

## PREFACE

Palladium-catalyzed carbon-carbon and carbon-heteroatom bond-forming reactions are among the most versatile and powerful synthetic methods. N-heterocyclic carbenes (NHCs) are popular ligands in Pd-mediated cross-coupling and related transformations because of their superior performance compared to the more traditional tertiary phosphine. Palladium catalyzed cross-coupling reactions are well established. This was recognized in the 2010 Nobel Prize in chemistry which was awarded for “palladium-catalyzed cross-coupling in organic synthesis”.

This study demonstrated a regioselective C-H arylation of benzoxazole derivatives in the presence of a well-defined benzimidazole based N-oxide contained Pd-NHC complex. Operational-ease, wide-functional group compatibility and good to high yields of structurally orchestrated arylated benzoxazole scaffolds are the key features of the current protocol.

We have divulged the Pd-NHC catalyzed various cross-coupling reactions and their subsequent annulation sequence for accessing the structurally decorated biologically active 2-quinolone, 4-quinolone and coumarin derivatives in good to high yields. The protocol functioned well with various common organic functional groups and sensitive groups were sustained in the presence of low loading Pd-NHC catalyst.

Metal catalysed C–H functionalization methodology in synthetic organic chemistry is evolving very rapidly in the recent times. Several research groups around the globe are engaged in this field and extending the scope of research to multiple directions. Organic molecules are comprised of wide variety C-H bonds and selective functionalization of a specific C- H bond is of utmost need in the field of organic and medicinal chemistry. Utilization of suitable directing group, consisting of coordinating moiety, has come out as one of the best solutions to address the major selectivity issues by allowing the catalyst to come into vicinity with the targeted C-H bonds. Several transition metal salts have been used as catalyst for suitable coordination with the directing group to facilitate the C-H functionalization. Thus, development of new directing group and its utilization in combination with different metal salts for C-H activation reaction is highly demanding topic for improvement of efficiency in organic synthesis.

We have demonstrated a palladium catalyzed selective  $\beta$ -C(sp<sup>2</sup>)-H arylation reaction using 8-AIP (aminoimidazo[1,2-*a*]pyridine) auxiliary as new *N,N*-bidentate directing group. The reaction protocol is operational-handy, scalable and tolerates a wide range of functional groups, dispensing diverse functionally orchestrated unsymmetrical amides in good to excellent yields. Detailed mechanistic insight revealed that the reaction proceeds through organometallic chelation assistance where amidic N-H has decisive role.

From the perspective of Green Chemistry, avoidance of toxic organic solvents and employment of green reaction media are the crucial factors for practical purposes.

We have divulged the first example of palladium (II) catalyzed direct and regioselective *ortho*-C(sp<sup>2</sup>)-H arylation using newly developed 8-AIP (8-aminoimidazo[1,2-*a*]pyridine) as 6,5-fused bicyclic removable directing group in aqueous medium. Most attractive feature of this transformation relies on the use of cheap and enviro-benign (sustainable) solvent water as sole reaction medium for C-H functionalization. Impeccably, the protocol is operational-handy, scalable and compatible with broad array of common organic functional groups, furnishing structurally diversified unsymmetrical amides in good yields.