



The 9th International Electronic Conference on Medicinal Chemistry (ECMC 2023)

01–30 November 2023 | Online

How to fight acute sun damage? Current strategies of the cosmetic market

Chaired by **Dr. Alfredo Berzal-Herranz**
and **Prof. Dr. Maria Emília Sousa**



pharmaceuticals



Ana Jesus^{1,2}, **Emília Sousa**^{3,4}, **Honorina Cidade**^{3,4,*}, **Maria T. Cruz**^{5,6,*}, and **Isabel F. Almeida**^{1,2}

¹ UCIBIO—Applied Molecular Biosciences Unit, MedTech, Laboratory of Pharmaceutical Technology, Department of Drug Sciences, Faculty of Pharmacy, University of Porto, 4050-313 Porto, Portugal;

² Associate Laboratory i4HB—Institute for Health and Bioeconomy, Faculty of Pharmacy, University of Porto, 4050-313 Porto, Portugal;

³ Laboratory of Organic and Pharmaceutical Chemistry, Department of Chemical Sciences, Faculty of Pharmacy, University of Porto, 4050-313 Porto, Portugal;

⁴ CIIMAR—Interdisciplinary Center of Marine and Environmental Research, Avenida General Norton de Matos, S/N, 4450-208 Matosinhos, Portugal;

⁵ Faculty of Pharmacy, University of Coimbra, 3004-531 Coimbra, Portugal;

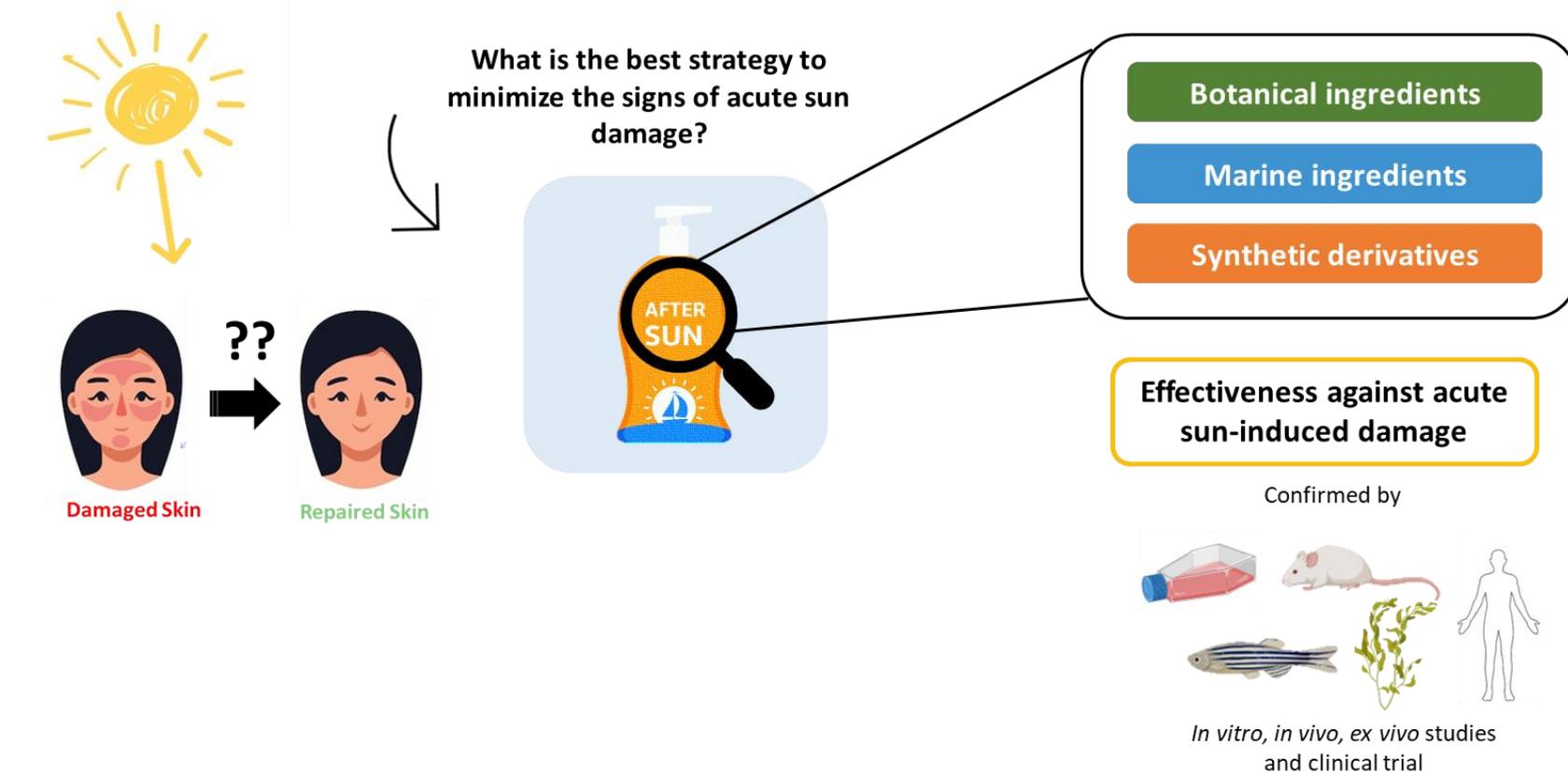
⁶ Center for Neuroscience and Cell Biology, 3004-504 Coimbra, Portugal.

