

# Navigating the Challenges of Formulating with Naturals

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**KEY WORDS:** *botanicals, Boswellia serrata, tetrahydrocurcuminoids, dispersibility, stability, skin permeation*

**ABSTRACT:** *Formulating with natural botanical extracts poses unique challenges to formulators such as color issues, ingredient instability, poor absorption of actives, dispersibility problems, and quality, safety and efficacy concerns. Following are some answers to these challenges.*

A judicious blend of art and science is critical to creating natural cosmeceuticals for use in personal care products. The major challenge is finding ingredients that are compatible with existing formulations. Aesthetics is a particularly important concern. For example, while there is much interest in using natural botanical extracts in cosmetic preparations, a too-dark color, a gritty texture, ingredient instability, poor absorption of actives, or dispersibility problems could render the “healthy and natural” ingredient unattractive. Additionally, the safety and efficacy of natural ingredients need to be established in order to enable their use in finished personal care products.

## Challenges in Innovating

**Color issues:** Natural ingredients for antiaging skin care are prepared from botanicals with a long history of traditional cosmeceutical use, such as skin lightening, skin smoothing and antimicrobial applications, although the term itself is of recent origin. Botanicals are rich in phenolic and other pigments including carotenoids, flavonoids and related compounds, and often some of the healthful properties of these natural materials reside in the pigments themselves. An example is turmeric, a culinary spice with a tradition of

topical use in South Asia. The active compounds in this case are the yellow curcuminoids that also are used as a natural colorant. This brilliant yellow color, however, does not blend well with currently manufactured personal care products. The end user is concerned about the unappealing yellow color staining the skin.

**Tetrahydrocurcuminoids have been found to efficiently inhibit protein cross-linking and provide skin-lightening action as well as provide antioxidant and bioprotectant properties.**

Scientific developments such as extraction processes and derivatization techniques have enabled a method to extract the mixture of biologically active curcuminoids from turmeric roots and convert them into colorless biologically active tetrahydrocurcuminoids. Such a composition finds versatile applications in personal care products, particularly in the antiaging category.

Tetrahydrocurcuminoids have been found to efficiently inhibit protein cross-linking and provide skin-lightening action as well as provide antioxidant and bioprotectant properties. This discovery is the subject of a recently granted U.S. patent.<sup>1</sup>

Tetrahydrocurcuminoids offer additional functional antioxidant benefits in protecting fat-based compositions from oxidation. In laboratory studies,<sup>2</sup> tetrahydrocurcuminoids were found to quench free radicals more efficiently than the commonly used synthetic antioxidant, butylated hydroxytoluene (BHT).

From a safety point of view, the bioprotectant role of tetrahydrocurcuminoids is further enhanced by its low toxicity, (oral LD50 is 5000 mg/kg) with a 0.00 irritation score in a skin patch test.<sup>3</sup> Turmeric root, the source of tetrahydrocurcuminoids, is listed by the U.S. Food and Drug Administration (FDA) as an herb generally recognized as safe (GRAS) for its intended use as a spice, seasoning and flavoring agent.<sup>4</sup>

**Dispersibility:** Botanicals often are difficult to use in formulations because of their poor solubility or dispersibility in acceptable solvents. In such cases, the formulator faces a challenging task that sometimes requires modifications to the formulation process itself. The order of addition of ingredients, the type of solvents used, temperature and pH conditions, the nature of the mixing process and several other factors influence dispersibility.

*Boswellia serrata*, for example, has been used in the ayurvedic system of medicine to manage inflammatory conditions (see sidebar on page 84).

The active boswellic acids reside in the gum resin from the tree, which is a difficult material to formulate, and

the gum constituents may irritate the skin. Natural extract manufacturers have developed efficient extraction processes that produce a composition rich in boswellic acids in a powder form. Such an ingredient can be conveniently used in formulations for soaps, lotions and cosmetic creams as an anti-inflammatory ingredient (see Formula 1)—however, the powder must be dispersed well during the formulation process. Optimal proprietary methods for formulation have been developed after extensive experimentation.

