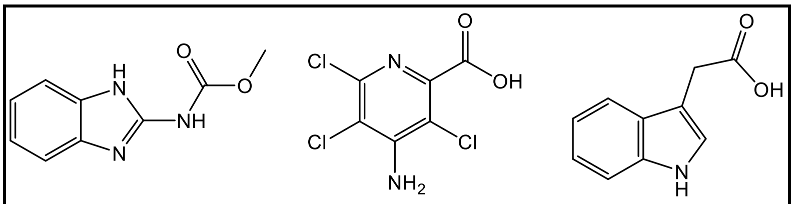
23
Sulphatdiazine

24
Sulphadimethoxine

Figure 1.9

1.2.3 Applications of Heterocycles in other Fields

Heterocyclic compounds are widely used in many other fields. For example in agriculture, heterocycles are used as fungicides, herbicides and as plant growth hormones [24–26] (**Figure 1.10**).



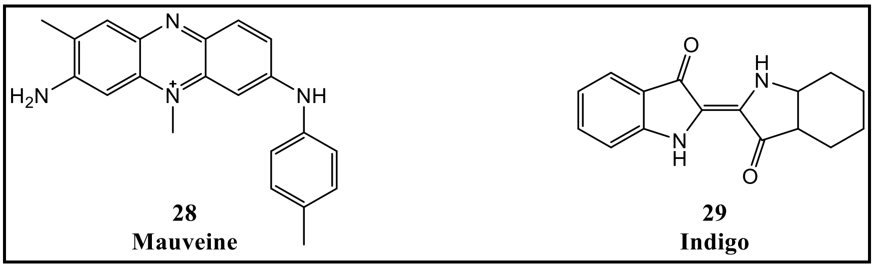
25
Carbendazim
Fungicidal

26
Picloram
Herbicidal

27
Indol-3-acetic acid
Plant growth hormone

Figure 1.10

Heterocyclic moieties are essential part of many important dyes and pigments. Mauveine (**28**) and indigo (**29**) (**Figure 1.11**) are representative lead examples from these classes of compounds.[27,28]



28
Mauveine

29
Indigo

Figure 1.11

In polymer industry, heterocycles may be present as pendant groups on a polymer chain. They can also be used as UV absorber additives in coating formulations to prevent degradation of coating by UV radiation. For example tinuvin (**30**) (**Figure 1.12**) a hindered heterocyclic amine.[29]

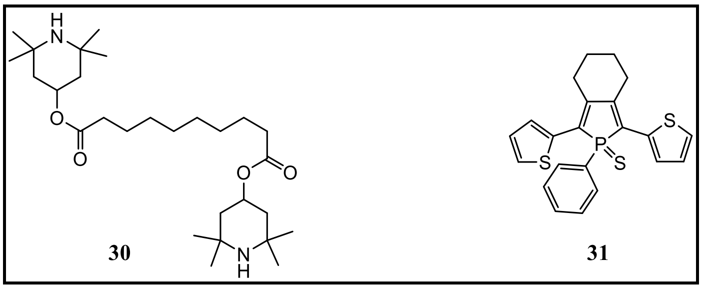


Figure 1.12

The utilization of the heterocyclic compounds in the electro-optical applications is relatively new and still developing field which includes light-emitting diodes (LED), thin-film transistors, and photovoltaic cells. Extended conjugation is essential for such kind of optical properties. It lowers the energy gap between HOMO and LUMO and causes light absorption at long wavelengths. Such type of useful structures have several heterocyclic rings, such as pyrrole or thiophene, linked in a linear fashion.[30–33] The compounds with phosphole ring attached to thiophene (**31**) (**Figure 1.12**) are used in LED applications which emit yellow light.[34–36]

Ionic liquids are environmental friendly polar reaction media. They are quaternary salts of certain heterocyclic bases which are essential to obtain ionic structures. Imidazole salts (**Figure 1.13**) are common among the ionic liquids known so far.[37,38]

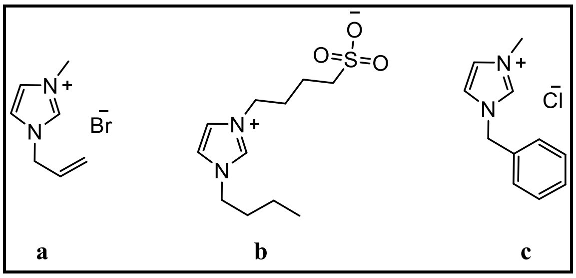


Figure 1.13

Thus heterocyclic systems are at the foundation for new chemical entities with wide range of applications.

1.3 1,3-Azole Heterocycles and 1,3-Oxazoles

Azoles are five membered heterocyclic compounds with two or more heteroatoms, out of which at least one has to be nitrogen. Structures and names of the members of azole family have been included in **Figure 1.14**.

