

Proven benefits of ascorbic acid derivatives: beyond stability

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INTRODUCTION

Vitamin C (ascorbic acid) is a water-soluble and thermolabile micronutrient widely used in cosmetic products, mainly due to its potent antioxidant properties, as a co-factor for collagen synthesis and inhibition of melanogenesis. However, it has low stability and can easily decompose in aqueous solution by the action of oxygen in the air and other oxidizing agents, making its use in cosmetic preparations challenging. The pharmaceutical and cosmetic industries have addressed this issue by developing several derivatives of this molecule to increase the stability of the formulation, such as ascorbyl tetraisopalmitate, ascorbyl glucoside, ethyl ascorbic acid and sodium ascorbyl phosphate. However, studies addressing both the stability and efficacy of these derivatives are scarce.

OBJECTIVE

This study aimed to evaluate the stability and compare the antioxidant potential of vitamin C and its different derivatives, as well as investigate potential gains in stability and antioxidant potential through viable mixtures of these components.

METHODS

The analysis included vitamin C in its active form, ascorbic acid, and its derivatives: ascorbyl glucoside and ethyl ascorbic acid (Table I). The experimental design was simplex-centroid. The antioxidant activity was evaluated by the chemical methods of radical scavenging ABTS+. The stability of technically viable samples and mixtures was assessed for their antioxidant activity at time points 0, 1, 2, 5, 7, 14, and 28 days, following the guidelines outlined in the Anvisa Stability Guide. This evaluation considered exposure to three different environments (refrigerator 5 °C, room temperature 25 °C and greenhouse 37 °C). In vitro assays on fibroblast, macrophage, and keratinocyte cultures were conducted to determine cytotoxic activity using the MTT colorimetric assay.

INCI	Molecular Weight
Ascorbic acid	176.12 g/mol
Ascorbyl glucosideo	338.26 g/mol
Ethyl ascorbic acid	204.18 g/mol

Table I. Vitamin C and derivatives analyzed

RESULTS

The results indicated that the isolated active ingredients lost antioxidant activity over time, while the mixtures maintained this activity during the analyzed period. The combination of these active ingredients exerts the same antioxidant activity with a lower concentration of each one, in addition to maintaining antioxidant activity for longer periods. Analysis of the three-dimensional image of the ternary diagram shows that the association of different forms of vitamin C, at time 0, requires a lower concentration of the active ingredients for the same antioxidant activity (Figure 1). In the binary combination, the presence of ethyl ascorbic acid increases the stability of the solution in the most critical environments (Figures 2 and 3).

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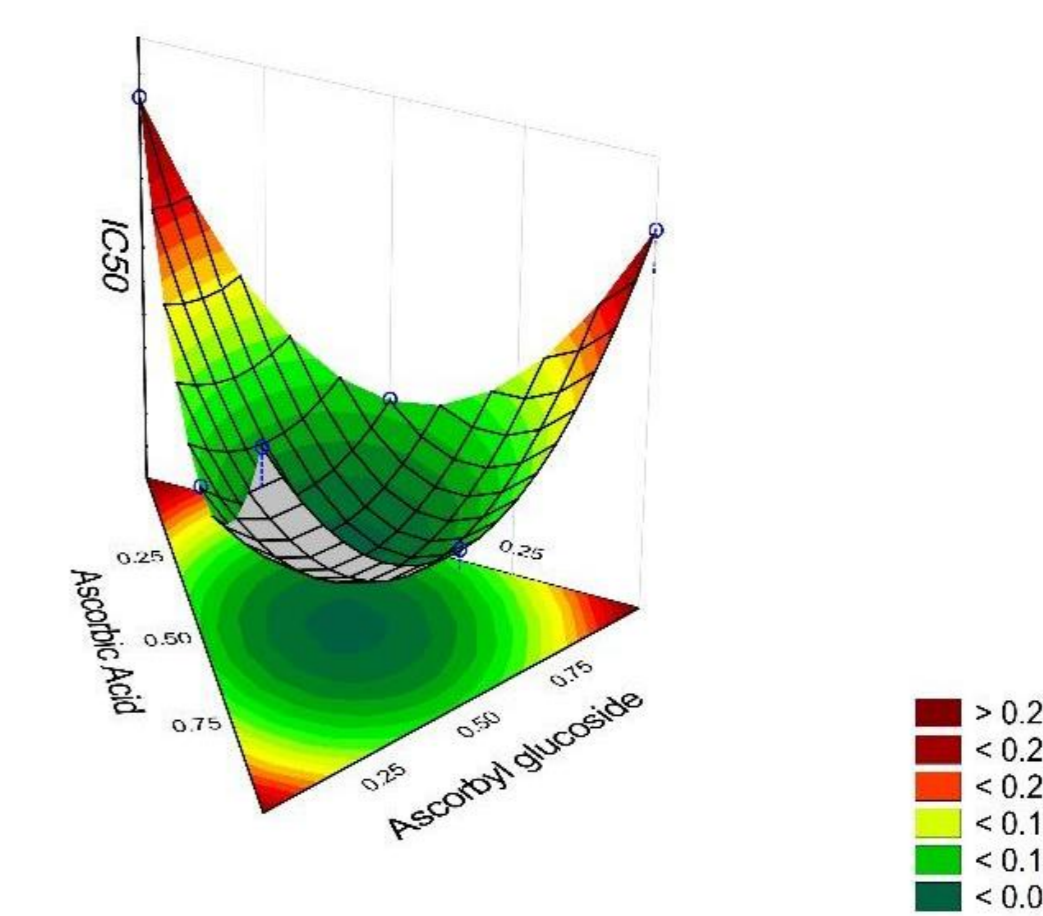


