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Polymeric Camptothecin Prodrug XMT-1001

National Cancer Institute

Source

National Cancer Institute. *Polymeric Camptothecin Prodrug XMT-1001*. NCI Thesaurus. Code C69079.

A polymeric prodrug of camptothecin (CPT) with potential antineoplastic activity. Polymeric camptothecin prodrug XMT-1001 consists of CPT conjugated to the 60-70 kDa, inert, bio-degradable, hydrophilic copolymer poly[1-hydroxymethylene hydroxymethyl formal] (PHF). Through a dual-phase, non-enzymatic release mechanism, CPT is first released in plasma from XMT-1001 as the lipophilic prodrugs CPT-SI (a succinimidoglycinate derivative) and CPT-SA (a succinamidoyl glycinate derivative), which are then hydrolyzed within tissues to release the lactone form of CPT. CPT inhibits the catalytic activity of DNA topoisomerase I, thereby inhibiting DNA replication and inducing apoptosis. This agent may exhibit a more favorable pharmacokinetic profile than other agents in the same class.