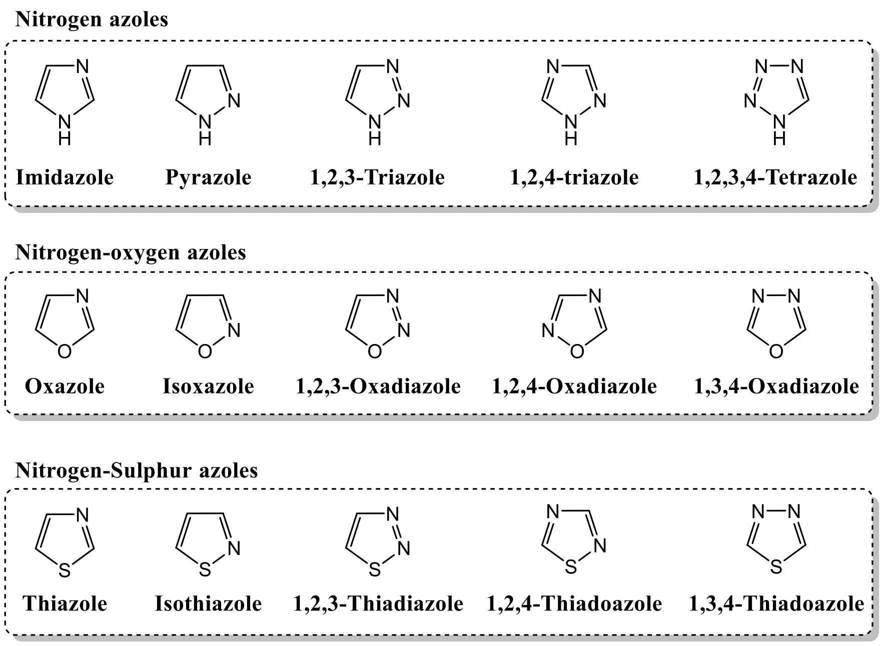
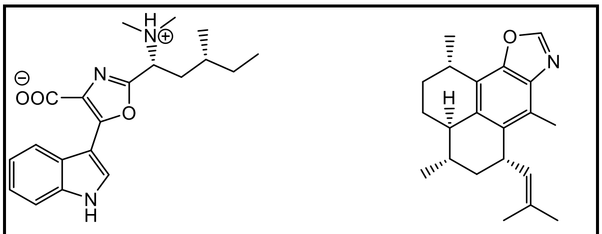


Figure 1.14

1,3-Oxazole, which is called just oxazole also is having 1,3-relation between oxygen and nitrogen atoms which are part of the heterocyclic aromatic framework. This class of the heterocycles has attracted much attention of scientists due to various reasons.

Their presence in several marine natural products has been reported in past few decades.[39] They have been isolated mainly from marine sponges and have one or more oxazole rings present in their structures. These naturally occurring heterocyclic derivatives are reported to possess a wide range of pharmacological activities.[40,41]

Martefragin A (**32**) (**Figure 1.15**), a mono-oxazole marine natural product from the sea algae *M. fragilis* is a potent inhibitor of lipid peroxidation.[42,43] Another mono-oxazole, pseudopteroxazole-II (**33**) (**Figure 1.15**) having a fused polycyclic structure is isolated from sponge *P. elisabethae* along with some other oxazole containing compounds and is having anti-tubercular activity. [44]

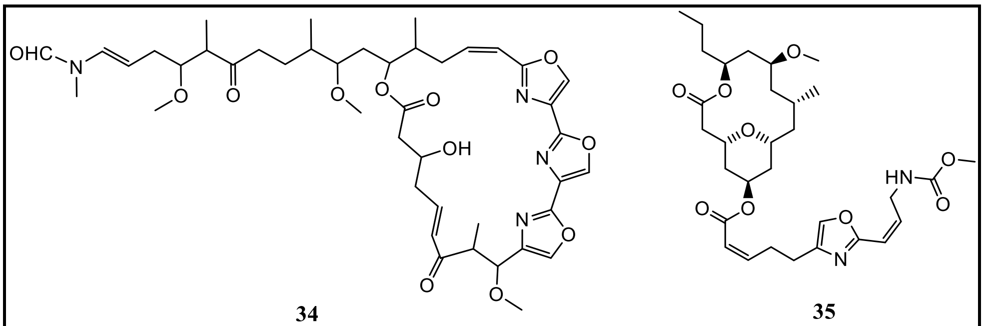


32
Martefragin A

33
Pseudopteroxazole-II

Figure 1.15

(19Z)-Halichondramide (**34**) (**Figure 1.16**) is a tris-oxazole containing macrolide natural product isolated from *C. corticata*, a sponge species and is showing a prominent anticancer activity.[45] (+)-Neopeltolide (**35**) (**Figure 1.16**) is another macrolide with oxazole heterocycle attached to the macrolide structure and not as a part of the same also shows anticancer activity.[42]



