

Abstract:

DNA topoisomerase II catalyzes the changes in DNA structure by cleaving and rejoining one strand of the double stranded DNA. Due to their importance in several vital cell processes, topoisomerase II is one of the popular targets for design and development of newly potent anticancer drugs. Mansonones can be extracted from *Mansonia gagei* Drumm, a traditional medicinal plant in the *Sterculiaceae* family in Thailand, and many isolated and synthesized mansonones have shown the cytotoxicity towards various human cancer cell lines. Chalcones, the extracted compounds from several plants such as *Piper methysticum* or Angelica, showed the highly cytotoxicity against urinary bladder, cervical and breast cancer and exhibited an inhibitory activity towards DNA topoisomerase II α . Herein, mansonones and chalcones against DNA topoisomerase were successfully screened by in silico and in vitro studies. However, mansonones show relatively low solubility and low physicochemical stability, leading to difficulties in pharmaceutical and biomedical applications. Solubility of mansonones was improved by complexation with cyclodextrins. In addition to the pharmaceutical and biomedical benefits, the results of this research would increase the values of mansonones, chalcones and cyclodextrins which are rich natural resources in Thailand with a consequence of local economy development.