* Corresponding author: hcidade@ff.up.pt (H.C.) and trosете@ff.uc.pt (M.T.C.)



How to fight acute sun damage? Current strategies of the cosmetic market

Graphical Abstract



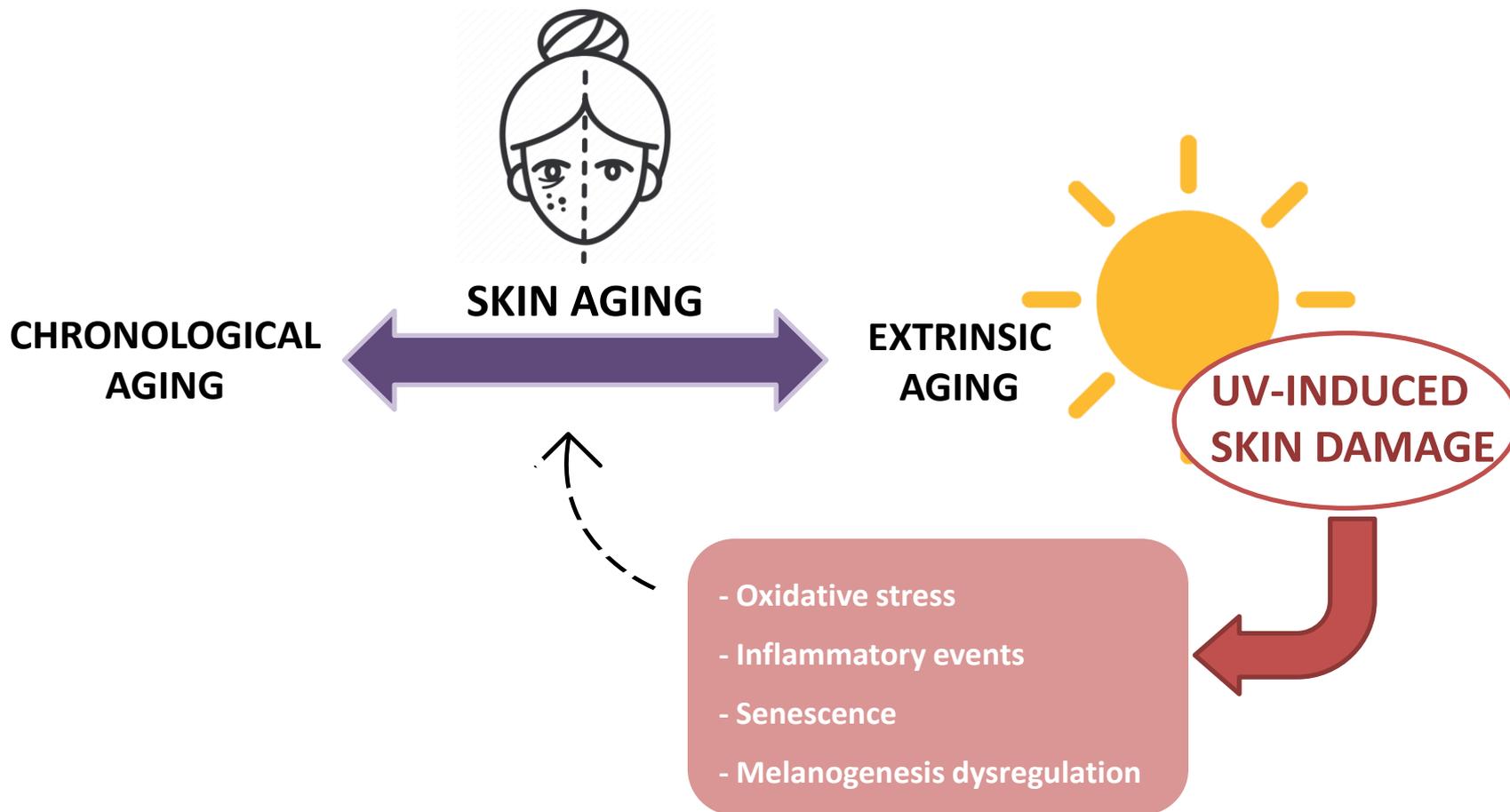


Abstract: Sunlight exposure can cause skin damage, like sunburn, skin dryness, wrinkles hyperpigmentation and skin sensitivity reactions. The use of aftersun products is a strategy that minimizes the visible signs and symptoms of sun-damaged skin, once that photo-damaged skin is more susceptible to inflammaging and oxidative stress events, affecting the skin's repair mechanisms. Aiming to unveil the active ingredients able to counteract acute sun damage, this work focuses on the characterization of the aftersun products market. Aftersun formulations currently marketed in Portuguese pharmacies were analysed concerning the composition described on the product's label. Natural-derived extracts and pure compounds, as well as synthetic compounds, with anti-photodamage activity were found. The majority of the aftersun formulations contained natural-derived ingredients (> 95%), from terrestrial (77%) and marine (4%) sources. An in-depth examination of these compounds is also disclosed, revealing the top of the most used natural and synthetic ingredients present in aftersun products, as well as their mechanism of action. A critical appraisal of the scientific