

α -Arbutin

α -Arbutin is a hydroquinone glycoside derivative fully synthesized through bioconversion catalyzed by microbial enzymes. It is a classic mild skin-brightening active raw material widely adopted in the cosmetic industry with decades of mature global formulation application history. Featuring competitive tyrosinase inhibitory capacity, excellent formulation stability and extremely low irritation potential, it has become a mainstream ingredient for sensitive skin brightening, daily skin tone homogenization and hyperpigmentation prevention. It delivers multiple auxiliary benefits including antioxidant, soothing and photoprotective effects, alongside outstanding formulation compatibility and a complete compliant safety system.

α -Arbutin boasts broad formulation adaptability and long-term topical safety. A full battery of toxicology, human patch test, phototoxicity and genotoxicity studies confirmed no teratogenic, mutagenic or photosensitizing risks. This raw material is listed in China's *Catalogue of Already Used Cosmetic Raw Materials (2021 Edition)*, the EU SCCS safety inventory and cosmetic compliance lists of numerous countries. Our high-purity synthesis process limits hydroquinone residual content to below 10 ppm, drastically lowering irritation risks. At equal molar concentrations, α -arbutin exhibits 3–10 times stronger tyrosinase inhibitory activity than β -arbutin, with no risk of ochronosis upon long-term application.

Compliant dosage limits for leave-on products comply with EU SCCS 2023 specifications: maximum 2% for facial creams and maximum 0.5% for body lotions. A 0.5% concentration delivers basic brightening efficacy, while 2% generates remarkable improvements on melasma, sun spots and post-inflammatory hyperpigmentation (PIH). It remains stable within a pH range of 3.5–6.6, covering mild acidic to neutral environments, and demonstrates superior light & heat stability compared to kojic acid.

In vitro enzymatic activity tests verify that α -arbutin delivers far stronger tyrosinase inhibition than β -arbutin and vitamin C derivatives. Abundant in vitro cellular assays and human clinical trials prove that compound formulas combining α -arbutin with tranexamic acid, niacinamide and acetyl zingerone create multi-dimensional brightening synergy. The combination acts via multiple overlapping pathways: inhibiting melanin production at the source, blocking melanin transport, providing antioxidant repair and anti-inflammatory protection against pigment rebound, delivering instant brightening while preventing long-term hyperpigmentation, ideal for developing gentle brightening formulas suitable for all skin types.

Product Information

Trade Name	α -Arbutin
INCI Name	ALPHA-ARBUTIN
CAS Number	84380-01-8
EC Number	617-561-8
Appearance	White crystalline powder
Purity	$\geq 99\%$
Solubility	Slightly soluble in DMSO and methanol
Usage Level & Formulation pH	0.2%–2% for facial leave-on creams; $\leq 0.5\%$ for body

	leave-on lotions; stable pH range: 3.5–6.6
Storage	Hermetically sealed at 10–30°C, protected from light, heat and moisture; avoid prolonged high-temperature processing
Regulatory Status	Globally recognized compliant cosmetic raw material

Human Skin Tolerance

Safety Assessment Based on Margin of Safety (MOS): At identical incorporation dosages, α -arbutin presents a much higher MOS value than kojic acid. A 1% facial incorporation level provides an ample safety buffer with $MOS > 350$, far exceeding the universal industry safety benchmark of $MOS \geq 100$, resulting in minimal risks for long-term daily use.

Human Repeated Insult Patch Test (for allergy, skin irritation & skin sensitization evaluation): Test substrates: aqueous matrices containing 0.5%, 1% and 2% α -arbutin. α -Arbutin is verified as a non-primary irritant with no skin sensitization potential, showing exceptional tolerance for sensitive skin populations.

Chamber Scarification Test (for skin irritation propensity assessment): Test substrates: 0.2% and 1% aqueous solutions applied to scarified skin. Only extremely mild transient erythema was observed, with no persistent stinging or swelling relative to saline blank control groups, proving its low irritation profile on compromised skin.

In Vitro Tyrosinase Inhibitory Activity

- **Test Enzyme:** Murine-derived tyrosinase
- **Substrate:** L-DOPA
- **Test Samples:** α -Arbutin, β -Arbutin
- **Detection Method:** Spectrophotometry at 475 nm
- **Efficacy Quantification:** IC_{50} (Half Maximal Inhibitory Concentration), the sample concentration required to suppress 50% tyrosinase activity

	IC_{50} (mM)	
	Tyrosinase from	
	B16 mouse melanoma ^a	Mushroom ^b
α -Arbutin	0.48	No inhibition ^c
β -Arbutin ^d	4.8	8.4

^a 3.3 mM L-DOPA was used at 37°C.
^b 0.83 mM L-DOPA was used at 25°C.
^c α -Arbutin below 10 mM did not inhibit the activity of tyrosinase.
^d β -Arbutin was purchased from Nacalai Tesque Co., Kyoto, Japan.

