

# Bleaching & Lightening Creams:

## Best Practices for Lightening the Skin & Hyperpigmentation



Bleaching products fade areas of unwanted pigmentation by disrupting the production and distribution of melanin in the skin by targeting overactive melanocytes (pigment-producing cells). There are a variety of ways to accomplish this and studies have shown that the best results occur when using a combination of two or more of these ingredients.

**Tyrosinase Inhibitors:** Tyrosinase is a copper enzyme which stimulates melanin production in the melanocyte. Most whitening agents fall under this category, interfering with the enzymes function and reducing pigment production in the melanocyte.

### Hydroquinone

Hydroquinone is a hydroxyphenolic compound that has been widely used for skin lightening for 50 years. It is the only FDA approved product for bleaching and a prescription is required to obtain products with a concentration above 2%. It is the most widely studied and scientifically backed bleaching agent on the market, but its use can come at a cost. It can be very irritating to sensitive skin and cause pigmentation to darken and get worse. In very dark skin types, long term use of highly concentrated products (4% or more) can lead to a development of Exogenous Ochronosis, "an irreversible disfiguring cosmetic problem." It is also very difficult to stabilize and can oxidize quickly if exposed to light and air. If a product containing Hydroquinone has darkened from an off-white or a creamy, pale yellow to a gold or brown color, it will no longer be effective and should be discarded.

When using Hydroquinone, it is imperative to stay out of the sun for the treatment to work. Always wear a full spectrum sunblock and a hat. Exposure to sun deteriorates the Hydroquinone, rendering it ineffective.

### Kojic Acid

Kojic Acid, a byproduct of the fermentation of rice, was first discovered in Japan in 1907. Kojic acid is the most common bleaching agent next to Hydroquinone. Kojic Acid is a natural and more gentle on the skin alternative to using Hydroquinone. It penetrates the upper skin layers and inhibits the production of epidermal melanin. Kojic Acid does pose a risk of causing allergic or sensitizing reactions in a small number of people.

### Azelaic Acid

A natural skin brightener found in wheat, rye, and barley. Azelaic acid is most effective in concentrations of 20% - an amount that makes is near comparable in activity to 4% Hydroquinone. In a study consisting of 329 melasma sufferers, half were treated with a 20% Azelaic Acid solution, and half were treated with a 4% Hydroquinone solution. 56% of patients treated with AZA had good to favorable results while 73% treated with HQ had a similar result. When combined with Tretinoin or Alpha Hydroxy Acids, the results were noticeably improved. Azelaic Acid, is also antibacterial and anti-inflammatory, so it is also effective in the treatment of rosacea and acne.

### Arbutin (also known as Alpha Arbutin, Bearberry Extract or Uva Ursi Extract)

A botanical, naturally-occurring cousin to Hydroquinone, Arbutin was first discovered in the Uva Ursi plant. Compared to HQ, Arbutin has been shown to be significantly less cytotoxic to the melanocyte — making it a much safer, yet very effective alternative to Hydroquinone. In a clinical trial involving Japanese women with melasma, a 3% concentration of Arbutin produced good to excellent results in 71.4% of patients within a three month period.

### Licorice Extract

One of the safest and most gentle bleaching agents around, Licorice Extract is a potent anti-inflammatory and antioxidant. The ingredients responsible for the skin whitening aspect of the plant are known as Glabradin and Liquiritin. Liquiritin (in a 20% concentration) has been shown to provide good to excellent results in 70-90% of patients with hyperpigmentation and melasma — with minimal side effects and only minor irritation.

### Mulberry Extract

Mulberry Extract is derived from the root bark of the mulberry tree, *Morus Alba L.* Studies have confirmed it to effectively reduce tyrosinase activity at much lower concentrations than either Hydroquinone or Kojic Acid.

### N-Acetyl Glucosamine

N-Acetyl Glucosamine is a more stable form of Glucosamine, an agent most widely known as an arthritis treatment. Studies have recently shown it to successfully reduce the amount of melanin in melanocytes by blocking tyrosinase conversion and its results improve significantly when combined with Niacinamide.