34
(19Z)-Halichondramide

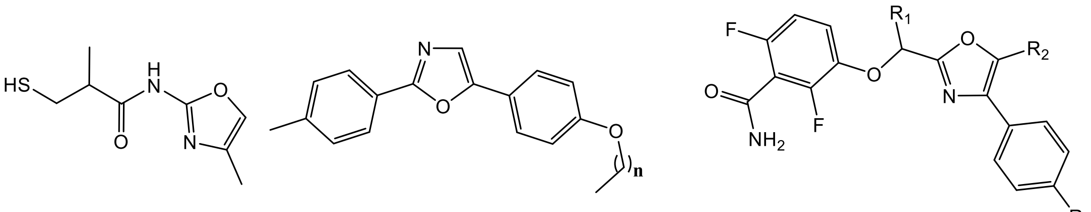
35
(+)-Neopeltolide

Figure 1.16

Oxazole compounds with oxygen and nitrogen occupying the specific positions in the five membered aromatic heterocycle has binding capability with a range of enzymes and receptors in biological systems via noncovalent interactions and show various biological activities.[46] Some of the activities have been highlighted in the following sections.

1.3.1 Oxazole Antibacterial and Antiviral agents

Bacterial diseases are widespread and lethal in many cases. They require new effective medicines due to developing of drug resistance in bacteria. Some of the oxazole derivatives having substitutions at various positions have been studied and reported to have antibacterial activity against various bacteria. For example, compounds **36**, **37**, **38** (**Figure 1.17**) were studied for their antibacterial activity against NDM-1, *P. aeruginosa* and *S. aureus* bacteria respectively. [47–49]

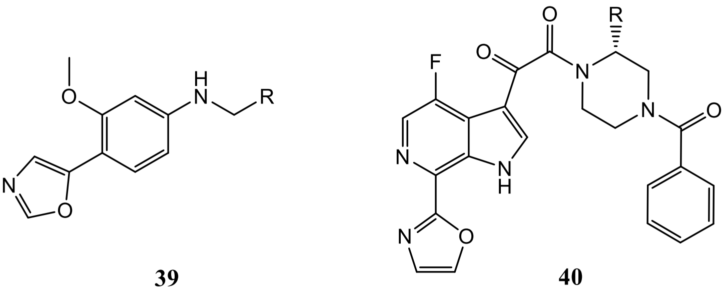


36 **37** **38**

Figure 1.17

Viral diseases are much challenging to be treated because viruses are immune or less susceptible to drugs. Some new lethal viral diseases reported recently are caused by viruses such as Ebola virus, HIV and H1N1 virus (which causes swine flu) and there are no drugs available which can cure these diseases. Thus there is a need for more efficient antiviral agents.

Some oxazole containing compounds such as substituted methyl amino aryl oxazoles (**39**) and aza-indole derivatives (**40**) (**Figure 1.18**) were prepared and on screening they were found to possess a promising antiviral activity.[50,51]

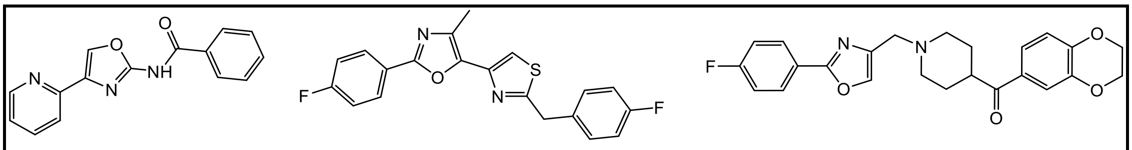


39 **40**

Figure 1.18

Tuberculosis is one of the widely prevalent diseases in the third world countries. Many people die due to irregular medication resulting in developing of the drug resistant strain of the mycobacterium. The development of new potent anti-tubercular agents with better activity, less toxicity and fewer side effects is a topic of interest and importance in the field of new drug development.

Pyridine attached benzoyl derivative of 2-amino oxazole (**41**) (**Figure 1.19**) was found to be active against tuberculosis H37Rv human active strain.[52] 1,3-Oxazole substituted thiazole compound (**42**) (**Figure 1.19**) was prepared and reported to have a good anti-tubercular activity.[53] An outstanding anti-tubercular activity was observed for 2-(4-fluoroaryl)-4-substituted-1,3-oxazole (**43**) (**Figure 1.19**) and its analogues.[54] The compounds with the other heterocycles in the place of oxazole were not having such activity.



41 **42** **43**

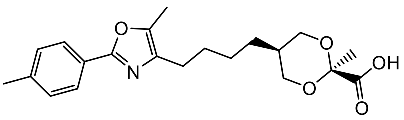
Figure 1.19 ***Antitubercular agents having on oxazole moiety.***

1.3.2 1,3-Oxazole Containing Antidiabetic Agents

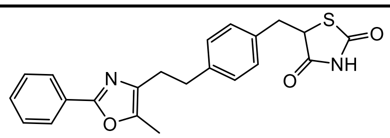
Diabetes mellitus (DM) referred to just as diabetes, can be defined as a group of metabolic diseases which have been symptomatically characterized by hyperglycaemia or high blood sugar, caused due to deficiency in insulin secretion or insulin action or both.[55] It is ordered seventh amongst the prominent causes of death in the world.[56]

The cases of diabetes are on increasing spree. Discovery of new more effective molecules has attracted attention in new drug development programmes for the treatment of diabetes mellitus. Oxazole compounds play very vital role in the development of various biologically potent agents.[46,57–64] Among many new compounds with potent anti-diabetic activity, many oxazole derivatives have found their place with different mechanism of action.

