

UNDERSTANDING TOPICAL RETINOIDS

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Overview:

Retinoids have been a mainstay treatment in dermatology for over fifty years . They are synthetic compounds derived from vitamin A. Studies have shown that these derivatives help clear comedonal and inflammatory lesions while controlling the bacteria implicated in most types of acne. Originally marketed as Retin A, these topical retinoids have gone through numerous transformations over the years. They are now available in many different forms and address many conditions. Throughout the evolution though, the challenges surrounding the use of topical retinoids such as irritation, sun damage and optimal penetration have remained.

At Face Reality, after carefully weighing the efficacy of all retinoids, we have chosen to work with Vitamin A Propionate. This particular derivative provides the greatest penetration into the skin, while causing the least irritation while getting the pores clear. Keeping the pores (follicles) clear is the best and most proven way to prevent acne. Vitamin A Propionate is not a prescription product but is manufactured in similar strengths with the high quality control parameters of other retinoids. This increased accessibility and affordability is a plus for acne sufferers and those interested in anti-aging alike. Vitamin A promotes the formation of new collagen deeper in the skin. Long term use repairs damaged skin. It can soften scars, reduce brown spots and makes the skin healthier and more attractive.

For more technical information regarding retinoids and how they differ from Vitamin A Propionate, read below.

There is no cosmeceutical ingredient more important than Vitamin A. The body stores Beta Carotene and Vitamin A (aka Retinol) in the skin for activation whenever it needs to repair itself. Repair in the skin is a 24/7 operation but slows down as the skin ages. Vitamin A is the only ingredient with its own receptor in the skin and is the primary factor in the production of new fibroblasts, cells that make collagen. Vitamin A also reduces the "stickiness" of keratinocytes and clears the pore which accounts for its efficacy in treating acne. Because of this remarkable ability to renew the skin, it is often used in treating many skin disorders such as:

- Acne
- Oily Skin
- Wrinkles
- Precancerous Skin Lesions (Actinic Keratosis)
- Flat Warts
- Psoriasis
- Keratosis Pilaris
- Ichthyoses
- Pityriasis Rubra Pilaris
- Mycosis Fungoides
- Other skin thickened conditions
- Skin damage as a result of photo aging

If properly administered, Retinol is converted to Retinaldehyde in the dermis, which has some activity, and then is further converted to Retinoic Acid (aka Retin A, tretinoin), the most active form. Looking at this process:

Beta Carotene->Retinol->Retinaldehyde->Retinoic Acid, you would think that Retin A is the answer; it is the most active of the group, has the majority of receptors in the skin and you can bypass all the other conversions. However, that isn't true because retinoic acid requires very careful regulation. The skin has no ability to store retinoic acid so whatever is produced (or applied topically), the body processes.

Unfortunately, like many processes in the body, when receptors are over-stimulated they just don't work as well. In the case of Retin A (retinoic acid), the irritation resulting from over-stimulation reduces its effectiveness and the down-regulation of receptors makes it less active over time. Retinol, on the other hand, can be stored in the skin but has 1/100th the activity of Retin A and has little independent activity outside of what is converted by the skin (which is a very small amount). To achieve an adequate response, approximately 5% is needed topically *every day*. That is a very high concentration which makes adverse affects rather likely.

Retinaldehyde is the immediate precursor to Retin A and has proved to have similar activity in the skin to Retin A. The big advantage is that the skin can store whatever is not converted, thus reducing irritation and maximizing effectiveness with long-term use. Maximum stimulation of collagen/elastin with minimal irritation is the goal here. However, the biggest obstacle to retinaldehyde use is its relatively large molecular size. As a matter of fact, getting *any* of the retinoids to penetrate is a big issue in the world of cosmeceutical chemistry.

In order for active ingredients like retinoids to penetrate the epidermis, the use of liposomal technology can be extremely important. The net result is that there is less exfoliation (irritation) because the ingredient isn't being activated in the superficial epidermis and there is more collagen/elastin produced because of the now higher levels in the dermis. The problem with being able to make retinoids penetrate, other than the science of it all, is the expense. Encapsulating them in liposomes and making sure there are they have right molecular structure adds to the total cost of a product and thus becomes an obstacle for many companies and consumers alike. The alternative is to use cheaper and semi-inert ingredients such as Vitamin A Palmitate, l-Retinol, cis-retinol and the generic Vitamin A. Of course you compromise efficacy as a result. Another inherent disadvantage of retinoids is that they are quickly disabled by full spectrum light. Therefore stability is an issue, not only when applying it but also sustaining it as an active product with reliable packaging.

Some pharmaceutical companies have alternatively chosen to "modify" retinoic acid into Avita, Tazorac and Adapalene (Differin), claiming efficacy and reduced irritation. Our experience has been that despite the lesser degree of irritation, these products don't work consistently, especially in cases of chronic acne. Most clients abandon usage because they don't see a marked difference in a reasonable amount of time.

Here at Face Reality, we have chosen to use Vitamin A Propionate. This particular derivative has been formulated with the goal of least irritation versus greatest penetration potential. Vitamin A Propionate is a new generation vitamin A derivative created by Dr James Fulton who also co-created Retin A and this particular modified version has shown consistently to be more reliable in the treatment of acne and photo damage. The formula is stable and comes in three strengths to optimize potency versus sensitivity. Numerous studies conclude that the use of Vitamin A Propionate on a regular basis generates new cell formation in the epidermis as well as the dermis, in addition to accelerated collagen production. It reduces keratosis and is extremely efficient at repairing skin which has been damaged by sun exposure. Most importantly its role in managing non-inflamed acne by "clearing" the pores is unparalleled.

Like all drugs and cosmeceuticals there is a probability of side effects with the use of all retinoid products. There is a potential for irritation of the epidermis and likelihood of adverse reactions with other skincare products. Burning, stinging and increased sunburn potential are the most commonly reported problems. Retinoids are also teratogenic and should not be used during pregnancy and lactation, although recent studies have indicated that perhaps the topicals are not as much a concern as orally administered forms such as Accutane.

In summary, despite some of the drawbacks, we have chosen to use the best possible advanced tool we have in the management of acne and photo aging. Anecdotal evidence and mainly, the success we have achieved in clearing so many clients with the use of Vitamin A Propionate provide a convincing argument in favor of using this derivative over any other.

Here's a little summary of current topical retinoids:

Vitamin A Propionate

Indicated for: acne, clogged pores, photo damage and collagen synthesis.

Available in 0.1%, 0.5%, 0.25%, 0.01%

Retin A (retinoic acid, tretinoin): Indicated for Acne

Strengths: 0.01% Gel; 0.025% Gel; 0.025% Cream; 0.05% Liquid; 0.05% Cream; 0.1% Cream; 0.1% Micro Gel

Avita (tretinoin): Indicated for Acne, skin rejuvenation 0.025%

Differin (adapalene): Indicated for Acne

Strengths: 0.1% Gel; 0.1% Solution

Tazorac (tazarotene): Indicated for Psoriasis and Acne. Good for those with chronically oily skin or severe blackhead form of acne.

Strengths: 0.1% Cream; 0.1% Gel; 0.05% Cream; 0.05% Gel