



FACE

# LEUPHASYL®

## A NEW PENTAPEPTIDE TO FIGHT EXPRESSION WRINKLES

### DESCRIPTION

A pentapeptide to fight expression wrinkles with a new mechanism

### INCI

WATER (AQUA),  
GLYCERIN,  
PENTAPEPTIDE-3

### PRESENTATION

LEUPHASYL® containing  
0.05% LEUPHASYL® Powder

### USE LEVEL

3 - 10% LEUPHASYL®

### FUNCTION



ANTI-WRINKLE

Lipotec has developed a new peptide to reduce expression wrinkles and that offers two advantages:

- A new and alternative *in vitro* mechanism to fight expression wrinkles
- Additive effect to complement the action of Argireline®

**LEUPHASYL®** mechanism mimics *in vitro* the natural mechanism of enkephalins: it couples to the enkephalin receptor, on the outside of the nerve cells. When couples to the receptor, a conformational change initiates a cascade inside the neuron that results in a decrease of its excitability: the nerve cell's activity is "turned down" and the release of acetylcholine is modulated. This activity would be translated *in vivo* in a relaxation of the muscle contraction and a reduction of expression wrinkles.

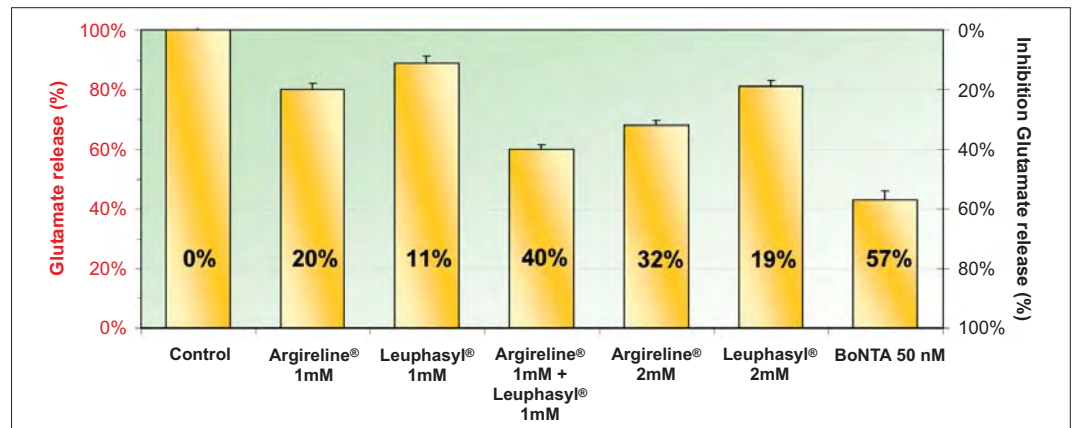
## Cosmetics benefits

- **LEUPHASYL®** reduces the depth of expression wrinkles, especially in the forehead and around the eyes
- **LEUPHASYL®** targets *in vitro* the wrinkle formation mechanism of expression wrinkles in a new way, offering an alternative/complement to peptides like Argireline®

## Efficacy tests

### IN VITRO TEST - MODULATION OF GLUTAMATE RELEASE IN A NEURON CELL CULTURE

A cell culture of neurons was incubated with tritiated glutamine during 3h in order to load them with radiolabelled glutamate. Glutamate is the most common neurotransmitter in the brain and its release is used as an estimated for acetylcholine release. The release of glutamate from the neurons is measured in order to compare the *in vitro* activities of the peptides.



Both peptides show a synergistic effect *in vitro*, confirming that their combination provides better efficacy than using one single peptide even at double concentration.

