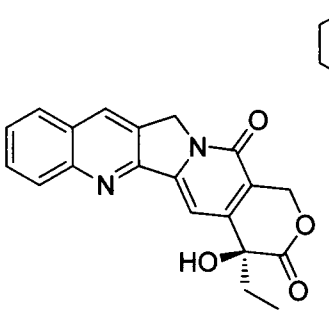
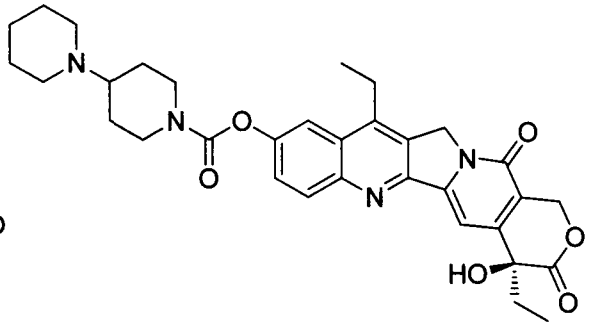
Apart from the basic quinoline and isoquinoline cores, several other fused quinoline heterocycles are recognized as useful pharmacophore units. Pyrroloquinoline-based alkaloids attracted considerable interest due to their unique biological activity. The best-known example of this category is camptothecin and its analogues isolated from the stem woods of the Chinese joy tree *Camptotheca acuminate*. These derivatives were found to possess strong antitumor and retroviral activity by binding to and stabilizing a complex of DNA and enzyme topoisomerase I.[9] Recently, irinotecan, a potent anticancer drug, was marketed by Pfizer based on the modification of camptothecin structure to boost its bioavailability.[10]

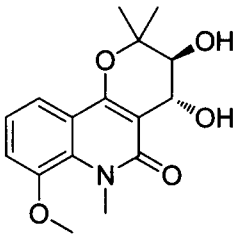


Camptothecin

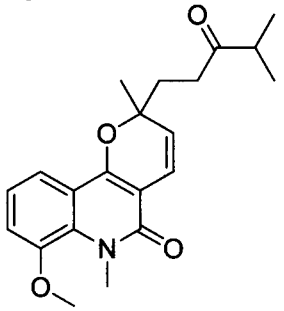


Irinotecan

Pyranoquinoline alkaloids are another important group of quinoline derivatives that possess strong biological activities, from potent inhibitors of platelet aggregation such as zanthodioline, to cytotoxic compounds acting selectively to breast cancer cells such as huajiaosimuline.[11]



Zanthodioline



Huajiaosimuline

11.2 Reactivity of the Quinoline and Isoquinoline Ring

Introduction of heteroatoms in benzene ring results in an irregular distribution of electron density, and this strongly influences reactivity and physical properties of quinoline and isoquinoline heterocycles. The