

Over the past decades, the transition-metal-catalyzed cross-coupling reactions have led construction of various small and complex organic molecules. From the past few years, the transition metal-catalyzed functionalization of C–H bonds of organic compounds is emerging as one of key strategies that provide alternative environmentally friendly and efficient ways for the construction of functionalized small and complex organic molecules. The C-H functionalization/activation method considered complimentary to the conventional cross-coupling reactions. The C-H activation strategy does not require the pre-functionalized materials, thus access to a wide range of substrates for C-H functionalization broadens the synthetic utility of this methodology. This thesis work aimed to obtain functionalized carbocycles, heterocycles and olefins through the Pd-catalyzed directing group-aided diastereoselective C(sp³)-H and C(sp²)-H functionalization/arylation strategy. Accordingly, this thesis consists of the following five chapters. Chapter 1 provides a brief outlook on the evolution of directing group assisted C-H functionalization. The synthetic potential of the bidentate ligand directed C-H activation/functionalization has been highlighted with representative literature works. Chapter 2 deals with the Regio- and stereoselective construction of functionalized cyclopropanes/cyclobutanes/norbornanes and saturated heterocycles via the Pd(II)-catalyzed directing group-aided C(sp³)-H arylation. Chapter 3 deals with the Pd(II)-catalyzed, directing group-aided Z selective α -arylation of acrylamide systems and stereoselective construction of Z cinnamamides. Chapter 4 deals with the Regio- and stereoselective Pd(II)-catalyzed picolinamide-directed Z selective α -C-H arylation of allylamine systems and construction of cinnamylamines. Chapter 5 deals with the Pd(II)-catalyzed arylation and intramolecular amination of α -C(sp³)-H bonds: Synthesis of arylheteroarylmethanes and pyrrolidone-ring annulated furan/thiophene derivatives