LEBENSMITTELCHEMISCHE GESELLSCHAFT

- Fachgruppe in der GESELLSCHAFT DEUTSCHER CHEMIKER -
Arbeitsgruppe Kosmetische Mittel

Data sheets for the evaluation of the effectiveness of active ingredients in cosmetic products

Ascorbic Acid (Vitamin C)

1. Definition of terms

Vitamin C is the trivial name for ascorbic acid ((R)-5-[(S)-1,2-dihydroxyethyl]-3,4-dihydroxy-5H-furan-2-one) and its derivatives, which qualitatively develop the biological effect of L-ascorbic acid [1].

2. Frequently used forms of active substances

Both ascorbic acid and the more stable ascorbic acid esters are used as active ingredients in cosmetic products.

Common name	INCI-designation	CAS-Number
Ascorbic acid	Ascorbic Acid	50-81-7
Sodium ascorbyl phosphate	Sodium Ascorbyl Phosphate	66170-10-3
Magnesium ascorbyl phosphate	Magnesium Ascorbyl Phosphate	114040-31-2
Ascorbyl glucoside	Ascorbyl Glucoside	129499-78-1
Ascorbyl palmitate	Ascorbyl Palmitate	137-66-6
Ascorbyl dipalmitate	Ascorbyl Dipalmitate	28474-90-0
Ascorbyl tetraisopalmitate	Ascorbyl Tetraisopalmitate	183476-82-6

3. Use as an antioxidant

Ascorbyl palmitate and ascorbyl dipalmitate are predominantly used in cosmetic products as antioxidants to increase the stability of the formulation and thus for product protection; the use concentrations are between 0.01 and 0.2% [2].

4. Properties as a cosmetic active ingredient

4.1 Skin penetration and release

Due to its high chemical and metabolic activity, ascorbic acid already reacts in the outermost skin layer and therefore only reaches deeper layers in small amounts.
The release of ascorbic acid from an O/W emulsion is significantly faster than from a W/O emulsion [4].

The esters sodium and magnesium ascorbyl phosphate as well as ascorbyl glucoside penetrate through the horny layer and are partially enzymatically cleaved to ascorbic acid [3], [19].

The percutaneous absorption of ascorbyl phosphates is faster compared to ascorbyl glucoside; however, ascorbyl glucoside is absorbed more continuously [18].

The ascorbyl palmitate derivatives penetrate much more easily than the hydrophilic ascorbyl phosphate salts due to their lipophilic character [20]; the physiologically effective ascorbic acid is also released in the skin.

4.2 Stability

Ascorbic acid reacts sensitively to oxygen and oxidising agents in aqueous solution. The degradation of ascorbic acid can lead to brown colouration of emulsions [15], [16].

To stabilise aqueous preparations containing ascorbic acid, the packaging should be airtight, the water activity of the formulation should be lowered, the pH should be controlled and effective chelating agents should be added [4], [5], [16].

Sodium and magnesium ascorbyl phosphate are stable in aqueous formulations with a pH greater than 7 [7], [8], [9].

Vitamin C derivatives in the form of phosphate or glucoside esters show significantly higher stability compared to ascorbic acid; however, they have lower bioavailability [5].

Ascorbyl palmitate shows much lower stability compared to ascorbyl phosphate salts; this can be increased by optimising the formulation [20]. Ascorbyl tetraisopalmitate, which is also oil-soluble, shows less stability than ascorbyl palmitate and ascorbyl-dipalmitate in formulations with a pH value of up to 6.0.

4.3 Described cosmetic effects

- **Wrinkle reduction and increase of skin relief density** [4], [5], [14], [18] **and improvement of chronically light-damaged skin.**

- due to the stimulation of collagen synthesis [5], [10], [11], [12], [13], [19].
- Strengthening of the dermis-epidermis connection (papillae index) [4].

- **Protection against light-induced and oxidative skin ageing** [4], [5], [14], [18]

- due to antioxidant effect:
- Inhibition of lipid peroxidation [3], [5], [7].
- Supression of reactive oxygen species (ROS) [7].

- **Brightening, bleaching efffect** for normal skin and pigmentation spots

- due to the inhibition of tyrosinase activity [7], [15], [17],[18].

- **Antibacterial effect**

- Inhibition of sweat-decomposing bacteria [6].
- Inhibition of acne-causing bacteria [7].

5. Concentrations of use and effects described in the literature

5.1 Recommendations for use:

The following application concentrations are recommended or described:

For sodium and magnesium ascorbyl phosphat [8; Company publications]

Vitamin - formulations for daily skin care:	0,2 – 2 %
Sunscreen:	0,2 – 1 %
Skin bleaching agent:	3 - 5 %

For ascorbyl palmitate [2]

Skin bleaching agent:	up to 4 %

For ascorbyl tetraisopalmitate [Company publications]

Vitamin - formulations for daily skin care:	1,0 %
Anti-ageing formulation:	1,0 %

5.2 Effective concentrations:

Demonstrable effect	Substance	Effect concentration
Anti-ageing effects/ skin regeneration:		
Wrinkle reduction of (photo)aged skin	Ascorbic acid	5 % [14] [5]
Increase of skin relief density.		3 % [4]
Strengthening of the dermis-epidermis connection (papillae index)		10 % [14]
	Ascorbic acid	5 % [14]
	Ascorbic acid	3 % [4]
Protection against UV-induced skin damage	Ascorbic acid	3 % [4]
	Sodium ascorbyl phosphate + 2,5 % Vitamin E-Acetate	2 % [7]
	Sodium ascorbyl phosphate	0,5 –1 % [3]
	Ascorbyl glucoside	2 % [18]
Skin bleaching effect	Magnesium ascorbyl phosphate	3 % [17] [21]
	Sodium ascorbyl phosphate + 1 % Vitamin E-Acetate	3 % [7]
	Ascorbic acid + 8,8,% L(+) Lactic acid	1 % [15]
eAntibacterial effect:		
Reduces perspiration odour	Sodium ascorbyl phosphate	0,2 % [6]
Improves skin texture in case of skin.impurities and acne.	Sodium ascorbyl phosphate	5 % [7]

Note: The general notes and recommendations of this data sheet series must be taken into account as well as the currently valid legal standards.

6. Literature

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