Sugarcane-derived biogenic silica particles as a topical delivery system for retinoids

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Introduction/ Objectives

Retinoids are widely used in skin care for their anti-wrinkle and whitening properties. However, retinol does not have effect in the skin, it must first be converted by skin enzymes into the active metabolite, retinoic acid. This acid has a direct effect on skin cells and helps to reduce some signs of ageing, but this event must take place within the epithelium. Nevertheless, retinol has low technological stability due to light and oxygen susceptibility and is converted to retinoic acid in the formulation, losing its activity. Also, it is not advisable to add retinoic acid directly to the skin as it causes irritation, including peeling, erythema, and photosensitivity.

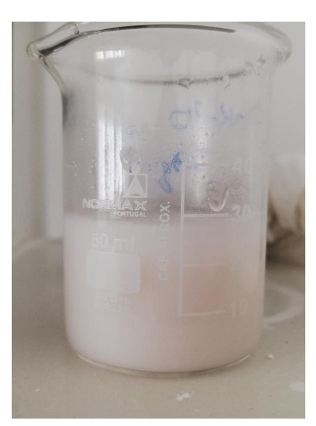
Hence, encapsulation of retinol has been under study, since it is the only way to guarantee that it reaches skin cells and is converted into retinoic acid. Nevertheless, some of the existent solutions are derived from synthetic compounds that do not accomplish the clean beauty demand. Thus, we propose using biogenic silica extracted from sugarcane bagasse ash to produce biocompatible encapsulation systems for retinoids, increasing their stability and skin activity.

Material and Methods

1. Production of biogenic silica

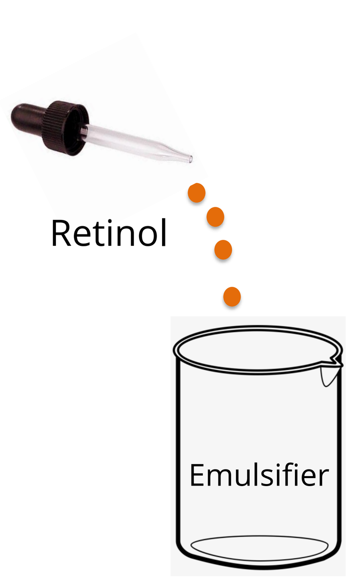
Sugarcane ashes

Extraction process →



Biogenic silica solution

2. Encapsulation of retinol



Ultrasonication → 3 min

Incorporation into silica solution

Ultrasonication → 3 min

Spray-Dryer

Spray-Dryer Conditions: Inlet Temperature – 120 ˚C; Pump - 13%; Aspirator Rate – 65%

Retinol-loaded silica particles

→

Association efficiency (Spectrophotometry)

Particle Size (Laser Diffraction)

Biocompatibility (keratinocytes)

3. Experimental Design

A 2^2 central composite design was used to determine the best parameters, using association efficiency of retinol as independente variable, and retinol concentration and emulsifier concentration as dependent variables. Table 1 presents the coded dependent variables.

Table 1 – Coded variables studied for retinol encapsulation into biogenic silica

Coded variables	-1.41	-1.0	0	+1.0	+1.41
Retinol concentration (%, w/w)	0.03	0.2	0.6	1.0	1.17
Emulsifier concentration (%, w/w)	0.51	3.0	9.0	15.0	17.49

