



The 8th International Electronic Conference on Medicinal Chemistry (ECMC 2022)

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Antioxidants in sunscreens: which and what for?

Chaired by **DR. ALFREDO BERZAL-HERRANZ**;
Co-Chaired by **PROF. DR. MARIA EMÍLIA SOUSA**



pharmaceuticals



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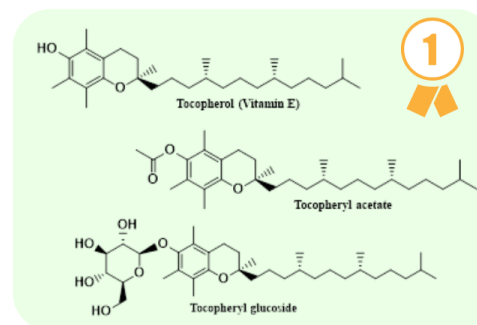
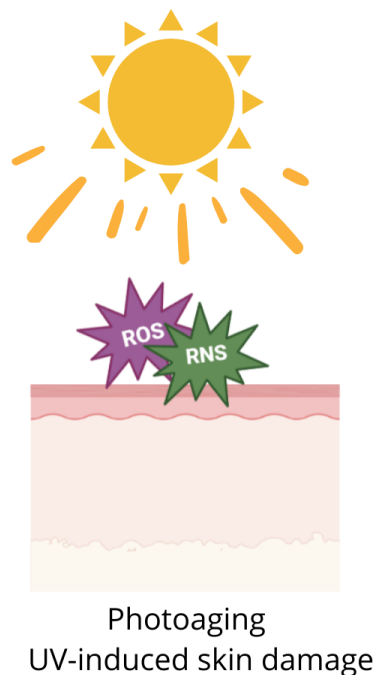
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Antioxidants in sunscreens: which and what for?

Graphical Abstract



Sunscreen

+

Antioxidants

Main goal

Top 5 antioxidant ingredients found in
sunscreens
↓ Mechanisms of action ↓ Effectiveness

Abstract:

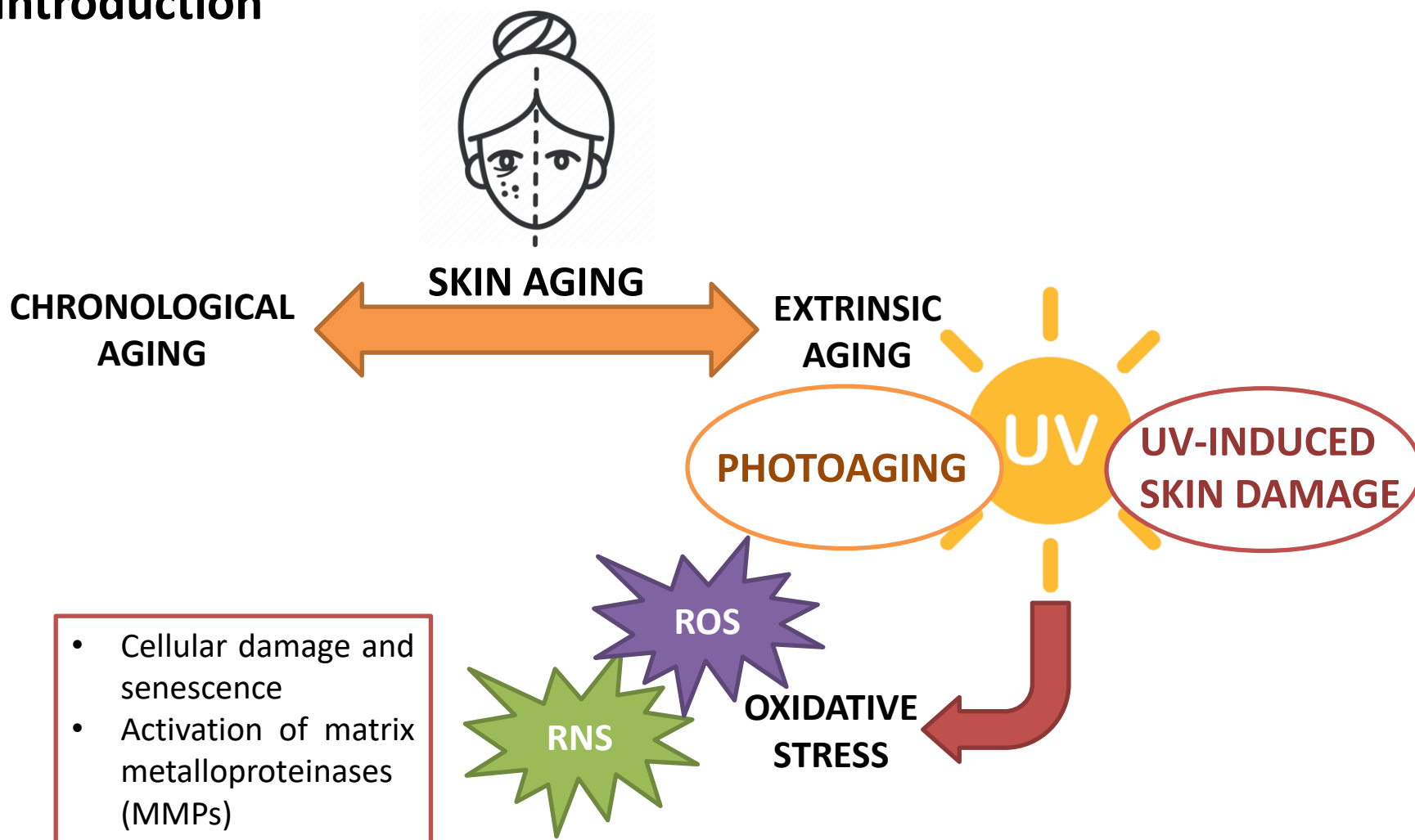
UV radiation promotes the generation of reactive oxygen (ROS) and nitrogen (RNS) species resulting in skin damage. Cosmetic industries have adopted the strategy to incorporate antioxidants in sunscreen formulations, aiming to prevent/minimize the UV-induced oxidative damage, boost photoprotection effectiveness and to mitigate skin photoaging. This work aims to characterize the frequency of the use of antioxidants in commercial sunscreens. Photoprotective formulations currently marketed in parapharmacies and pharmacies were analyzed concerning the composition described on the label. As a result, pure compounds with antioxidant activity were found. The majority of the sunscreen formulations contained antioxidants and the most frequently used were vitamin E and derivatives. A more thorough analysis of these antioxidants is also provided, unveiling the top of the antioxidant ingredients found in sunscreens and their mechanisms of action. A critical appraisal of the scientific evidence regarding their effectiveness is also performed. In conclusion, this work provides an up-to-date overview about the use of antioxidants in commercial sunscreens for a better understanding of the advantages associated to their use in photoprotective formulations.

Keywords: Antioxidants; Cosmetics; Sunscreens; Trends

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Introduction



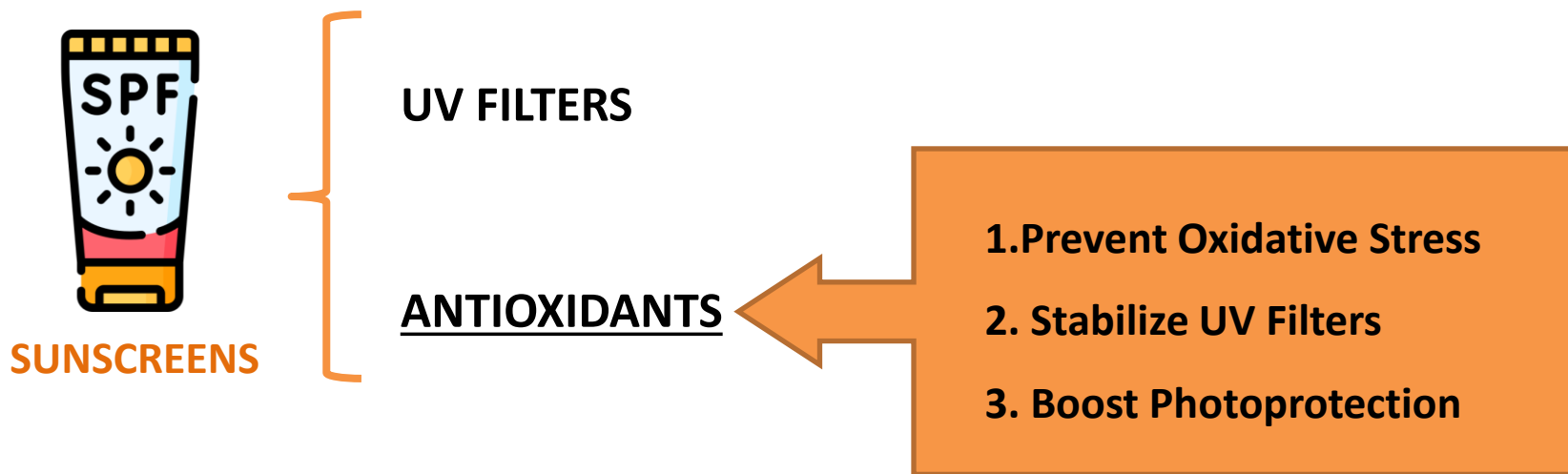
Silva, S., et al., *Evolution of the use of antioxidants in anti-ageing cosmetics*. International Journal of Cosmetic Science, 2019. 41(4): p. 378-386.