Fig. 1. Ternary diagram composed of ascorbic acid, ascorbyl glucoside and ethyl ascorbic acid, with results expressed in molarity of the ambient sample at time 0.

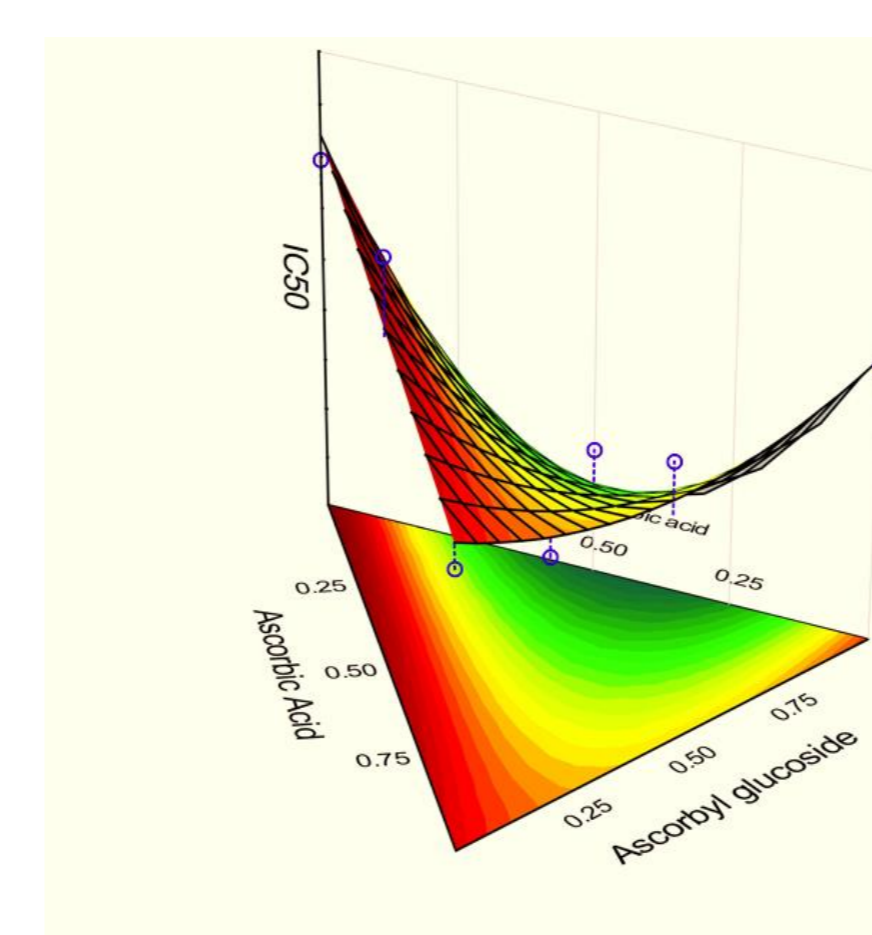


Fig. 2. Ternary diagram composed of ascorbic acid, ascorbyl glucoside and ethyl ascorbic acid, with results expressed in molarity of the ambient sample in 28 days.

