Chapter 7

Summary
Summary

The research work incorporated in the thesis with the title “SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL SCREENING OF NOVEL HETEROCYCLIC DERIVATIVES” has been described as under.

Chapter – I: In this chapter a detail about medicinal chemistry and use of heterocyclic compounds in medicine described. Heterocyclic compounds are organic compounds that contain a ring structure containing atoms in addition to carbon, such as sulfur, oxygen or nitrogen, as part of the ring. They may be either simple aromatic rings or non-aromatic rings. Number of drugs contain heterocyclic moiety as a active core are reported in literature.

Chapter – II: Literature survey of nitrogen and sulfur and oxygen containing heterocyclic compounds such as Piperazine, Triazole, Pyrazole, Thiazole, Oxothiazole, Pyridine and Ylidene (Knoevenagel condensation) and their evaluation of therapeutics category with various way of synthesis described.

1. Chemistry of Piperazine
2. Chemistry of 1,2,4 triazole
3. Chemistry of Pyrazole
4. Chemistry of Pyridine
5. Chemistry of Thiazole
6. Chemistry of Oxothiazole
7. Chemistry of Knoevenagel Reaction(Ylidene).
8. Chemistry of Benzylidene

[1] Chemistry of Piperazine

Piperazine ring has been found to exhibit wide spectrum of biological activities and it is used in many drugs against different diseases. Some are known to exhibit antihypertensive [1], anti-inflammatory [2], antiallergenic [3], antitussive [4], antibacterial [5], antiserotonic [6], antipsychotic [7], anti-influenza [8], anticancer [9], antischizophrenia [10], or central nervous system CNS-depressant activity [11].
Moreover, synthesis of 1,2,4-triazoles fused to another heterocyclic ring has attracted widespread attention due to their diverse applications as antibacterial-, antidepressant-, antiviral-, antitumoral- and anti-inflammatory agents, pesticides, herbicides dyes, lubricant and analytical reagents [12]. Among these, the commonly known systems are generally triazoles fused to pyridines, pyridazines, pyrimidines, pyrazines and triazines. Although there are not many triazoles fused to thiadiazines or thiadiazoles, a number of them are incorporated into a wide variety of therapeutically important compounds possessing a broad spectrum of biological activities [13–16].

Pyrazole derivatives were prepared and tested for a variety of biological activities such as antitumor [17-18], anticancer [19], antiviral [20], anti-inflammatory [21], antiepileptic [22], antiHIV [23] etc. many pyrazoles are known to be used as antiproliferate agent [24], protein kinase inhibitors [25], herbicidal [26], CNS depressant [27], antiulcer [28], neurotensin receptor [29], immino suppressants [30] etc.

Pyridine derivatives as therapeutic agents, such as activities Neuroprotective, AntiAlzheimer agent, multi potent drug [31], COX-2 selective inhibitor [32], Antibacterial [33], Antiulcer [34], Insecticide [35], Histaminic [36], Diuretic [37].

Thiazole and their derivatives constitute an important class of organic compounds amongst the five member heterocycles, it contains nitrogen and sulfur in ring system. Several 1,3-thiazole derivatives are known in the art. Few examples among which are reported as herbicides and pesticides [38-40]. Several thiazole derivatives have been reported as useful therapeutic agents like Antitumor agents, cyclooxygenase inhibitors,[41] antiallergic agents, protein kinase inhibitors. Antiinflammatory,[42] Antitrichomonal, [43] Antimicrobial Activity, [44-46] urinary diseases,[47] antiparkinsonian drugs and dopamine agonists (Tigemonam)[48]. Thiazole derivative have very good biological importance like anti cancer, antibacterial, anti HIV agents [49] etc. Numbers of synthetic methods are available to synthesize various substituted thiazoles. All this reasons attracts us to synthesized thiazole derivative as a one of the core moiety for our research study.
Chemistry of Oxo-thiazole

Pyranopyrido-thiazole derivatives are biologically interesting molecules that have established utility in the pharmaceutical and the industries compounds with these ring systems have a wide application range of biological activities and pharmacological actions [50-54], antibacterial [55-56] inhibitory activity [57-59]. Otherwise Pyranopyrido-thiazole derivatives found a wide uses in the chemistry of dyes and pigments such as laser technologies [60-61], in colour and non colour photographic processes [62], in optical disk as recording media [63] and inks [64]. However, the structure activity relationship studies revealed that synthesized compounds is also an important in the many different fields. In connection of our previous work [65], in this article the attempts have been made to synthesize a new fused and isolated heterocyclic compounds, beside the some compounds of cyanine dyes such as apocyanine and monomethine cyanine dyes.