Gromkowska-Kepka, K.J., et al., *The impact of ultraviolet radiation on skin photoaging - review of in vitro studies*. J Cosmet Dermatol, 2021. 20(11): p. 3427-3431.

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Introduction



Aim: Up-to-date overview of the most commonly used antioxidant compounds in commercial sunscreens and better understanding of their photoprotection effectiveness

Krutmann, J., et al., *Daily photoprotection to prevent photoaging*. Photodermatology Photoimmunology and Photomedicine, 2021. **37**(6): p. 482-489.

Dunaway, S., et al., *Natural Antioxidants: Multiple Mechanisms to Protect Skin From Solar Radiation*. Front Pharmacol, 2018. **9**: p. 392.

Chen, L., J.Y. Hu, and S.Q. Wang, *The role of antioxidants in photoprotection: A critical review*. Journal of the American Academy of Dermatology, 2012. **67**(5): p. 1013-1024.

Lorigo, M. and E. Cairrao, *Antioxidants as stabilizers of UV filters: an example for the UV-B filter octylmethoxycinnamate*. Biomedical Dermatology, 2019. **3**(1): p. 11

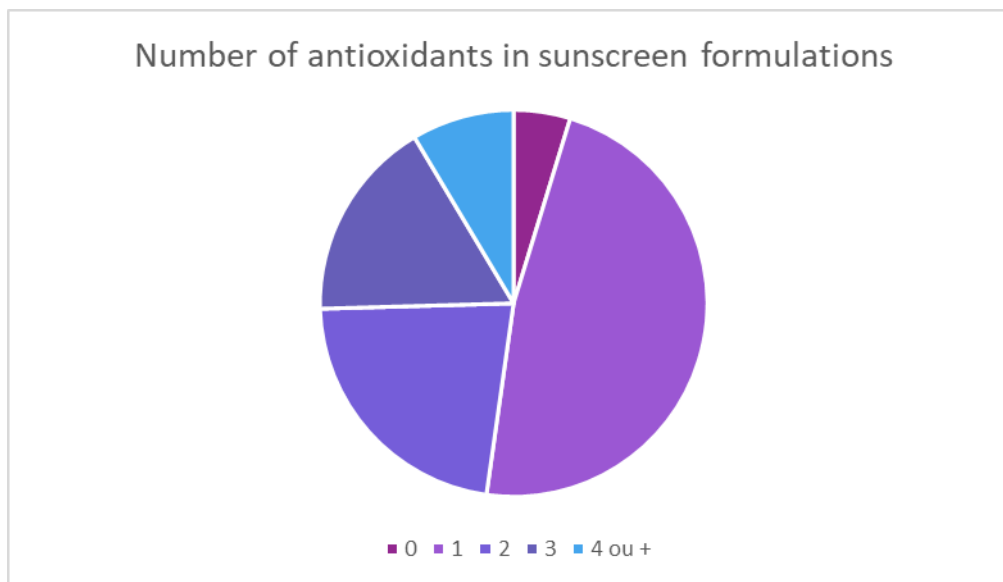
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Results and discussion

