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Mucus permeating SMEDDS containing thiolated compounds

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Aim: The aim of the study was the development of a novel self micro emulsifying drug delivery system (SMEDDS) to overcome the mucus barrier in the small intestine.

Methods: Two novel conjugates thiobutylamidine-dodecylamine (TBA-D) and thioglycolic- acid-octylamine (TGA-O) were synthesized and incorporated into SMEDDS in a percentage of 3% (m/m). N-acetyl-cysteine NAC served as control. SMEDDS were screened for stability, cytotoxicity, size, zeta potential, diffusion coefficient, homogeneity of micelles movement and effective diffusivities and mucolytic activity.

Results: TBA-D was synthesized using dodecylamine and iminothiolane as thiol precursor. TGA-O was obtained via cross linking of octylamine with SATA ((2, 5-dioxopyrrolidin-1-yl) 2-acetylsulfanyacetate). NMR spectroscopical measurements gave proof of successful thiolation. Micelle size was recorded around 50nm; the zeta potential was near zero mV. The diffusion coefficient in mucus showed an 87-fold increase for SMEDDS containing TBA-D compare to NAC SMEDDS which showed an increase of 24-times. TBA-D could decrease the difference between slowest and fastest percentile of up to 52-times. Effective diffusivity for the majority of the micelles of TBA-D SMEDDS is diffusive through mucus compared to the control for which 81% were not. Rheological studies of thiol compounds proved a decrease in mucus viscosity of up to 43%.

Conclusion: Thiol-conjugates were identified to strikingly improve mucus permeation of SMEDDS due to their mucolytic activity. This can be regarded as unmet need for small intestinal targeting. Drug delivery systems, which are able to permeate the mucus might thus be a superior drug delivery system for poorly water soluble drugs.

Biography

Julia Rohrer is doing her PhD at the University of Innsbruck, Austria. She received her degree in Pharmacy at University of Munich, Germany in 2011.

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