# 前活性的抗腫瘤化合物

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## 智財權狀態

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## 摘要

A glucuronide prodrug of 9-aminocamptothecin was invented that displays high water solubility, low toxicity and good stability. This prodrug is activated by beta-glucuronidase. It displays potent antitumor activity against human tumors in nude mice. It can also be selectively activated at tumor cells after injection of an antibody-glucuronidase conjugate that binds to tumor-associated antigens. This type of treatment can cure solid human xenografts in nude mice.

## 技術優勢

Camptothecin diplays potent antitumor activity but in very water insoluble. Currently, two water-soluble derivatives of camptothecin have been approved for use in humans. These are CPT-11 and topotecan. Our prodrug displays excellent water solubility and low toxicity. It can be converted to 9-aminocamptothcin by beta-glucuronidase present at the tumor site. Tumor selectivity is thereby achieved. It has demonstrated as good as well as much better activity than CPT-11 against human tumor xenografts. This prodrug may therefore be superior to current drugs in clinical use. In addition, the new prodrug can be employed for antibody-directed enzyme prodrug therapy of cancer. An immunoenzyme composed of a targeting antibody and beta glucuronidase is first injected. This allows accumulation of enzyme at the tumor. The glucuronide prodrug is then injected whereby it is selectively converted to 9-aminocamptothecin at the tumor cells. Selectivity of cancer therapy can be greatly enhanced by this strategy, reducing side-effects of therapy. ●formulation improved (water soluble) ●low toxicity ●tumor selective ●potent antitumor activity

## 應用範圍

Therapy of human cancers by monotherapy (injection of the glucuronide prodrug alone) or by ADEPT (injection of an antibody-glucuronidase conjugate followed by injection of the prodrug).

## 創作人

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