data supporting their efficacy, together with some considerations concerning structure-activity relationship studies were carried out. The presence of terpenoid, guanidine, ester, amide, ureido moiety, carboxylic acid and alcohol functions were found in the cosmetic ingredients most used in aftersun products, allowing the correlation with their bioactivities. In summary, this work provides an overview of the use of actives in commercial aftersun products in order to better understand the benefits associated with their use in cosmetic formulations, concomitantly identifying opportunities for innovation.

Keywords: aftersun products; sun damage; scientific evidence; anti-photoaging effectiveness; structure-activity relationship.



Introduction





Introduction



AFTERSUN PRODUCTS

1. Minimize oxidative stress
2. Mitigate inflammatory events
3. Alleviate the swelling and redness
4. Refresh and sooth

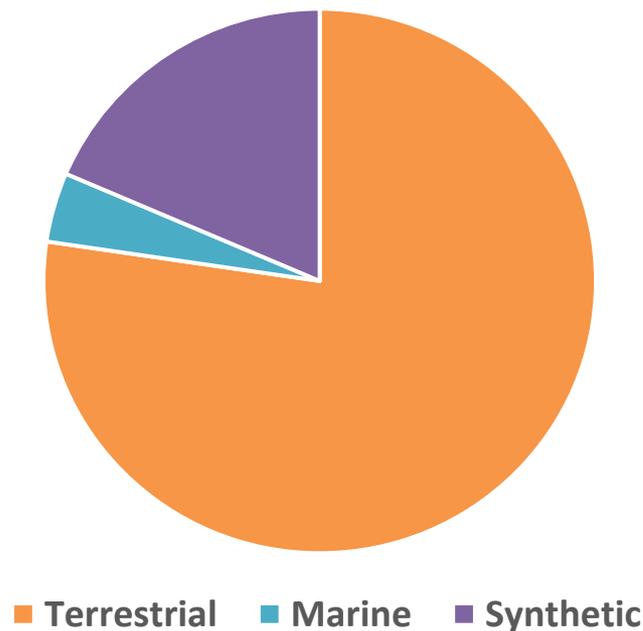
Aim: Unveil the active ingredients able to counteract acute sun damage, through the characterization of the aftersun products market analysis to better understand their mechanism of action.



Results and discussion

1. Overview of the use of natural and synthetic ingredients in aftersun products

- Most aftersun products contain more than one active ingredient.
- More than 95% of the active ingredients are from natural sources.

