INTRODUCTION

Vitamin C has several actions that may contribute to its efficacy in improving the appearance of photodamaged 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 or air. In studies with pig skin it has been shown that the delivery of topically applied vitamin C was inversely exponential 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%.

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 but: – Optimized 4% HQA, 10% ascorbic acid (HQA) – Optimized 2% L-ascorbic acid (A) – A leading competitor 20% L-ascorbic acid (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 40°C for 3 months in identical clear glass bottles with a screw-top lid incorporating an eyedropper with rubber but:

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).

RESULTS

Test 1

• After storage at 40°C, the vitamin C content of each formulation was determined (Table 1). 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

• After storage at 40°C for 1 month, vitamin C degradation was again lower in the optimized product than in the competitor product (Figure 2).

Test 3

• After 19 hours in a Franz diffusion cell, the total percutaneous absorption of bioavailable vitamin C (Figure 3) was: – 66 µg with product A (11% of baseline amount) – 148 µg with product HQA (31% 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%.

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% hyaluronic acid (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


DISCLOSURES

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

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