Gemcitabine Hydrochloride Emulsion

National Cancer Institute

Source

National Cancer Institute. Gemcitabine Hydrochloride Emulsion. NCI Thesaurus. Code C105613.

An orally available nanoparticle-based formulation containing the hydrochloride salt form of gemcitabine, a broad-spectrum antimetabolite and deoxycytidine analogue, with antineoplastic activity. The formulation consists of an oil-in-water emulsion in which gemicitabine is solubilized in the excipient matrix containing a mixture of oil and (co)surfactants. Upon oral administration, gemcitabine is converted into the active metabolites difluorodeoxycytidine diphosphate (dFdCDP) and difluorodeoxycytidine triphosphate (dFdCTP) by deoxycytidine kinase. dFdCTP competes with deoxycytidine triphosphate (dCTP) and is incorporated into DNA, resulting in premature termination of DNA replication and the induction of apoptosis. Further, dFdCDP inhibits ribonucleotide reductase and reduces the deoxynucleotide pool available for DNA synthesis. Compared to gemcitabine alone, the emulsion allows for increased oral bioavailability and decreases its susceptibility to deamination and deactivation by cytidine deaminase.