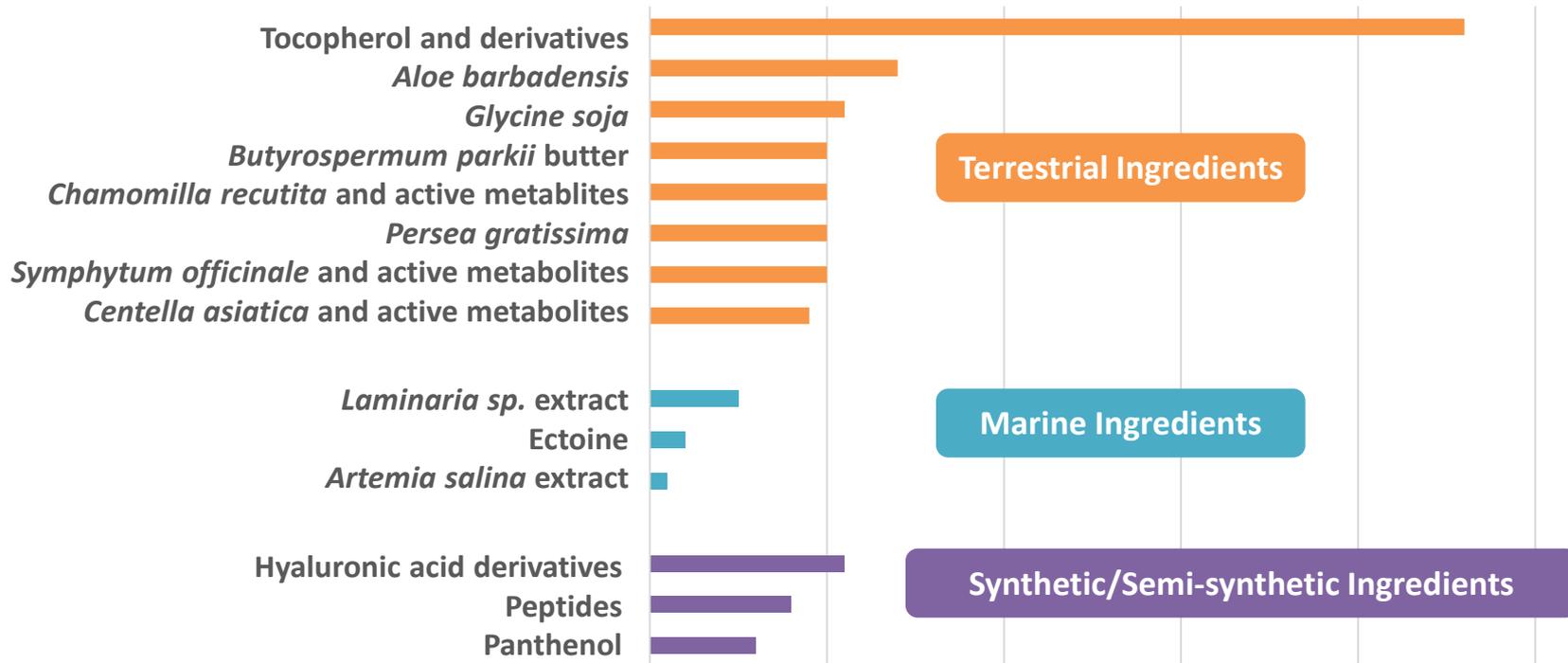




Results and discussion

1. Overview of the use of natural and synthetic ingredients in aftersun products

Top of the most used terrestrial, marine, and synthetic/semi-synthetic ingredients





Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.1. Terrestrial ingredients

Aloe barbadensis



- From Aloe family, *A. barbadensis* is cultivated in tropical and semi-tropical climates
- Skin regeneration and moisturizing properties
- Antioxidant and anti-inflammatory activities

**Effectiveness against acute
sun-induced damage**

- Downregulate MMPs expression
- Reduce UV-induced erythema



In vitro and *in vivo* studies



Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.1. Terrestrial ingredients

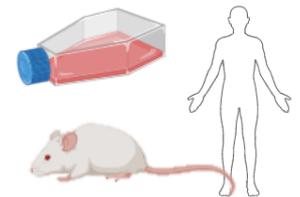
Gycine soja



- From Fabaceae family, *G.soja* is native from southeastern countries
- Emollient and moisturizing characteristics
- Antioxidant and anti-inflammatory activities

**Effectiveness against acute
sun-induced damage**

- Suppress UVB-induced MMPs expression
- Reduce UV-induced erythema



In vitro, in vivo, and ex vivo studies



Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.1. Terrestrial ingredients

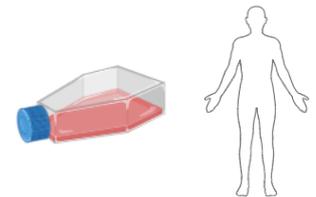
Butyrospermum parkii



- Also known as Sheatree is native from African countries
- Ameliorate and soften skin texture
- Antioxidant and anti-inflammatory activities

**Effectiveness against acute
sun-induced damage**

- Inhibit protease enzymes
- Ameliorate skin texture



In vitro and clinical trial studies



Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.1. Terrestrial ingredients

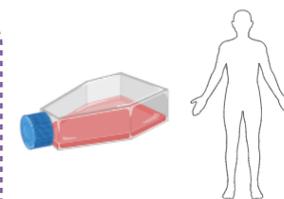
Chamomilla recutita and α -bisabolol



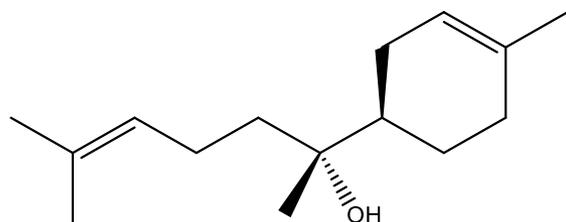
- Mostly used for therapeutic, food and cosmetic applications
- Appeasing sensation and wound healing properties
- Antioxidant and anti-Inflammatory activities

Effectiveness against acute sun-induced damage

- Decrease pro-inflammatory mediators and melanogenesis-related factors expression
- Calm and relieving skin sensation



In vitro and clinical trials
studies



α -bisabolol

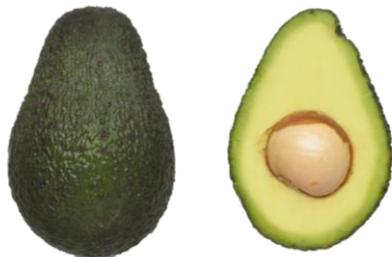


Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.1. Terrestrial ingredients

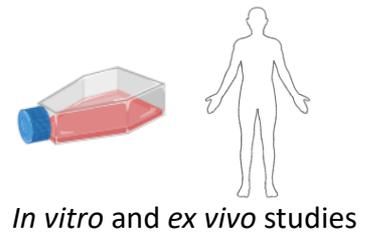
Persea gratissima



- From Lauraceae family, *P. gratissima* oil is considered one of the most expensive vegetable oils
- Mantain skin firmness and reinforce skin's barrier
- Anti-inflammatory activity

