

<<喜树碱衍生物>>

图书基本信息

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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 acuminata*. Initially it was found to be highly active in a number of mouse in vivo cancer assays. Subsequently, CPT was found to possess a novel mechanism of action involving the inhibition of DNA relaxation by DNA topoisomerase I, and more specifically the 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 an important role as anticancer agents in recent 20 years. This book provides a 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 oncology 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 topoisomerase I inhibitive activity. Further detail investigation is progressing in the pharmacology.

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## 书籍目录

丛书序言序言前言第1章 喜树碱及其作用机制 1.1 发展历史 1.2 喜树碱的分子作用机理 1.3 结构-活性关系 1.4 喜树碱类似物 1.5 喜树碱展望 参考文献第2章 具有抗肿瘤活性的水溶性喜树碱衍生物 2.1 中间体的合成 2.2 水溶性喜树碱衍生物的合成 2.3 喜树碱衍生物的体外细胞毒性 2.4 喜树碱衍生物的拓扑异构酶 抑制活性 2.5 体内抗肿瘤活性研究 参考文献第3章 具有抗肿瘤活性的9-硝基喜树碱衍生物 3.1 中间体的合成 3.2 水溶性9-硝基喜树碱衍生物的合成 3.3 9-硝基喜树碱盐类衍生物的体外细胞毒 3.4 衍生物的拓扑异构酶 抑制活性 参考文献第4章 其他喜树碱衍生物 4.1 衍生物的合成 4.2 衍生物的体外细胞毒性第5章 实验部分 5.1 化学实验 5.2 生物活性实验第6章 临床应用的喜树碱衍生物 6.1 Topotecan 6.2 Irinotecan 6.3 9-氨基喜树碱和9-硝基喜树碱 6.4 Exatecan 和喜树碱轭合物参考文献

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