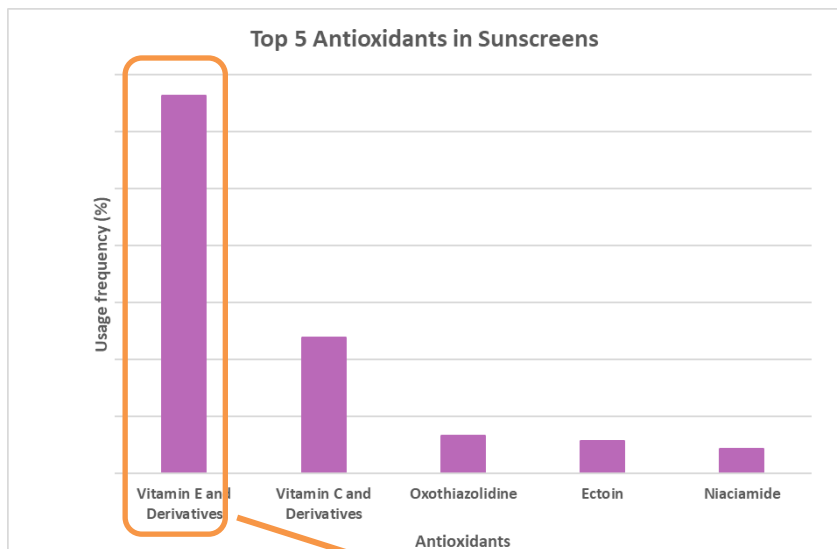
2.1. Overview of the use of antioxidants in sunscreens

- More than 95% of the sunscreens analysed contained at least one antioxidant
- Only 21 sunscreens did not possess antioxidants in their composition

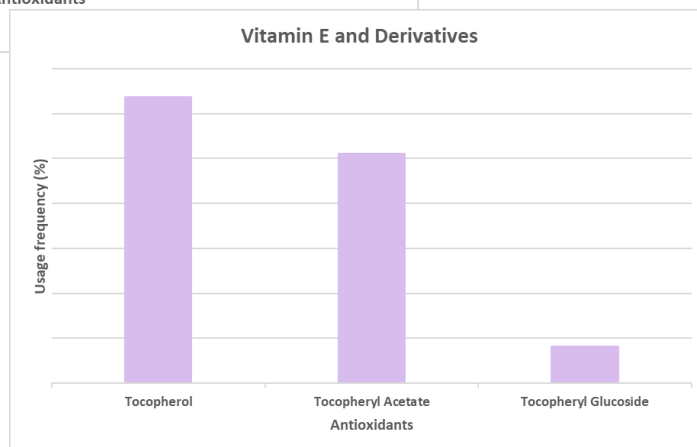


Results and discussion

2.1. Overview of the use of antioxidants in sunscreens



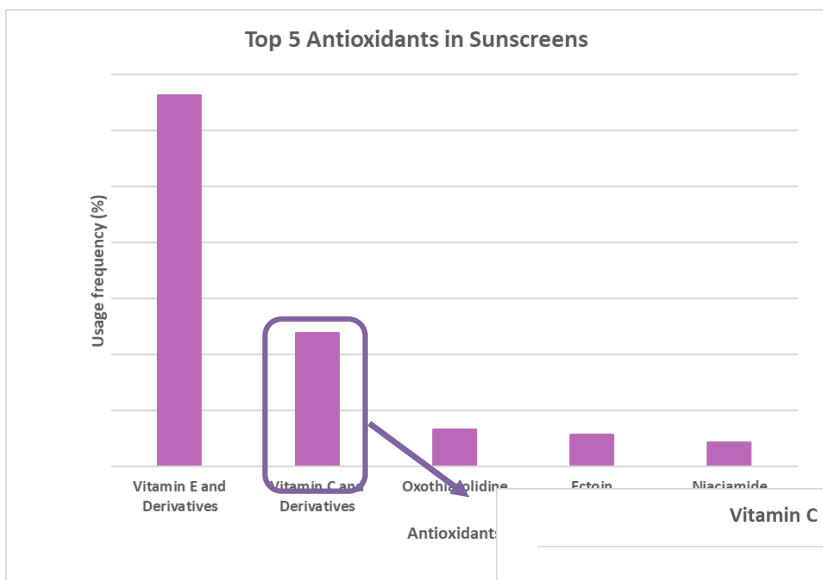
- Vitamin E and derivatives were the antioxidants with highest usage frequency (> 50%)