**Effectiveness against acute
sun-induced damage**

- Inhibit protease enzymes and activate DNA repair
- Increase skin firmness and elasticity





Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

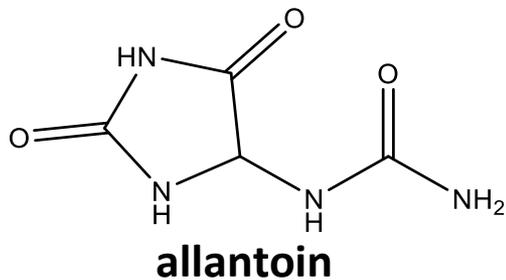
2.1. Terrestrial ingredients

Symphytum officinale and allantoin



- Often used as medicinal herb to heal small skin injuries
- Moisturizing properties and wound healing effect
- Antioxidant and anti-Inflammatory activities

Effectiveness against acute sun-induced damage



- Decrease pro-inflammatory mediators expression and mitigate oxidative stress
- Reduce UV-induced skin erythema



In vitro and *in vivo* studies



Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.1. Terrestrial ingredients

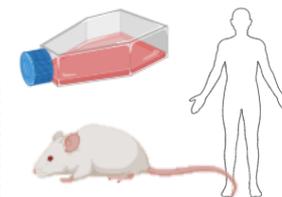
Centella asiatica and its actives



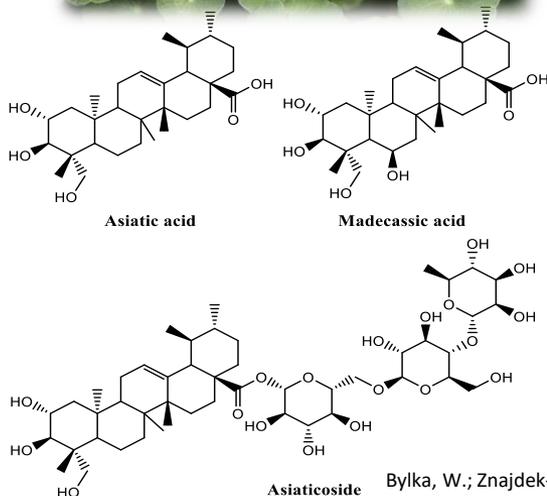
- Found in humid areas and tropical regions
- Applications in chinese traditional medicine
- Anti-inflammatory activity and wound healing properties

Effectiveness against acute sun-induced damage

- Inhibit MMP-9 expression and suppress lipid peroxidation and oxidative stress
- Reduce wrinkle development



In vitro, *in vivo* and clinical trial studies



Bylka, W.; Znajdek-Awizen, P.; Studzinska-Sroka, E.; Brzezinska, M. *Centella asiatica* in cosmetology. *Postepy Dermatol Alergol* 2013, 30, 46-49.



Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.2. Marine ingredients

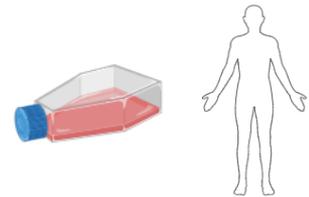
Laminaria sp.



- Food and cosmetic applications in oriental countries
- Moisturizing properties
- Antioxidant and anti-inflammatory activities

**Effectiveness against acute
sun-induced damage**

- Mitigate oxidative stress and avert senescence in fibroblasts
- Increase of skin hydration and collagen fibers



In vitro and *in vivo* studies

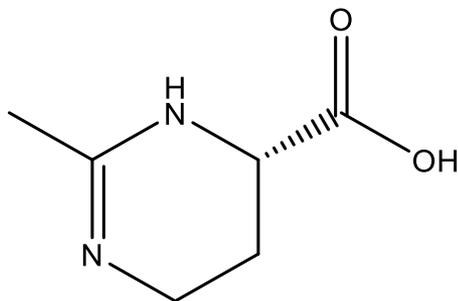


Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.2. Marine ingredients

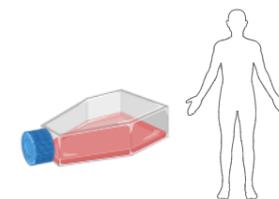
Ectoine



- Algae- and bacteria-derived bioactive metabolite
- Moisturizing properties
- Antioxidant and anti-inflammatory activities

Effectiveness against acute sun-induced damage

- Prevent alterations in mitochondrial DNA and minimize cellular DNA damage
- Long-lasting moisturizing effect



In vitro and clinical trial studies



Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.2. Marine ingredients

Artemia salina



- Obtained from zooplankton
- Skin regeneration properties
- Anti-inflammatory activity

