

argireline®



The First peptide for expression wrinkles

A safer topical alternative to Botulinum Toxin

Effective in only 15 days

Pharmaceutical quality



Description

The first hexapeptide that is a mimic of the N-terminal end of SNAP-25 which competes with this protein for a position in the SNARE complex. **argireline®** is an alternative to Botulinum Toxin, topically targeting the same wrinkle-formation mechanism in a very different way.

Appearance

Transparent solution containing 0.05% active ingredient.

INCI

Water (Aqua), Acetyl Hexapeptide-8
Paraben free version available. Please contact us for further information on the preservative system.

Properties

A unique anti-wrinkle peptide that has been shown in significant testing to be effective against the development of skin wrinkling, being a topical alternative to Botulinum Toxin A.

Applications

argireline® can be incorporated in cosmetic formulations where removal of the deep lines or wrinkles in the forehead or around the eyes area is desired.

Science

One of the most striking signs of skin aging is increased wrinkling of the face. This can occur naturally over time and is identified by certain biochemical, histological and physiological changes that are amplified by environmental exposure and other secondary factors as the pull of gravity or repeated facial movements caused by the contraction of the muscles of facial expression. Muscles are contracted when they receive neurotransmitter release from inside a vesicle. **argireline®** mimics the N-terminal end of SNAP-25 and it competes with the natural protein for a position in the SNARE complex. If the SNARE complex is slightly destabilized, the vesicle can not release neurotransmitters efficiently and therefore muscle contraction is attenuated, preventing the formation of lines and wrinkles.

Dosage

3-10%

Solubility

Water soluble.



In vitro Action Mechanism

- **Muscle contraction**

The neurotransmitter involved in muscle contraction is acetylcholine and it is released from a vesicle into the synapse. The SNARE protein complex is formed by three proteins: VAMP, Syntaxin and SNAP-25 and it is essential for neurotransmitter release at the synapse and mediates the final steps of exocytosis. This complex is like a cellular hook that captures vesicles and brings them close to the membrane to enable their fusion.

- **Botulinum Toxin A**

Botulinum Toxin A paralyzes the muscle by selectively blocking acetylcholine release at the neuromuscular junction. BoNT-A cleaves the protein SNAP-25 irreversibly, and therefore the SNARE complex cannot assemble. The nerve signal cannot be relayed, the muscle cannot contract and it is paralyzed.

- **argireline®**

argireline® is a mimic of the N-terminal end of SNAP-25 and it competes with the natural protein for a position in the SNARE complex, so it destabilizes its formation, without breaking any of its components. If the SNARE complex is slightly destabilized, the vesicle cannot release neurotransmitters. As a consequence, muscle contraction is attenuated and the muscle is relaxed.

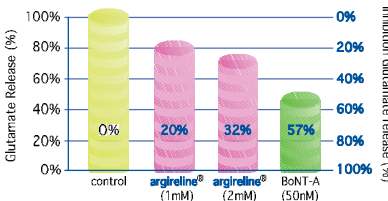


In vitro efficacy

- **Modulation of glutamate release in a neuron cell culture**

Inhibition of glutamate release by depolarized neuron cells is a validated cell assay for measuring the potential activity of compounds on the inhibition of neuronal exocytosis.

Untreated neuron cultures were used as a negative control and cultures treated with Botulinum Toxin A (BoNT-A) were used as a positive control.



argireline® shows a high inhibitory potential of glutamate release

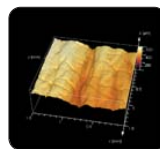
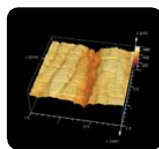
The inhibition of glutamate release at mM concentrations is a clear indicator of the potent anti-expression wrinkle activity of this hexapeptide.

In vivo efficacy

- **Determination of efficacy against skin wrinkling**

This study was carried out in 10 healthy women volunteers.

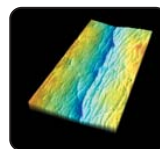
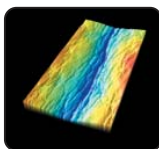
An O/W emulsion containing 10% argireline® Solution was applied twice daily around the eyes during 30 days. Silicon imprints were obtained pre-test and after 15 and 30 days. Analyses of the imprints were performed by confocal laser scanning microscopy.



Reduction in the depth of wrinkles an average of 17% after 15 days with 10% argireline® Solution

A cream containing 5% argireline® Solution was applied twice daily around the eyes of 14 volunteers, aged 39 to 64, for 28 days.

Silicon imprints of the treated areas were measured by confocal profilometry.



The depth of the furrow decreased a maximum value of 32% in 28 days

argireline® Solution 10%

argireline® Solution 5%