CHAPTER-7

Summary, Conclusion and Recommendations

7.1. Introduction.

The research work embodied in this thesis is planned to develop the novel methodologies for synthesis of various biologically active nitrogen heterocyclic compounds. Among the nitrogen heterocycles, indole and pyridine derivatives are ubiquitous in array of bioactive natural products, pharmaceuticals and agrochemicals. 3-arylmethyl/diarylmethyl indoles **(1)**, 4-oxo-4, 5, 6, 7-tetrahydroindole derivatives **(2)**, 2-arylamino-3-cyanopyridine derivatives **(3)** and 2-arylamino-3-cyano-6-arylpyridine derivatives **(4)** are considered as privileged structures (**Figure 7.1**) from synthetic community across the world because of their diverse range of pharmacological activities and to explore this framework for its diverse therapeutic use has motivated the development of cost effective, eco-friendly, practical and sustainable strategies for their synthesis in a straight forward fashion in order to help medicinal chemists in designing and synthesizing novel and potent compounds for the treatment of different disorders.

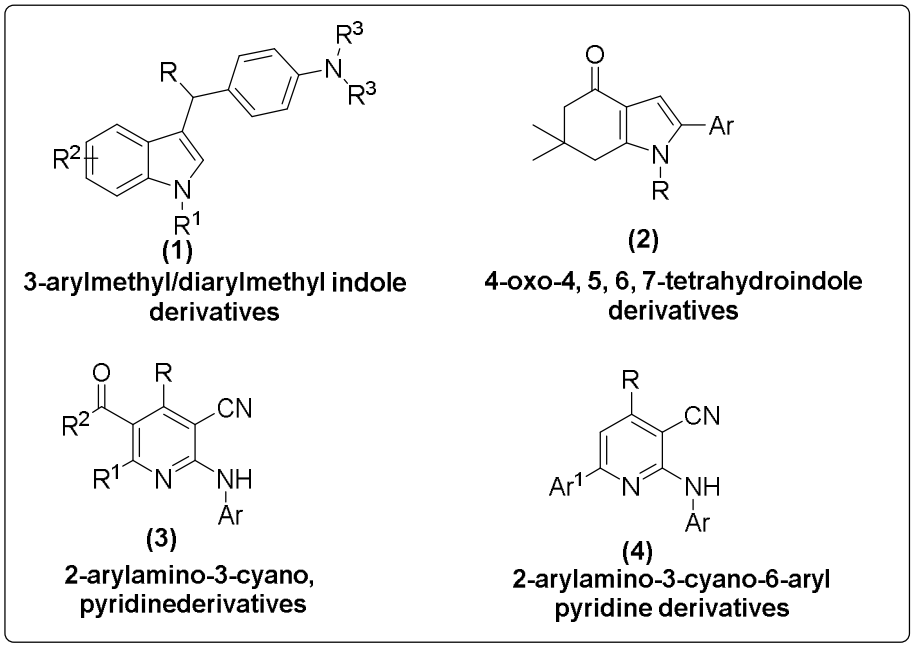


Figure 7.1: Basic structures of indole and pyridine derivatives in present research work.

7.2. Summary, Conclusion and Recommendations of present research work:

Chapter 1:

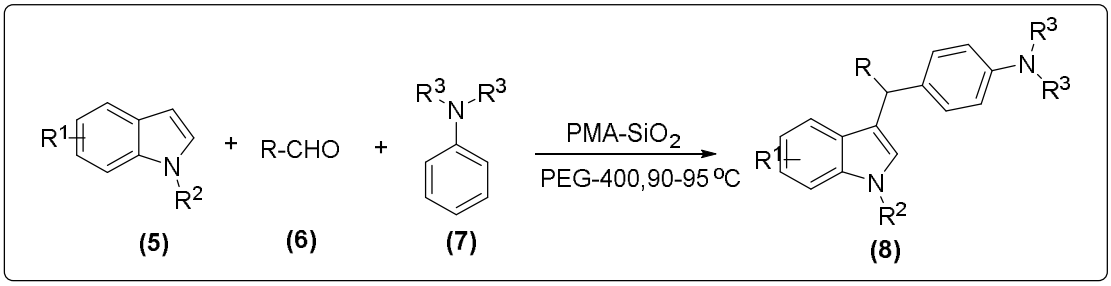
This chapter provides brief introduction for indole derivatives, pyridine derivatives and their biological importance, multicomponent reactions and their importance.

Chapter 2:

This chapter describes literature survey about 3-arylmethyl/diarylmethyl indoles, *N*-substituted 2-amino-3-cyano pyridine derivatives, *N*-substituted 2-amino-3-cyano-6-arylpyridine derivatives and 4-oxo-4, 5, 6, 7-tetrahydroindole derivatives.

Chapter 3:

In this chapter we have reported a simple, efficient, and high yielding novel methodology for 3-arylmethyl/diarylmethylindoles synthesis by the PMA-SiO_2 catalyzed three-component reaction of indole **(5)**, aliphatic/ aromatic/heteroaryl aldehyde **(6)** and *N*, *N*-dialkylaniline **(7)** (**Scheme 7.1**). The reaction proceeds without anhydrous conditions and with less expensive and recyclable catalyst. A range of indole derivatives were synthesized by using this straight forward and operationally simple methodology in acceptable yields.

