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Pegylated Liposomal Irinotecan

National Cancer Institute

Source

National Cancer Institute. *Pegylated Liposomal Irinotecan*. NCI Thesaurus. Code C62789.

A formulation of polyethylene glycol (PEG)-modified liposomes encapsulating the semisynthetic derivative of camptothecin irinotecan, with antineoplastic activity. As a prodrug, irinotecan is converted to the biologically active metabolite 7-ethyl-10-hydroxy-camptothecin (SN-38) by a carboxylesterase-converting enzyme. In turn, SN-38 inhibits topoisomerase I activity by stabilizing the cleavable complex of topoisomerase I and DNA, resulting in DNA breaks. This results in an inhibition of DNA replication and an induction of apoptosis. Pegylated liposomal delivery of irinotecan improves drug penetration into tumors and decreases drug clearance, thereby increasing the duration of exposure while lowering systemic toxicity.