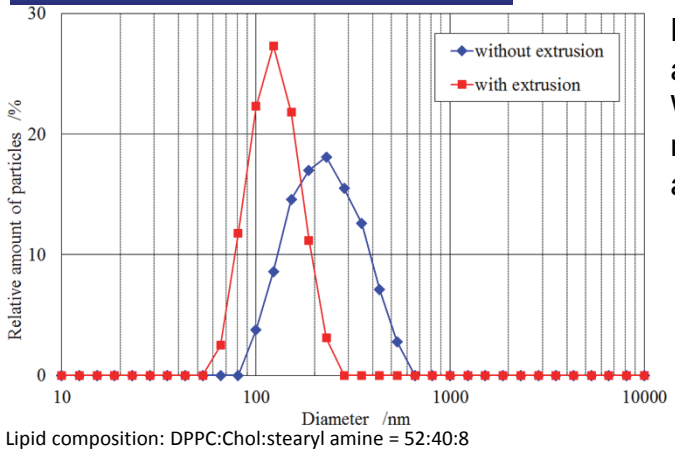
Characterization of Liposome Drug Products

The liposome drug product is one of the innovative pharmaceuticals. As encapsulated substances in liposome are selectively delivered to its target site, the effectiveness and stability of the drug *in vivo* are expected to be improved. According to the guidelines for the development of liposomedrug products, we have investigated the particle size distribution, surface charge, phase transition temperature, morphology, and structure.

Guideline for the development of liposome drug products (March 2016, MHLW, Japan)

Particle size distribution, surface charge, morphology, and structure are deeply related to the intracellular distributions and affinity to the target tissue of liposome. Phase transition temperature of the lipid bilayer membrane indicates the ability to release encapsulated substances and the stability of liposome drug products itself.

Particle size distribution by DLS



Lipid composition: DPPC:Chol:stearyl amine = 52:40:8

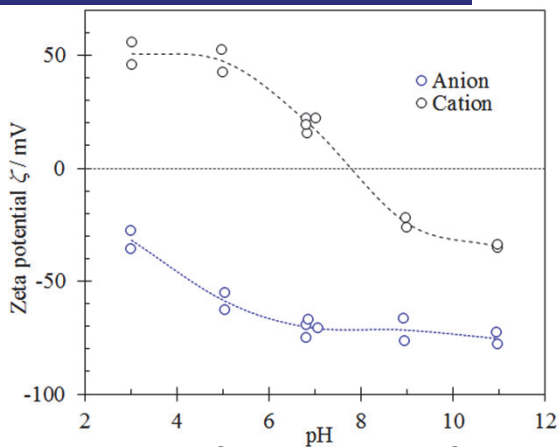
Difference in particle diameter of liposomes with and without extrusion

The average particle diameter	Extrusion	
	-	+
Based on scattering intensity	250 nm	129 nm
Based on weight	155 nm	102 nm

Preparation of liposome

Liposome has been prepared in accordance with the established method. We can prepare several hundred milligrams of liposome, and the size adjustment by extrusion is also possible.

Surface charge (zeta potential)

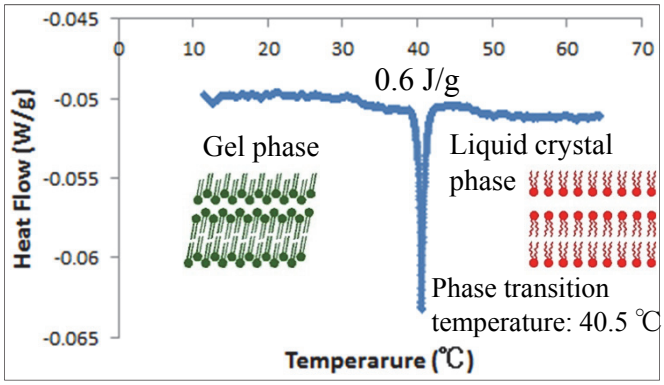


pH-dependency of zeta potential of anionic and cationic liposomes

Anion Lipid composition: DPPC:Chol:DPPG = 30:40:30

Cation Lipid composition: DPPC:Chol:stearyl amine = 52:40:8

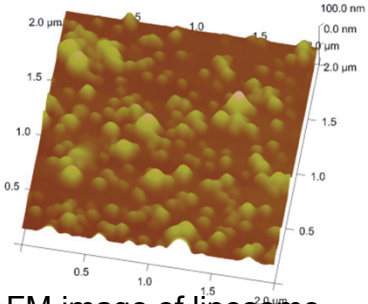
Phase transition temperature of the lipid bilayer membrane by DSC



DSC thermogram of DPPC liposome

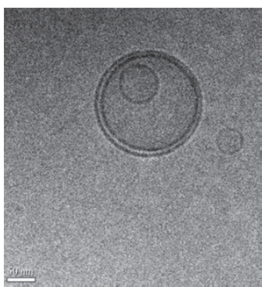
Lipid composition: DPPC 100%

Morphology and structure



AFM image of liposome on the silicon chip

Lipid composition: DSPC:DSPG:Chol=66.7:3.3:30.0



Cryo-TEM image of liposome

Lipid composition: PC/PG/Chol