Exploration of Pd(0)-Catalysed C(sp^3)−H Functionalisation Beyond Aryl Halides

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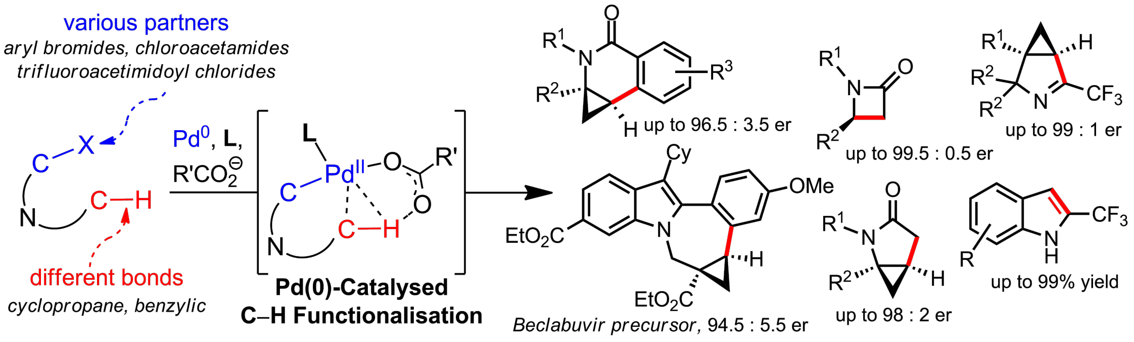
Nitrogen-containing heterocycles are prevalent motifs in biologically active compounds.[1] Transition metal catalysed enantioselective C–H functionalisations have become attractive alternatives for the selective synthesis of such scaffolds.[2] In the past years, the enantioselective synthesis of benzannulated *N*-heterocyclic building blocks *via* intramolecular Pd(0)-catalysed C(sp^3)–H bond arylation has been extensively investigated.[3] In this context, we have developed intramolecular aminocyclopropane arylations towards dihydroisoquinolinones and the Beclabuvir ring system.[4]

Our recent studies broaden the scope of Pd(0)-catalysed C–H functionalisations by using electrophilic partners other than aryl halides. Readily accessible chloroacetamides are efficiently functionalised, yielding valuable chiral b- and g-lactams in high yields and enantioselectivities with formation of a C(sp^3)-C(sp^3) bond.[5,6] Furthermore, indoles and versatile chiral imines bearing a CF_3-group are obtained by C–H functionalisation of trifluoroacetimidoyl chlorides.[7]



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