

## **COSMECEUTICALS: A REVOLUTION IN THE MAKING**

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### **Introduction:**

Cosmeceuticals, "performance cosmetics", "functional cosmetics", "dermoceuticals", "active cosmetics".... these are the buzz words in twenty first century personal care. The revolution they triggered is apparent from the fact that cosmetics are no longer visualized as products that cover up or camouflage imperfections in personal appearance. Today's healthful cosmetics offer protective, healing and rejuvenative attributes as well.

A cosmeceutical is an ingredient with medicinal properties, that manifests beneficial topical actions and provides protection against degenerative skin conditions. The word "Cosmeceutical" was popularized by Albert M. Kligman, a professor at the University of Pennsylvania Medical School in the late 1970s. It encompasses cosmetic actives with therapeutic, disease-fighting, or healing properties, serving as a bridge between personal care products and pharmaceuticals.

Originally appearing in global markets in the 1990's as an off shoot of the nutraceuticals revolution, cosmeceuticals are now recognized as a rapidly growing segment in health and personal care in the U.S., Europe and Japan. The global business of cosmeceuticals amounts to greater than \$50 billion<sup>1</sup>.

Like "Nutraceuticals," the term "Cosmeceuticals" is not recognized by the Food, Drug, and Cosmetic Act (as amended). Cosmetics and cosmeceuticals are tested only for safety. Efficacy testing is not mandatory. Bioactive food components such as milk peptides, certain vitamins and minerals, phytonutrients from herbs, including various oils, and botanical extracts are all cosmeceuticals. Several botanicals with a history of use in traditional cultures have entered the growing cosmeceuticals market. With fewer adverse side effects and the added advantage of multifunctionality, cosmeceuticals are increasingly being used in mainstream cosmetic products.

Spice extractives, for instance, have a long history of use in personal care products as aroma constituents, facilitating brand identity and aesthetic appeal. It is only recently that mainstream personal care products focus on the "cosmeceutical" benefits of such ingredients. The goodness of ginger, mint, cinnamon, pepper and other spices is no longer limited to their sensory characteristics alone. Such spices represent the new image of the "healthy and natural" in personal care.

Several private companies are active in cosmeceuticals, at all levels, with some leading niche markets, targeted towards specific personal care needs. The phenomenal growth of the cosmeceuticals industry is nurtured by the aging baby boomer generation, seeking natural alternatives to cosmetic surgery. Anti-aging cosmetics are therefore the most popular category in cosmeceuticals. The Anti-aging cosmetics market encompasses a range of functionalities afforded by natural ingredients that heal, soothe, replenish nutrients, and rejuvenate tired skin, hair and nails. Historically, natural materials

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<sup>1</sup> Morris, Gillian, Kline and Company Inc, "Ingredients Beyond Beauty" - Inaugural Conference, September 13, 2005. [www.klinegroup.com/Speeches/consumer/9-15-05gm.pdf](http://www.klinegroup.com/Speeches/consumer/9-15-05gm.pdf)

including botanicals, milk, honey and other nutrients have been used in ancient civilizations to achieve such effects.

More recently, the emerging trend of “beauty inside and out” is becoming increasingly popular, wherein orally consumed nutritional supplements (nutricosmetics) and topically applied cosmeceuticals work in harmony to promote physical appearance and well being. In 2002, the well known cosmetics company L’Oréal and the food manufacturing giant, Nestlé joined forces to create Innéov, a company established to formulate and market nutritional supplements for beauty benefits. Several other cosmetics companies, large and small, are currently active in this area as well.

### **Skin Aging and Nutricosmetics:**

A radiant appearance is only a reflection of optimal health and well being. This forms the underlying principle of current trends in the personal care industry. Cosmetic products no longer seek to cover up signs of aging. The root causes of skin, hair and nail damage are addressed externally by using cosmeceuticals and internally with nutraceutical supplements.

Vitamin and mineral deficiencies, poor antioxidant status, impaired digestion and compromised immune functions are all reflected in a sallow complexion, lifeless hair and brittle or discolored nails. Oral intake of antioxidants such as carotenoids, selenium, proanthocyanidins (found in grape seed extract, apples and other plant sources) and vitamin E is reported to reduce the risk of DNA damages by ultraviolet radiation that lead to skin aging and skin cancers. A recent study reports that curcumin, the antioxidant pigment from turmeric is useful in the oral treatment of melanoma. In other studies, orally administered phytonutrients such as soy isoflavones and green tea polyphenols were found to offer protection against photoaging through inhibiting the action of enzymes that degrade connective tissues.

### **Skin Aging and Cosmeceuticals:**

The skin actively participates in the body's homeostasis through its abundant microcirculatory and sensory functions. Its appendages participate in the excretion of sweat and metabolic by-products, and by possibly participating in the regulation of melatonin - a hormone that regulates circadian rhythms in the body. Healthy looking skin therefore reflects overall health and warrants optimal well-being.