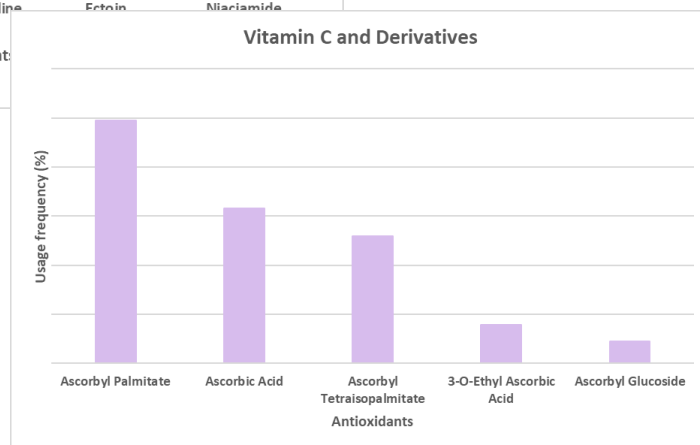
- Tocopherol and Tocopheryl acetate are present in more than half of the 444 sunscreens

Results and discussion

2.1. Overview of the use of antioxidants in sunscreens



- Vitamin C and derivatives were found with percentagem up to 10% of the total number of antioxidants present in sunscreens

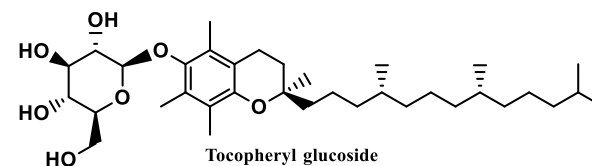
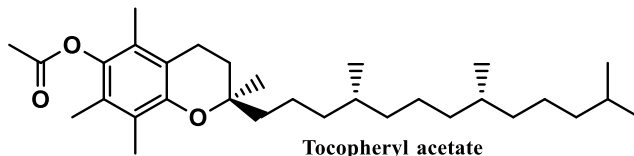
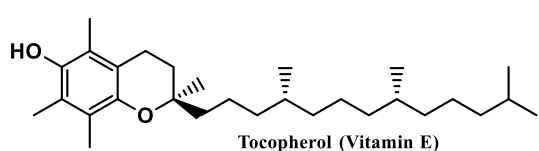


- Ascorbyl Palmitate was the most used vitamin C derivative

Results and discussion

2.2. Scientific Evidence Supporting the photoprotection effectiveness of the Top 5 Antioxidants used in Sunscreens

Vitamin E and derivatives



Characterization

Natural-occurring lipophilic vitamin

Derivatives release tocopherol *in situ*

Biological activity

Antioxidant activity

Protective effects against UV-induced skin damage



In vitro epidermal keratinocyte and dermal fibroblast cell lines and *in vivo* studies

Mechanism

- Limiting the UVR-induced cellular apoptosis
- Reduction of erythema

Jiang, Q. Natural forms of vitamin E: metabolism, antioxidant, and anti-inflammatory activities and their role in disease prevention and therapy. *Free Radic Biol Med* 2014, 72, 76-90

Jacques, C. et al. Sustained effect of two antioxidants for immediate and long-term sun protection in a sunscreen emulsion based on their different penetrating properties. *Int J Cosmet Sci* (2021), 43, 391-404

Camillo L, et al. Alpha-Tocopherol Protects Human Dermal Fibroblasts by Modulating Nitric Oxide Release, Mitochondrial Function, Redox Status, and Inflammation. *Skin Pharmacol Physiol*. 2022;35(1):1-12.