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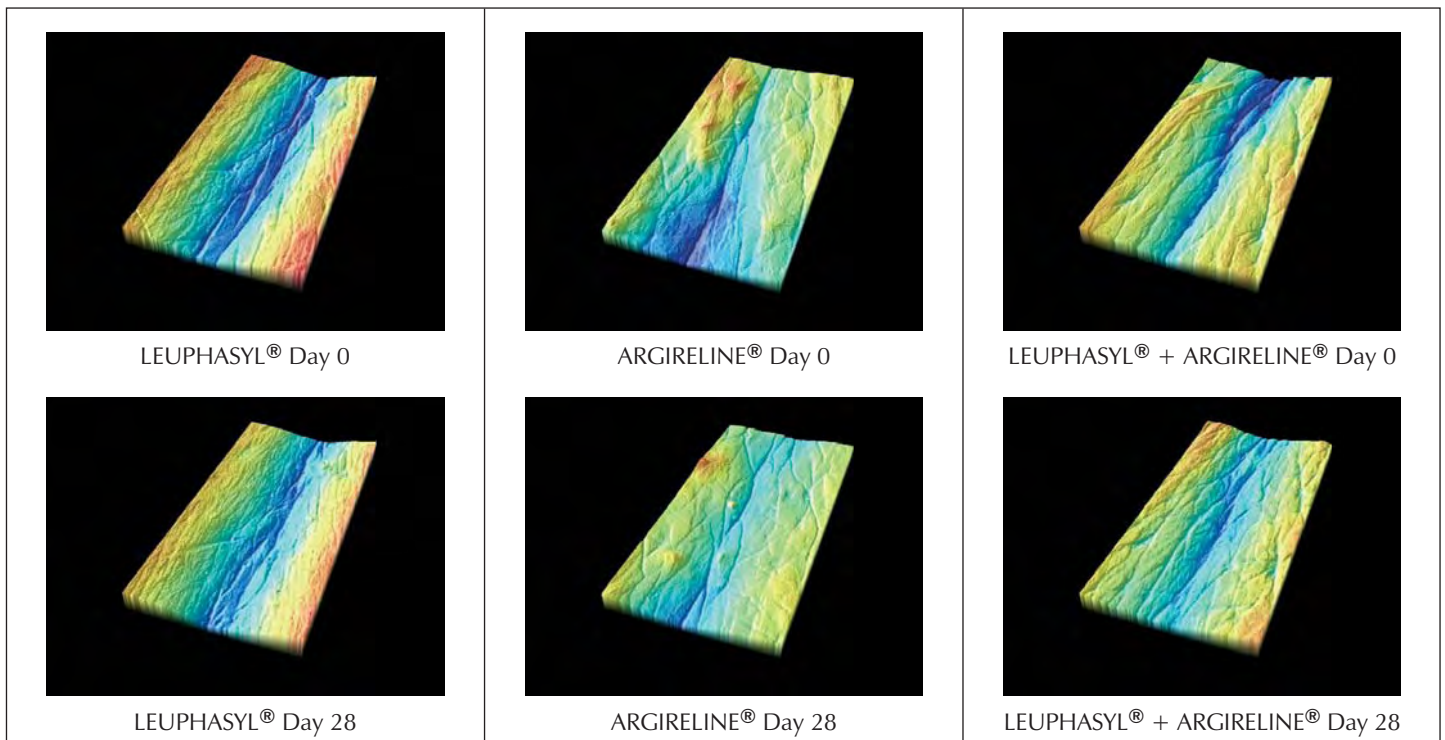
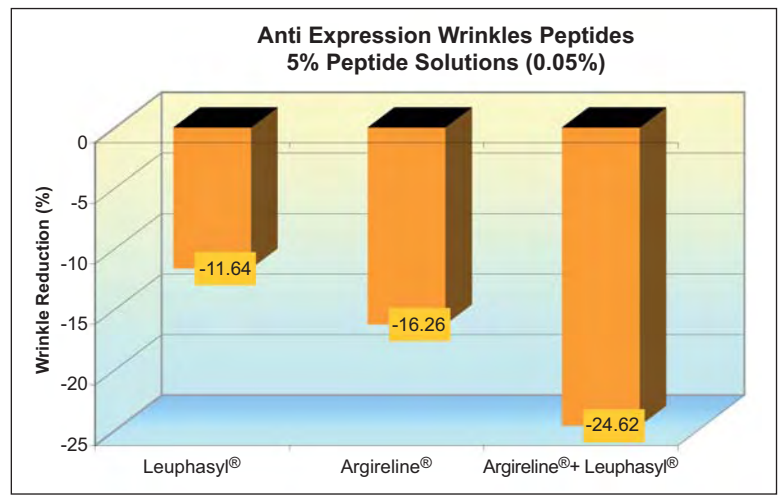
## IN VIVO TEST

Efficacy of **LEUPHASYL®** as an anti-wrinkle agent was evaluated by taking silicon imprints of the wrinkles around the eyes of healthy volunteers. These wrinkles are expression wrinkles. A cream containing 5% **LEUPHASYL®** Solution (0.05%) was applied twice daily around the eyes of 14 volunteers, aged 39 to 64, for 28 days. A **decrease of 11.64%** was accomplished, with maximum values up to -23.55%.

In order to prove an alternative mechanism to Lipotec's other expression anti-wrinkle, **ARGIRELINE®**, parallel tests were performed in order to compare both peptides. Tests were performed using a placebo cream.

A cream containing 5% **ARGIRELINE®** Solution (0.05%) was applied twice daily around the eyes of 14 volunteers, aged 39 to 63, for 28 days. A **decrease of 16.26%** was accomplished, with maximum values up to -31.80%.

A third test was performed using a combination of both actives in order to evaluate a possible synergy or additive effect. A cream containing 5% **ARGIRELINE®** Solution (0.05%) + 5% **LEUPHASYL®** Solution (0.05%) was applied twice daily around the eyes of 15 volunteers, aged 39 to 63, for 28 days. A **decrease of 24.62%** was accomplished, with maximum values up to -46.53%. Both peptides show additive effects due to their complementary mechanisms.



**Fig. 1.** Representative images of silicon replicas (dark blue colour indicates maximum depth – bright red colour indicates maximum height).