

The salmon calcitonin-DOCA conjugate for oral delivery : preparation, characterization, and evaluation of sCT-DOCA conjugates

김상균, 변영로*, 김선희, 이현희, 이슬기
광주과학기술원
(yrbyun@kjist.ac.kr*)

In this study, conjugates of salmon calcitonin(sCT) and deoxycholic acid (DOCA) were prepared to improve the sCT absorption in the gastrointestinal tract for oral delivery.

Three kinds of sCT-DOCA conjugates were synthesized, and separated by reverse phase HPLC. The conjugates were characterized by MALDI-TOF mass, CD spectroscopy, and Dynamic Light Scattering (DLS). their permeability in the GI tract was determined by caco-2 cell monolayers.

We obtained sCT-mono-DOCA, sCT-di-DOCA, and sCT-tri-DOCA according to modification with DOCA. We selected mono- and di-conjugates for their solubility and biological activity. In the transport test using the caco-2 cell monolayer, the permeability of conjugates was about 1.63 to 1.91 times higher than that of intact sCT due to the amphiphilic property of the conjugates. When the environmental condition was changed by DOCA and dimethyl sulfoxide(DMSO), the permeability of conjugates increased to about 4.5 times higher than that of sCT.

From the above results, we conclude that the DOCA conjugation of sCT can be potential candidate for oral delivery.