Products tested containing 5% of a standardized extract from the gum resin<sup>3</sup> did not produce any irritation or sensitization in standard patch tests.<sup>5</sup>

**Stability issues:** Retaining the biological activity of natural ingredients through raw material preparation, processing, extraction, packaging and storage presents a myriad of challenges.

Nutrients in natural materials such as vitamins, growth factors, amino acids, flavonoids, pigments and essential oils are susceptible to degradation on contact

<sup>5</sup> *Boswellin* (INCI: *Boswellia serrata* extract) is a registered trademark of Sabinsa Corp.

## BOSWELLIA SERRATA IN ANTIAGING

Olibanum, the resin from the *Boswellia* species, has been used as incense for centuries. Its major use today is as a fixative in perfumes, soaps, creams lotions and detergents. In India, the gum resin exudates of *Boswellia serrata* and has been used in the ayurvedic system of medicine in the management of several inflammatory conditions.

Inflammation is considered to be the prime cause in aging, an inflamed site forming a micro-scar that over time develops into a wrinkle or blemish. Inflammatory mediators such as leukotrienes and prostaglandins, cytokines and growth factors target skin texture, integrity and tone. Containing inflammation at its roots is therefore an effective antiaging strategy.

with oxygen or exposure to suboptimal temperature and pH conditions.

An example is young or “green” coconut water—a reservoir of nutrients and growth factors. Green coconut water is the liquid endosperm of coconut (*Cocos nucifera* L), which is a refreshing natural drink in the tropics and traditionally used as a health and beauty aid. Natural coconut water is rich in proteins, amino acids, sugars, vitamins, minerals and growth hormones that are essential to promote tissue growth. Laboratory researchers use the material as a supplement in media for the growth of plant tissue cultures.

Coconut water is useful in hair care formulations and in topical preparations to rejuvenate, nourish, condition, soothe and moisturize the tissues. However, its short shelf life and sensitive nature of the inherent actives make it difficult to use the material in cosmetic formulations. A freeze-drying process has been developed to retain the activity of coconut water

components. The process produced a light tan-colored powder consisting of coconut water solids that readily blends into cosmetic preparations. In in vitro irritation studies, a product formulated with the ingredient<sup>3</sup> was found to be non-irritating.

**Skin permeation:** The efficacy of actives depends upon their skin permeation capabilities. Selective nutrient absorption by the skin is an important physical property of the skin. This selective process begins with the stratum corneum (SC). The function of this barrier is related to the unique composition of the lipid moiety in the epidermis. The intercellular lipids mediate transdermal delivery of both lipophilic and hydrophilic molecules. Research shows that regulating the composition of intracellular lipids in the skin can increase or decrease the bioavailability of nutrients.<sup>6</sup>

<sup>3</sup> *Cococin* (INCI: *Cocos nucifera* (coconut) fruit juice) is a registered trademark of Sabinsa Corp.

### Formula 1. Cream formulation with *Boswellia serrata* extract

A. Water ( <i>aqua</i> )	59%–60%
Carbomer	0.25%–0.27%
B. Glycerin	4.0
Methylparaben	0.2
Edetate sodium	0.01
C. Cetyl alcohol	3.5
D. Stearyl alcohol	3.5
Stearic acid	6.5
Glyceryl stearate	2.5
PEG-100 stearate	2.5
Isopropyl palmitate	6.0
Vitamin E acetate	1.0
Dimethicone	0.1
Propylparaben	0.1
Vitamin A palmitate	0.1
Ascorbyl palmitate	0.2
E. <i>Boswellia serrata</i> extract	5.0
F. Water ( <i>aqua</i> )	2.0
Triethanolamine	0.4
G. Imidazolidinyl urea	0.3
Water ( <i>aqua</i> )	1.0

**Procedure:** Mix A under propeller agitation until dissolved. Add B to A and blend. Begin heating to 72°C–77°C and continue mixing until completely dissolved. In a separate container, charge C and add D to C in order. Heat CD to 72°C–77°C until dissolved. Mix CD with AB, maintaining 72°C–77°C. Add E to batch under propeller agitation. In a separate container, combine F until dissolved and mix with batch. Keep mixing until completely dissolved while maintaining 72°C–77°C. In a separate container, combine G until dissolved and add to the main batch. Mix and cool to 35°C–40°C and package.

