

leuphasyl®



Target achieved: smooth and young skin for longer

New and alternative mechanism against expression wrinkles Inhibits muscular contraction through Ca^{2+} leuphasyl® and argireline®, a revolutionary tandem



Description

Pentapeptide that mimics the natural path of enkephalins, acting on expression wrinkles formation in a new way. This alternative mechanism offers compatibility with other anti-wrinkle peptides, providing an additive and synergistic effect.

Appearance

Translucent solution containing 0.05% active ingredient.

INCI

Water (Aqua), Glycerin, Pentapeptide-18, Caprylyl Glycol. Preservative free.

Properties

leuphasyl® reduces the depth of wrinkles caused by the contraction of muscles of facial expression, decreasing neuron excitability and modulating acetylcholine secretion. The diminution of wrinkles increases with argireline®, due to their additive effects.

Applications

leuphasyl® can be incorporated in cosmetic formulations where removal of wrinkles, especially in the forehead and around the eyes, is desired.

Science

Expression wrinkles are a particular type linked to repeated muscular contraction and they usually appear as soon as the age of 30. Muscles are contracted when they receive acetylcholine released from a vesicle in the neuronal exocytosis. In order to fuse a vesicle with the cellular membrane, two events are required: the SNARE complex formation and the entry of calcium ions into the neuron. leuphasyl® is a modified enkephalin that couples to the enkephalin receptor, outside of nerve cells. This association releases G protein subunits (α, β, γ) which close calcium channels avoiding vesicle fusion and consequently inhibiting acetylcholine release across the synapse. This process maintains the neuron in its resting state, attenuating muscle contraction and preventing the formation of lines and wrinkles.

Dosage

3-10%

Solubility

Water soluble.



 Lipotec

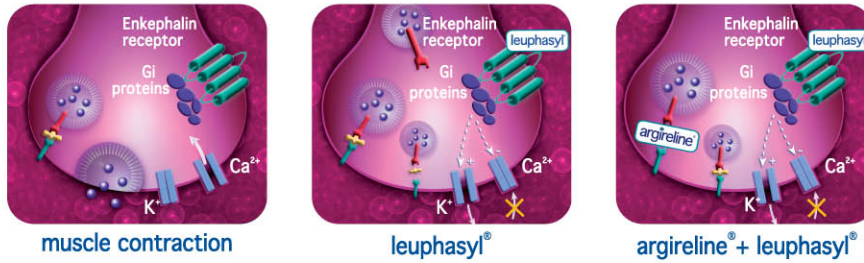
We research for you

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In neuronal exocytosis acetylcholine is released, which is necessary for muscle contraction. This neurotransmitter derives from the fusion of the fixed vesicle in the cellular membrane through the SNARE complex, produced in the presence of calcium ions.

Muscular contraction is attenuated twice by blocking ions entrance, with **leuphasyl®**, and modulating the formation of the SNARE complex, with **argireline®**.

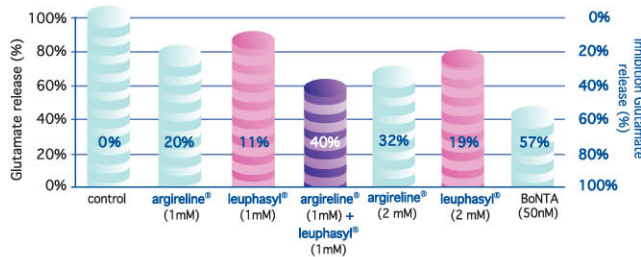


In vitro efficacy

• Modulation of glutamate release in a neuron cell culture

The glutamate is the most abundant neurotransmitter in the nervous system and its release is used as a validated assay to determine the release of acetylcholine.

The release of glutamate in a primary cell culture of neurons is measured in order to compare the in vitro activities of the anti expression-wrinkle peptides **leuphasyl®** and **argireline®**.



In vitro synergistic effect in the inhibition of neurotransmitters release

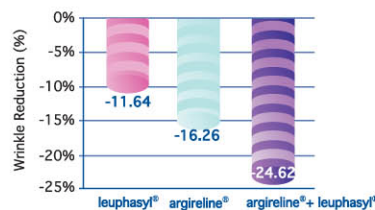
The independent mechanisms of **leuphasyl®** and **argireline®** show together a higher inhibitory potential in glutamate release, because of their complementary effects.

In vivo efficacy

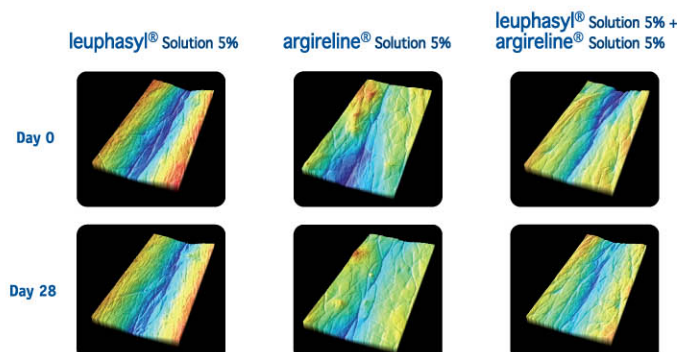
• Comparative test to determine the anti-wrinkle effect

Three tests were performed, using a placebo cream, with several solutions of the peptides (containing 0.05% of active ingredient), applying the cream twice a day around the eyes, for 28 days.

Actives	Volunteers	Age
5% leuphasyl® Solution	14	39-64 years old
5% argireline® Solution	14	39-63 years old
5% leuphasyl® Solution + 5% argireline® Solution	15	39-63 years old



Efficacy of anti-wrinkle peptides was evaluated by taking silicon imprints of the wrinkles around the eyes. Silicon imprints were measured by confocal profilometry.



Additive effect in wrinkles reduction

The combination of both peptides shows a reduction in the depth of wrinkles of 25%, with maximum values up to 47%.