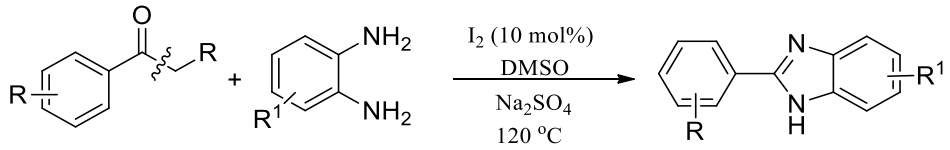
ABSTRACT

The work carried out in the research tenure has been compiled in the form of thesis entitled "**Synthesis of Pharmacologically Important Heterocycles *via* Oxidative Carbon–Carbon Bond Cleavage**" is divided in to three chapters.

Chapter 1: Benzimidazoles from Aryl Alkyl Ketones and 2-Amino anilines by an Iodine Catalyzed Oxidative C(CO)−C(alkyl) Bond Cleavage.

This chapter illustrates a novel iodine catalyzed annulation reactions of *o*-phenylenediamine with acetophenone under oxidant and transition metal free conditions. This reaction includes, sequential C-N bond formation followed by C(CO)-C(alkyl) bond cleavage. Diversely substituted 2-aryl benzimidazoles are obtained in moderate to good yields in single step from commercially available acetophenones, propiophenones and phenylacetophenones (Scheme 1). Plausible mechanism was proposed based on ^{1}H NMR studies and appropriate control experiments.



Scheme 1: Synthesis of benzimidazoles from acetophenones and 2-amino anilines

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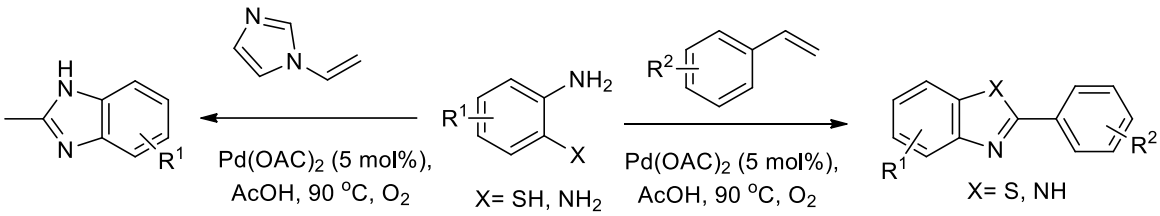
Chapter 2: Benzimidazoles and Benzothiazoles from Terminal Aromatic Olefins *via* Palladium Catalysed Oxidative C=C Cleavage:

In this chapter we have developed a one pot synthetic route for the pharmacologically important benzimidazoles and benzothiazoles from readily available styrenes/vinylimidazoles via Pd-catalyzed, molecular oxygen assisted C=C/C–N bond cleavage. This process involves sequential C=C/C–N bond cleavage followed by C−N/C−S bond formation for construction of benzimidazoles and benzothiazoles from readily available substrates (Scheme 2). In comparison

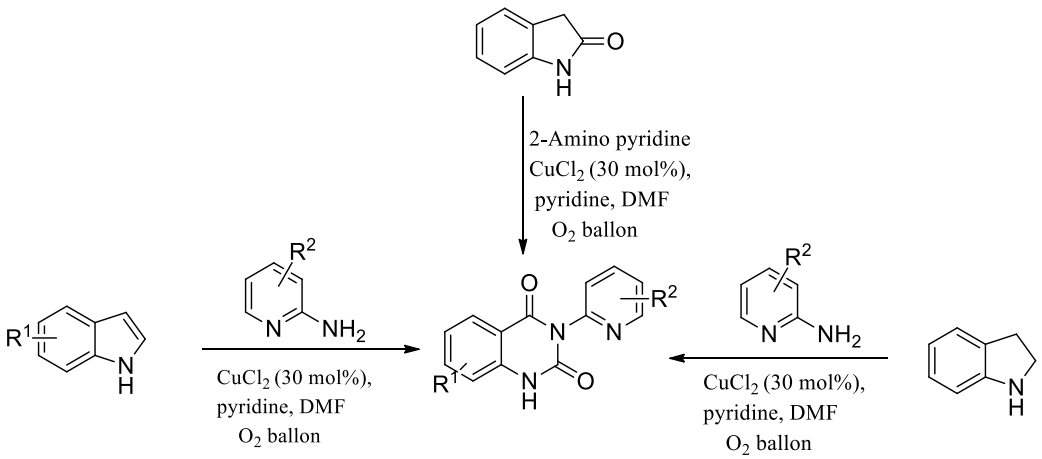
with the available methods, the present method is highly efficient and easy to operate with high substrate scope.



Scheme 2: Our synthetic approach to benzimidazoles and benzothiazoles

Chapter 3: Copper Catalyzed Domino C–C Bond Cleavage of 2,3-Unsubstituted Indoles/Indolines and Oxindoles *via* Oxidation and Directed Insertion of 2-Aminopyridines: A Facile Access to Quinazolinediones.

This chapter describes an unprecedented Cu-catalyzed domino **C–C** bond cleavage of 2,3-unsubstituted indoles *via* oxygenation followed by insertion of 2-aminopyridine has been described. This method involves the formation of two new C−O and C−N bonds utilizing molecular oxygen as sole oxidant for synthesis of pharmacologically important quinazoline-2,4(1*H*,3*H*)-dione derivatives from commercially available substrates (Scheme 3). It was noteworthy that under standard condition indoline also transformed into desired product with good yield. Reaction mechanism was proposed based on suitable control experiments and analytical technique like LC-MS, mass spectrometry.



Scheme 3: Synthetic approach to quinazoline diones