Solid lipid nanoparticles (SLNs) conjugated with tamoxifen (TX) and lactoferrin (Lf) were applied to carry anticancer carmustine (BCNU) across the blood brain barrier (BBB) for enhanced antiproliferation against glioblastoma multiforme (GBM). BCNU-loaded SLNs with modified TX and Lf (TX-Lf-BCNU-SLNs) were used to penetrate a monolayer of human brain-microvascular endothelial cells (HBMECs) and human astrocytes and to target malignant U87MG cells. The surface TX and Lf on TX-Lf-BCNU-SLNs improved the characteristics of sustained release for BCNU. When compared with BCNU-loaded SLNs, TX-Lf-BCNU-SLNs increased the BBB permeability coefficient for BCNU about ten times. In addition, TX-BCNU-SLNs considerably promoted the fluorescent intensity of intracellular acetomethoxy derivative of calcein (calcein-AM) in HBMECs via endocytosis. However, the conjugated Lf could only slightly increase the fluorescence of calcein-AM. Moreover, the order of formulation in the inhibition to U87MG cells was TX-Lf-BCNU-SLNs>TX-BCNU-SLNs>Lf-BCNU-SLNs>BCNU-SLNs. TX-Lf-BCNU-SLNs can be effective in infiltrating the BBB and delivering BCNU to GBM for future chemotherapy application ¹⁾

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Kuo YC, Cheng SJ. Brain targeted delivery of carmustine using solid lipid nanoparticles modified with tamoxifen and lactoferrin for antitumor proliferation. Int J Pharm. 2016 Feb 29;499(1-2):10-9. doi: 10.1016/j.ijpharm.2015.12.054. Epub 2015 Dec 22. PubMed PMID: 26721730.

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