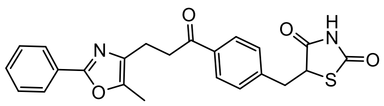
Some of the potent PPAR agonists compounds have 2-phenyl-5-methyl-1,3-oxazole noticeably present in their structure with a variety of other attachments at position 4. NS-220 (**44**), AD-506 (**46**), Darglitazone (**46**), Farglitazar (**48**), Muraglitazar (**49**), Imiglitazar (**50**), T33 (**51**) and some other compounds (**47**) (**Figure 1.20**) with the above said structural motif have an outstanding antidiabetic activity and act as PPAR agonists. Though they could not reach the market because of their side effects but their detailed study has provided with vital information on SAR (Structure Activity Relationship) of the compounds with different pharmacophores as well as it has paved a way for future development of such compounds and which may work as lead compounds in drug discovery.[65–69]



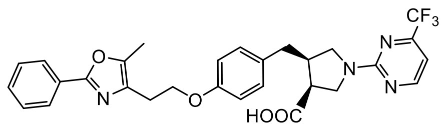
44
NS-220
PPARα agonist



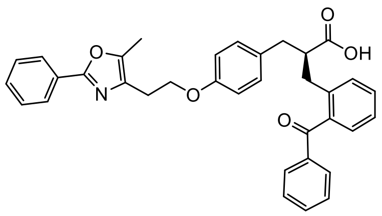
45
AD-506
PPARγ agonist



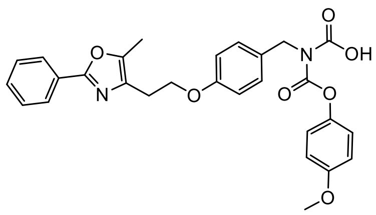
46
Darglitazoone
PPARγ agonist



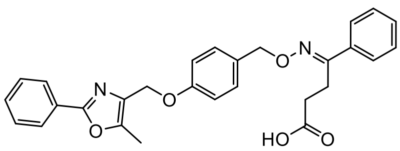
47
PPARγ agonist



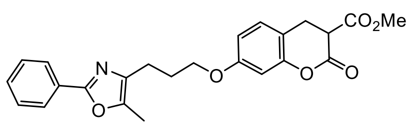
48
Farglitazar
PPARα/γ dual agonist



49
Muraglitazar
PPARα/γ dual agonist



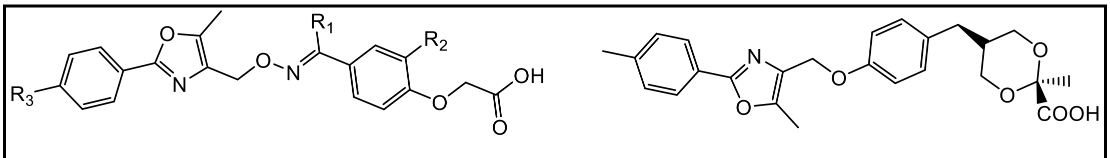
50
Imiglitazar
PPARα/γ dual agonist



51
T33
PPARα/γ dual agonist

Figure 1.20

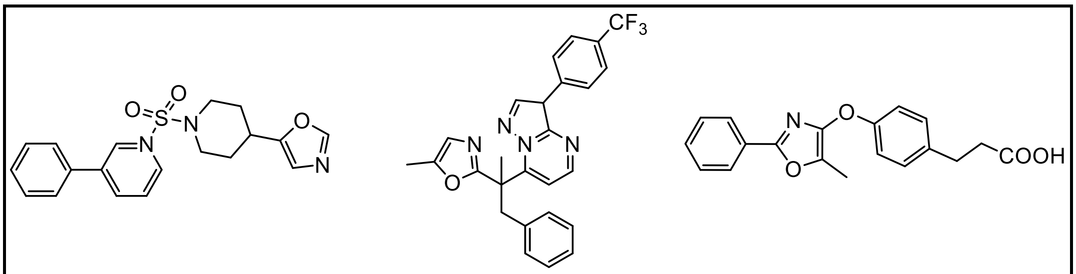
On similar lines, the compounds with carboxylic acid as a polar group and oxime linkage attached to methyl phenyl oxazole (**52**) (**Figure 1.21**) were synthesized and were found to have potent PPAR-pan agonist activity.[70] Novel PPAR dual agonists with oxazole attached to 1,3-dioxane-2-carboxylic acid pharmacophore (**53**) (**Figure 1.21**) were found to be effective glucose lowering agents in *in vivo* studies.[71]



52 **53**

Figure 1.21

Some other oxazole containing molecules have been reported to have antidiabetic activity with a different mechanism of action. For example compounds **54, 55, 56** (**Figure 1.22**) act on the other diabetes related enzymes, including GRP119, GRP40 receptors, regulating glucose level in blood.[72–74]



