The finest concentration and preparing condition of new Nanostructured Lipid Carriers (NLC)

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ABSTRACT SUMMARY
NLC are carriers of choice for protected and improved delivery of poorly water soluble actives. This study revealed the possibility of new lipid matrix to produce NLC by hot high pressure homogenization (HPH) method and investigated the optimum condition of lipid matrix concentration including the surfactant content effect and HPH condition for the new NLC formulations.

INTRODUCTION
NLC are the admixture of oil with solid lipid which lead to the creation of a less order inner structure. Thus, the active ingredients can be located in between lipid layers and/or fatty acid chain. The advantages of NLC are being interesting for the dermal application especially for the cosmetic field [1,2]. The purpose of this study was to develop a new NLC-pre concentrate, containing high concentration of nano-sized NLC and investigated the optimum preparing condition.

EXPERIMENTAL METHODS
The lipid phase was a lipid mixture containing octyldodecanol, carnauba wax, candelilla wax and beeswax. The Plantacare® 2000 UP 2-3% was used as a surfactant. The lipid phase and water phase were melt separately. Then water phase was mixed into the lipid phase at 80°C and premixed with Ultra-Turrax at 8,000 rpm for half a minute. After that the pre-mixed emulsions were passed through a high pressure homogenizer (HPH) at 80°C under the pressure of 500 bar for 3-15 cycles. The particle size, polydispersity index (PI) and were investigated by Photon Correlation Spectoscopy (PCS) and Laser Diffractometry (LD).

RESULTS AND DISCUSSION
The results revealed that the highest concentration of lipid was 25% which could be produced by HPH. The higher concentration, e.g. 30% lipid solidified during the production. The increase in lipid concentration led to a larger particle size. The best cycle number for producing NLC with 10% and 15% lipid content and 2% surfactant was 3-5 cycles at 500 bar, further energy input generally did not lead to a smaller size [Fig.1]. On the other hand, the smallest particle size for the formulations containing 3% surfactant was obtained with 10 homogenization cycles [Fig.2]. Different to the observation above, for the formulations containing lipid 10-15% with 3% surfactant and 20% lipid with 2% surfactant, increasing homogenization cycles > 5 cycles could further reduce the particle size [Fig.3 and Fig.4]. The effect is probably due to the higher surface area which needs to be generated during the production of NLC with higher lipid content.

Not only, the homogenization condition but also the concentration of lipid, surfactant and water influenced the particle size. 2% surfactant was found to be the best concentration for 10-15% lipid (size about 145-155 nm). 3% surfactant was best for 10% lipid (size from 148.48 nm to 122.78 nm, 3 cycles and 15 cycles respectively). A higher lipid content and/or surfactant caused a lower water content and vice versa. Plantacare®2000 UP is a nonionic surfactant which creates a steric-hindrance effect. Thus, the formulation with a high concentration of surfactant had higher viscosity. This effected to the particle movement. When water was inadequate to lubricate between particles, difficulty of particle movement and bridging of the surfactants occurred [3]. These occurrences led to the increase of the particle...
size and affected to the stability of the formulations (data not shown).

CONCLUSION
A new NLC formulation was successfully developed and the optimal production condition and formulation was investigated in this study. The best particles were obtained with 10-15% lipid content and 2% surfactant. The optimal production condition was 5 cycles of HPH, 500 bar at 80°C. Higher lipid contents required a higher energy input to reach the minimal size, higher surfactant concentrations the stability of the products.

REFERENCES

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