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Folate Receptor-Targeted Tubulysin Conjugate EC1456

National Cancer Institute

Source

National Cancer Institute. *Folate Receptor-Targeted Tubulysin Conjugate EC1456*. NCI Thesaurus. Code C113176.

An injectable targeted small molecule drug conjugate (SMDC) consisting of folate (vitamin B9) covalently linked to the potent mitotic poison and cytotoxic agent, tubulysin B hydrazide (Tub-B-H) with potential antineoplastic activity. Upon administration, the folate moiety of folate receptor-targeted tubulysin conjugate EC1456 preferentially binds to tumor cells expressing folate receptors (FR). After binding to FR, the agent is internalized by tumor cells and the Tub-B-H moiety inhibits the polymerization of tubulin into microtubules. This may lead to both cell cycle arrest and tumor cell apoptosis. FR, the membrane-bound, high-affinity receptor for folate, is overexpressed on a wide range of primary and metastatic human cancers.