54 **55** **56**

Figure 1.22

1.3.3 1,3-Oxazole Containing Anticancer Agents

Anticancer activity has been reported for several oxazole containing naturally occurring macrolides (**57**, **58**, **59**, **60**, and **61**) (**Figure 1.23**). The macrolide (**62**) (**Figure 1.23**) with six oxazole heterocycles present in the macrocyclic structure act through electrostatic as well as π-stacking interactions.[75]

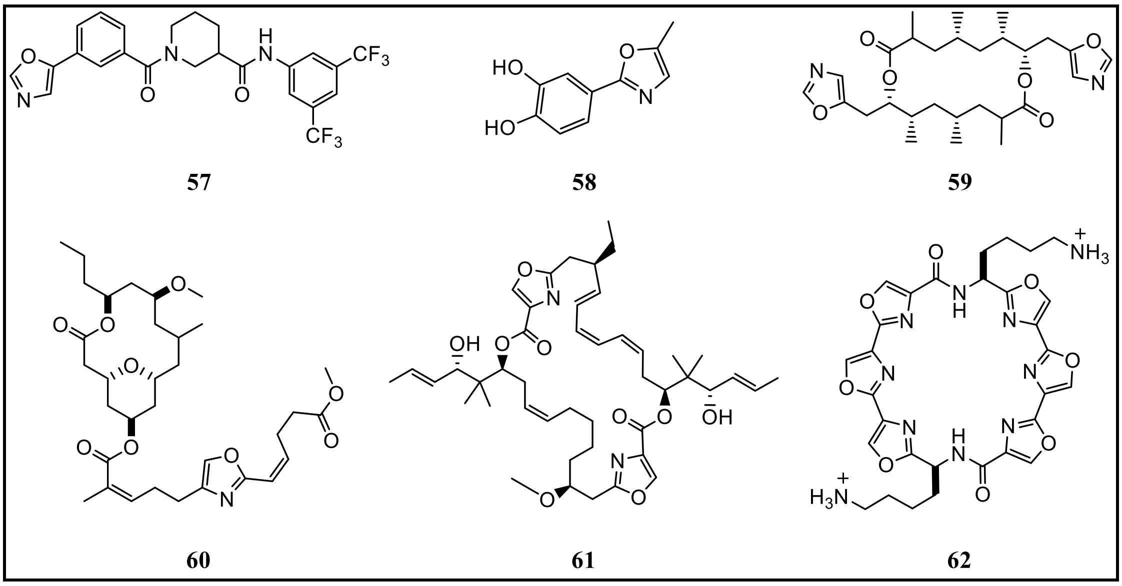


Figure 1.23 ***Anticancer agents having oxazole moiety.***

1.4 Medicinal Chemistry and Drug Design

Medicinal chemistry involves design, development and synthesis of the therapeutic agents useful for the treatment and cure of various diseases affecting the human health. Medicinal chemistry is an interdisciplinary science with intersection between chemistry, biology and pharmacy.

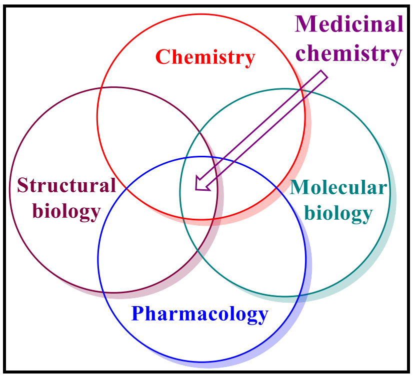


Figure 1.24

Drug design includes computational aspect of the targeted molecules with the targeted enzymes and understanding of structure activity relationship. Synthesis of the designed new molecules involves methodology and functional group alteration to make them more effective and therapeutically more viable compounds.

The SAR is a qualitative aspect of structure and activity for a series of compounds prepared. When various statistical and mathematical tools in correlating the structural properties with the biological activity are employed, it leads to quantitative structural activity relationship (QSAR). QSAR methods may apply physio-chemical or structural properties of the molecules for the correlation with the biological activity.

In 3D-QSAR technique, three dimensional structures of the new molecules are considered including stereochemistry and conformation to understand their interactions with 3D structures of the targeted receptors. The knowledge of crystal structures of various receptors and the new compounds is highly useful in the study of 3D-QSAR. The computer programmes developed based on this information and modern advanced high speed computers are essential for this kind of development process. This development process is known as computer aided drug design and drug development programme.

Natural products are an important and integral part of medicinal chemistry. Before the beginning of the drug industry, man depended on herbs and herbal extracts for the treatment of various ailments.

Isolation of new compounds from natural resources, investigation of biological activity of natural products and synthesis of bioactive natural products are included in medicinal chemistry. Bioactive natural products provide lead molecules for the development of new drugs. Only very few natural products can directly be used as therapeutic agents due to lack of specificity but they act as very good lead compounds. Nearly half of the drugs in use are based on natural products.

