

Innovations in Synthetic Chemistry at Merck: New Methods for the Functionalization of Complex Molecules

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In drug discovery and development, it is often realized that classic reactions requiring harsh conditions are not suitable for the functionalization and diversification of complex, drug-like molecules. To overcome such limitations, a series of rationally-designed reagents and reactions have been invented that now allow for previously difficult-to-access compounds to be accessed in a single step. We have also developed reactions that enable late-stage functionalization of common, typically inert, functional groups common in drugs and drug-like molecules, opening new avenues in drug discovery. In all cases, the reactions we developed occur under mild conditions with readily available reagents, generate minimal waste, and can be used on complex substrates without the need for protecting groups.