Annonaceous acetogenins are a unique family of plant metabolites exhibiting remarkable cytotoxicity against a variety of tumor cells. Successful simplification of natural bullatacin (a representative acetogenin) has been achieved. Among these, AA005 was found to exhibit remarkable anti-cancer activities and present significant selectivity between human cancer and normal cells. A parallel synthetic strategy was then established and applied to the focused libraries. Mechanisms have also been studied using chemical biology principles, including acquirement of various biochemical tools by synthetic chemistry and subsequently applications to cell biology research.

2. New Synthesis of Camptothecin Alkaloids

Camptothecin (CPT) is a pentacyclic alkaloid firstly isolated from the Chinese medicinal plant *Camptotheca acumunata*. Its potent anticancer activities and unique action mechanisms by inhibition of DNA topoisomerase I have made it an excellent lead of drug design in the past several decades. Continuous successful advances in medicinal chemistry of CPT have resulted in the discovery of a number of CPT derivatives with medicinal interests. The recent achievements in the chemical syntheses of CPT-family alkaloids will be reported utilizing our recently developed cascade multiple-bond formation methodologies.