Drug design involves the synthesis of small molecules which are corresponding in shape and charge to the biomolecular targets with which they interact and bind. They thus stimulate or prevent the functions of biomolecules such as proteins and enzymes normally of the invading microorganisms.

Thus medicinal chemistry and drug design involve various other disciplines such as bio-chemistry, molecular biology, X-ray crystallography, pharmacokinetics, metabolism, computational chemistry, molecular modelling, clinical pharmaceutical and process research chemistry, legal and regulatory affairs too.

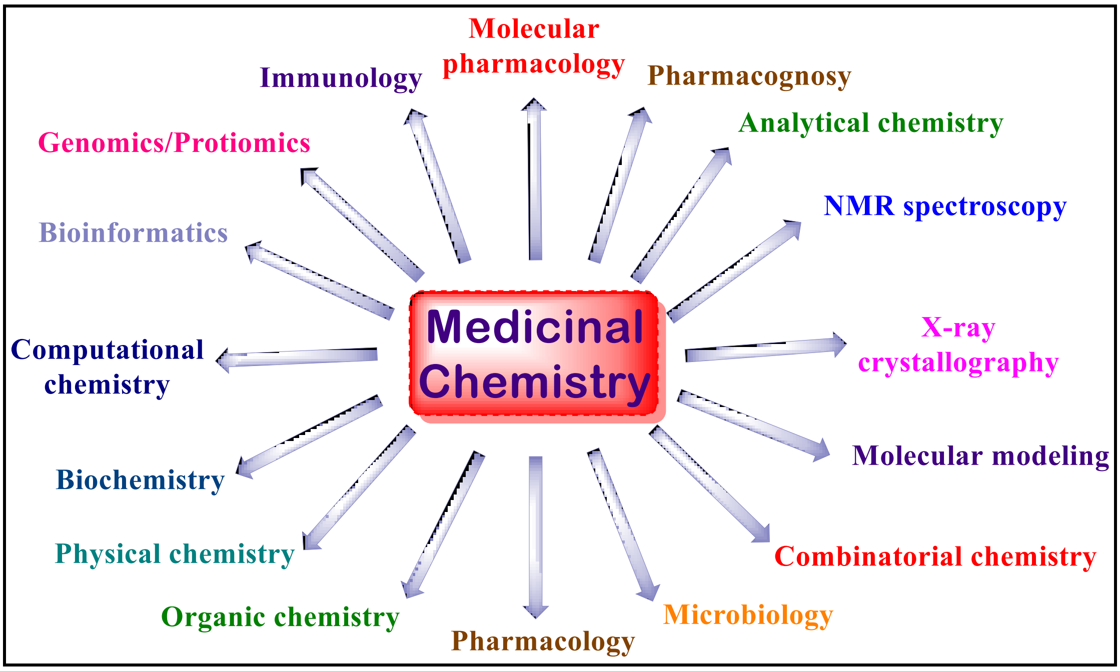


Figure 1.25

The role of a medicinal chemist involves improvement of the existing drugs either by enhancing their potency, extending the duration of their effectiveness, lowering the toxic side effects, developing of the new therapeutic agents, including their chemical modification to improve their properties, their chemical synthesis or their pharmacological testing.

As already discussed, the presence of heteroatoms in a chemical entity plays an important role causing non-bonding interactions with bio molecules. Most of bioactive molecules interact more or less with the binding pockets existing or formed in the enzymes or proteins due to the presence of the heteroatoms or polar functional groups and/or π-π stacking interactions.

1.5 Hybrid Molecules and Medicinal Chemistry

The compounds with different heterocycles possess different bioactivities or different efficacy for a particular bioactivity. It is a topic of current interest to synthesise new molecules with two or more heterocycles connected via covalent bonds or separated by a spacer and to study their bioactivity prompted by the reported activity of individual heterocycles.

The quest for amalgamation of different heterocycles or of structural features of two differently bioactive entities is due to the expectation of enhanced or novel bioactivity of the resulting hybrid molecules. In practice the results could be contrary to the expectations. As reported in a number of research articles, incorporation of two molecular entities existing in a large number of scaffolds with a varied biological profiles display unusual enhanced biological properties.[76,77]

It is assumed that the combination of two or more bioactive heterocycles in a single molecule may not only synergize their biological potency but may also improve their ability to act upon more than one biological target. There are a number of reports in recent times on the preparation of heterocyclic hybrid molecules resulting in novel chemical entities with a variety of biological activities.[78]

Figure 1.26

Combination of oxazoline and pyrazoline moieties attached via an aromatic linker resulted in novel hybrid molecules **(63)** (**Figure 1.27**) which were studied for their antimalarial activity.[79] The molecules (**64**) (**Figure 1.27**) with triazole heterocycles attached to position number 2 of 1,3-oxazole via a phenyl ring and having amido and amino functionalities were subjected to the binding studies with DNA and were expected to show anticancer activity.[80] Some quinoline attached oxazole compounds (**65**) (**Figure 1.27**) were found to be extremely potent phosphodiesterase-4 inhibitors.[81] The pyrazole-oxazole hybrids (**66**) (**Figure 1.27**) were prepared and were studied for their antimicrobial activity.[82]

