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Solubilization of Drugs by Aqueous Lecithin Dispersions Intended for Parenteral Use

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Egg lecithin is a complex mixture of phosphatides that consists mainly of phosphatidylcholine and phosphatidylethanolamine, combined with various amounts of other substances such as triglycerides and fatty acids [1]. As pharmaceutical excipient lecithin is used in parenteral emulsions, liposomes and mixed micellar solutions. It has been proved, that aqueous dispersions of lecithin (Water-Lecithin Dispersion, WLD) may be used as safe and biocompatible solubilizing carriers for parenterally administered drugs with low solubility, such as paclitaxel [2, 3].

The aim of the study was to evaluate the solubilizing properties of WLD (containing 5% or 10% of lecithin) using 9 different active substances, demonstrating low water solubility: cyclosporin A, sulfamethoxazole, metronidazole, dexamethasone, hydrocortisone, carbamazepine, prednisolone, theophylline and testosterone. The solubility in water and WLDs was studied for untreated and lyophilized substances.

For solubility determination, active substance was suspended in 10 ml of water, 5% or 10% WLD and the mixture was stirred for 24 h at room temperature. Then the dispersion was centrifuged for 15 min and the supernatant was filtered and analysed by means of spectrophotometric or HPLC method.

Results indicated, that the solubility of the tested drugs in WLD was at least few times higher than in water and the amount of dissolved substance increased with the increase of the lecithin concentration in WLD. The only exceptions were drugs with relatively high water solubility (metronidazole, theophylline), for which WLD did not increase solubility. The highest increase in solubility was observed for cyclosporin A, for which measured solubilities were as follows [mg/ml]: 0.03 (water), 3.9 (5% WLD) and 5.7 (10% WLD). Microscopic analysis indicated, that in freeze-drying process reduction of the drug particles size was achieved and this resulted in much faster dissolution of the compounds in WLD. Due to biocompatibility, WLD may be considered as a carrier for poorly soluble parenterally administered drugs.

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