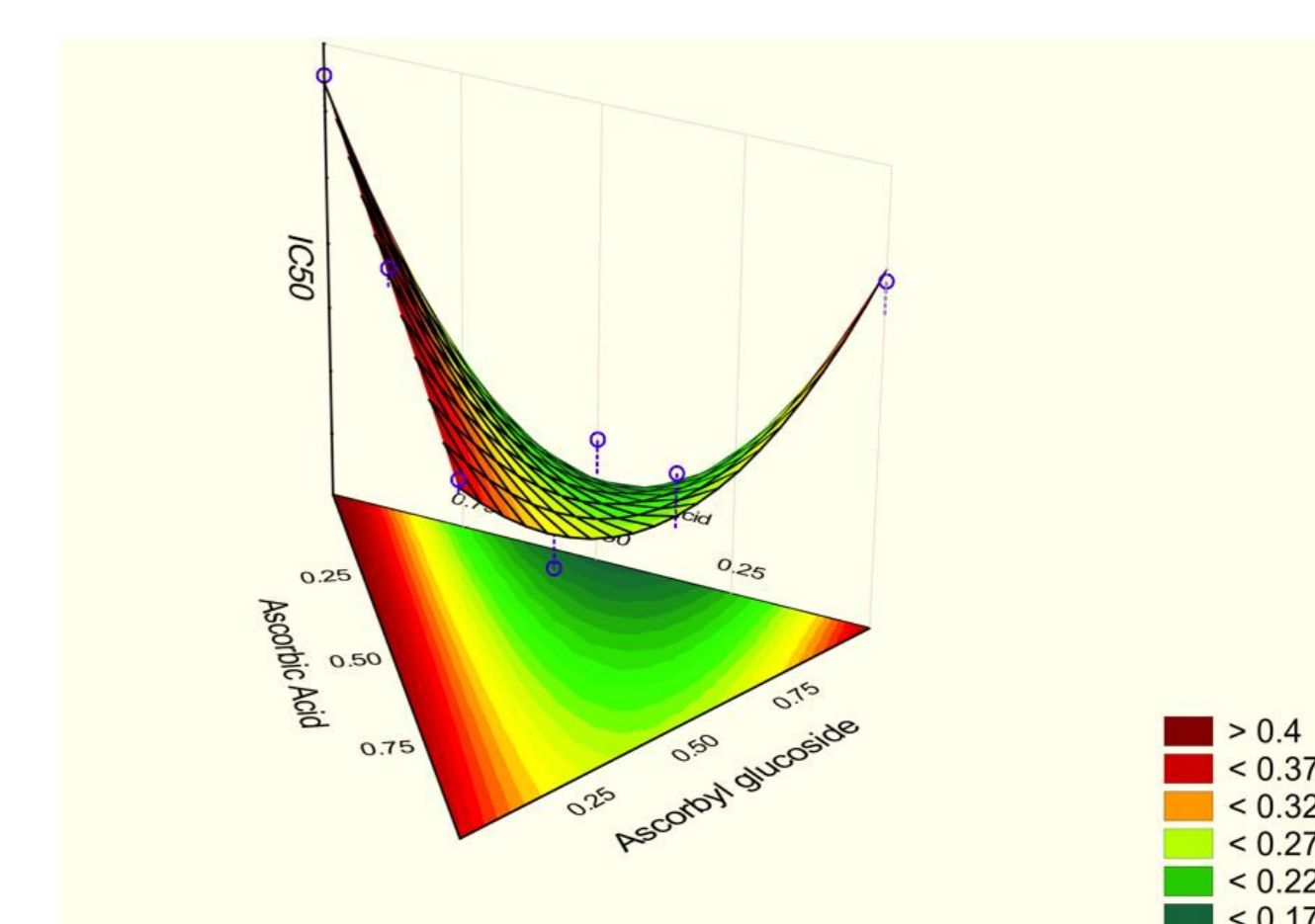


Fig. 3. Ternary diagram composed of ascorbic acid, ascorbyl glucoside and ethyl ascorbic acid, with results expressed in molarity of the greenhouse sample at 28 days.

Solutions of ascorbic acid, ascorbyl glucoside, ethyl ascorbic acid and an equal mixture of the three forms of vitamin C did not show cytotoxicity at the concentrations evaluated.

DISCUSSION

Ascorbic acid, the predominant and least expensive form of vitamin C on the market, is supported by extensive scientific evidence, although it is notoriously unstable. When examining the antioxidant potential of different forms of vitamin C and their combinations, a clear tendency towards loss of antioxidant activity is observed when used alone. Combinations of these raw materials indicate the ability to prolong antioxidant activity for longer periods, while using lower concentrations of active ingredients. The results suggest that the use of vitamin C in combination offers the market a product with improved antioxidant potential and greater stability. This approach allows the development of products with longer shelf life and reduced costs, providing advantages from both a pharmacotechnical and financial point of view. This discovery has significant applicability in the pharmaceutical and cosmetic areas.

CONCLUSION

This study presented relevant information about different benefits of vitamin C derivatives association and offers pharmacotechnical and financial advantages for applications in pharmaceutical and cosmetic areas.

Supported by ADCOS group, Brazil