POSTER 800

GREATER STABILITY AND ABSORPTION OF BIOAVAILABLE VITAMIN C IN TWO OPTIMIZED FORMULATIONS COMPARED WITH A LEADING COMPETITOR FORMULATION

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INTRODUCTION

Vitamin C has several actions that may contribute to its efficacy in improving the appearance of photoaged skin—it is an important antioxidant, is essential for collagen biosynthesis, and reduces pigment synthesis by inhibiting tyrosinase.[1]

Vitamin C is a highly unstable molecule and oxidizes rapidly when exposed to light or air. In studies with pig skin it has been shown that the delivery of topically applied vitamin C is highly dependent on the characteristics of the formulation used, including its pH and its vitamin C concentration.[2] It was reported that, for optimal absorption into the skin, the pH of the formulation should be less than 3.5 and the maximal concentration of vitamin C should be 20%.[2]

Commercially available formulations of vitamin C differ in their characteristics and a comparison of the *in vitro* stability and percutaneous absorption of vitamin C in three such formulations is presented.

METHODS

Test 1 (Accelerated Stability Test 1)

- The following formulations of vitamin C were stored at 40°C for 3 months in identical clear glass bottles with a screw-top lid incorporating an eyedropper with rubber bulb:
  - Optimized 4% hydroquinone plus 10% L-ascorbic acid-based serum (HQA)[3]
  - Optimized 20% L-ascorbic acid-based serum (A)[4]
  - A leading competitor 20% L-ascorbic acid-based product (C).
- The vitamin C content of each formulation was determined at baseline and after 1, 2, and 3 months using a titration method that correlated well with standard high performance liquid chromatography methods and which detected only bioavailable vitamin C—and not its oxidized (and non-bioavailable) form, L-dehydroascorbic acid.

Test 2 (Accelerated Stability Test 2)

- Repeat of Test 1 except that the formulations were stored at 45°C and their vitamin C content was determined only at baseline and 1 month.

Test 3 (Percutaneous Absorption Evaluation)

- The percutaneous absorption of vitamin C was evaluated *in vitro* over a period of 19 hours at 37°C using human cadaver skin in Franz diffusion cells (finite dose method).
- Cadaver skin from a single donor was mounted onto 1.0 cm^2 Franz diffusion cells and treated with 5 $\mu L/cm^2$ of one of the vitamin C formulations.
- No reducing agent was included in the cells and, as a result, penetration of only the bioavailable form of vitamin C was evaluated.
- The vitamin C content of the reservoir solution was assessed using high performance liquid chromatography at 0.5, 1.5, 3, 6, 10.5, and 19 hours.

RESULTS

Test 1

- After storage at 40°C, the vitamin C content of each formulation was little changed in the optimized hydroquinone/ascorbic acid product (HQA), reduced somewhat in the optimized ascorbic acid product (A), and reduced most of all in the competitor product (C) (Figure 1).
- After 1 month, the vitamin C content was:
  - Not reduced with HQA
  - Reduced by 8% with A
  - Reduced by 11% with C.
- After 3 months, the vitamin C content was:
  - Reduced by 2% with HQA
  - Reduced by 17% with A
  - Reduced by 41% with C.
- The degree of degradation of L-ascorbic acid was linearly correlated with the water content in each formulation, which was 12% with HQA, 25% with A, and 77% with C.

Test 2

- After storage at 45°C for 1 month, vitamin C degradation was again lower in the optimized products than in the competitor product (Figure 2):
  - 2% with product HQA
  - 14% with product A
  - 29% with product C.

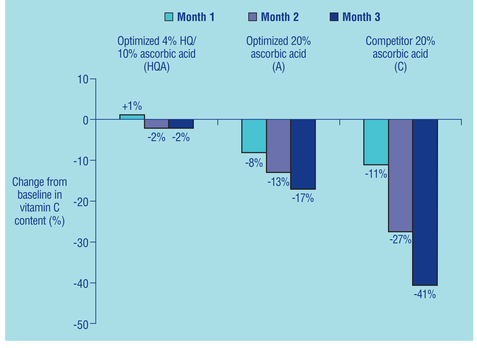

Figure 1. Reduction in vitamin C content in different formulations after storage at 40°C for up to 3 months.

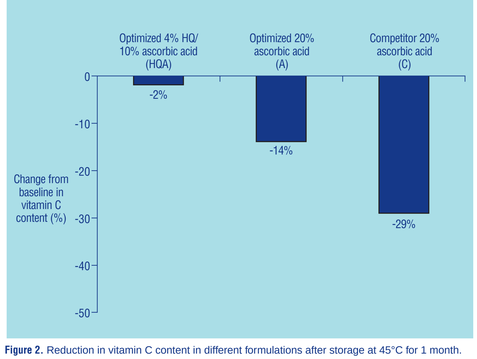

Figure 2. Reduction in vitamin C content in different formulations after storage at 45°C for 1 month.

Test 3

- After 19 hours in a Franz diffusion cell, the total percutaneous absorption of bioavailable vitamin C (Figure 3) was:
  - 148 µg with product HQA (31% of baseline amount)
  - 66 µg with product A (11% of baseline amount)
  - 12 µg with product C (2% of baseline amount).
- The absorption of bioavailable vitamin C was inversely and exponentially correlated with the water content in each formulation:
  - With HQA, absorption was 148 µg and water content was 12%
  - With product A, absorption was 66 µg and water content was 25%
  - With product C, absorption was 12 µg and water content was 77%.
- In addition, the absorption of bioavailable vitamin C was inversely and exponentially correlated with the extent of vitamin C degradation:
  - With HQA, absorption was 148 µg and vitamin C content did not decline
  - With product A, absorption was 66 µg and vitamin C content declined by 8%
  - With product C, absorption was 12 µg and vitamin C content declined by 11%.

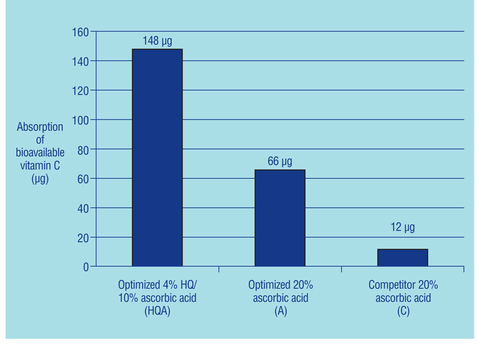

Figure 3. Total percutaneous absorption of bioavailable vitamin C from different formulations (assessed in a Franz diffusion cell over a period of 19 hours).

CONCLUSIONS

The two optimized formulations show greater vitamin C stability, and deliver more bioavailable vitamin C to the viable layers of skin, than the leading competitor formulation. The optimized product containing 10% vitamin C and 4% hydroquinone (product HQA) resulted in a more than 10-fold greater absorption of vitamin C than the competitor product. The optimized product containing 20% vitamin C (product A) resulted in a more than 5-fold greater absorption of vitamin C than the competitor product.

REFERENCES

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DISCLOSURES

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