Besides the modification of skin lipid composition, there are several strategies to improve topical nutrient bioavailability. Improvement can be accomplished by supersaturation of the delivered ingredient. The delivery formulation also may contain ingredients that decrease the diffusional (electrostatic) resistance of the lipid bilayer to the passing molecule. Topical liposome preparations are effective penetration enhancers for the delivery of biological compounds, probably due to their role in increasing cell membrane fluidity. In addition, an increase in blood supply to the skin can enhance absorption of delivered nutrients.

Historically, a number of chemical-penetration enhancers have been used to enhance the uptake of actives. These include: solvents such as dimethyl sulfoxide (DMSO), ethanol and other alcohols; glycols such as propylene glycol; fatty acids such as oleic acid; and detergents such as sodium lauryl sulfate, polyoxyethylene lauryl ethers, and chaotropic agents such as thioglycolate, urea, and mercaptoethanol.

As such, they also have the potential to cause damage to the SC and to increase the probability of irritation. Most of these agents work by perturbation of the intercellular lipid bilayers present in the SC.

Therefore, there is a need for compounds of natural origin with low irritancy and minimal side effects that can be efficiently combined with nutrients to enhance the uptake and utilization of such active molecules.

An innovation in enhancing topical delivery of natural actives is available in the form of a proprietary extract obtained from black pepper fruits<sup>6</sup>, a common culinary spice.

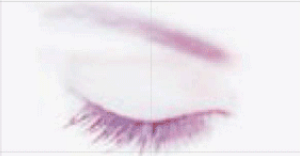
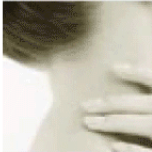


When added in small amounts (0.01%–0.1%) to cosmetic formulations, tetrahydropiperine, the active principle, enhances the uptake and delivery of other actives in the formulation. Poorly absorbed botanicals, therefore, can be made more “bioavailable” with this ingredient.<sup>7</sup>

<sup>6</sup> *Cosmoperine (INCI: Tetrahydropiperine) is a registered trademark of Sabinsa Corp.*

**Quality, safety and efficacy:** Herbal raw materials available commercially as powders and extracts often do not meet global standards of quality, efficacy and safety. To preserve the authenticity and credibility of such products, it is important that the ingredients therein contain adequate amounts of biologically active principles that manifest the desired biological functions.

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Plant materials pose several challenges in standardization. Natural products are complex matrices with a number of active principles varying widely in content and type, based on geographical origin, cultivation and collection practices, and

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 <p>Caring Cosmetic Ingredients</p>	 <p>Unique Technology</p>			<p>Natural Vegetable Functional</p>
<p><a href="http://www.aarhuskarlshamn.com">www.aarhuskarlshamn.com</a></p>				

processing and storage conditions. This often leads to variations in potency, label ambiguity and related problems in finished cosmetics.

Compositional consistency of botanical extracts in terms of active principles is the key factor in ensuring potency and sustaining consumer confidence. Marker compounds are chemicals proven to be characteristic of botanicals and endowed with validated health benefits. Chemical fingerprints using chromatography and spectrophotometric methods, in

combination with bioassays, are the accepted methods to ensure the presence of marker compounds in botanical materials.

A botanical's active principle may concentrate in a specific location in the plant and manufacturers often use combinations of plant materials in preparing finished extracts. Contaminant levels, including heavy metals, pesticide residues, extraneous matter and genetic modification aspects also need to be considered. The complexity of these

challenges is exacerbated by mislabeling in the commercial marketplace.