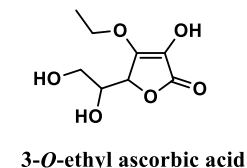
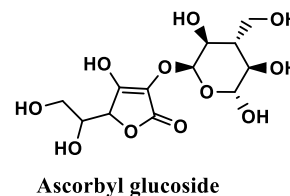
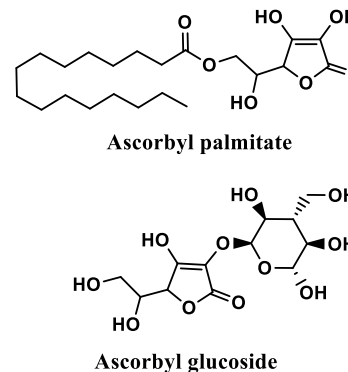
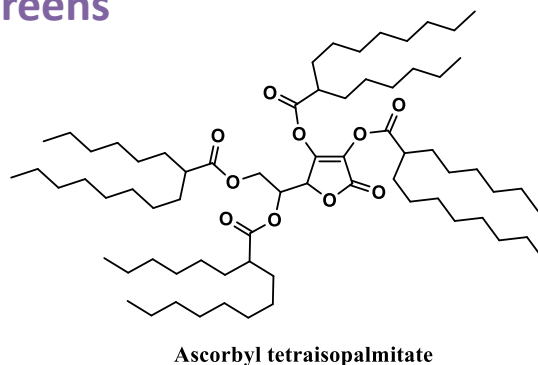
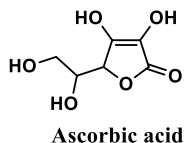
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Results and discussion

2.2. Scientific Evidence Supporting the photoprotection effectiveness of the Top 5 Antioxidants used in Sunscreens

Vitamin C and derivatives



Characterization

Botanical-derived vitamin

Derivatives release ascorbic acid *in situ*

Biological activity

Antioxidant activity

Regeneration of oxidized vitamin E

Protective effects against UV-induced skin damage

In vitro epidermal keratinocyte and dermal fibroblast cell lines and *in vivo* studies

Mechanism

- Reduction of lipid peroxidation radicals
- Reduction of erythema and sunburn cell formation

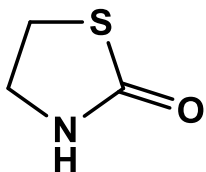
Farris, P.K. Topical vitamin C: a useful agent for treating photoaging and other dermatologic conditions. *Dermatol Surg* **2005**, *31*, 814-817; discussion 818, doi:10.1111/j.1524-4725.2005.31725. Enescu, C.D.; Bedford, L.M.; Potts, G.; Fahs, F. A review of topical vitamin C derivatives and their efficacy. *J. Cosmet. Dermatol.* **2022**, *21*, 2349-2359, doi:10.1111/jocd.14465.

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Results and discussion

2.2. Scientific Evidence Supporting the Photoprotection Effectiveness of the Top 5 Antioxidants used in Sunscreens



Oxothiazolidine

Characterization

Heterocyclic compound

Release taurine *in situ*

Biological activity

Antioxidant activity

Protective effects against UV-induced skin damage



In vitro epidermal keratinocyte and dermal fibroblasts cell lines
and *ex vivo* human skin explants studies

Mechanism

- Limiting the UVR-induced cellular apoptosis
- Prevention of immunosuppression
- Stop lipid peroxidation chain reaction

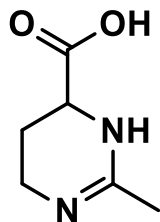
Jacques, C. et al. Sustained effect of two antioxidants for immediate and long-term sun protection in a sunscreen emulsion based on their different penetrating properties. *Int J Cosmet Sci* (2021), **43**, 391-404
Warskulate et al. The Osmolyte Strategy of Normal Human Keratinocytes in Maintaining Cell Homeostasis. *J Invest Dermatol* (2004) **123**, 516-521.

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Results and discussion

2.2. Scientific Evidence Supporting the Photoprotection Effectiveness of the Top 5 Antioxidants used in Sunscreens



Ectoine

Characterization

Amino acid derivative

Natural origin (produced by marine organisms)

Biological activity

Antioxidant activity

Moisturizing effect

Protective effects against UV-induced skin damage



In vitro epidermal keratinocyte and dermal fibroblast cell line studies

Mechanism

- Quenching action for singlet oxygen
- Increase of skin enzymatic and non-enzymatic antioxidants

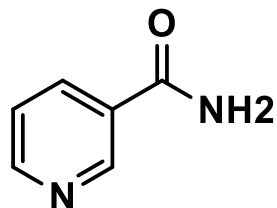
Cheng, W. et al., Protective Effect of Ectoine on UVA/H₂O₂-Induced Oxidative Damage in Human Skin Fibroblast Cells. *Applied Sciences* (2022) **12**
Schroter, M.A. Et al. Ectoine protects DNA from damage by ionizing radiation. *Sci Rep* (2017) **7**, 15272

