嘉南藥理科技大學專題研究計畫成果報告

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含藥脂質乳劑之製備及特性分析研究

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計畫主持人：宋國峻教授

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Abstract

This study investigates the submicron lipid emulsion as a potential parenteral drug delivery system. Submicron emulsions were prepared using egg phospholipid as the main emulsifier, various co-emulsifiers were also incorporated, including Brij 30, Brij 98, and stearylamine. Squalene as the oil phase formed stable emulsions with small particles.

Results and discussion

The major components of emulsions contain 3 % (w/v) of egg phosphatidylcholine (60 %), a 10 % (w/v) oil and double-distilled water. Table 1 shows the particle size and zeta potential of the resultant lipid emulsions with various oils. The average sizes of the emulsions were in the range between 200 nm and 350 nm. This range can be characterized as a submicron level. Squalene formed the smallest emulsion. The emulsion with the largest particles was formed by coconut oil. Mineral oil was the only one synthetic oil used in this study. Mineral oil with total hydrocarbon structure yielded the emulsion with average size of 289.4 nm. The lipid emulsion composed of coconut oil showed larger size than those of sesame and soybean oils (Table 1).

The absolute zeta potential of these emulsions are −50~−60 mV as shown in Table 1. The anionic fractions such as phosphatidylserine, phosphatidic acid, phosphatidylglycerol, and phosphatidylinositol in egg lecithin were responsible for the negative zeta potential. Brij 30 and Brij 98 (2 % w/v) were used as co-emulsifiers to incorporate with lipid layers formed by egg phosphatidylcholine. The addition of Brij 30 to the emulsions led to an initial increase in the particle size (Table 1). An opposite trend was obtained for Brij 98-containing emulsions.

Particle size of the emulsions becomes smaller at higher Brij 98 concentrations as shown in Table 1. Stearylamine was incorporated into the oil/water phase to produce positively charged emulsions. The addition of 2 % stearylamine increased the particle size of the lipid emulsion (Table 1).

Table 1. The composition and characterization of lipid emulsions by particle size and zeta potential

Oil	Co-emulsifier	Size (nm)	Zeta potential (mV)
Mineral	—[a]	289.4±6.0	-53.7±0.1
Sesame	—	309.3±11.6	-62.0±1.0
Soybean	—	263.9±3.6	-59.0±2.4
Squalene	—	204.4±1.4	-52.9±1.0
Squalane	—	236.4±4.9	-51.9±0.6
Coconut	—	344.3±15.9	-61.5±1.3
Squalene	Brij30 (2%)	314.0±3.4	-58.8±1.6
Squalene	Brij98 (1%)	228.4±4.2	-47.1±1.0
Squalene	Brij98 (2%)	193.4±2.4	-48.4±0.4
Squalene	Brij98 (4%)	167.0±2.3	-43.1±1.4
Squalene	Stearylamine (2%)	251.5±10.0	68.3±2.0

[a]—, Plain emulsions

Each value represents the mean±S.D. (*n*=3).