**Inhibition of Melanosome Transfer:** These skin lightening agents lighten unwanted pigmentation by interfering with the transfer of melanosomes from the melanocyte to the keratinocytes. Niacinamide or Nicotinamide is a biologically active form of Niacin (Vitamin B3) that has been shown to interrupt melanocyte transfer by 35-68%.

**RWJ 50353** - RWJ 50353 is a thrombin-inhibitor used in medication an anticoagulant. It has been found to effectively reduce melanocyte uptake with no irritation or side effects.

**Soybean Trypsin Inhibitor** - Soybean Trypsin Inhibitor (STI) is a depigmenting agent derived from soybeans. STI reduces pigment in the skin by inhibiting the activation of a pathway necessary for the melanosome transfer to take place. In addition, STI has been shown to prevent UVB-induced pigmentation.

### Other skin lightening agents:

**Skin Turnover Acceleration:** These compounds lighten pigmentation by increasing cell turnover, speeding up the removal of pigmented keratinocytes. The effectiveness of these agents are enhanced the most when combined with a bleaching agent that reduces active melanin production — ensuring that the old, pigmented keratinocytes are not replaced with newly pigmented cells.

### **Alpha Hydroxy Acids**

Alpha Hydroxy Acids are fruit and food derived acids that increase exfoliation by loosening the bonds that hold the keratinocytes together — allowing them to flake or fall off the skin more readily. There are several Alpha Hydroxy Acids, the known ones being Lactic Acid (from milk and dairy), Glycolic Acid (from sugar), Mandelic Acid (from the bitter almond), Citric Acid (from citrus fruits), Malic Acid (from apples), and Tartaric Acid (from grapes).

### **Mandelic Acid**

Mandelic acid is an Alpha Hydroxy Acid that has stood out as being superior in the treatment of hyperpigmentation. This is possibly in part due to its non-irritating nature (irritation can actually increase pigment-producing activity). The most remarkable ability of Mandelic Acid is its ability to fade dermal melasma — a condition that typical bleaching treatments (Hydroquinone, Kojic Acid, Arbutin, Azelaic Acid, etc) cannot touch.

### **Retinol**

Vitamin A derivatives, including Tretinoin, Retinol, and Retinaldehyde, trigger cell division in the skin and produce a more rapid cell turnover and exfoliation. As an added bonus, Retinols also encourage collagen production and thicken the dermis — reducing the appearance and number of wrinkles.

### **Other**

Safer and effective skin lightening agents continue to be discovered as alternatives to using Hydroquinone. New skin lightening peptides are being tested and discovered, and a variety of natural extracts exist with various UV-protecting and melanin suppressing activities (Watermelon Extract, Stone Crop Extract, Elder Flower Extract). The key with these more natural, gentle alternatives is long-term, consistent use.

How do I use bleaching products?

Bleaching products differ in recommended applications, but there are a few good guidelines that apply:

- **Always wear a broad-spectrum sunscreen.** The sun can deactivate Hydroquinone. The sun is also responsible for contributing to pigmentation problems; if you want to solve hyperpigmentation, then you must avoid contributing factors.
- **Keep the routine simple.** The fewer products you use, the less chance of irritation there is. Many bleaching products are aggressive and it can be hard to combine bleaching products with other products without irritation. There are a few products that can enhance a bleaching cream's performance. These can include Vitamin C products, Tretinoin, a separate Alpha Hydroxy Acid product, and special cleansers or toners to prep the skin. With the exception of the prepping products, it would be wise to alternate the use of the two products rather than applying both at the same time. This can minimize the risk of irritation or adverse reactions. After a few weeks of use - some products may be applied together with the bleaching cream.
- **If melasma is being treated, it is vital to keep using the product until permission is given from a doctor to quit.** Sometimes, even if the melasma has faded to the point where it is no longer noticeable, it is still there. The only way to tell if the melasma is completely gone is with a Wood's lamp examination. Otherwise, it is very easy for the same spot to make reappear.