

smart delivery for a naturally even skin tone



Actera

Key Results

- The only form of tranexamic acid that can reach
 active skin cells
- Reduces redness in 2 weeks and fades dark spots in 4 weeks
- **100%** of women agreed their general skin condition improved in 2 weeks
- 100% of women noticed a more uniform complexion after 8 weeks
- **94%** of women noticed a reduction of dark spots and signs of aging on their skin after 8 weeks

INCI

Cetyl Tranexamate Mesylate

Physical Description

White Powder

Applications

- Products for the face, hand, and body aimed at fading dark spots and hyperpigmentation
- Spot and blemish treatments
- Recommended forms: serums, lotions, sprays, gel-creams, and creams

Key Benefits

- Visibly even skin tone for a luminous complexion
- Delivers tranexamic acid into deeper layers of the skin
- Inhibits the activity of plasmin and subsequent inflammation cascade
- Prevents the UV-induced activation of melanocytes
- Multifunctional ingredient for better cost-efficiency: emulsifier and bioactive
- Dermatologically proven safe for skin



Bioactive Rationale





NOT UNIFORM

Cetyl Tranexamate Hydrochloride dispersed in water (2%) UNIFORM DISPERSION

TXVector dispersed in water (2%)

Mechanism of Action

Tranexamic Acid (TXA) is a third-generation bioactive that prevents and soothes both redness and dark spots.

External skin disruptors (UV light, shaving, stripping solvents, detergents, etc.) cause the keratinocytes in the epidermis to produce signal mediators (e.g., plasminogen). These mediators initiate a cascade of events in the skin including inflammation, atypical plasmin activity, proliferation of keratinocytes, desquamation, melanocyte differentiation, increased tyrosinase activity, and transfer of melanosomes to upper layers. The result is unevenly pigmented, dull skin.

TXA inhibits plasmin activity, decelerating the above processes while promoting a more uniform skin tone and faster skin barrier recovery.

Enhancing Skin Penetration

The permeability of TXA through the skin is insufficient due to its hydrophilic nature and strong hydrogen-bonding capacity.

Esters of TXA, like TXVector, offer a higher level of skin-targeting and are broken down by epidermal esterases to the active TXA form.

Formulation Benefits

TXVector is a smart delivery form of TXA that is notably easier to formulate compared to other TXA esters. It also works as the primary emulsifier (o/w).

TXVector can be dissolved and dispersed in water, and remains stable over time without separation or aggregation.

One part of TXVector delivers \approx 0.33 parts of TXA.

In Vivo Skin Penetration Study

Quantity of Skin Penetration

Design

0

- TXVector vs. TXA
- Active concentrations: 1% TXA ≈ 3% TXVector
- Solutions tested: 1% TXA, 3% TXA, 3% TXVector in water
- 10 subjects, male and female, various skin types, volar forearm application
- In vivo evaluation of skin penetration via Confocal Raman Spectroscopy
- Direct meas Depth into the skin (µm) TXA in the skin at different depths over 20 min

Tranexamic acid absorbed into the skin over 20 minutes

Average amount of TXA absorbed into the skin over 20 min for all volunteers



1 min 10 min

Time Point

2017111



0

Baseline

In Vivo Skin Penetration Study

Depth of Skin Penetration

TXVector successfully delivers TXA into the viable epidermis.

TXA cannot penetrate beyond the stratum corneum into the viable epidermis where melanin production occurs.

When TXVector was applied, most of the detected TXA was free TXA, rather than TXA ester. This observation suggests TXVector is cleaved by dermal enzymes during absorption into the skin and delivers TXA into the viable epidermis.

