

Assessment of protective role of novel ceramide microspheres on retinol stabilization and its anti-acne activity

Monika Pasikowska-Piwko¹, Renata Dębowska¹, Beata Ostrowska²,
Katarzyna Rogiewicz^{1,2}, Irena Eris^{1,2}

¹ Dr Irena Eris Cosmetic Laboratories, Dr Irena Eris Centre for Science and Research, Piaseczno, Poland

² Dr Irena Eris Cosmetic Laboratories, Technological Department, Piaseczno, Poland

INTRODUCTION:

Retinol provides multifunctional activity for acne, photodamaged or ageing skin, however in order to provide stability of the molecule it is necessary to develop special microspheres displaying protective effect on retinol. Here we present the method to prolongate retinol's stability by its incorporation to novel protective ceramide microspheres in topical formulations.

AIM OF THE STUDY:

Retinol is very unstable molecule, for this reason special retinospheres were developed to stabilize the molecule. Aim of the study was to estimate stability of retinol in retinospheres (RST) compared to pure retinol (R) and placebo formulation (P). In addition to this efficacy of topical 0,3% retinol formulation (RST) in adult acne treatment was performed.

MATERIALS AND METHODS:

In order to measure quality and quantity of retinol *in vivo*, three formulations were investigated: RST (retinospheres), R (pure retinol) and P (placebo). 20 mg/cm² of each formulation was applied on the skin for 5 hrs. Tape-stripping skin samples (21 samples of adhesive type) after applying latter formulations from 5 volunteers were collected. Samples were extracted with chloroform and analysed by UV fluorescent microscopy as well as UV spectroscopy (Jasco) according to cEP.

For the *in vivo* efficacy study of RST formulation, 20 adult patients with acne were enrolled. They used cream for 4 weeks, once per day for a night. The skin parameters like porphyrines, appearance of sebaceous glands, and skin moisturization were analysed by Visiopor PP34 and Corneometer CM 825 (Courage-Khazakha) at day T0 and T28.

RESULTS

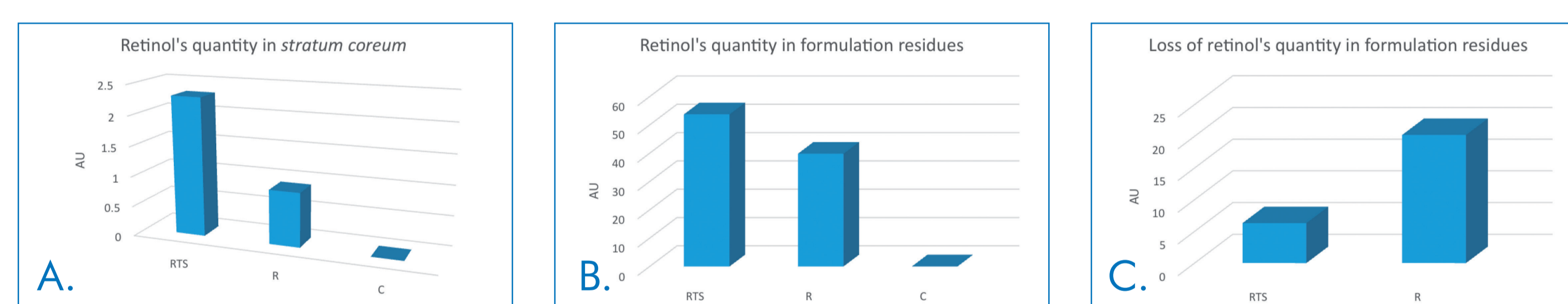


Figure 1. A: Retinol's quantity in stratum corneum after 5 hrs of investigated formulations: RST, R and C application. B: Retinol's quantity in creams' residues after 5 hrs of RST, R and C application. C: Loss of retinol's quantity in formulation residues after 5 hrs of application. Retinol's quantity was estimated by UV spectroscopy.

Assessment of retinol quantity in human stratum corneum revealed that retinol incorporated in microspheres penetrates 2,5-fold more to stratum corneum than native retinol. Also higher amount of retinol in RST formulation indicated increased stability of the molecule compared to R formulation. Decrease in retinol content in R formulation was 3 times higher than in RST formulation, due to its degradation.



Figure 2. Fluorescent microscopy of skin surface after 3 hrs of A: placebo (C), B: R formulation, C: RST formulation application where RST formulation had up to 2 times higher fluorescence intensity compared to R formulation due to its higher stability and penetration to skin.