**Effectiveness against acute
sun-induced damage**

- Enhance skin defence by reducing sunburn cells
- Improve skin hydration



In vitro and *in vivo* studies

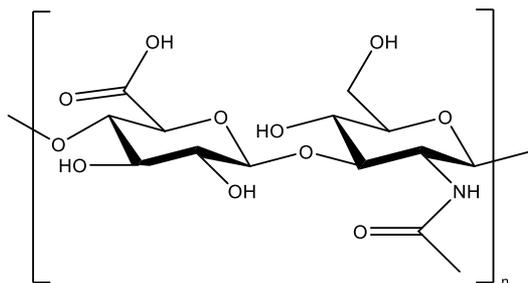


Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.3. Synthetic and semi-synthetic ingredients

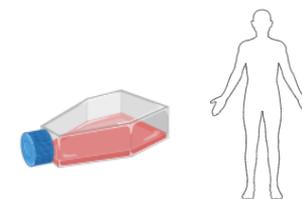
Hyaluronic acid derivatives



- Sodium hyaluronate and hydrolysed hyaluronic acid
- Wound healing and strong moisturizing properties
- Derivatives with improved skin permeation

**Effectiveness against acute
sun-induced damage**

- Activate skin repair mechanisms
- Long-lasting moisturizing effect



In vitro, in vivo and ex vivo
studies

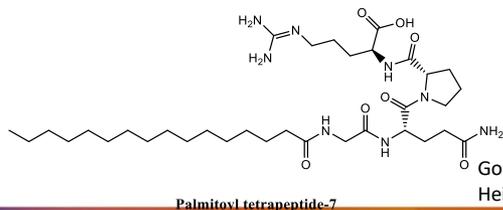
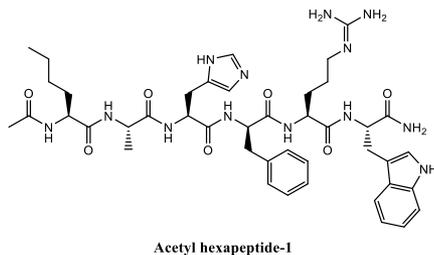
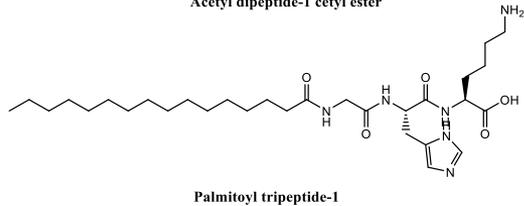
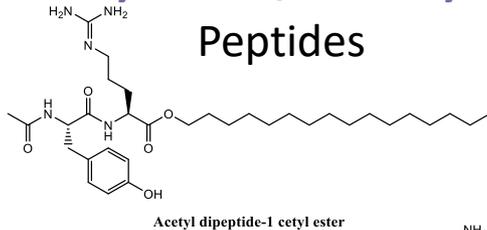


Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.3. Synthetic/ Semi-synthetic ingredients

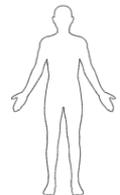
Peptides



- Mainly classified as “*messenger peptides*”
- Appeasing sensation and wound healing properties
- Signalling molecules able to activate fibbers production

Effectiveness against acute sun-induced damage

- Decrease of pro-inflammatory interuleukins and reduce hyperpigmentation
- Smooth wrinkles and improve skin texture



Clinical trial studies

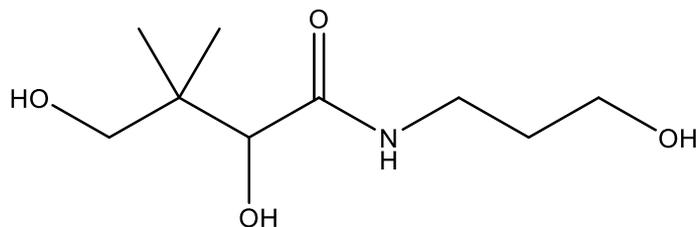


Results and discussion

2. Scientific evidence about the efficacy the active ingredients found in aftersun products

2.3. Synthetic/ Semi-synthetic ingredients

Panthenol



- Easily converted into pantothenic acid
- Wound healing and moisturizing properties
- Anti-inflammatory activity