Results

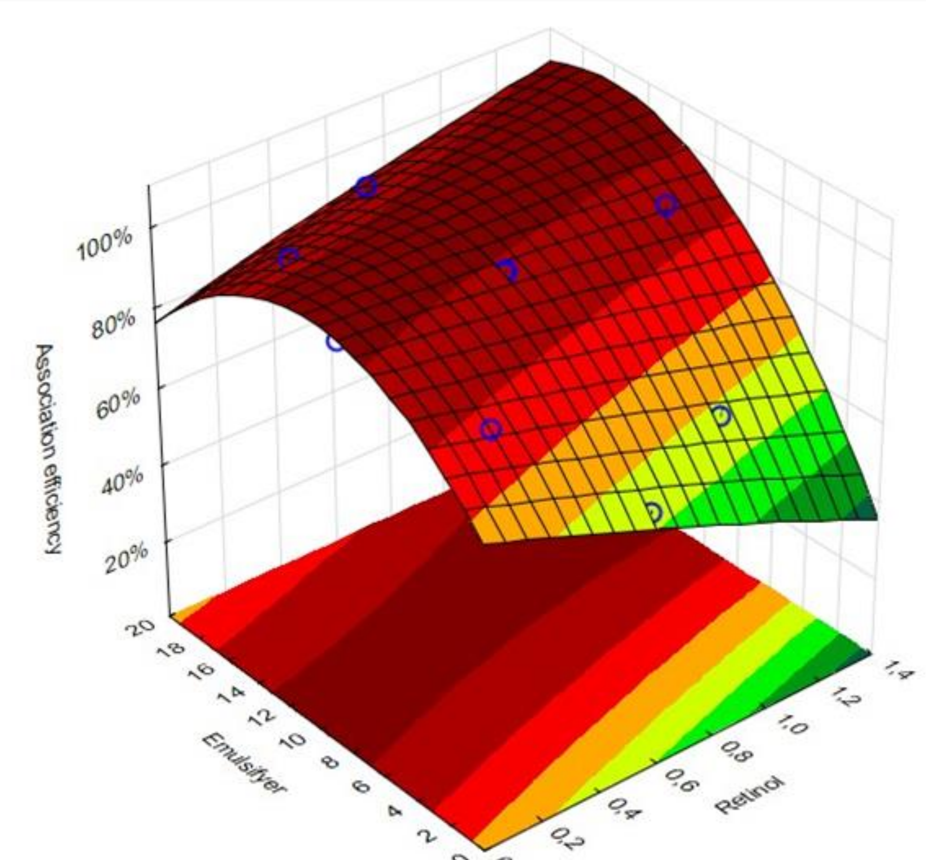


Figure 1 – Surface response for association efficiency of retinol within biogenic silica microparticles

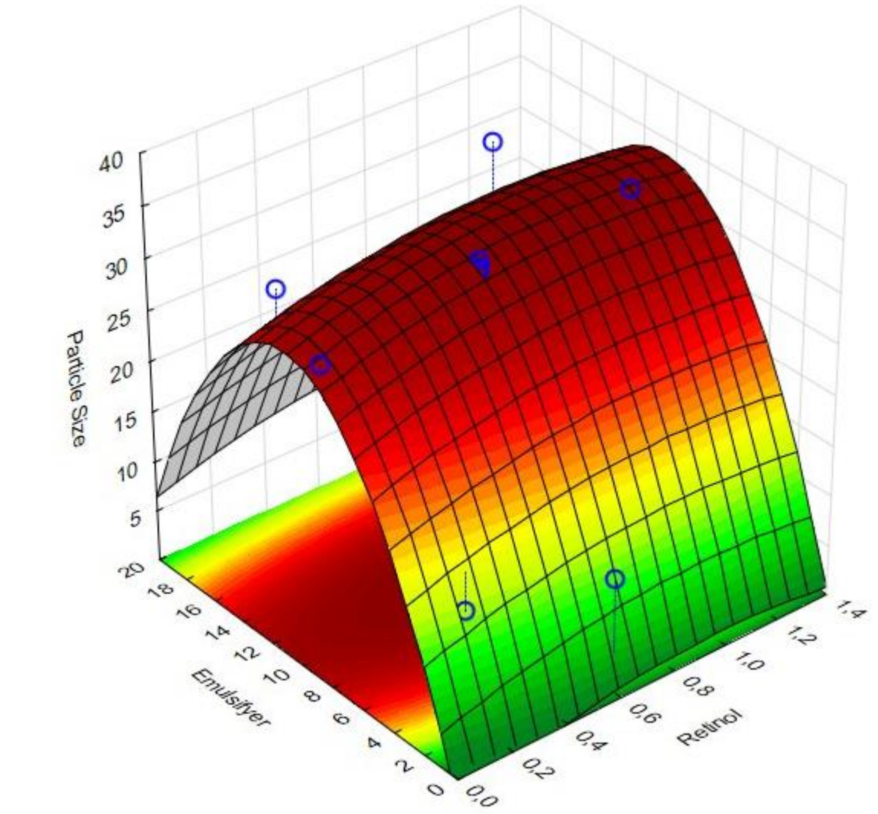


Figure 2 – Surface response for particle size (μm) of retinol-loaded silica microparticles

