Natural products and their derivatives have long been used as medicinal agents, and they still make up a significant fraction of clinically approved drugs. Natural product synthesis provides a rich and unparalleled opportunity to develop new synthetic transformations, conceive novel and general strategies to access complex structures, and study the mechanism of action of bioactive targets. The combination of the tools and principles of chemistry, together with the tools of modern biology, allows us to create complex synthetic and natural molecules, comprising processes with novel biological, chemical and physical properties. This lecture will illustrate the opportunities that lie at this interface between synthetic organic chemistry and chemical biology by describing a series of examples that we are actively working on in our laboratory at Peking University. We take the inspiration from mother nature to develop new synthetic strategies to achieve the efficient synthesis of complex natural products. In addition, we also study the biosynthesis of plant derived natural product to elucidate new enzymatic mechanisms and apply the chemoenzymatic approach to prepare complex natural products and their derivatives. Moreover, we further use bioactive natural products to explore new biology and develop novel drug candidates for human diseases, such as cancers and autoimmune diseases.