N-Heterocycles *via* Enantioselective Pd(0)-Catalysed C(sp^3)-H Functionalisation

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Nitrogen-containing heterocycles are prevalent motives in biologically active compounds.[1] In the past years, the enantioselective access to benzannulated *N*-heterocyclic building blocks *via* intramolecular Pd(0)-catalysed C-H arylation has been extensively investigated in our research group.[2]

Recently, we have expanded the scope of Pd(0)-catalysed C(sp^3)-H functionalisations beyond aryl halides. Readily accessible chloroacetamides are cyclized to valuable chiral β–[3] and γ–lactams[4] in high yields and enantioselectivities, bringing the elusive Pd(0)-catalysed C(sp^3)-C(sp^3) bond formation to a synthetically useful level.

Encouraged by the increasing interest in trifluoromethylated compounds for drug development, we have investigated the C(sp^3)-H functionalisation of trifluoroacetimidoyl chlorides, obtained in one step from the corresponding anilines. The efficient cyclisation under Pd(0)-catalysis does not require the use of stoichiometric trifluoromethylating reagents or protective groups, thus providing an economic strategy for the synthesis of 2-CF3-indoles.[5]

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