Chemistry of Knoevenagel Reaction (Ylide).

The chemistry of bioactive thiazoles [66-72], rhodanes [73-75] and have been an interesting field of study for long time. The rapid syntheses of a variety of heterocyclic compounds under microwave.

Study on the influence of structure on activity showed that sometimes, minor changes in heterocyclic nuclei enhance the pharmacological profile many folds than parent nuclei. The search for new, effective and safe nuclei has led to an improvement in the existing drugs by increasing their potency, duration of action and decreasing their toxic effects. This is achieved by creating new biologically active agents by molecular modifications.

Chemistry of Benzylidene

The reaction between benzaldehyde and D-mannitol has led to only two characterized products: a tribenzylidene derivative assigned the 1,3:2,5:4,6 structure and recently the 1,3:4,6-dibenzylidene derivative. These structures are the equilibrium products redicted from the Barker-Bourne rules.[76] However, the structure of the tribenzylidene derivative has not been satisfactorily determined (see below), and the 1,3:4,6-derivative obtained by us melted 44" higher than the literature [77] value, so both these derivatives have been reinvestigated.
Chapter – III  Experimental techniques

In this chapter, I have described the analytical techniques such as IR, NMR, Mass and elemental analysis etc. Which may be used for determination of structure of all synthesized compounds.

Chapter- IV :

This chapter contain an experimental section of various synthesized compounds include reaction scheme and methodology of synthesized compound with various physical parameter have been also discussed.

Reaction Scheme : Following compounds have been synthesized.

Section I : Synthesis of N-(4-(5-(4-(2,3-dichlorophenyl)piperazin-1-yl)-1H-1,2,4-triazol-3-yl)phenyl) acetamide

\[
\text{Where R= Alkyl/Aryl group}
\]

Section II : Synthesis of 1-(2,3-dichlorophenyl)-4-(1-methyl-3-phenyl-1H-1,2,4-triazol-5-yl)piperazine

\[
\text{Where R= Alkyl/Aryl group}
\]
Section III: Synthesis of 2-(5-(4-(2,3-dichlorophenyl)piperazin-1-yl)-3-phenyl-1H-1,2,4-triazol-1-yl)-N-methylacetamide.

![Chemical Structure of Section III](image1)

Where R = Alkyl/Aryl amine group

Section IV: Synthesis of N-(4-((5-(4-(2,3-dichlorophenyl)piperazin-1-yl)-3-phenyl-1H-1,2,4-triazol-1-yl)methyl)phenyl)acetamide.

![Chemical Structure of Section IV](image2)

Where R = Alkyl/Aryl group
Section -V : Synthesis of N-(4-(2-(methyl(3-methyl-1-phenyl-1H-pyrazol-5-yl)amino)thiazol-4-yl) phenyl)(alkyl)amide.

\[
\begin{align*}
&\text{Where } R = \text{ Alkyl/Aryl group}
\end{align*}
\]

Section -VI : Synthesis of N-(4-(3-methyl-5-(methyl(4-phenylthiazol-2-yl)amino)-1H-pyrazol-1-yl)phenyl)(alkyl)amide.

\[
\begin{align*}
&\text{Where } R = \text{ Alkyl/Aryl group}
\end{align*}
\]
Section VII: Synthesis of 1-(2-(4-(3-methyl-1-phenyl-1H-pyrazol-5-yl)piperazin-1-yl)-6,7-dihydro thiazolo[4,5-c]pyridin-5(4H)-yl)(alkyl)-1-one.

