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Irinotecan

National Cancer Institute

Source

National Cancer Institute. *Irinotecan*. NCI Thesaurus. Code C62040.

A semisynthetic derivative of camptothecin, a cytotoxic, quinoline-based alkaloid extracted from the Asian tree *Camptotheca acuminata*. Irinotecan, a prodrug, is converted to a biologically active metabolite 7-ethyl-10-hydroxy-camptothecin (SN-38) by a carboxylesterase-converting enzyme. One thousand-fold more potent than its parent compound irinotecan, SN-38 inhibits topoisomerase I activity by stabilizing the cleavable complex between topoisomerase I and DNA, resulting in DNA breaks that inhibit DNA replication and trigger apoptotic cell death. Because ongoing DNA synthesis is necessary for irinotecan to exert its cytotoxic effects, it is classified as an S-phase-specific agent.