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Cyclodextrin-Based Polymer-Camptothecin CRLX101

National Cancer Institute

Source

National Cancer Institute. *Cyclodextrin-Based Polymer-Camptothecin CRLX101*. NCI Thesaurus. Code C62600.

A formulation of camptothecin, an alkaloid isolated from the Chinese tree *Camptotheca acuminata*, conjugated with to a hydrophilic, cyclodextrin-based linear polymer with potential antineoplastic activity. Upon intravenous administration, camptothecin is slowly released from the formulation at the tumor site and taken up by tumor cells. During the S phase of the cell cycle, camptothecin selectively stabilizes topoisomerase I-DNA covalent complexes, thereby inhibiting religation of topoisomerase I-mediated single-strand DNA breaks and producing potentially lethal double-strand DNA breaks when encountered by the DNA replication machinery. Compared to camptothecin alone, the cyclodextrin-based polymer formulation has a prolonged half life and greatly improves the biodistribution of camptothecin resulting in an accumulation of camptothecin at the tumor site, which enhances tumor exposure while greatly reducing toxic side effects. In addition, cyclodextrin-based polymer-camptothecin may be able to overcome certain kinds of multidrug resistance.