

Late-Stage Functionalizations

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Abstract:

Late-stage functionalization reactions reliably functionalize already complex molecules to quickly access value-added molecular diversity. Late-stage functionalization is desirable in many areas of discovery such as in drug or agrochemical development, and a requirement in other areas such as the synthesis of positron-emission tomography (PET) tracers. I will describe the development of novel, modern highly selective reactions in late-stage functionalization, as well as their application in transition-metal-catalyzed and photoredox reactions, with a focus on the synthesis of ¹⁸F and ¹⁹F containing complex small molecules. In particular, I will describe the development of a broadly useful new C-H functionalization reaction to form arylsulfonium salts that can engage in a multitude of follow-up reactions to create molecular complexity for applications in catalysis, drug discovery, and medicine.

Bio-Sketch of Speaker

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Tobias Ritter received his undergraduate education in Braunschweig, Germany, Bordeaux, France, Lausanne, Switzerland, and Stanford, US. He has performed undergraduate research with Prof. Barry M. Trost at Stanford, obtained his PhD working with Prof. Erick M. Carreira at ETH Zurich in 2004, and was a postdoc with Prof. Robert H. Grubbs at Caltech. In 2006, Tobias was appointed as Assistant Professor in the Department of Chemistry and Chemical Biology at Harvard, promoted to Associate Professor in 2010, and to Professor of Chemistry and Chemical Biology in 2012. Since 2015 he is director at the Max-Planck-Institut fuer Kohlenforschung in Germany and holds additional faculty appointments at RWTH Aachen and Massachusetts General Hospital, Boston. The Ritter lab focuses on late-stage functionalization chemistry, with a focus on fluorination methods and their application to molecular imaging. In 2011, Tobias co-founded SciFluor LifeScience, now OcuTerra Therapeutics, a clinical pharmaceutical company in Cambridge, Massachusetts.

Representative publications:

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J. Börgel, L. Tanwar, F. Berger, T. Ritter “Late-stage aromatic C–H oxygenation” *J. Am. Chem. Soc.* **2018**, *140*, 16026–16031.

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K. Yamamoto, J. Li, J. A. O. Garber, J. D. Rolfes, G. B. Boursalian, J. C. Borghs, C. Genicot, J. Jacq, M. van Gastel, F. Neese, T. Ritter “Palladium-catalysed electrophilic aromatic C–H fluorination” *Nature* **2018**, *554*, 511–514.

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E. Lee, A. S. Kamlet, D. C. Powers, C. N. Neumann, G. B. Boursalian, T. Furuya, D. C. Choi, J. M. Hooker, T. Ritter “A fluoride-derived electrophilic late-stage fluorination reagent for PET imaging” *Science* **2011**, *334*, 639–642.

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T. Furuya, T. Ritter “Carbon–Fluorine Reductive Elimination from a High-Valent Palladium Fluoride” *J. Am. Chem. Soc.* **2008**, *130*, 10060–10061.