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Can Vesicles keep Amorphous Solid-State Forms Stable

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Roxithromycin (macrolide antibiotic) has a bacteriostatic effect on *Propionibacterium* acnes (accumulates in the dermis) and the formulation into a topical product is problematic due to its poor solubility. Amorphous solid-state forms usually have a less structure molecular packing than its crystalline counterpart, which may lead to increased solubility. Originally, roxithromycin (the crystalline monohydrate form) and two of its amorphous forms (glassy form and chloroform desolvate form) were encapsulated separately into different vesicles (niosomes, proniosomes, ufosomes and pro-ufosomes) to determine if the active pharmaceutical ingredient (API) was delivered to the target-site (the dermis). It was concluded that the topical delivery of the API was successful and that the concentration delivered was more dependent on the vesicle than the solid-state form used.

Thereafter, the aim was to determine whether the excipients used to formulate the vesicles (niosomes and liposomes) had an effect on the solid-state nature of the API and which of the different combinations of excipients would deliver the highest concentration of roxithromycin to the target-site. The solid-state forms were characterized in terms of differential scanning calorimetry (DSC) and X-Ray powder diffraction (XRPD). Skin diffusion was performed with vertical Franz diffusion cells followed by tape stripping. It was observed that the vesicles used prevented the recrystallization of the amorphous forms and that the crystalline form of the API was rendered into an amorphous habit. Thereby indicating that formulation of vesicles could result in the preparation of amorphous solid dispersions. It was discovered that the excipients could be used to target certain areas and also that the excipients influenced the diffusion of roxithromycin into and through the skin.

Biography:

Minja Gerber received her PhD (Pharmaceutical Chemistry) in 2007 at The North-West University (NWU) and two years later accepted a permanent position at the NWU as Senior Lecturer in the Centre of Excellence for Pharmaceutical Sciences (Pharmacen™). She has authored/co-authored 29 research publications. Since 2006, she has supervised 54 post-graduate students (8 PhD, 41 MSc) and in 2018, she was promoted to Associate Professor in Pharmaceutics. She has reviewed numerous publications for various journals and received several research grants of which she was the principal investigator. In 2012, she completed a Sabbatical at Leiden University under the guidance of Prof. Joke Bouwstra.