

Solving Formulation Challenges: Solubility & Drug Targeting

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ABSTRACT: Two main problems that formulators face when designing topical formulations is drug solubility issues as well as drug targeting to specific skin layers where the disease target lies (as opposed to just whole skin or transdermal delivery). The first case discussed in the presentation will cover the drug paclitaxel and ways to solve its solubility issues as well as targeting to epidermal/upper dermal layers for the treatment of psoriasis. The second case will describe delivery to hair follicles for the treatment of acne. The presentation will discuss these two cases as exemplified by the use of drug carriers known as “TyroSpheres” These are derived from the amino acid L-tyrosine, medium-chain fatty acids, and poly(ethylene glycol) (PEG). TyroSpheres are “triblock copolymers” that self-assemble in water and form particles with a diameter that can be controlled from 30 to 120 nm. Using various techniques such as differential scanning calorimetry (DSC), dynamic light scattering (DLS), X ray scattering (small, medium & wide) and small-angle neutron scattering (SANS) we were able to study the absorption of these Tyrospheres onto various substrates. These data provided a glimpse into how these drug carriers may act once placed on skin surfaces. In summary, TyroSpheres were found to readily solubilize and deliver hydrophobic drugs through the stratum corneum (uppermost skin layer), target skin layers and not deliver their payloads into the systemic circulation.