

Title: Comparison study of penetratin and penetratin-conjugated liposomes on transbuccal delivery of salmon calcitonin

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The aim of the present study is to investigate the permeation effect of penetratin for salmon calcitonin through porcine buccal tissue and compare with that of penetratin-conjugated liposome. Salmon Calcitonin was used as model peptide drug and L-Penetratin(RQIKIWFQNRRMKWKK) was chosen as cell penetrating peptide. Molar mixing ratio between sCT and penetratin of simple mixture formulations was from 1:0.1 to 1:2. Liposomes were prepared by thin-film hydration method using L-α-Lecithin, Tween80 and MPB-PE(N-[4 (pmaleimidophenyl)butyryl]-phosphatidylethanolamine) a synthetic phospholipid for penetratin-conjugated liposomes with the fixed molar ratio of 89:10:1 and 88:10:2. To react MPB-PE with Cys-penetratin, Cys-penetratin was mixed and reacted with MPB-PE anchored onto liposomes for 12 hr under 4℃ with stirring. Particle size and zeta potential were measured and transbuccal permeation experiment was performed for 8 hr using Franz diffusion cells. In this study, penetratin enhanced the transbuccal permeation of sCT compared to sCT control formulation, and 1:1 mixture showed 93.7-folds higher apparent flux(Js,app) than control, and 1:1 ratio was thought as optimal concentration. The particle size of penetratin-conjugated liposomes was 140.5±3.4 nm and 155.8±4.2 nm respectively. Zeta potential of penetratin-conjugated liposomes was +29.6±3.6mV and 35mV higher than Control liposomes. The apparent flux of penetratin-conjugated liposomes(ratio of 89:10:1) is 2.46-folds higher than Control and 75.9-folds higher than control. We found the optimal concentration of Penetratin and penetratin-conjugated liposomes for sCT buccal tissue permeation. By conjugating Penetratin onto the liposomal surface, the permeation property of of liposome might be governed by Penetratin. Understanding of full permeation mechanism might be possible after performing further study using different type of CPPs. (This work was supported by NRF-2016R1D1A1B01015369)

Biography

TaeKwang Keum has completed his Bachelor in Pharmaceutical Sciences from Keimyung University, Daegu, Korea on February, 2015. He started integrated MS-PhD at College of Pharmacy, Keimyung University, Daegu, Korea from September 2016 to till date. Currently, he is studying in 3th semester. He is interested on drug delivery systems, buccal drug delivery, various non-invasive routes, nanocarriers, liposomes, biopharmaceuticals delivery, cell penetrating peptides (CPPs) etc.

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