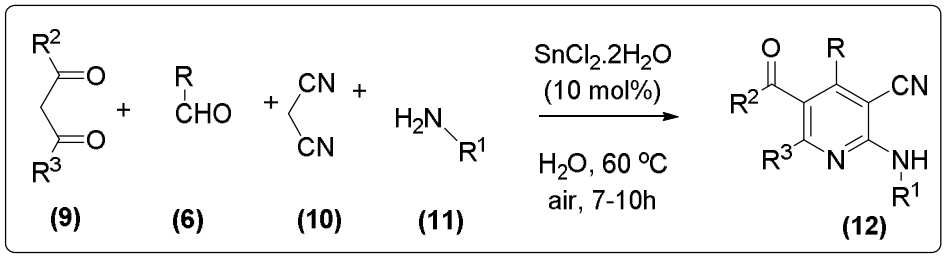


Scheme 7.1: PMA-SiO_2 catalyzed multicomponent reaction of Indole, aldehyde, and *N*, *N*-dialkylaniline.

Chapter 4:

In this chapter we have reported a simple, efficient, and high yielding novel methodology for 2-arylamino-3-cyano pyridine derivatives (**12**) synthesis by the

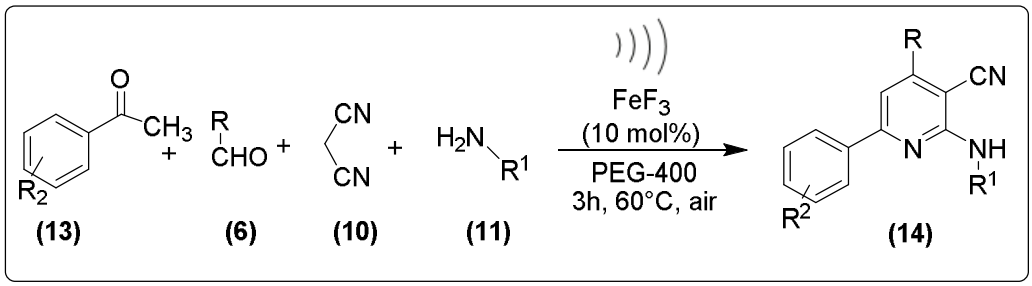
$SnCl_2.2H_2O$ catalyzed four-component reaction of β-keto esters or 1, 3-diketones **(9)**, aryl/hetero aryl/alkyl aldehydes **(6)**, malononitrile **(10)** and anilines **(11)** in water (**Scheme 7.2**). The use of this less expensive catalyst in water media, good yield of products and wider substrate scope are the main features of this present methodology. Hence, this present methodology can find many applications both in medicinal and organic chemistry.



Scheme 7.2: Sn-catalyzed synthesis of 2-arylamino-3-cyanopyridine derivatives.

Chapter 5:

The methodology reported in this chapter for the ultrasound assisted synthesis of 2-arylamino-3-cyano-6-arylpyridines (**14**) from acetophenone **(13)**, aldehyde **(6)**, malononitrile **(10)** and aromatic amine **(11)** catalyzed by FeF_3 in PEG-400 (**Scheme 7.3**). A variety of 2-arylamino-3-cyano-6-aryl pyridine derivatives were prepared by using this methodology in good to exceptional yields.

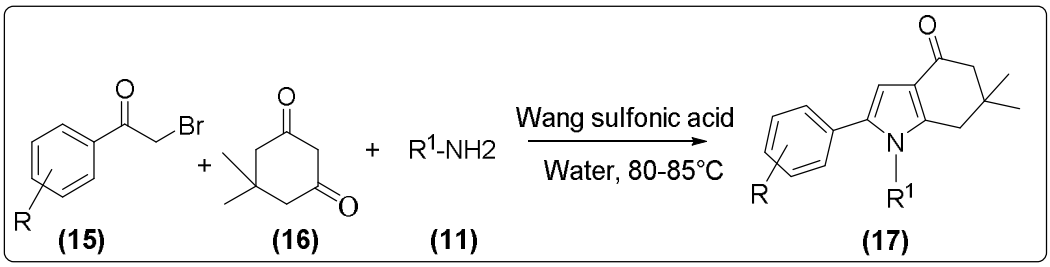


Scheme 7.3:FeF_3 mediated ultrasound assisted four-component reaction leading to 2-arylamino-3-cyano-6-aryl pyridine derivatives.

Chapter 6:

In this chapter we have reported a simple, efficient, and high yielding novel methodology for 4-oxo-4, 5, 6, 7-tetrahydroindole derivatives (**17**) from phenacyl bromide **(15)**, dimedone **(16)** and primary amines **(11)** catalyzed by Wang-SO_3H in

water (**Scheme7.4**). This efficient, environmentally benign and operationally easy methodology afforded a range of 4-oxo-4, 5, 6, 7-tetrahydroindole derivatives in good yields. The use of this recyclable, less expensive and environmentally benign catalyst in water media, wider substrate scope and good yield of products are the key features of this methodology.



Scheme 7.4: Wang-OSO_3H catalyzed synthesis of 4-oxo-4, 5, 6, 7-tetrahydroindole derivatives.

These developed environmentally benign methodologies can be used for preparation of similar type of Indole and Pyridine derivatives.