<<喜树碱衍生物>>

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作者：ZU Yuan-Gang

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内容概要

Camptothecin (CPT) is a pentacyclic alkaloid isolated from wood and bark of Camptotheca acuminate. Initially it was found to be highly active in a number of mouse in vivo cancer assays. Subesquently, CPT was found to possesses a novel mechanism of action involving the inhibition of DNA relaxation by DNA topoisomerase I, and more specifically he stabilization of a covalent binary complex formed between topoisomerase I and DNA. A number of CPT analogues are in advanced clinical trial, and topotecan and CPT-11, have been approved for marketing by the FDA. Camptothecins have been playing and important role as anticancer agents in recent 20 years. This book provides and detailed discussion of recent advances in the medicinal chemistry of camptothecin, and summarizes the current status of studies of the mechanism of action of camptothecin, including topoisomerase I inhibition and additional cellular responses. A systematic evaluation of novel and important analogues of camptothecin and their contribution to the current structure-activity profile are considered, and camptothecins development and schedules of administration in clinical onlology update. This book includes our study about camptothecins in recent years. To improve the water solubility, series of new derivatives of Camptothecin were prepared, and evaluated cytotoxicity by MTT and inhibitive activity of topoisomerase I by molecular biological method. These camptothecin derivatives have good water solubility, low toxic, and good topiosomerase I inhibitive activity, Further detail investigation is progressing in the pharmacology.

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