Authentication of plant materials used to manufacture cosmetic ingredients is critical. Selecting appropriate extraction and purification processes is important as this reflects heavily on the quality of finished extracts. To avoid skin irritation and sensitization, solvent residues and other contaminant levels in finished extracts should be minimized.

## Meeting These Challenges

In the rapidly growing market for natural antiaging cosmetics, application-oriented product development goes a long way in facilitating the introduction of traditionally used botanicals into conventional formulations. The initial challenge is to innovatively transform plant materials into safe and efficacious ingredients for functional cosmetics. Once this is achieved, the next step is to comprehensively address global regulatory issues and nurture consumer confidence through consistent quality management. Furthermore, in vitro testing methods for safety and efficacy need to be optimized to facilitate cruelty-free product development.

**Compositional consistency of botanical extracts in terms of active principles is the key factor in ensuring potency and sustaining consumer confidence.**

Nature provides a plethora of options to support healthy aging. Blending traditional knowledge with modern science results in innovative approaches to the effective use of plant-based materials in contemporary personal care formulations.

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### References

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# FINE OXOCOL

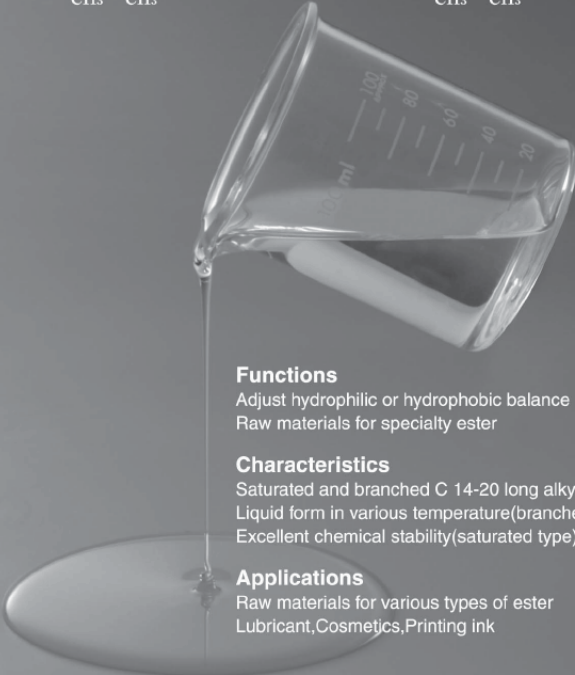
Saturated, branched, long alkyl chain liquid form alcohol and fatty acid

$$\begin{array}{c}
 \text{CH}_3 \quad \text{CH}_3 \\
 | \quad | \\
 \text{CH}_3-\text{C}-\text{CH}_2-\text{CH}-(\text{CH}_2)_2 \\
 | \quad | \\
 \text{CH}_3 \quad \text{CH}_3 \\
 \text{CH}_3-\text{C}-\text{CH}_2-\text{CH} \\
 | \quad | \\
 \text{CH}_3 \quad \text{CH}_3 \\
 \text{CH}-\text{CH}_2-\text{OH}
 \end{array}$$

**Iso-stearyl Alcohol**

$$\begin{array}{c}
 \text{CH}_3 \quad \text{CH}_3 \\
 | \quad | \\
 \text{CH}_3-\text{C}-\text{CH}_2-\text{CH}-(\text{CH}_2)_2 \\
 | \quad | \\
 \text{CH}_3 \quad \text{CH}_3 \\
 \text{CH}_3-\text{C}-\text{CH}_2-\text{CH} \\
 | \quad | \\
 \text{CH}_3 \quad \text{CH}_3 \\
 \text{CH}-\text{COOH}
 \end{array}$$

**Iso-stearic Acid**



**Functions**  
Adjust hydrophilic or hydrophobic balance  
Raw materials for specialty ester

**Characteristics**  
Saturated and branched C 14-20 long alkyl chain  
Liquid form in various temperature (branched type)  
Excellent chemical stability (saturated type)

**Applications**  
Raw materials for various types of ester  
Lubricant, Cosmetics, Printing Ink

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