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Biography = Lutz Ackermann studied chemistry at the Christian-Albrechts-University Kiel and obtained his Ph.D. in 2001 with Alois Fürstner at the MPI für Kohlenforschung in Mülheim/Ruhr. He was a postdoctoral fellow with Robert G. Bergman (UC Berkeley) before initiating his independent research in 2003 at the Ludwig-Maximilians-University München, supported within the Emmy Noether Program of the DFG. In 2007, he became full professor at the Georg-August-University Göttingen, where he served as the Dean of Research and Dean of Chemistry as well as the director of the Wöhler Research Institute for Sustainable Chemistry (WISCh). The development of novel concepts for homogeneous catalysis and their applications to sustainable organic synthesis, late-stage peptide diversification, and molecular imaging are among his main current research interests.

C–H Activation as an Transformative Tool for Late-stage Diversification of Biomolecules

Non-natural peptides have emerged as increasingly potent scaffolds in medicinal chemistry and the pharmaceutical industry. As a consequence, the chemoselective assembly and modification of structurally complex peptides continues to be of utmost importance. Significant recent momentum was gained through the development of palladium-catalyzed cross-couplings of peptides. A significantly more atom- and step-economic strategy relies on the direct activation of otherwise unreactive C–H bonds, with recent transformative applications towards peptide modification. As part of our program on sustainable C–H activation, we have unraveled new methodologies that allow the expedient functionalization of primary and secondary C(sp^3)–H under palladium catalysis, with triazole-assistance, Notably, this approach allowed the diversification of internal alanine and phenylalanine residues and late-stage labeling, of the peptidomimetics bearing the triazole moiety. Recently, we demonstrated that ruthenium catalysis is well suited for the functionalization of tryptophan containing peptides, even when the peptides are attached to a solid-support. Moreover, peptide modification by the aid of less expensive base metals, is very appealing. Thus, we have developed efficient methodologies for the modification of structurally complex peptides under manganese and cobalt catalysis. Remarkably, these manifolds allowed easy access to stapled and glycosylated peptides