- **Optimal operational condition: retinol concentration of 1.17% (w/w) and emulsifier concentration of 17.49% (w/w)**

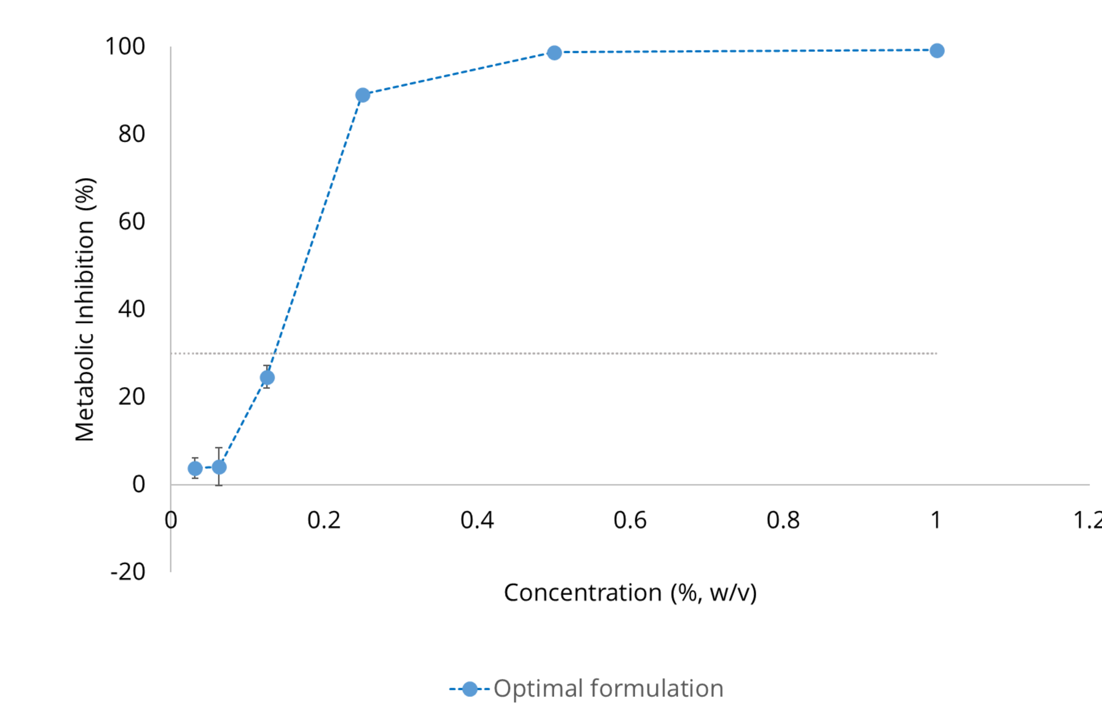


Figure 3 – Metabolic inhibition of HaCaT cells at different concentrations of retinol-loaded silica microparticles

Conclusions

- Silica particles produced through sol-gel method allowed to encapsulate retinol, with association efficiencies ranging from 62.48 to 99.32%
- Some non-significant terms were eliminated and the resulting equations were tested for adequacy and fitness by ANOVA. The fitted models were suitable, showing significant regression, low residual values, no lack of fit and satisfactory determination coefficients
- The particle size of retinol-loaded silica microparticles ranged from approximately 5 – 250 µm, with an average size of around 30 µm.
- The particle size was only affected by the concentration of emulsifier used in the formulation ($p < 0.005$) and not by the retinol concentration ($p > 0.005$). The particle size increase with the use of average concentrations of emulsifier and decreases when the concentration is too high or too low.
- Optimal formulation of retinol-loaded silica particles is biocompatible with human keratynocytes (HaCaT) cells at concentrations up to 0.13% (w/v).

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