Natural antioxidants that quench free radicals are an essential component of anti-aging formulations. They potentially offer protection against damage to the tissues against the detrimental effects of environmental and other agents. Biochemical reactions that accelerate the progression of skin aging have their roots in inflammatory processes, as inflammation generates micro-scars that mature into blemishes or wrinkles. Various types of inflammatory mediators such as leukotrienes and prostaglandins, cytokines and growth factors may influence melanin synthesis by affecting the proliferation and functioning of melanocytes. Protein kinase C, the enzyme, that phosphorylates proteins, may also influence the growth and differentiation of melanocytes. Cytokines such as endothelins (also known as vasoconstrictive peptides) are also reported to accelerate melanogenesis. Natural anti-inflammatory agents are therefore included in anti-aging formulations, and serve to soothe, heal and protect skin tone and integrity.

Lipids play an important role in maintaining the barrier functions of the skin. In general, unsaturated fatty acids reinforce the skin's barrier function, prevent moisture loss through the epidermis, provide structural integrity to the skin damaged by external influences and are anti-inflammatory. They also help to soften and smoothen the skin by inhibiting the formation of corneous cells. Lipid compounds that provide an occlusive effect to prevent water loss, repair lipid layers and restore barrier functions are therefore an integral part of anti-aging formulations.

Bioactive peptide technology inherent in ingredients such as Matrixyl (a branded palmitoyl oligopeptide) has made a mark in anti-aging skin care products, in the wake of the increased demand for BOTOX alternatives that lift and smooth aged skin. Runaway successes based on bioactive peptides include branded products such as "Regenerist". Nutrients such as Vitamin A and derivatives, Vitamin B-5, Vitamin C and derivatives, Vitamin E and derivatives also find versatile uses in personal care products.

Photoaging is accelerated by exposure to the ultraviolet rays of the sun, and prolonged sun exposure over a period of several years is reported to increase the risk of skin cancer. Sunscreens serve to protect the skin from ultraviolet radiation. The toxicity of effective dose levels of synthetic sunscreens in terms of potential damage to the body is a matter of great concern. A recent study reports the possible endocrine disruption effects of certain sunscreens. Approved sunscreens such as octyl methoxycinnamate (OMC) and 4-methyl benzylidene camphor (4-MBC) have been found to show estrogenic activity in *in vitro* and *in vivo* studies.

A natural extract of *Kaempferia galanga* rich in ethyl p-methoxycinnamate is reported to function as a sunscreen "booster". This means that if a sunscreen product formulated with conventional sunscreen active contains the natural extract, lower (and therefore less toxic) effective doses of the synthetic active are needed to achieve the desired sunscreen effect. In related research, several natural antioxidants have been shown to augment the effects of sunscreens by trapping free radicals that exacerbate sun damage.

A wide range of natural actives are available for use in anti-aging topical formulations. It is important however that the ingredients selected are amenable to formulation, and do not damage the appearance, texture and general acceptability of conventional cosmetic compositions. These requirements often pose challenges, necessitating careful application-oriented research to facilitate the development of innovative extracts from traditionally used botanicals.

### **Botanicals, "*Bioprotection*", Formulation challenges:**

Botanical extracts that support the health, texture and integrity of skin and hair, are widely used in cosmetic formulations. Plant materials from which these extracts are prepared, have a long history of traditional "cosmeceutical" use, although the term itself is of recent origin. In most cases, these cosmetic applications are adequately supported by efficacy data from scientific literature, as well as documented safety. Among the more popular functional natural ingredients, several antioxidants used in cosmetics, are scientifically proven to offer additional benefits in supporting skin texture, appearance and tone.

However, while in traditional cultures, plant materials were used in crushed or dried and powdered form, their incorporation into contemporary cosmetic formulations presents unique challenges. Highly colored or gritty plant extracts need to be blended seamlessly into "milky" or clear creams, lotions and gels. This is where a judicious blend of art and science comes in handy. The goodness of herbs and botanicals is extracted out and the actives are tailored for use in conventional formulations with their biological activity remaining intact.

One example is the curcuminoids-rich turmeric extract well known for its antioxidant properties, antimicrobial effects, and beneficial effects on inflammation. Turmeric has been traditionally used by South Asian women in skin care since ancient times. However, its yellow color may be unattractive to contemporary formulators. An innovative patented colorless (white to very light tan) derivative, Tetrahydrocurcuminoids, addresses this drawback, and offers effective protection against sun damage. Its antioxidant action is of a comprehensive "bioprotectant" nature, efficiently preventing the formation of free radicals, while quenching pre-formed ones as well, thereby protecting the skin cells from damage by UV radiation and the resultant inflammation and injury. This in turn has far reaching beneficial effects on overall health and well being, rendering a healthy glow to the skin. Additionally the composition efficiently lightens skin tone<sup>2</sup>.