**Effectiveness against acute
sun-induced damage**

- Reduce pro-inflammatory cytokine expression
- Reduce UV-induced erythema



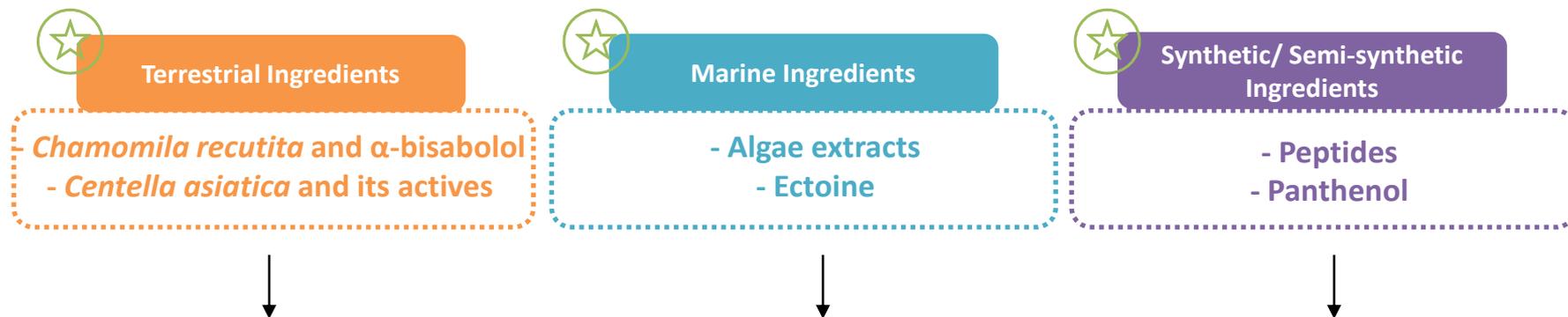
In vitro and *in vivo* studies



Results and discussion

3. Some considerations about structure-activity relationships of the ingredients

- Natural extracts from terrestrial sources are rich in polyphenols and flavonoids, while marine extracts contain alginates, polyssacharides, and polyphenols.



These most promising ingredients are highlighted due to their vast biological activities and ability to reduce clinical signs of sun-damaged skin



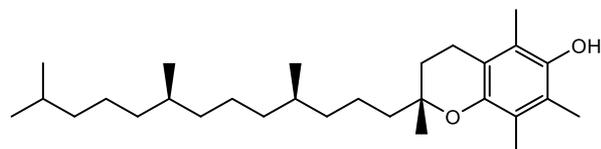
The most promising ingredients



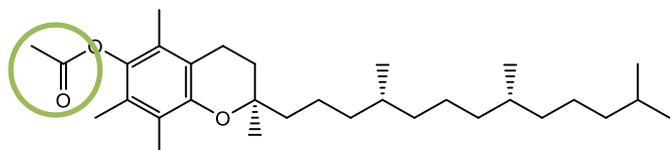
Results and discussion

3. Some considerations about structure-activity relationships of the ingredients

Modifications in the parent compound could facilitate crucial parameters during formulation process

