Structurally complex and bioactive natural products provide tremendous opportunities to shape the landscape of organic synthesis, as well as to impact the biomedical research and drug discovery. My laboratory conducts research at the interface between chemistry and biology. We systematically use bioactive small molecules, particularly natural products, to study their biological functions, elucidate molecular mechanisms of the important biological pathways, and develop novel therapeutic agents for currently intractable diseases. However, traditionally total synthesis of complex natural product could only provide small quantity of material, which significantly hinders the subsequent application. Therefore, the ability to procure useful quantities of complex molecules by simple, scalable routes is emerging as an important goal in natural product synthesis. Selective C-H functionalization has emerged as an ideal tool for organic synthesis for the past decade, yet chemists still struggle to apply the newly developed synthetic methodology to construct complex and highly functionalized molecules through a practical process. Therefore, the development of conceptually new approach using selective C-H functionalization catalysis is of particular interest and will ultimately enable synthetic chemist to obtain sufficient quantities of complex molecules for further biological studies. Herein, I would like to disclose our recent endeavors towards the practical and scalable total syntheses of a number of interesting natural products through selective and sequential C-H functionalization catalysis.