#### **Skin lightening:**

A significant claim made for cosmetic products is the skin tone lightening functionality. Hydroquinone the chemical agent used to lighten the skin is now subject to regulatory restrictions in several markets on account of its potential carcinogenicity. Cosmeceuticals such as kojic acid and derivatives, bearberry extract (arbutin), paper mulberry extract, Ascorbic acid derivatives, azelaic acid, licorice extract, and other cosmeceutical actives are therefore being increasingly used in cosmetic formulations that claim skin lightening. These actives are safer and effective alternatives to hydroquinone and related materials. However several natural materials including kojic acid may not be as effective in skin lightening as hydroquinone. In this context, the efficacy of ultrapure tetrahydrocurcumin as skin tone lightener was found to be several fold greater than that of kojic acid<sup>3</sup>.

#### **Natural antimicrobials and preservatives:**

Antimicrobials in cosmetics serve to address skin, hair and nail infections as well as to improve the shelf life of cosmetic formulations. In personal care formulations that target skin conditions such as acne, there is an increasing need for economical active ingredients with negligible side effects and a long history of topical use. With the increased occurrence of antibiotic resistant microbial strains and our expanding knowledge of deleterious side effects associated with prolonged antibiotic use, natural ingredients including essential oils, probiotics and botanical extracts present attractive alternatives for use as topical antimicrobials. Innovative long chain alcohols, natural phenolic compounds and other natural extractives that inhibit microbial growth or possess bactericidal/fungicidal properties are potential options to parabens, and other

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<sup>2</sup> US Patent 6,653,327 (Sabinsa Corporation)

<sup>3</sup> Patents pending, Sabinsa Corporation

synthetic preservatives in cosmetic formulations. Natural antimicrobials such as rosemary extract, sage extract, olive leaf extract, certain mushroom extracts, spice essential oils, and probiotics are effective deodorants as well

#### **Natural moisturizers and conditioners:**

Natural topical moisturizers that nourish and tone the skin represent another innovative application of natural extractives in personal care products. One example is Coriander seed oil, a rich source of petroselinic acid, linoleic acid and related fatty acids. These fatty acids are constituents of ceramides that are inherently present in the stratum corneum and prevent moisture loss from the skin surface. Coriander seed oil therefore has a healthful role in personal care. Polysaccharides such as Chitosan and derivatives, low molecular weight glycans for example, tamarind seed polysaccharides, tissue components such as hyaluronic acid and complexes, and other actives are popular as natural moisturizers. Fats such as shea butter, cocoa butter and coconut oil derivatives are other naturals that support skin texture and hydration.

#### **Enhancing uptake and utilization of actives:**

Although a number of healthful ingredients may be present in a topical composition, these actives may not permeate through the stratum corneum. A number of chemical "permeation enhancers" have been used to improve permeation of active compounds. These include compounds such as dimethyl sulfoxide and alcohols that may sometimes damage the skin surface. Sophisticated active delivery technologies such as liposomes/nanosomes and natural materials such as essential oils are also used to enhance the delivery of actives. A natural patented spice extractive Tetrahydropiperine<sup>4</sup>, derived from black pepper, effectively enhances the uptake of bioactive compounds when included in very small amounts in formulations containing other actives..

The ingredients listed in this summary are only a very small selection from the plethora of cosmeceutical options available for personal care product formulations. The sources of these ingredients have a history of culinary, medicinal or topical use spanning centuries. Innovative technology helps to extract the goodness from these ingredients, adapting them for effective use in contemporary personal care formulations.

#### **Envisioning the Future:**

The expanding range of natural actives in mainstream cosmetic products is ample proof that the cosmeceutical revolution is here to stay. The US cosmeceuticals demand is projected to grow eleven percent annually through 2008<sup>5</sup>. The global cosmeceuticals market initially dominated by acne therapies such as retinoids, is experiencing a sea of change. Innovative naturals have invaded the cosmeceuticals scene and found their way into well known branded cosmetics.

Sustained research on natural actives would continue to be the driving force behind cosmeceuticals. Three research areas are significant in supporting innovation, cruelty-free research, and global regulatory compliance:

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<sup>4</sup> US Patent # 6,849,645 (Sabinsa Corporation)

<sup>5</sup> Freedonia Group, Report, Cosmeceuticals - Market Size, Market Share, Market Leaders, Demand Forecast, Sales, Company Profiles, Market Research, Industry Trends, 2003.

1. Exploring the plant kingdom to screen, identify, and develop efficacious and safe actives for diverse personal care needs.
2. Developing validated *in vitro* test protocols to confirm the safety and efficacy of actives.
3. Using alternatives to animal testing to establish the safety and efficacy of personal care products.

In this context, Sami Labs Ltd., Bangalore, India, a manufacturer of leading edge actives, is pioneering efforts to ensure cruelty-free research and commercialization of cosmeceuticals. A state of the art in-house *in vitro* testing facility is available, to facilitate the development and use of non-animal testing protocols for cosmeceutical actives and finished formulations.

The last decade saw the beginning of a revolution in personal care, ingrained in the fact that beauty is much more than skin deep. With scientifically validated safety and efficacy, cosmeceuticals will continue to find novel applications in personal care products in the years to come.

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