Over time, the amount of TXA present at the surface decreases due to diffusion into deeper layers of the skin or metabolism and degradation of the molecule.



per mg of total protein in the skin



20

1 min	10 min	20 min

In Vivo⁵ Skin Penetration Study





Time Point

Baseline

Depth of Skin Penetration

Depth of active penatration (3% TXA)



Depth of active penetration (3% TXVector Penetration (3% TXVector)



Clinical Results

In Vivo Clinical Study

Safe for Skin

A Human Repeat Insult Patch Test (HRIPT) study with 54 healthy male and female adult volunteers investigated the irritation and sensitization potential of TXVector Lightening Serum 01-82 containing 2% TXVector. None of the subjects presented adverse events or reactions to the test article. The study concluded that the serum containing TXVector is clinically proven to be safe for skin. This clinical study was performed by Princeton Consumer Research in the UK and is available is upon request.

Effective on Skin

An 8-week clinical study on 35 women with self-assessed uneven skin tone, age spots, and redness evaluated the efficacy of TXVector Lightening Serum 01-82 containing 2% TXVector. Volunteers applied the serum at home, twice daily, followed by sunscreen SPF 50 during the day. Volunteers did not use other similar bioactives throughout the study. Product performance was assessed by Mexameter[®], photography, and self-perception questionnaires. This clinical study was performed by Princeton Consumer Research in the UK and is available is upon request.

Instrumental Evaluation

Melanin and erythema indexes were measured using a Mexameter[®] MX18. This probe measures light absorption and reflection in an area of 19.6 mm² on the skin surface. Volunteers were assessed in one facial spot for melanin and in another facial spot for erythema (redness). The same spots were measured at each time point.

Melanin is measured by two specific wavelengths (red: 660 nm and infrared: 880 nm) chosen to correspond to different absorption rates by the pigments.

For erythema, two specific wavelengths are used (green: 568 nm and red: 660 nm) corresponding to the spectral absorption peak of hemoglobin and to avoid other color influences (e.g., bilirubin).



*Statistically significant difference when compared to the baseline (P<0.05)

Photography Follow-Up

Digital photographs illustrate the efficacy of TXVector. The serum visibly reduced redness and faded dark spots, resulting in a naturally even skin tone.



Self-Perception Assessment

on their skin



TXVector Formulation Guidelines

Suggested Use Level

1 to 5% (w/w). Clinically tested at 2% (w/w).

Suggested pH Range

3.5 to 5.0

Procedure

- Disperse thickeners or gelling agents in the water first (if any)
- Combine TXVector with the water phase, heat to 85C, mix to dissolve
- Add the oil phase and mix to emulsify
- Carry on according to standard practice

TXVector is cationic: therefore, expect typical incompatibilities with anionic ingredients.

TXVector can also be used as the primary oil-in-water emulsifier.

Secondary emulsifiers (e.g. glyceryl stearate, cetyl alcohol) and gelling agents may improve stability.

TXVector should be dissolved and dispersed in water when heated and mixed at 85°C.



Compatible Emulsifiers & Thickeners

TXVector is cationic and is best formulated with non-ionic and cationic materials. A non-exhaustive list of potential co-emulsifiers and gelling agents is as follows:

Agar Agarose Behentrimonium Chloride Behentrimonium Methosulfate Caesalpinia Spinosa Gum Cetyl Alcohol Cetearyl Alcohol Hydroxyethylcellulose Chitosan Distearyldimonium Chloride Ethylcellulose Hydroxypropyl Guar Hydroxypropyl Methylcellulose Glucomannan Glyceryl Stearate Guar Gum Guar Hydroxypropyltrimonium Chloride Konjac Powder Locust Bean Gum Maltodextrin Polyether-1 Polyglyceryl Esters Polyguaternium-37 Sclerotium Gum Sorbitan Esters Starches Starches Stearyl Alcohol Sucrose Esters Tamarind Gum

References

AMANO, S. Possible Involvement of Basement Membrane Damage in Skin Photoaging. J. Invest. Dermatol. Symp. Proceeds, v. 14, p. 2-7, 2009.

DENDA, M. et al. Trans-4-(Aminomethyl)cyclohexane Carboxilic Acid (T-AMCHA), an Anti-Fibrinolytic Agent, Accelerates Barrier Recovery and Prevents the Epidermal Hyperplasia Induced by Epidermal Injury in Hairless Mice and Humans, J. Invest. Dermatol., v. 109(1), p. 84-90, 1997.

