Investigations embodied in this thesis entitled “Synthesis and In vitro Pharmacological Evaluation of Indoles and Alkynyl Substituted Thienopyrimidines, Indazoles and Pyrazoles” have been presented in five chapters as follow:

Chapter 1: Pd/C mediated alkynylation of N-heterocycles

This chapter started with the importance of Sonogashira reactions in C–C bond-formation and its wide applications in synthetic and organometallic chemistry. This chapter also deals with the importance, use and versatility of Pd/C catalyst and its advantages over other Pd-catalysts. Pd/C is a cheap and air stable catalyst which can be easily recovered from the reaction mixture and is recyclable. The plausible mechanism associated with the Pd/C mediated coupling reactions is also discussed. The later part of this chapter is based on the various reaction approaches utilizing Pd/C catalyst for the synthesis of alkynylated N-heterocycles.

Chapter 2: Palladium / Charcoal - mediated synthesis and anticancer activities of 4-alkynylthieno[2,3-d]pyrimidines

The palladium Charcoal–Copper iodide – Triphenyl Phosphine catalytic method facilitated Carbon - Carbon bond formation between 4-chloro[2,3-d]thienopyrimidine in addition to 1- alkynes in MeOH with high selectivity with no generating any major side products due to the Carbon - Oxygen bond formation between the chloro compound and MeOH. A assortment of
novel 4-alkynyl thieno[2,3-\textit{d}]pyrimidines were ready via alkynylation of 4-chloro thieno[2,3-\textit{d}]pyrimidines in good to tremendous yields. Some of the compounds synthesized were tested for cytotoxic activities in vitro.

**Chapter 3: Palladium / Charcoal -mediated synthesis and anti cancer properties of mono and dialkynyl substituted indazoles**

The combination of Pd/C–CuI–PPh$_3$ has been identified as an efficient catalytic system for the Carbon -Carbon bond formation among 6-bromo-3-iodo-1\textit{H}-indazole and terminal alkynes in ethanol. This chapter will demonstrate the preparation of mono and/or dialkynyl substituted indazoles using this general and practical methodology in good to tremendous yields. A few of the compounds synthesized were tested for cytotoxic activities \textit{in vitro}.

**Chapter 4: Palladium / Charcoal -mediated synthesis of alkynyl pyrazoles as novel Phospho diesterase inhibitors**

This chapter involves the devise and construction of 4-acetylenic pyrazoles derivatives has led to the classification of new class of phosphodiesterase-4 inhibitors. The entire compounds are access \textit{via} a facile Palladium carbon – Copper iodide- Triphenyl phosphine mediate Carbon-Carbon bond forming reaction between an proper iodide and different 1alkynes. In vitro Phosphodiesterase - 4B inhibitory properties and molecular modeling studies of some of the compounds synthesized indicated that 4-acetylenic pyrazoles
could be a shows potential template for the resulting of novel phosphodiesterase-4 inhibitors

Chapter 5: Palladium / Charcoal -mediated synthesis of N-indole substituted olanzapine derivatives as PDE4 inhibitors.

This chapter deals with the synthesis of N-indole substituted olanzapine derivatives by the coupling of N-alkynylated olanzapines and o-iodoanilides. The coupling reaction was performed by Sonogashira reaction using Pd-CuI-PPh₃ catalytic system, triethylamine as base and ethanol as solvent, which resulted in situ construction of the indole ring. The synthesized compounds were then tested for their in vitro PDE4 inhibitory activity. All the compounds were more selective towards PDE4B compared to PDE4D. Some compounds also displayed promising dose-dependent inhibition of PDE4B enzyme. Nevertheless, the synthesized N-indole substituted olanzapine derivatives are identified as novel PDE4 inhibitors with promising results in the in vitro study.