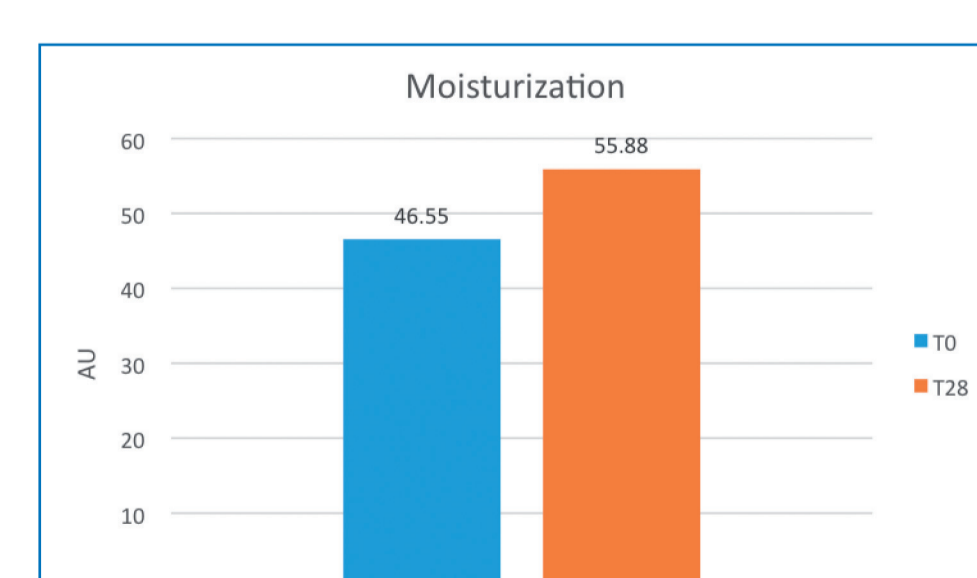


Figure 3. Moisturization of skin after 4 weeks of RST formulation usage. Moisturization of skin increased by approx. 17%. Test performed by Corneometer CM 825 (Courage-Khazakha).

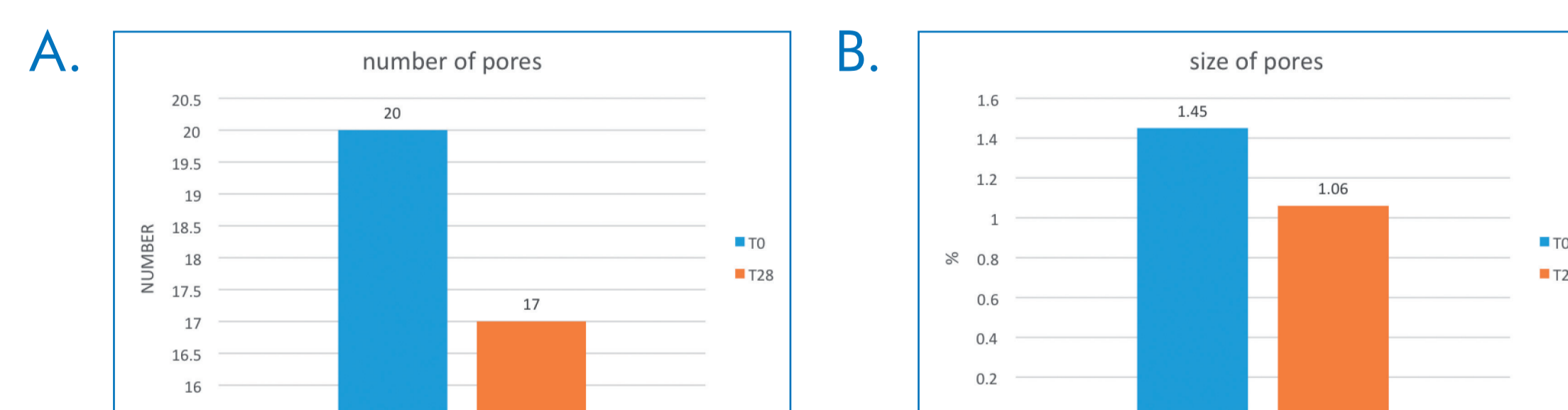


Figure 4. *In vivo* efficacy tests on RST formulation revealed that after 4 weeks of cream usage the number and size of pores decreased by 16% (A) and 27% (B) respectively. The skin parameters of sebaceous glands were performed by Visiopor PP34.



Figure 5. Reduction of acne lesions and pores after 4 weeks of RST formulation usage.

CONCLUSIONS

Development of novel ceramide microspheres enabled to stabilize retinol in cream formulation. Moreover stabilized retinol penetrates better to stratum corneum and has better anti-acne properties.