Navigating the Challenges of Formulating with Naturals

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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.

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 pow-
der 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
resina did not produce any irritation
or sensitization in standard patch
tests.

Stability issues: Retaining the bio-
logical 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

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 co-
conut 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 vitro
irritation studies, a product formu-
lated with the ingredient 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.

Formula 1. Cream formulation with Boswellia serrata extract

<table>
<thead>
<tr>
<th>Ingredient</th>
<th>Amount</th>
</tr>
</thead>
<tbody>
<tr>
<td>A. Water (aqua)</td>
<td>50%–60%</td>
</tr>
<tr>
<td>B. Carbomer</td>
<td>0.25%–0.27%</td>
</tr>
<tr>
<td>C. Glycerin</td>
<td>4.0</td>
</tr>
<tr>
<td>D. Methylparaben</td>
<td>0.2</td>
</tr>
<tr>
<td>E. Edetate sodium</td>
<td>0.01</td>
</tr>
<tr>
<td>F. Stearyl alcohol</td>
<td>3.5</td>
</tr>
<tr>
<td>G. Cetyl alcohol</td>
<td>3.5</td>
</tr>
<tr>
<td>H. Stearic acid</td>
<td>6.5</td>
</tr>
<tr>
<td>I. Glyceryl stearate</td>
<td>2.5</td>
</tr>
<tr>
<td>J. PEG-100 stearate</td>
<td>2.5</td>
</tr>
<tr>
<td>K. Isopropyl palmitate</td>
<td>6.0</td>
</tr>
<tr>
<td>L. Vitamin E</td>
<td>1.0</td>
</tr>
<tr>
<td>M. Dimethicone</td>
<td>0.1</td>
</tr>
<tr>
<td>N. Propylparaben</td>
<td>0.1</td>
</tr>
<tr>
<td>O. Vitamin A palmitate</td>
<td>0.1</td>
</tr>
<tr>
<td>P. Ascorbyl palmitate</td>
<td>0.2</td>
</tr>
<tr>
<td>Q. Boswellia serrata extract</td>
<td>5.0</td>
</tr>
<tr>
<td>R. Water (aqua)</td>
<td>2.0</td>
</tr>
<tr>
<td>S. Triethanolamine</td>
<td>0.4</td>
</tr>
<tr>
<td>T. Imidazolidinyl urea</td>
<td>0.3</td>
</tr>
<tr>
<td>U. Water (aqua)</td>
<td>1.0</td>
</tr>
</tbody>
</table>

Procedure: Mix A under propellor 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 propellor 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.

*Boswellia (INC) (Boswellia serrata extract) is a registered trademark of Sabinsa Corp. 

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-
cell 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
to its roots is therefore an effective
antiaging strategy.
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 laurel sulfate, polyoxyethylene lauryl ethers, and chotrope agents such as thiglycolate, 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, a common culinary spice.

When added in small amounts (0.01%-0.1%) to cosmetic formulations, tetrahydroperipine, 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.7

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.

Besides the modification of skin lipid composition, there are several strategies to improve topical nutrient bioavailability.

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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*Cosmoine® (INCI: Tetrahydroperipine) is a registered trademark of Salix Corp.

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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.

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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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