α -Arbutin competitively occupies tyrosinase active sites to block melanin precursor synthesis without permanently damaging tyrosinase, enabling mild melanin suppression while preserving the skin's natural pigment barrier. Its melanin-inhibiting activity at equal molar concentrations is markedly superior to β -arbutin.

In Vitro Melanin Synthesis Inhibitory Activity

- **Cell Model:** 3D reconstructed human epidermal tissue
- **Incubation Conditions:** 96-hour incubation for melanin inhibition assay, 24-hour incubation for cell viability assay at 37°C under 5% CO₂ atmosphere
- **Test Samples:** Serially diluted concentrations of α -arbutin
- **Detection Method:** Spectrophotometry within 570 nm–660 nm spectrum
- **Efficacy Quantification:** EC₅₀ (Half Maximal Effective Concentration), the sample concentration required to inhibit 50% melanin synthesis

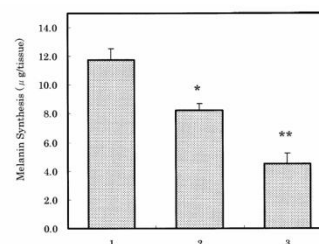


Fig. 4. Effect of α -Arbutin on Melanin Synthesis in a Cultured Human Skin Model

The human skin model was cultured with topical doses of α -arbutin as described in Fig. 3, and the melanin content of the tissue was assayed quantitatively. 1: control, 2: 125 μ g/tissue, 3: 250 μ g/tissue. Bars represent means $\pm$ S.E. of three independent experiments. * Significantly different from the non-treated control group at $p < 0.05$. ** Significantly different from the non-treated control group and other α -arbutin-treated groups at $p < 0.05$.

At equivalent dosages ranging from 0.1% to 0.5%, α -arbutin significantly reduces melanin synthesis in skin tissue without obvious cellular or tissue cytotoxicity. It is suitable for long-term gentle improvement of dull skin tone and prevention of UV-induced pigment accumulation.

Human Skin Brightening Clinical Trial

- **Volunteers:** 30 female subjects with mild-to-moderate melasma covering diverse skin tones and textures
- **Trial Cycle:** 12 weeks; standardized assessments conducted at baseline, Week 4, Week 8 and Week 12
- **Test Design:** Split-face self-control; one side applied with compound cream containing 5% α -arbutin + 2% kojic acid, the contralateral side treated with commercial triple brightening control cream
- **Test Sample:** 2% α -arbutin cream, blank vehicle control
- **Application Frequency:** Twice daily
- **Efficacy Assessment Metrics:** Mexameter melanin index, ITA skin colorimeter, blind dermatologist grading of pigmented lesions, standardized facial imaging archiving

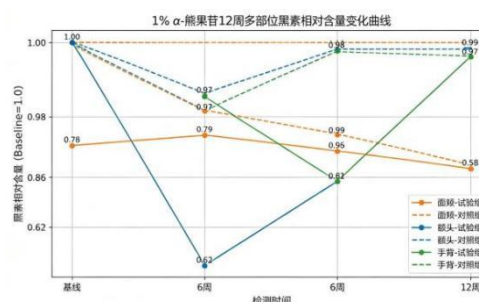


FIGURE 2 | Clinical photography. (A) Alpha arbutin 5% and kojic acid 2% side and (B) triple combination cream side.

A drop in melanin index can be observed on treated sides after continuous 4-week application. Upon completion of the full 12-week cycle, melasma lesion area and pigment depth are significantly improved with greatly enhanced skin evenness. Only isolated subjects experienced transient warm erythema that faded rapidly after discontinuation; its irritation potential is lower than high-concentration single acidic brightening raw materials.

Clinical Research on Hyperpigmentation Control

- **Volunteers:** 26 subjects with solar lentigines and dark inflammatory post-acne marks
- **Trial Cycle:** Tracking detection at baseline, Week 6 and Week 12
- **Test Zones:** Patchy pigmented areas on cheeks and hands with split-site control design
- **Test Sample:** 1% α -arbutin lotion, blank vehicle
- **Application Frequency:** Thin layer applied twice



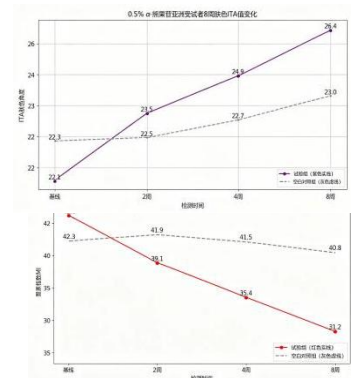
daily to targeted pigmented areas

- **Efficacy Assessment Metrics:** Average melanin load of the whole tested area measured via SkinColorCatch colorimeter, physician evaluation of pigment coverage area changes

It targets the improvement of large connected solar pigmentation and clustered dullness from acne marks. The 12-week follow-up shows sustained elevation of overall skin luminosity and gradual fading of patchy pigmentation, with no pigment rebound or long-term irritation risks. It is suitable for daily maintenance of widespread pigmentation on the body and hands.