\[
\begin{align*}
\text{Where } R &= \text{ Alkyl/Aryl amine group}
\end{align*}
\]

Section VIII: Synthesis of N-(4-(4-(5-(4,6-dihydro-4H-cyclopenta[d]thiazol-2-yl)piperazin-1-yl)-3-methyl-1H-pyrazol-1-yl)phenyl)(alkyl)amide.

\[
\begin{align*}
\text{Where } R &= \text{ Alkyl/Aryl group}
\end{align*}
\]
Section -IX : Synthesis of N-(4-((2-(2,3-dichlorophenyl)piperazin-1-yl)-4-oxothiazol-5(4H)-ylidene) methyl)phenyl)(ALKYL)amide.

Where R= Alkyl/Aryl group

Section -X : Synthesis of N-(4-((2-(4-(3-methyl-1-phenyl-1H-pyrazol-5-yl)piperazin-1-yl)-4-oxothiazol -5(4H)-ylidene) methyl)phenyl)(alkyl)amide.

Where R= Alkyl/Aryl group
Section - XI: Synthesis of 5-(4-(3-methyl-1-phenyl-1H-pyrazol-5-yloxy)benzylidene)-2-(Alkyl)amino) thiazol-4(5H)-one.

Where R= cyclic/alkyl/Aryl amine group

Section - XII: Synthesis of 2-(4-(alkanyl)piperazin-1-yl)-5-(4-(3-methyl-1-phenyl-1H-pyrazol-5-yloxy) benzylidene) thiazol-4(5H)-one.

Where R= alkyl/Aryl group
Chapter – V
This chapter is discussed about spectroscopic data of synthesized compounds. This chapter includes IR spectra, NMR spectra and Mass spectra. Infrared spectra various compounds and interpretation on spectra has been discussed, similarly mass spectra, NMR spectra and its result with interpretation have been discussed legendry.

Chapter – VI
This chapter includes the anti-microbiological evaluation of synthesized compounds. In the chapter methodology of anti-microbiological evaluation, its results are briefly discussed.

Chapter – VII
This chapter summarized the research work.
Publications :


2. Synthesis,Spectral Characterization and Biological Activity of Some Novel N-(4-(5-(4-(2,3-dichlorophenyl)piperazin-1-yl)-1H-1,2,4-Triazol-3-yl)phenyl)(Alkyl/Aryl)Amide Derivatives.
   RANJIT K PATEL AND KOKILA A.PARMAR*
   J Medicinal Chemistry Research (Communicated)

3. Synthesis,Spectral Characterization and Biological Activity of Some Novel 1-(2,3-dichlorophenyl)-4-(1-methyl-3-phenyl-1H-1,2,4-triazol-5-yl)piperazine derivatives.
   RANJIT K PATEL AND KOKILA A.PARMAR*
   J Bioorganic & Medicinal Chemistry Letters (Communicated)

4. Synthesis and biological evaluation of some new (2,3-dichlorophenyl)-4-(1-methyl-3-phenyl-1H-1,2,4-triazol-5-yl) piperazine derivatives and its biological properties.
   RANJIT K PATEL AND KOKILA A.PARMAR*
   J IL Farmaco (Communicated)

5. Synthesis of some new 1,2,4-triazol based piperazine derivatives and in-vitro assessment of biological properties
   RANJIT K PATEL AND KOKILA A.PARMAR*
   J Organic Letters (Communicated)

6. Synthesis and biological activity of N-(4-(3-methyl-5-(methyl(4-phenylthiazol-2-yl)amino)-1H-pyrazol-1-yl) phenyl)(alkyl)amide derivatives
   RANJIT K PATEL AND KOKILA A.PARMAR*
   Arabian Journal Of Chemistry (Communicated)

RANJIT K PATEL AND KOKILA A.PARMAR*
(Under Communication)


RANJIT K PATEL AND KOKILA A.PARMAR*
(Under Communication)


RANJIT K PATEL AND KOKILA A.PARMAR*
(Under Communication)
Conference/Seminars


