Authenticating Natural Extracts for Effective Use in Cosmetics

Muhammed Majeed, PhD ; Lakshmi Prakash, PhD

Introduction:

Botanical extracts are valued for their phytonutrient constituents that have versatile healthful and functional applications in cosmetics and nutricosmetics. However, in order to ensure consistent delivery of these benefits, phytochemical activity profiles need to be standardized. In view of the complexity of natural extracts, both chemical and biological standardization of their activity and toxicological profiles becomes essential. Thus, not all extracts from a single plant source, (even those obtained from the same plant part) are created equal.

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, processing and storage conditions. This often leads to variations in potency, label ambiguity and related problems in finished formulations for healthful cosmetics. Compositional consistency of botanical extracts in terms of active principles is the key factor in ensuring potency and sustaining consumer confidence. Consumers now look for the "green" label as well, and global interest in biodiversity and sustainability matters continues to escalate.

Natural Actives for the Global Marketplace:

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. Plant materials pose several challenges in standardization.

Marker compounds are chemicals proven by research to be characteristic of the botanical, 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 healthful ingredients is critical. Selecting appropriate extraction and purification processes is very important as this reflects heavily on the quality of finished extracts. For use in cosmetics, to avoid skin irritation and sensitization, solvent residues and other contaminant levels in finished extracts should be minimal.

A Culture of Quality:

In the rapidly growing market for “natural” cosmetics, free from “chemical” additives, 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 specific applications. Once this is achieved, the next step is to comprehensively address global regulatory issues and nurture consumer confidence through consistent quality management.

Biostandardized®[1] means that the efficacy, safety and physicochemical identity of vegetal extracts are confirmed using state of the art test procedures. Product quality and consistency are ensured through control of cultivation and collection practices. Technological innovations including tissue culture techniques, non-invasive supercritical extraction methods, and enhanced assay tools, offer added support. Furthermore, to ensure acceptability in global markets, safety and efficacy testing in vitro need to be performed, to facilitate cruelty-free product development.

Herbal raw materials available commercially include crude powders, non-standardized extracts (represented in terms of the extract ratio viz. raw material quantity: extract quantity as 4:1, 5: 1 etc.) and standardized extracts. “Standardization" has now become a marketing tool for herbal and natural remedies. Although standardization, as such, merely guarantees that the material has been verified to contain a certain amount of a marker constituent, it is often equated with superior quality. This is very misleading as there have been cases of "herbal compounds" that contained the standardized marker but were not authentic herbal extracts. While adopting some form of standardization is an important step toward ensuring consistency of performance of natural and herbal remedies, it needs to be applied to a broader range of constituents and criteria. The yardstick for "ultimate standardization" is a technique known as fingerprinting, which gives a full chromatographic profile of all major constituents in a natural product. These standardizations are developed with highly sophisticated instrumentation including HPLC, GC, UV and other methods. Stability studies form an integral part of the standardization effort.

Standardizing for Consistent Efficacy:

One of the major difficulties in standardizing herbal raw materials is the lack of detailed knowledge about the active principles in the plant material. The nature, content and biological activity of these principles (which are normally secondary metabolites of the plant), are influenced by several factors including genetics, ontogeny (stage of development at harvest), growth conditions (soil, climatic conditions, cultivation practices), methods of collection, processing and storage. Maintaining

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consistency in quality over commercial quantities of raw materials therefore poses a formidable challenge, which could be resolved by preparing extracts standardized to contain specified levels of active principles. These extracts are subjected to stringent quality control procedures to ensure uniformity in physicochemical properties and safety aspects.

A common misunderstanding is that all standardized extracts are made by isolating certain biologically active compounds and blending them into an inert base to achieve the defined level. Contrary to this, there are several advantages to be obtained from standardization, in view of the high variability in raw plant materials. Extracts of the whole herb are either prepared with all the soluble compounds extracted and adjusted (if necessary) so that the marker or active compounds reach a specified level or by obtaining a specific fraction at the expense of other fractions (thought to be ineffective or toxic). Several extracts are whole-herb extracts containing all components except insoluble compounds such as cellulose and fiber. An extract standardized to contain specified levels of active principles rectifies the uncertainty in calculated levels in formulation induced by compositional variability of the plant material.

Biomarkers and More:

Once the extract is prepared, the next step is to ensure its activity, efficacy and safety by actual *in vitro*, preclinical, and clinical studies. Selecting a proper marker compound to ensure activity is critical. The method of assaying the extract for this marker is another critical factor. It is very important to have carefully designed protocols for efficacy studies, either in terms of influence on specific markers or actual evidence of healthfulness.

Methods used to assess activity profiles need to be carefully validated. For example, a method such as ultraviolet spectrophotometry (UV) or general analytical methods measure an entire class of compounds, while a method such as high performance liquid chromatography (HPLC) measures levels of specific active components from the same class of compounds. Very often it is one specific active that is responsible for a particular functionality.