HIRAMOTO, K. et al. The Amelioration Effect of Tranexamic Acid in Wrinkles Induced by Skin Dryness, Biomed. & Pharmacotherapy, v. 80, p. 16-22, 2016.

HSIEH, P. et al. Co-Drug Strategy for Promoting Skin Targeting and Minimizing the Transdermal Diffusion of Hydroquinone and Tranexamic Acid, Curr. Med. Chem., v. 20(32), p. 4080-4092, 2013. MAEDA, K.; TOMITA, Y. Mechanism of the Inhibitory Effect of Tranexamic Acid on Melanogenesis in Cultured Human Melanocytes in the Presence of Keratinocyte-conditioned Medium, J. Health Science, v. 53(4), p. 389-396, 2007.

KIM, S. J. et al. Efficacy and Possible Mechanisms of Topical Tranexamic Acid in Melasma, Olin. and Exper. Dermatol., v. 41, p. 480-485, 2016.

LEE, D. H. et al. Reduction in Facial Hyperpigmentation After Treatment with a Combination of Topical Niacinamide and Tranexamic Acid: a Randomized, Double-Blind, Vehicle-Controlled Trial, Skin Res. and Technol. v. 20, p. 208-212, 2014.

VÁVROVÁ, K. et al. Biodegradable Derivatives of Tranexamic Acid as Transdermal Permeation Enhancers, J. Control. Release, v. 104, p. 41-49, 2005.





Brightener

Formulation #05-135

Lightweight, fast-absorbing, clinically tested* serum featuring **TXVector**^{**}, a next generation bioactive that prevents and soothes both redness and dark spots. **TXVector**^{**} is a smart delivery form of tranexamic acid, allowing for permeation into deeper layers of skin, and also works as a primary emulsifier (o/w).

	Phase	INCI	Trade Name		%
_	А	Deionized Water			Q.S.
		Cetyl Tranexamate Mesylate	TXVector™		2.0
		Glycerin			2.0
		Propanediol			2.0
	В	Tamarindus Indica (Tamarind) Seed Gum			1.0
		Caprylyl Glyceryl Ether (and) Glycerin	Glyoshield™		0.5
		Caprylic/Capric Triglyceride			5.0
	С	Cetearyl Alcohol			2.0
		Glyceryl Stearate			1.0
	D	Citric Acid (or) Sodium Hydroxide			QS pH ~ 4.35
				Total	100.0

Procedure

- 1. Add water into the main vessel. Sift in TXVector under high shear propeller mixing.
- 2. Heat to at least 85°C and mix until visibly uniform and translucent. Keep at temperature for 15-20 minutes.
- 3. Combine Phase B ingredients into a uniform slurry, then add to the main vessel and mix until uniform.
- 4. In a separate vessel, combine Phase C ingredients, and heat to 85°C.
- 5. Add Phase C to Phase AB at the same temperature while mixing under high shear.
- 6. Once at RT, adjust pH using Phase D.

Physical Properties

pH=4.4 Viscosity=1,810 cP Appearance: Thin white emulsion

Stability

Passed 12 weeks at RT and 40°C and 3 cycles F/T Passed 1 year at RT $\,$

Use Instructions

Apply a pea-sized amount twice daily to clean face and neck. Follow with moisturizer if desired.

Intended packaging

Pump or dropper

*Clinical Results

- Reduces redness in 2 weeks and fades dark spots in 4 weeks
- 100% of women agreed their general skin condition improved in 2 weeks
- 100% of women noticed a more uniform complexion after 8 weeks
- 94% of women noticed a reduction of dark spots and signs of aging after 8 weeks

Dermatologically proven safe for skin by HRIPT test in 54 subjects Efficacy claims based on home-use study with self-assessed uneven skin tone, age spots, and redness +1 (215) 525-4564

acteraingredients.com