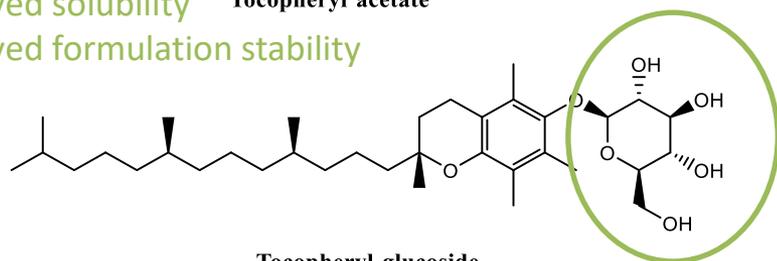


Alpha-tocopherol



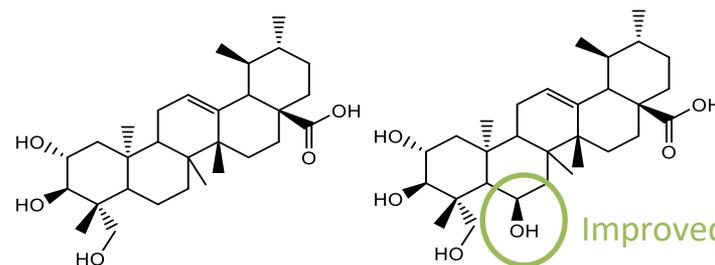
Improved solubility Tocopheryl acetate

Improved formulation stability



Tocopheryl glucoside

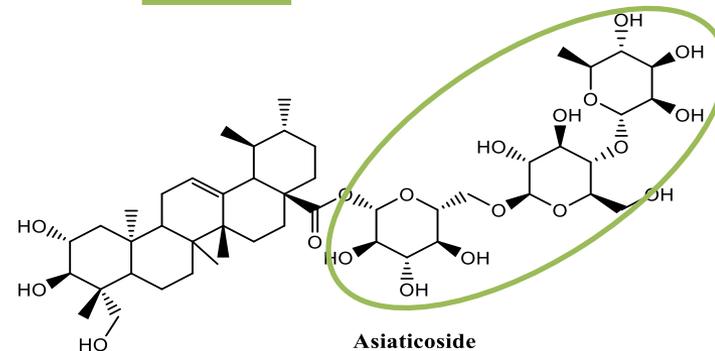
Improved solubility



Asiatic acid

Madecassic acid

Improved solubility



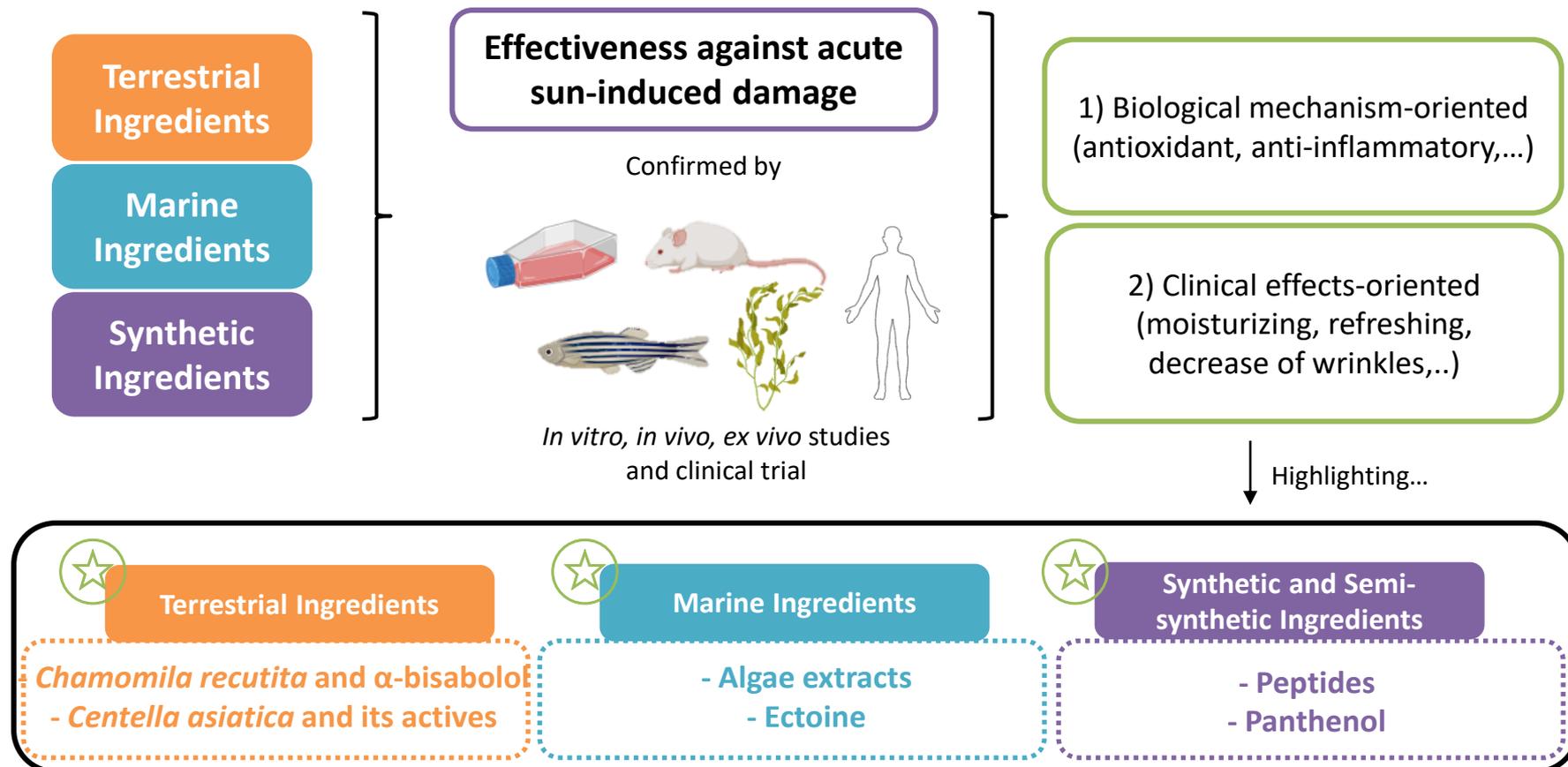
Asiaticoside

Improved solubility

Improved formulation stability



Conclusions





Acknowledgments

This work was financed by national funds from FCT, Fundação para a Ciência e a Tecnologia, I.P., in the scope of the project UIDB/04378/2020 of the Research Unit on Applied Molecular Biosciences, UCIBIO, and the project LA/P/0140/2020 of the Associate Laboratory Institute for Health and Bioeconomy, i4HB. This research was also supported by national funds through FCT (Foundation for Science and Technology) within the scope of UIDP/04539/2020, and UIDP/04423/2020 (Group of Marine Natural Products and Medicinal Chemistry—CIIMAR), as well as a structured program of R&D&I ATLANTIDA (NORTE-01-0145-FEDER-000040), supported by NORTE2020, through ERDF. This work was financed by COMPETE 2020 - Operational Programme for Competitiveness and Internationalisation and Portuguese national funds via FCT – Fundação para a Ciência e a Tecnologia, under projects UIDB/04539/2020, and LA/P/0058/2020. Ana Jesus acknowledges her Ph.D. grant with reference UI/BD/151319/2021 totally financed by FCT.