Illustrative Examples:

The gum resin of *Boswellia serrata* (N.O. Burseraceae) known as “Dhup”, Indian Frankincense or Indian Olibanum is valued as an “anti-aging” cosmeceutical active. The biomarker constituents, boswellic acids were found to inhibit two pro-inflammatory enzymes, 5-lipoxygenase (which generates inflammatory leukotrienes) and Human Leukocyte Elastase (HLE). HLE is a serine protease that initiates injury to the tissue, which in turn triggers the inflammatory process. Inflammation is in reality a micro-scar that over time, becomes a wrinkle or blemish. Boswellic acids by inhibiting pro-inflammatory enzymes potentially help to reduce the appearance of wrinkles. The specific active principles are, beta-boswellic acids, of which acetyl 11-keto beta-boswellic acid is the most active component . Therefore an extract standardized to contain a certain level of “organic acids” as measured by conventional titrimetric methods would not be appropriate. A HPLC fingerprint is essential to authenticate the extract and ensure its activity in formulations.

The Indian gooseberry (*Emblica officinalis*, known as Amla in the vernacular) is valued as an adaptogen in the Ayurvedic tradition, with proven benefits in skin and hair care. Researchers in the last few decades established the beneficial role of Amla extract as a biological antioxidant. Its high antioxidant power was attributed to the presence of ascorbic acid (vitamin C). However, the presence of this heat labile vitamin in such extracts , and perhaps even in some varieties of the fresh fruit is questionable. An effort to resolve this confusion and enable authentication and efficacy validation of this healthful herb led to the identification of a valid biomarker for Amla.

A proprietary Amla extract, Saberry™[2], is standardized to contain a minimum of 10% beta-Glucogallin and 50% gallates. Conventionally, Amla extracts traded globally were standardized using ascorbic acid as the biomarker. However, recent research has revealed that Amla does not contain ascorbic acid in consistent amounts, and sometimes, only in trace quantities, rendering its validity as a biomarker, questionable. Beta-glucogallin is a more powerful antioxidant molecule, as compared to Ascorbic acid. The proprietary preparation is a light colored powder and is processed from carefully chosen, fresh Indian gooseberries using solvent free technology that preserves the natural goodness of the fruits.

The preparation has a comprehensive antioxidant profile and in then well known ORAC assay, the extract was found to be a leader in antioxidant phytoextracts. Additionally, although ascorbic acid was not detected, Saberry™ showed superior antioxidant activity as compared to conventional amla extract, and ascorbic acid at the levels supposedly present in amla extract. This further validates the fact that ascorbic acid is not the most optimal biomarker to explain the biological potential of Amla. β-Glucogallin is t the optimal and relevant biomarker, and its presence truly reflects the antioxidant potential of Amla.

The “bioprotectant” effect of yellow natural curcuminoids and their colorless derivative, tetrahydrocurcuminoids, from the roots of turmeric (*Curcuma longa*) are well-documented. These compounds provide comprehensive antioxidant protection by preventing the formation of free radicals and quenching preformed free radicals. They also address inflammation at the molecular level, with benefits in sun care and after sun care. The addition of 0.5 to 10 micromoles of curcumin to an in vitro skin cell culture inhibited the activity of the enzyme ornithine decarboxylase which has been linked to the promotion of tumor cell growth. Thus curcumin has the capability to inhibit genetic change (mutation) in the cells that often initiates the aging process, and contributes to cancer development.

Traditionally, turmeric from which curcuminoids and tetrahydrocurcuminoids are derived, has been used in the ancient system of Indian medicine, Ayurveda in a variety of internal and topical uses. Based on their history of traditional use in Ayurvedic medicine, and the relatively recent research. findings on their safety and efficacy, the multifunctional curcuminoids, and their metabolite tetrahydrocurcuminoids, deserve a special place among natural “anti-aging” phytonutrients.

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Curcuminoids offer antioxidant support, anti-inflammatory support, support a healthy immune system, prevent connective tissue break down through inhibiting destructive enzymes (such as collagenase, elastase, hyaluronidase). Curcuminoids are well recognized for their role in preserving cognitive functions with scientifically validated benefits in potentially preventing Alzheimer's disease. Tetrahydrocurcuminoids inhibit cross linking of proteins, supporting healthy aging. Described as a patented "crossregulin" composition, this natural ingredient is effective in skin lightening, and offers protection against UVB rays, melanoma, and age spots.

Curcumin C[3] Complex*[3], a branded, patented extract, is a clinically proven bioprotectant composition. It is important to differentiate this branded extract from natural extracts labeled as "turmeric extract". Such extracts may contain constituents other than curcuminoids with diverse activity and/or toxicity profiles. In some cases, beneficial biological activity of the extract could be compromised by such constituents. Validated HPLC methods enable authentication, and ensure consistent functionality of the extract in formulations.

Natural materials provide a vast repository of active compounds that can be used in the form of botanical extracts in cosmetics. Authentication of such extracts by validated methods using carefully selected biomarkers ensures their quality, safety and efficacy as "cosmeceutical" ingredients.

[3] A trademark of Sabinsa Corporation