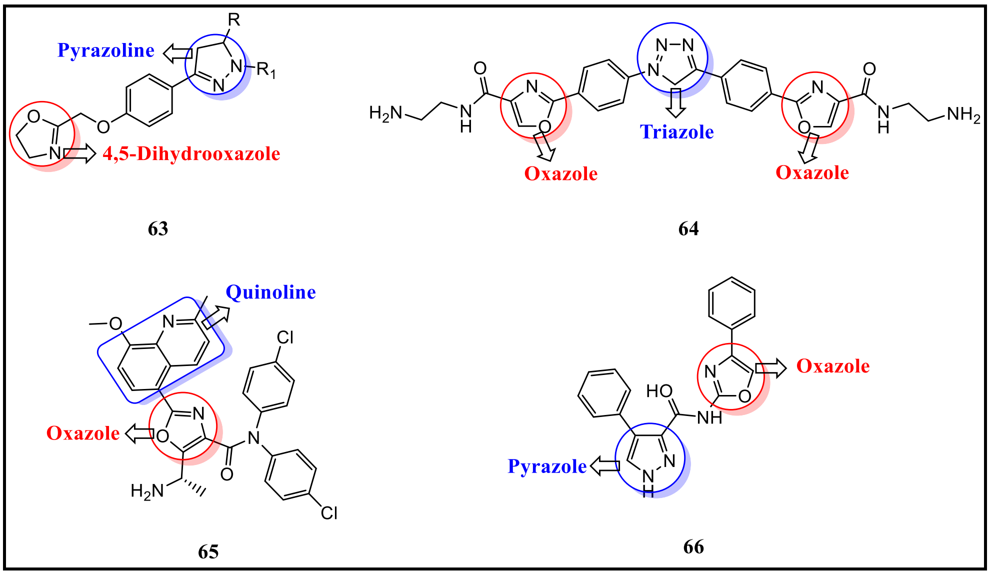


Figure 1.27 ***Oxazole containing hybrids as therapeutic agents***

The compounds (**67**) (**Figure 1.28**) with a triazole heterocycle linked to isatin were reported to have anticancer activity.[83] The compounds (**68**) (**Figure 1.28**) with morpholine attached to thiophene having a hydrazone linkage were studied for their anticancer activity.[84] Similarly hybrid molecules (**69**) (**Figure 1.28**) synthesized with the combination of 1,3,5-triazine, benzimidazole and morphine moieties were evaluated for their anticancer activity against NCI-60 cell panel.[85] The compounds (**70**) (**Figure 1.28**) having combination of pyrimidine and thiazole heterocycles have been found to have anticancer activity against breast cancer cell lines.[86] The coumarine-indole hybrids (**71**) (**Figure 1.28**) were tested for anticancer activity against breast adenocarcinoma (MCF-7).[87]

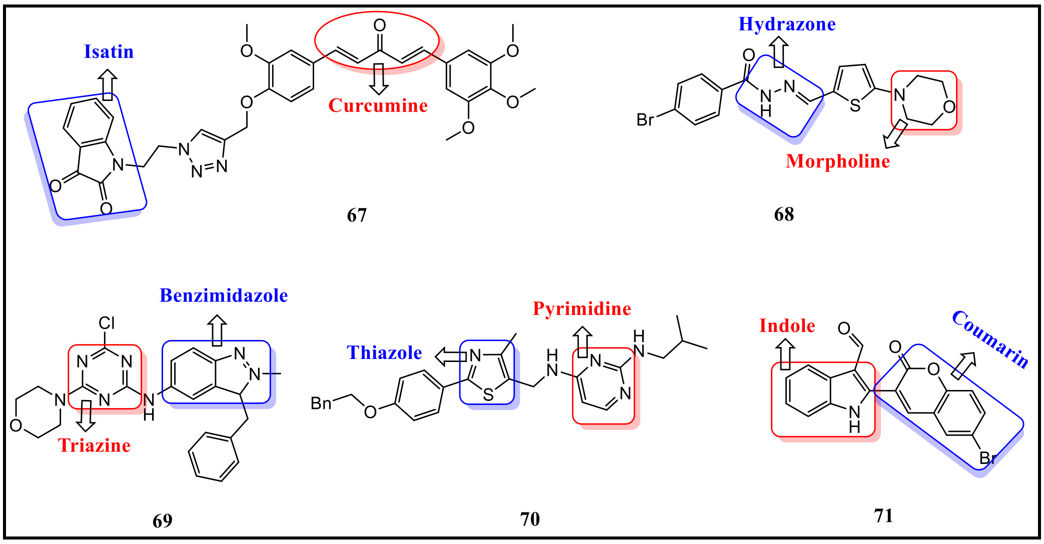


Figure 1.28 ***Anticancer hybrids.***

Isoniazid (INH), an important frontline anti-tubercular drug has been employed in the synthesis of hybrid compounds in search of more effective treatment of tuberculosis with expectation of improvement in its activity and to overcome the problem of drug resistant bacteria. The hybrid molecules using INH include the combination of pyrazole and coumarine (**72**), quinoline (**73**) and azetidinone (**74**) (**Figure 1.29**) in addition to the pyridine ring originated from INH.[88–90] The other compounds include INH substituted or condensed heterocyclic compounds such as 1,3,5-triazine (**75**), thiazolidinedione (**76**), isatin (**77**), pyrazole (**78**) (**Figure 1.29**).[91–94] All these compounds have been evaluated for their anti-tubercular activity.

