A new delivery system to release stable Vitamin C inside the skin

Marisabel Mourelle, María Elisa Alea, Camila Folle
Infinitec Activos, Barcelona Science Park, Barcelona, Spain

INTRODUCTION: It is well known the need of a stable vitamin C to assure delivery of real ascorbic acid (AA) inside skin to play its physiological roles as antioxidant and as inducer of collagen synthesis. **AIM:** To design a new delivery system for Vit C. **MATERIAL and METHODS:** We have conjugated AA with gold sub-microparticles and also GSH to compensate any H2O2 may be generated by ascorbic acid oxidation under physiological conditions. AA was bound to gold by the active part of the molecule that assures the antioxidant activity. Therefore, the oxidative transformation into dehydroascorbic acid is prohibited. GSH was bound to gold through its SH group. Thus, after Golden C internalization, vit C is released in the intracellular medium as the active form vitamin C. **RESULTS**: The size of the particles was measured by DLS being 164+/-24 nm considered fully safe. Chemical stability of Golden C was evaluated and demonstrated in powder form, in water, in glycerine and in O/W emulsions. Results showed 100% stability after 6 months at 40ºC while the stability of free AA lasted only 48 h in water and 2 weeks in O/W emulsion. Skin penetration of Golden C was studied in pig skin using Franz cells showing that after 20 h of application, 74% of the applied dose was as AA in the fluid while 26 % still remaining inside the skin. When the same dose of SAP or AG, applied onto skin, no any AA was detected neither in the effluent nor inside the skin. By using the DPPH test the free radical scavenging properties of 0.03% Golden C was twice that offered by 0.03% free AA. In HDF in culture, 0,0003% golden C reduced 53% UV induced lipoperoxidation. To observe the same level of protection using free AA a 10 times higher dose (0.003%) was needed. Golden C induces 66% increase collagen1 RNA expression in HDF while no induction was detected with the same dose of AA. Significant stimulation of collagen synthesis was confirmed immunohistologically using specific antibody in HDF. AA, at the same dose level tested (0.003%), was not able to stimulate collagen synthesis in HDF. *In vivo* studies evaluated the efficacy of Golden C (0.5% in a emulsion) vs placebo. The *in vivo* study was performed with Biozoom technology to measure betacarotene level after 15 min exposure to an oxidative challenge induced by either ozone or UV. Product was applied before challenge and skin carotene level measured. Golden C application counteracted by 70% the beta-carotene loss induced by ozone or 50% the loss induced by UV radiation. **CONCLUSION:** Golden C constitutes a stable and efficacious form to deliver real vitamin C to skin.