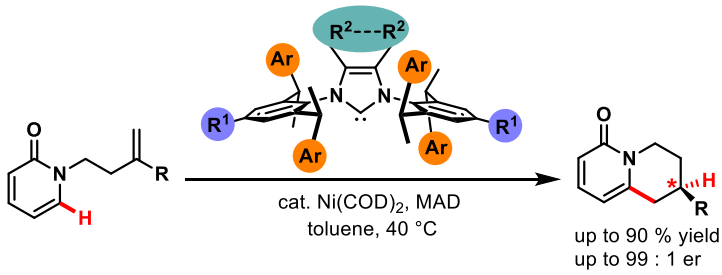
Nickel-Catalyzed Enantioselective Pyridone C-H Functionalizations Enabled by a Bulky *N*-Heterocyclic Carbene Ligand

Johannes Diesel,[1] Anastasiia M. Finogenova,[1] and Nicolai Cramer[1]*

LCSA, ISIC, EPFL, Lausanne, Switzerland
johannes.diesel@epfl.ch

2- and 4-pyridone rings are prevalent heteroaromatic structures, which are found in a broad variety of natural products, bioactive agents and approved drugs.[1] Over the past decade, rapid advances in C-H functionalization technology have been demonstrated to be of utility for the preparation of functionalized pyridones.[2] However nickel-catalyzed enantioselective C-H functionalizations are very rare and underdeveloped. Previously, we reported an *endo*-selective annulation protocol of *N*-alkenyl-2-pyridones.[3] Cooperative Lewis acid/nickel(0)-catalysis[4] and application of *N*-heterocyclic carbene ligands (NHCs) enabled C-H activation and regioselective cyclization under formation of chiral annulated 2-pyridones. A variety of known chiral NHCs have failed to achieve a synthetically useful control of enantioselectivity.



Here, we introduce a class of sterically demanding chiral NHCs with large modulation opportunities, enabling the nickel(0)-catalyzed C-H functionalization of 2- and 4-pyridones in excellent yields and enantioselectivities.[5] Their close relationship to the achiral privileged ligand IPr holds the promise of enabling further catalytic enantioselective transformations with different transition metals.

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