Superior skin lightening enhancer for a perfect, even tone
The quest for an even skin tone

In many regions of the world, the concept of beauty is inseparably linked to an even skin tone. The biggest concern for consumers is finding the right product. DSM has addressed this demand with ALPHA-ARBUTIN, which has proven itself the perfect choice for those seeking an even skin tone. Thanks to its high efficacy, ALPHA-ARBUTIN has gained wide acceptance and is rated highly by people from all walks of life.

Cosmetic products with ALPHA-ARBUTIN typically inhibit tyrosinase, one of the major enzymes involved in the formation of skin tan and age spots. The first visible results can be expected after four weeks, in contrast with other Arbutins like Beta-Arbutin, which take longer to achieve results. In vivo studies also demonstrate that ALPHA-ARBUTIN reduces the degree of skin tanning after UV exposure and helps to minimize the appearance of liver spots.

### Key facts

**UNIQUE PRODUCT FEATURES**
- Scientifically proven effects at low concentrations
  - More effective at 1.0% than Beta-Arbutin in vivo
  - 9x more effective than Beta-Arbutin in vitro
  - Outstanding tyrosinase inhibition activity in vitro
- Highly pure biosynthetic active ingredient
- High performing enzyme related biotechnology

**BENEFITS**
- Ensures an even skin tone
- Reduces the degree of skin tanning after UV exposure
- Helps to minimize the appearance of liver spots

**COSMETIC APPLICATION**
- Even skin tone care
- Age spot treatment
- BB/CC creams

**SUGGESTED CONCENTRATION**
- Up to 2% for face and neck preparations

**INCI NAME (ACTIVE)**
Alpha-Arbutin

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**Mechanism**

ALPHA-ARBUTIN has been specially developed to ensure an even skin tone. Unique, high-performing, enzyme-related biotechnology guarantees high purity, addressing increased consumer awareness about safety and efficacy.

Structurally, ALPHA-ARBUTIN (chemical name: 4-hydroxyphenyl-α-D-glucopyranoside) is an α-glucoside compared to the β-form of the related beta-arbutin.

The α-glucoside bond offers higher efficacy than the β-form. In vitro tests show that ALPHA-ARBUTIN exhibits impressive tyrosinase inhibition and is nine times more effective than Beta-Arbutin. Very low IC50 values (the concentration that produces a 50% inhibition of human tyrosinase) indicates the power of ALPHA-ARBUTIN.

ALPHA-ARBUTIN: IC50 = 1.0 mMol Beta-Arbutin: IC50 = 9.0 mMol

The outstanding efficacy of ALPHA-ARBUTIN is due to its perfect affinity to the active site of tyrosinase. DFT (density functional theory)-optimized structures and ESP (electrostatic potential) calculations on ALPHA-ARBUTIN, Beta-Arbutin, and the substrate of tyrosinase, tyrosine, reveals that the ESP for ALPHA-ARBUTIN is similar to that of tyrosine. The ESP potential for Beta-Arbutin indicates potential difficulties when binding to tyrosinase, meaning the inhibitory activity of Beta-Arbutin is low.

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**Figure 1:** DFT (density functional theory)-optimized structures and calculated ESPs. The yellow dots represent the negative regions and the blue dots represent the positive regions of the electrostatic potential.
**In vivo**

One-month efficacy study

Four emulsions containing ALPHA-ARBUTIN, Kojic acid, Beta-Arbutin and Hydroquinone at 1% use level respectively were applied twice a day for one month on the forearm of 80 women of Chinese descent. The parameter L which represents the lightness of skin was determined by means of chromameter.

**Liver spot study**

A three-month study was performed on 26 women (aged between 40 and 65) with liver spots. Creams including test substances were applied twice daily on different test areas. After three consecutive months of application, the liver spot reduction efficacy was evaluated with the eye using the following five-grade scale: markedly improved, slightly improved, ineffective and aggravated. 53.7% of the panellists reported a markedly improved or improved condition with ALPHA-ARBUTIN against only 30.6% for Beta-Arbutin.

**Skin tanning reduction after UV exposure**

A double blind study was performed on 23 healthy volunteers. The inside of the upper arm of each volunteer was irradiated with ultraviolet rays (1.4 MED) using a solar simulator, and immediately thereafter test samples with ALPHA-ARBUTIN (1% and 2%) were applied twice a day for two consecutive weeks. Skin color (ΔL value) was evaluated by means of chromameter one and two weeks after the end of UV exposure.

**ALPHA-ARBUTIN outperforms competitive benchmarks.**

**ALPHA-ARBUTIN helps to minimize liver spots.**

**ALPHA-ARBUTIN reduces the degree of skin tanning after UV exposure.**

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1 Sugimoto Kazuhisa et al., Ezaki Glico Co., Ltd., Biochem. Res. Lab., JPN