Oxaliplatin-Encapsulated Transferrin-Conjugated N-glutaryl Phosphatidylethanolamine Liposome

National Cancer Institute

Source

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A nanoparticle formulation containing N-glutaryl phosphatidylethanolamine (NGPE)-liposomes encapsulating oxaliplatin and conjugated to the human transferrin (Tf) ligand, with potential antineoplastic activity. Upon infusion of oxaliplatin-encapsulated transferrin-conjugated NGPE liposomes, the transferrin moiety targets and binds to the Tf receptor, which is overexpressed on a variety of human cancer cells. Upon binding and internalization, oxaliplatin is released and its active derivatives alkylate macromolecules, forming both inter- and intra-strand platinum-DNA crosslinks, which results in an inhibition of DNA replication and transcription. By extending the circulation time and specifically targeting transferrin receptors, this formulation may improve the efficacy and safety of oxaliplatin therapy, compared to administration of oxaliplatin alone. NGPE, a reactive phospholipid, is used as a linker to attach the Tf ligand, to the liposome.