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The percutaneous absorption of antisense phosphorothioate oligonucleotide (ASPS) complementary to TGF-β mRNA designed for scar formation inhibitor

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ASPS against TGF-β is developing as scar formation inhibitor. The scar was caused by undesired collagen deposition due to overexpression of TGF-β in wounded tissue.

The in vitro percutaneous absorption of ASPS(25mer)was investigated by using Furanz Diffusion Cell. The flux of ASPS cannot be found through normal skin due to high molecular weight (MW 10,000) and polyanionic charge. However, the skin permeation of ASPS through tape-stripped damaged skin was markedly increased.

The skin fluxs of ASPS were decreased in the following order; hairless mouse> rat >human cadaver skin.

The absense of dermis raised the flux of ASPS through damaged skin.

YM-1 as enhancer shows a increased permeation and increased the concentration of ASPS in skin layer.

The ingredients of receptor affect the flux of ASPS through damaged skin . The skin flux of ASPS was increased in the following order; PBS < PBS containing 6% PF68 < PBS containing 6% Octoxynol.

While partially modified ASPS(6S) was unstable, fully modified ASPS(25S) was very stable in 10% FBS during 24hours.