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W₁/O/W₂ Multi-emulsion Capsules Containing Ascorbic Acid-2-Glucoside Prepared Using PCLbased Amphiphilic Di- and Tri-block Copolymers

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Introduction

Biodegradable and amphiphilic di-block and tri-block copolymers, prepared with monomethoxy poly ethylene glycol (MPEG) and ϵ -caprolactone (ϵ -CL), were used for the application of $W_1/O/W_2$ multi-emulsion capsules. The effects of topology and the ratio of hydrophilic moiety of PCL-based polymers on the encapsulation efficiency of the $W_1/O/W_2$ multi-emulsion capsules containing Ascorbic Acid-2-Glucoside (AA-2-G) was investigated. The ratio of PEG and PCL was 1:0.5, 1:0.75, 1:1, and 1:1.25. PEG-PCL block copolymers were added to the first step of the preparation of W_1/O emulsions.

Experimental

Preparation of PEG-PCL di- and tri-block copolymers

8.06g of ε-caprolactone was purified at 120°C. Water was eliminated from PEG and it was dissolved in 80ml of toluene and then stannous octoate was added to the PEG solution. Reaction was conducted at 120°C for 24h. After reaction, the product was isolated in 30ml of ethyl ether and then PEG-PCL di-block copolymer was obtained. For PEG-PCL tri-block copolymer, HMDI was added in PEG-PCL and reaction was conducted at 60°C for 7h. The reaction mixture was precipitated in ethyl ether and the residual solvent was removed under vacuum

Preparation of $W_1\slash O\slash W_2\slash was using the PEG-PCL diand tri-block copolymers$

Water-in-oil-in-water $(W_1/O/W_2)$ multi-emulsions were prepared by a stepwise emulsification method. First, W_1/O emulsion was prepared in a selected surfactant system and the most suitable condition that had been determined by a trial-and-error experiment. $W_1/O/W_2$ multi-emulsion was prepared with the previous W_1/O emulsion as same method. Several kinds of copolymers were tested as shown in Table 1.

Table 1. Di- and tri-block copolymers used for the encapsulation of $W_1/O/W_2$ multi-emulsions containing AA-2-G

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PEG-PCL	PEG-PCL	PEG:PCL		M.W.
P1		1:0.5	MPEG	2000
3000	2k-1k		PCL(114)	1000
P2		1:0.75	MPEG	2000
3500	2k-1.5k		PCL(114)	1500
P3		1:1	MPEG	2000
4000	2k-2k		PCL(114)	2000
P4		1:1.25	MPEG	2000
4500	2k-2k		PCL(114)	2500
	PEG-PCL-PEG	PEG:PCL		M.W.
P1'		1:0.5	MPEG	2000
6000	2k-2k-2k		PCL(114)	4000
P2'		1:0.75	MPEG	2000
7000	2k-3k-2k		PCL(114)	5000
P3'		1:1	MPEG	2000
8000	2k-4k-2k		PCL(114)	6000
P4'		1:1.25	MPEG	2000
9000	2k-5k-2k		PCL(114)	7000

Characterizations

Dispersion stability and morphology of the $W_1/O/W_2$ multiemulsions were extensively investigated. The time-evolution particle size of the emulsion droplet was observed with dynamic light scattering method. Morphology of the emulsion droplet was observed with confocal microscopy (w/ FITC) and the stability of the emulsion was observed with Turbiscan. Release behavior of the emulsion was observed with HPLC and the degradable behavior of PEG-PCL block copolymers was observed with GPC.

Results and discussion

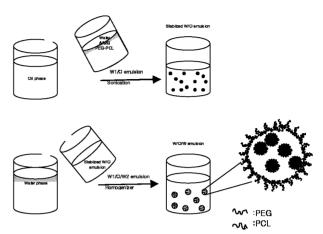


Figure 1. Schematics of the $W_1/O/W_2$ multi-emulsion capsules prepared by using PEG-PCL block copolymers.

For the preparation of $W_1/O/W_2$ multi-emulsion, the W_1/O emulsions containing PEG-PCL di- and tri-block copolymers were dispersed in the aqueous solution, as shown in Figure 1. Figure 2 shows a representative Turbiscan profile of $W_1/O/W_2$ multi-emulsion without PEG-PCL.

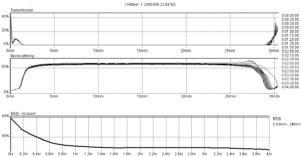


Figure 2. Turbiscan profile of $W_1/O/W_2$ multi-emulsion without PEG-PCL

Conclusions

Purpose of this study was the preparation of stable and well dispersed $W_1/O/W_2$ multi-emulsion containing bioactive material AA2-G in inner water phase. Especially, the effect of the PEG-PCL block copolymers in W/O/W multi-emulsion was observed according to the various ratio of PEG-PCL block copolymer synthesized in laboratory. Biodegradability of PEG-PCL block copolymer observed by GPC was dependent upon the ratio of PEG and PCL. Also, release behavior of AA-2-G from encapsulated particle was measured by HPLC.

These results indicate that the PEG-PCL block copolymers are applicable materials in field such as food, cosmetic and drug delivery system.

References

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