BIOAVAILABILITY
OF TOPICAL COSMETIC
ACTIVE INGREDIENTS

As professional skin care and medicine continue to share a language, new terms need to be defined and understood by the esthetician.

By Michael Q. Pugliese

In 1984, the term cosmeceutical was introduced by Dr. Albert Kligman¹, developer of Retin-A®, to distinguish those topical preparations that could effectively deliver therapeutic benefits to the skin, as well as the “beautifying” temporary effects of cosmetics, as defined by the Food and Drug Administration (FDA) in 1938.

A prescription ointment, Retin-A effectively delivered retinoic acid, a powerful form of vitamin A, into the skin. The success of Retin-A triggered a frenzy of research to formulate functional raw materials into elegant compounds that would not require a prescription. In choosing to include an active ingredient in a formulation, a critical evaluation is required by researchers to determine what quantity of that material was actually utilized by the body. The word for this is bioavailability. In this article I will introduce the term and explain why it is worthwhile to understand its meaning. I shall do this within the context of two other terms that are familiar to estheticians, penetration and percentage. Why should an esthetician care about the quantities of any material used on the skin?

It is our intention to provide the benefits of releasing active components into the skin by applying products during our treatments and in the clients’ home care.
But let us remember the most significant role the skin plays—it is a vigilant gatekeeper! It keeps what is outside the body out, and what is inside the body from falling or leaking out. The skin is brilliantly designed with intricate back-up systems, always on alert.

The selective permeability of skin is well-known, serving as a dynamic barrier, while constantly monitoring for incoming toxins and pathogens. So, getting a sufficient quantity of useful elements to a point where they can do any good can become quite a challenge for the skin care formulator. The first thing is to find out how much of an active component is needed, and we call that the effective dose.

Then we have to get it into an elegant product. How do we get it in there? The artistry of cosmetic chemistry has grown as the activity of superior new materials is unfolding in the cosmetic supply realm. Once an effective dose has been established, useful agents are best delivered to the skin, in some cases by adjusting the type of formulation from which the actives will be delivered. Cosmetic chemists call this the vehicle, or carrier. For example, if two things ordinarily will not work well together, the chemist may opt for a more sophisticated type of mixing. Arbutin and kojic acid are naturally occurring whitening agents. The stability of these compounds is higher in an oil-in-water microemulsion, and therefore the potential for reaching targeted cells is increased. Another popular carrier system uses liposomes, which encapsulate water and lipid-soluble active components, acting as vehicles to deliver actives to the epidermis and dermis. But in skin care, not everything is meant to penetrate rapidly.

Emollients, which protect against water loss, are intended to stay on the outermost skin layers to keep the skin soft and supple. With new technologies, an additional beneficial action may later be effected in the lower layers, by adding another active material to the moisturizer.

**THE SCIENCE OF BIOAVAILABILITY**

Bioavailability is a term used by several branches of scientific study to describe the way compounds are absorbed by humans and other animals. The information on this topic is highly technical and vast.
For the practice of esthetics, it is not necessary to understand all of the science, but one should be familiar with related terms that fall under the topic of bioavailability. The research on the bioavailability of nutrient compounds, like vitamins, was initiated by the makers of topical drugs and ingestible supplements. In skin care, pioneers like Dr. Kligman contributed volumes on the topic of retinoids.

Peter T. Pugliese, M.D., author of Advanced Professional Skin Care, Medical Edition, conducted penetration studies of topical agents, discovering that vitamin E as an antioxidant was an effective defense component in skin cancer prevention.

In this groundbreaking study, he stained the test product with a fluorescent dye called dansyl chloride, and covered it with a patch for 24 hr. When subjects returned, the area was stripped by using a piece of ordinary cellophane tape, which removed approximately one cell layer at a time, done 20 times in the same spot. At the “glistening layer,” photos were taken under ultraviolet light to show the presence of the vitamin E, which had penetrated to 20 cell layers. That is the exact area where an antioxidant can do the most good to protect against UV-induced free radical damage.

**BIOAVAILABILITY OF VITAMINS: ORAL VS. TOPICAL**

In pharmacology, bioavailability is a subcategory of absorption. When a medication is administered intravenously, its bioavailability is essentially 100%. However, when a medication is administered via other routes, such as topically, orally or through inhalation, its bioavailability generally decreases². Bioavailability is defined slightly differently for drugs as opposed to dietary supplements and cosmetics, primarily due to the method of delivery and the FDA regulations.

Bioaccessibility is a concept related to bioavailability, used mostly in the context of biodegradation and environmental pollution, like second-hand smoke. Inhalant therapies are often life saving, with fewer side effects for many common ailments.

A conflict regarding the aerosol application of physical sunscreens, also regulated as FDA drugs, has caused much controversy in recent years, with respect to inhalation of micro-particles and skin cancer.
their absorption rates. Arguments on both sides of this debate are still creating valid data to support their respective views. It is documented that product penetration and subsequent bioavailability can be enhanced by combining multiple agents. Consider the treatment for acne, where multiple etiologies contribute to the condition.

The use of exfoliating ingredients, including salicylic acid, can be enhanced effectively with niacinamide, also known for its anti-inflammatory properties, to reduce the degree of hyperpigmentation. Higher penetration of active ingredients presupposes enhanced bioavailability, but this is not always true.

**TAKE NUTRIENTS INSIDE AND OUTSIDE**

The use of functional foods and oral supplements for improving skin condition allows a synergistic and complementary bioavailability when the same vitamins are applied topically.

Whereas very little vitamin C makes it into the skin through oral ingestion, when applied topically, higher bioavailability to the skin is ensured.

Because of the instant delivery to a target site, topical applications of vitamin C can be given at up to 1,000 times less concentration than an effective oral dose. In calculating the effective dose concentration of many active ingredients, the limitations of the delivery systems must be considered.

As aloe products remain popular among supplement and cosmetic manufacturers, the botanical has attracted additional industry attention through a recent study by the National Toxicology Program (NTP).

The research raised safety concerns regarding carcinogenic effects from an aloe vera whole-leaf extract. Promptly, however, the industry immediately fought back and defended the ingredient by pointing out that the type of aloe presented in the study is hardly used in finished goods, so consumers should not be deceived by the misleading research.

Several other studies surfaced shortly after the release of the NTP's research, which helped to separate fact from fiction and reiterate aloe’s safety.

You must always consider the source and verify any alarming research that is suddenly presented in the media.

The Society of Cosmetic Chemists provides current and often free access to the latest research papers, clinical studies, references for new ingredients and their activity in skin care formulations. Peers share information on using engineered technologies for enhanced penetration of unstable agents. Technical advances in cosmetic chemistry are increasing the bioavailability, and thus the performance, of beneficial ingredients when applied to the skin’s surface.

Bioavailability is a concept that the skin care specialist should understand, at least in terms of penetration, absorption and effective dose concentrations of actives in topical products.

---

**References**


---

**Michael Q. Pugliese** is the CEO of Circadia by Dr. Pugliese and the Circadia Institute of Advanced Esthetics. Pugliese and his grandfather, Peter T. Pugliese, M.D., hold in-depth classes on a variety of subjects, including cosmetic chemistry and histology of the skin. Pugliese is a licensed esthetician in the state of Pennsylvania, and holds a degree in business management and marketing from Kutztown University.