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Gimatecan

National Cancer Institute

Source

National Cancer Institute. *Gimatecan*. NCI Thesaurus. Code C65804.

An orally bioavailable, semi-synthetic lipophilic analogue of camptothecin, a quinoline alkaloid extracted from the Asian tree *Camptotheca acuminata*, with potential antineoplastic and antiangiogenic activities. Gimatecan binds to and inhibits the activity of topoisomerase I, stabilizing the cleavable complex of topoisomerase I-DNA, which inhibits the religation of single-stranded DNA breaks generated by topoisomerase I; lethal double-stranded DNA breaks occur when the topoisomerase I-DNA complex is encountered by the DNA replication machinery, DNA replication is disrupted, and the tumor cell undergoes apoptosis. Although the mechanism of its antiangiogenic activity has yet to be fully elucidated, this agent may inhibit endothelial cell migration, tumor neovascularization, and the expression of proangiogenic basic fibroblast growth factor (bFGF).