A nanometer lipid emulsion, lipid nano-sphere (LNS®), as a parenteral drug carrier for passive drug targeting

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Abstract

We attempted to develop an artificial lipoprotein-like particle, lipid nano-sphere (LNS®), incorporating dexamethasone palmitate (DMP). LNS is 25–50 nm in diameter and is composed of soybean oil and egg lecithin. Potential drug carriers were compared with a conventional fat emulsion for intravenous nutrition, lipid microsphere (LM, d=200–300 nm), which is already used clinically. LM easily entered reticuloendothelial systems, such as the liver, and was rapidly cleared from the circulation. However, LNS showed much higher plasma levels of DMP after intravenous administration to rats and recovered more than 80% of the injected dose in the perfusate in single-pass rat liver perfusion. The calculated volume for the distribution of the lipid emulsion within the liver showed that LNS underwent fenestration and was distributed into the Disse space in the liver. Because of the lower uptake of LNS particles by the liver, LNS showed good recovery from the liver and prolonged the plasma half-life of DMP after intravenous injection. In addition, higher efficiency in the targeting of DMP into inflammation sites and higher anti-inflammatory efficacy were observed in LNS. Thus, LNS easily and selectively passed through the leaky capillary wall by passive diffusion depending on the plasma concentration. Nanometer-sized lipid emulsion particles, LNS, seem to be a promising carrier system for passive drug targeting of lipophilic drugs.