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Results and discussion

2.2. Scientific Evidence Supporting the Photoprotection Effectiveness of the Top 5 Antioxidants used in Sunscreens



Niacinamide

Characterization

Active form of vitamin B3

Amide of the carboxylic acid of niacin

Biological activity

Anti-inflammatory activity

Antioxidant activity

Protective effects against UV-induced skin damage

In vitro epidermal keratinocyte, dermal fibroblast and melanocyte cell lines and *in vivo* studies

Mechanism

- Prevention of immunosuppression
- Increase of skin enzymatic and non-enzymatic antioxidants

Snaird, V.A. Et al., Nicotinamide for photoprotection and skin cancer chemoprevention: A review of efficacy and safety. *Exp Dermatol* (2019) **28** S1, 15-22

Namazi, M.R. Nicotinamide in dermatology: a capsule summary. *Int. J. Dermatol.* (2007), **46**, 1229-1231.

Thompson, B.C. et al. Nicotinamide enhances repair of ultraviolet radiation-induced DNA damage in primary melanocytes. *Exp Dermatol* (2014) **23**, 509-511

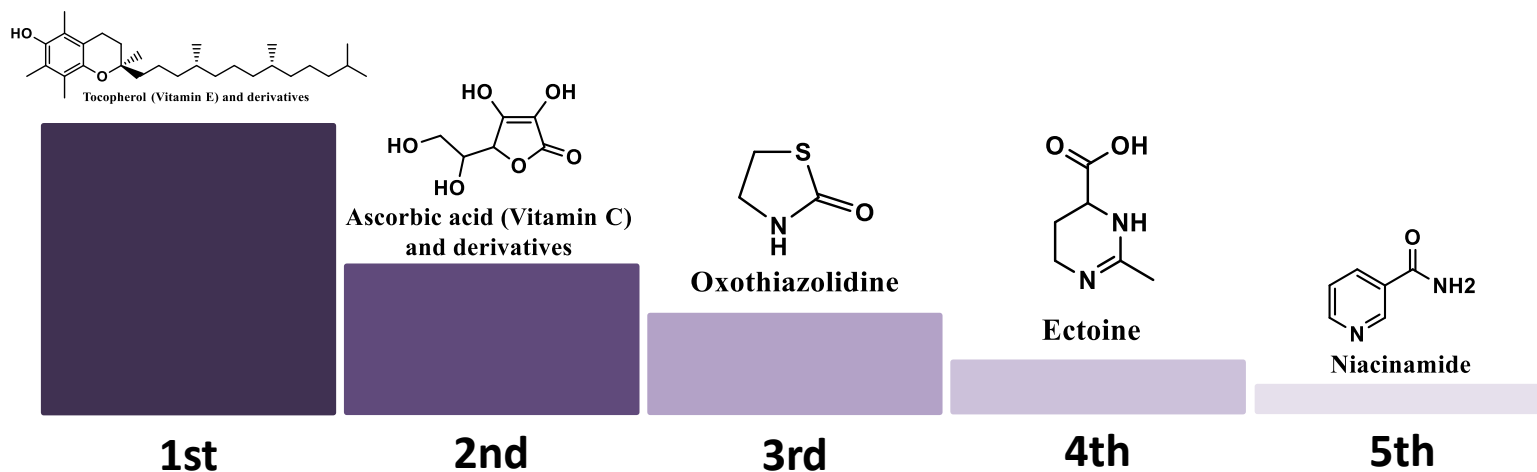
Tan, C.Y.R; et al. Nicotinamide Prevents UVB- and Oxidative StressInduced Photoaging in Human Primary Keratinocytes. *J Invest Dermatol* (2022), **142**, 1670-1681 e1612

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Conclusions

Pool of 444 sunscreen formulations
currently marketed



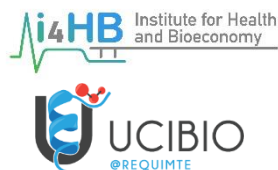
Protective effects against UV-induced skin damage and oxidative stress

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