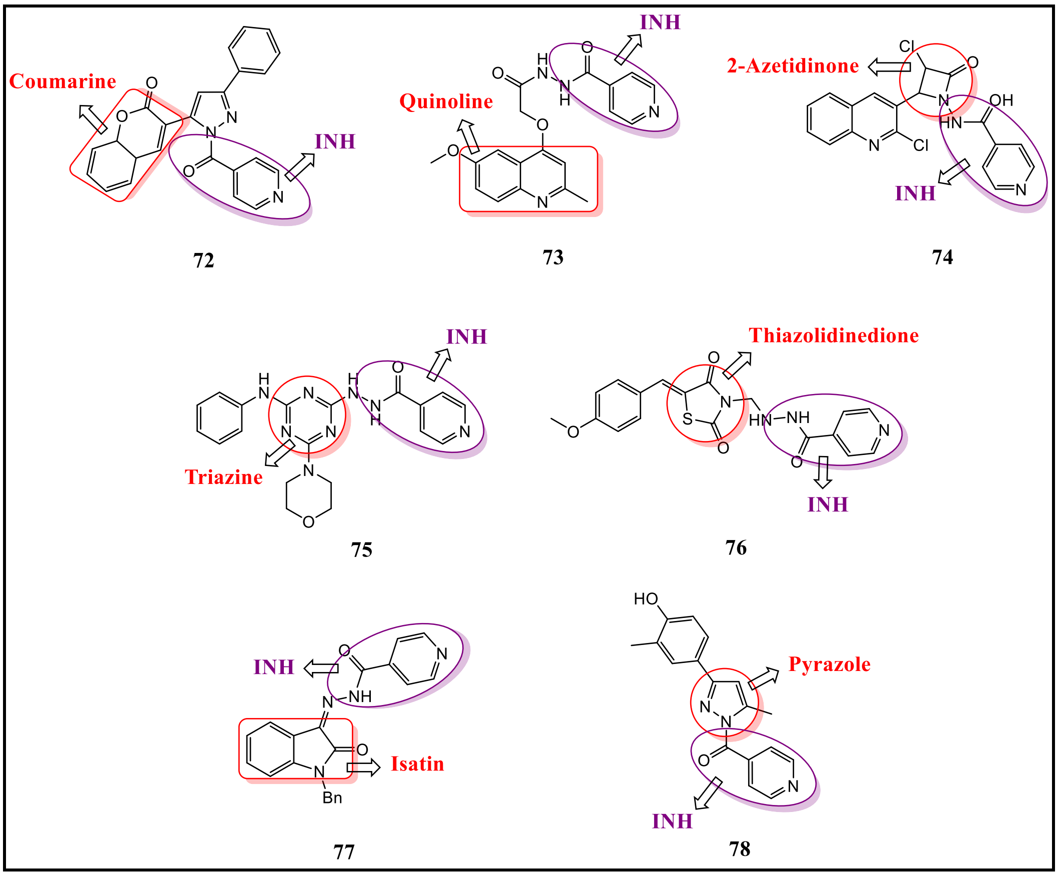


Figure 1.29 ***INH derived hybrid derivatives as anti-tubercular agents.***

1.6 Aim and objectives

The present research project culminated in a Ph D thesis was targeted at the preparation of some new molecules in which 1,3-oxazole heterocycle is an integral part of their structure. The origin of the interest in oxazole chemistry was not only the diverse biological activities the heterocycle possesses but also the development of some new synthesis methodology through which the heterocycle can be built.

While designing the new compounds it was kept in view that it should finally lead to the compounds with inclusion of more than one heterocyclic moieties in their structures. 1,3-Oxazole derivatives with formyl group are useful starting compounds for the synthesis of the intermediates which can be utilised for building of some other heterocyclic nuclei. The compounds having chalcone linkage can be employed for building of a variety of heterocyclic moieties such as iso-oxazoline, pyrazoline, pyridine and pyrimidine with different substitutions on them.[95] Thus it was decided to prepare some new chalcones from the functionalized oxazoles.

Study of the bioactivities of the newly synthesized heterocyclic compounds based on their structure and on the available study support was also a part of plan. The bioactivities which were possible to be studied were anticancer, antidiabetic and anti-tuberculosis, dealing with the three widely prevalent diseases. The other areas of interest of the biological study involved anti-inflammatory and antimicrobial activities.

The possibility of carrying out molecular modelling and docking study of the new chemical entities was also kept in mind so as to understand their interactions with the known enzymes related to a particular disease for which bioactivities have been studied.

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