Mitigation of Hyperpigmentation in Asian Subjects

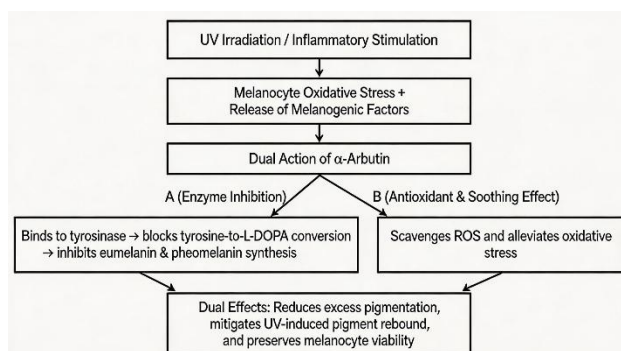
- **Volunteers:** 28 Asian females with superficial pigment spots, general skin dullness and mild inflammatory hyperpigmentation
- **Trial Cycle:** 8 weeks; staged data collection at baseline, Week 2, Week 4 and Week 8
- **Application Protocol:** Uniform full-face application with blank placebo control
- **Test Sample:** Low-concentration essence containing 0.5% α -arbutin
- **Application Frequency:** Twice daily
- **Efficacy Assessment Metrics:** Instrumental melanin index measurement, standardized photography, blind visual grading by dermatologists



Continuous use of low-concentration 0.5% α -arbutin for 8 weeks effectively ameliorates superficial dullness and new post-acne marks in Asian skin, delivering natural, non-overwhelming brightening effects. Its mild formula adapts to sensitive skin during seasonal transitions with nearly no adverse reactions, focusing on long-term daily prevention of melanin formation.

Multi-Pathway Mechanisms Regulating Melanogenesis

α -Arbutin selectively binds to the active site of tyrosinase to competitively block the conversion of tyrosine to L-DOPA. It simultaneously alleviates oxidative stress in melanocytes and reduces the release of pro-melanogenic inflammatory factors. It gently intervenes in both eumelanin and pheomelanin synthesis pathways without impairing melanocyte viability. It also scavenges cutaneous reactive oxygen species (ROS) and defends against photooxidative damage, reducing excessive pigment production at the source while lowering the risk of pigment rebound after sun exposure.



Recommended Synergistic Active Additives to Boost Skin Brightening & Tone-Evening Efficacy

Synergistic Additive	Core Mechanism	Recommended Dosage
Tranexamic INCI: TRANEXAMIC ACID	Inhibits release of UV- and inflammation-induced pro-melanogenic factors, blocks melanocyte activation. Creates upstream-downstream brightening synergy with α -arbutin's source melanin suppression, alleviates inflammatory PIH and soothes irritation	2%
Niacinamide INCI: NIACINAMIDE	Blocks melanosome transfer to keratinocytes. Forms dual-pathway synergy with α -arbutin (inhibit production + block transport), accelerates superficial pigment exfoliation for rapid skin tone homogenization	3%
Acetyl Zingerone INCI: ACETYL ZINGERONE	Chelates copper cofactor of tyrosinase, delivers antioxidant photoprotective repair and stabilizes formulations to reduce photodegradation of α -arbutin, assists fading of freckles and sun spots	1%

A Truly Multi-Functional Skin Brightening & Tone-Evening Active Ingredient

Safe & Effective Skin Lightening Agent

- Decades of compliant cosmetic application history globally, listed in raw material inventories across multiple regions, friendly to sensitive skin.
- Superior in vitro melanin suppression activity compared to β -arbutin and kojic acid; mild and non-cytotoxic, a compliant alternative to irritating brightening ingredients.
- Validated by multi-ethnic clinical trials for sensitive skin, inflammatory post-acne marks, melasma, solar dullness and photoaging pigment spots.

Skin Protection Benefits

- Scavenge cutaneous ROS, reduce oxidation-triggered DNA oxidative damage, assist repair of photodamaged cells.
- Suppress release of inflammatory factors, soothe redness-prone fragile skin and lower inflammation-induced hyperpigmentation.
- Inhibit advanced glycation end product (AGE) formation, improve sallowness caused by glycation.

Anti-Aging Benefits

- Inhibit UV-induced oxidative degradation of collagen and elastin, preserve integrity of dermal fibers.
- Multiple human clinical trials confirm long-term simultaneous improvements on photoaging

manifestations including pigment spots, rough texture and dullness.

Key References

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