Firtecan Pegol

National Cancer Institute

Source

National Cancer Institute. Firtecan Pegol. NCI Thesaurus. Code C70651.

A polyethylene glycol (PEG) conjugate of 7-ethyl-10-hydroxycamptothecin with potential antineoplastic activity. After hydrolysis in vivo, 7-ethyl-10-hydroxycamptothecin (SN38), an active metabolite of irinotecan, is released from firtecan pegol; 7-ethyl-10-hydroxycamptothecin selectively stabilizes topoisomerase I-DNA covalent complexes, resulting in single-stranded and double-stranded DNA breaks, the inhibition of DNA replication, and the induction of apoptosis. This agent is designed to deliver the active metabolite to tumor cells without the need for conversion as is the case with irinotecan. Compared to unPEGylated 7-ethyl-10-hydroxycamptothecin, PEGylation improves solubility and allows for parental delivery, and may result in a longer half-life and higher exposure for tumor cells.