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Lurtotecan

National Cancer Institute

Source

National Cancer Institute. *Lurtotecan*. NCI Thesaurus. Code C1610.

A semisynthetic analogue of camptothecin with antineoplastic activity. Lurtotecan selectively stabilizes the topoisomerase I-DNA covalent complex and forms an enzyme-drug-DNA ternary complex. As a consequence of the formation of this complex, both the initial cleavage reaction and religation steps are inhibited and subsequent collision of the replication fork with the cleaved strand of DNA results in inhibition of DNA replication, double strand DNA breakage and triggering of apoptosis. Independent from DNA replication inhibition, lurtotecan also inhibits RNA synthesis, multi-ubiquitination and degradation of topoisomerase I and chromatin reorganization.