LECITHIN – PHARMACEUTICAL APPLICATIONS EXPANDED BEYOND LIPOSOMES

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Application of phospholipids as drug carriers in the form of liposomes have been extensively investigated. Besides, lecithin is widely used as an emulsifier in parenteral fat emulsions which are called “submicron emulsions” (SE) or “nanoemulsions” due to the oily droplet size below 1 µm. In spite of the 50 years of clinical use as an nutritional agent only in 90-ties SE were introduced as vehicles for water-insoluble active ingredients like propofol, diazepam, etomidate, fat-soluble vitamins. Lecithin is a weak emulsifier and SE are instable in the presence of many drugs and excipients what is the main reason that new products are not available in this form. Moreover, systematic studies did not demonstrate any direct relationship between lipophilicity or size of the molecules and destabilization potential towards lecithin-stabilized SE [Sznitowska, M. et al. Eur. J. Pharm. Sci. 12 (2000) 175]. Another problem is incompatibility of the emulsions with most of the preservatives [Sznitowska, M. et al. Eur. J. Pharm. Sci. 15 (2002) 489]. Antimicrobial efficacy of the compatible preservatives like parabens or benzalkonium chloride is not satisfying and our present research is concentrated on distribution of the antimicrobial agents between different phases of SE. Since it was demonstrated that lecithin contributes significantly in solubilization of drug molecules by SE, oil-free egg lecithin dispersions (WDL – water lecithin dispersions) were prepared using a simple technological process and solubilization efficacy as well as physical stability of the carrier was studied [Sznitowska, M. et al. Int. J. Pharm. 246 (2002) 203]. Both formulations, SE and WDL can be used for modification of drug release and drug absorption when they are used in ocular, rectal or dermal applications [Sznitowska, M. et al. Eur. J. Pharm. Biopharm. 52 (2001) 159 and Sznitowska, M. et al. Int. J. Pharm. 184 (1999) 115]. Solubilization of drugs in WDL is less effective than achieved with mixed micelles (MM) – a true micellar dispersion where lecithin is solubilized by sodium deoxycholate. However, MM are not considered as completely safe and concentration of cholic acid salts should be controlled if parenteral or ocular applications are considered. The studies are carried out to use lecithin based systems for solubilization of paclitaxel and to develop a formulation suitable for intravenous administration as infusions.

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