

CLINICAL DATA – ACETYL OCTAPEPTIDE-3

Description

Octapeptide that is an elongation of the Hexapeptide argireline, therefore it reduces expression wrinkles topically with an alternative mechanism to Botulinum toxin.

Properties

Acetyl Octapeptide-3 reduces the depth of wrinkles caused by the contraction of muscles for facial expression decreasing neuronal excitability by SNARE complex destabilisation.

Applications

Acetyl Octapeptide-3 can be incorporated in cosmetic formulations where removal of the deep lines and wrinkles in the forehead or around the eye area is desired.

Science

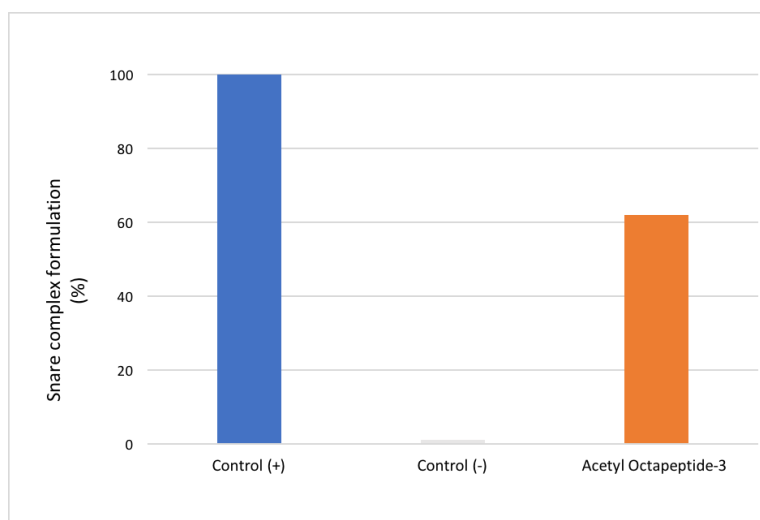
Muscles responsible for facial expression are contracted when they receive neurotransmitters released at the neuromuscular synapsis. An overstimulation of the facial muscles, due to an excess of these chemical signals, leads to a greater skin strain. After the age of 30, first wrinkles start to appear as a consequence of such muscle contractions.

The study of the basic biochemical mechanism of anti-wrinkle activity lead to the revolutionary Hexapeptide argireline, which has taken the cosmetic world by storm. This peptide competes with the native protein for a position in the SNARE complex, essential for the muscle contraction.

In vitro efficacy

1. Inhibition of SNARE complex formation.

To evaluate the antagonistic competitive efficacy of the peptide patterned after the SNAP-25 N-terminal domain compared to the native SNAP-25 (positive control), Acetyl Octapeptide-3 capacity to assemble with syntaxin and synaptobrevin forming the SNARE complex was measured. Heat and the consequent thermal decomposition was used as the negative control.

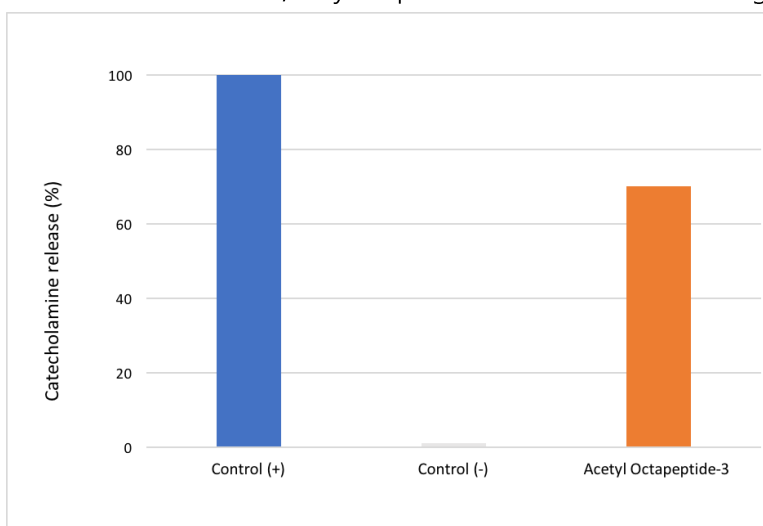


Acetyl Octapeptide-3 blocks the formation of the SNARE complex. The lower the formation of the SNARE complex *in vitro*, the higher the efficacy of the anti-wrinkle active.

2. Modulation of Catecholamine release in chromaffin cells

Inhibition in the release of catecholamines was determined by monitoring the neurotransmitters Adrenaline and Noradrenaline, by liquid scintillation counting. Chromaffin cells were incubated with these tritiated neurotransmitters and Acetyl Octapeptide-3 (100 μ M).

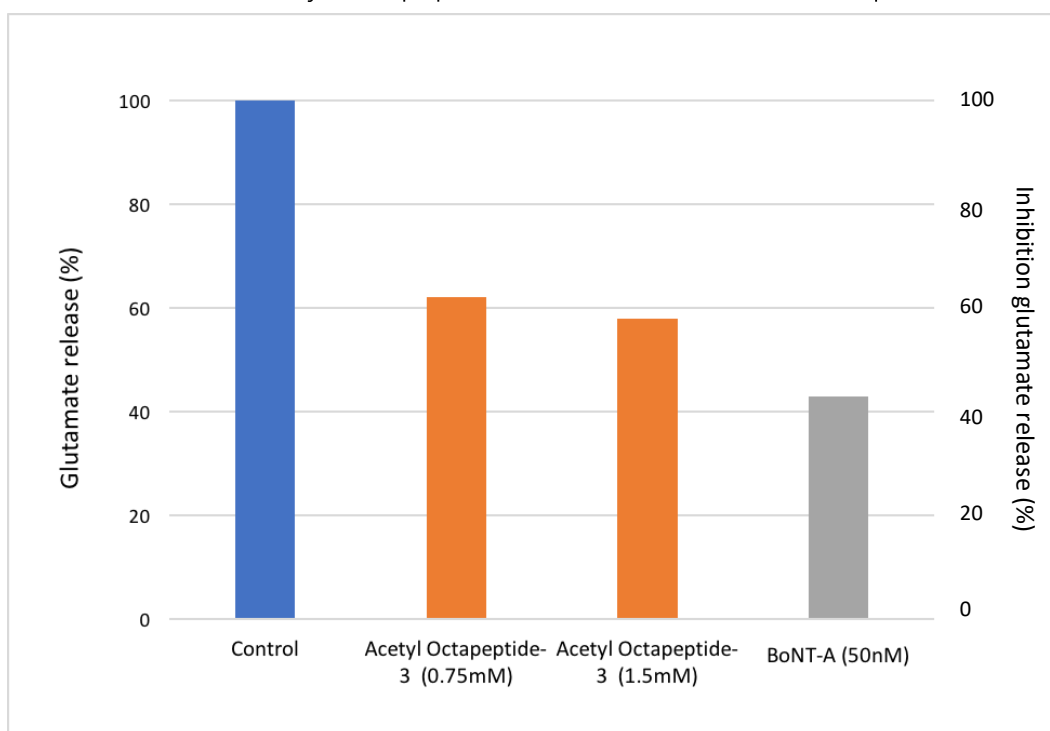
The significant modulation at μ M concentrations is a clear indicator of the potent anti-wrinkle activity of Acetyl Octapeptide-3.



3. Modulation of Glutamate release in a neuron cell culture.

Glutamate is the most excitatory 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 was measured to compare the *in vitro* activities of Acetyl Octapeptide-3 and Botulinum Toxin A (positive control).



Acetyl Octapeptide-3 glutamate release in a dose-dependent manner.

In vivo efficacy

Anti-wrinkle efficacy evaluation

Skin topography analysis were performed to measure the effectiveness of a cream containing 10% Acetyl Octapeptide-3 solution, applied twice a day. Silicon imprints were obtained from around the eyes of 17 women volunteers pre-test and after the 28 day treatment. Analyses of the imprints were performed by confocal profilometry. The maximum reduction value of wrinkle depth

