inyline®

peptide

A new strategy to escape from expression lines

Targets the post-synaptic pathway

Disrupts AChR clustering

Attenuates expression wrinkles

anti-wrinkles

Description
Hexapeptide that targets the agrin/MuSK post-synaptic pathway acting as a competitive antagonist of MuSK (Muscle-Specific Kinase) at the agrin binding site, to minimise muscle contraction reducing expression wrinkles.

Appearance
Translucent solution containing 0.05% active ingredient.

INCI
Water (Aqua), Acetyl Hexapeptide-30, Arginine, Caprylyl Glycol.

Preservative free.

Properties
inyline® *peptide* is a novel approach into the cosmetic treatment of expression wrinkles, blocking the agrin binding site in MuSK and its mechanism of action causes modulation of muscle contraction leading to muscle relaxation.

Applications
inyline® *peptide* can be incorporated into cosmetic formulations such as emulsions, gels, serums to attenuate expression wrinkles.

Science
Each type of wrinkles usually develops on specific skin regions, responding differently to cosmetic and dermatological treatments. Facial frequent movements involve contraction and since the skin is anchored on the muscles underneath, it suffers the consequences through the years. So the modulation of muscle contraction is the most reliable approach to fight expression wrinkles. Muscle contraction is a complex mechanism formed by a number of different pathways, classified into two stages, pre-synapsis and post-synapsis.
inyline® *peptide* tackles the post-synaptic strategy inactivating the formation of the agrin/MuSK complex, thus preventhing Acetylcholine Receptor (AChR) clustering. By avoiding agrin binding to its receptor MuSK, two events are expected: neither MuSK is activated nor β-AChR subunit is phosphorylated, which are both required for a functional AChR clustering.

Dosage 5%

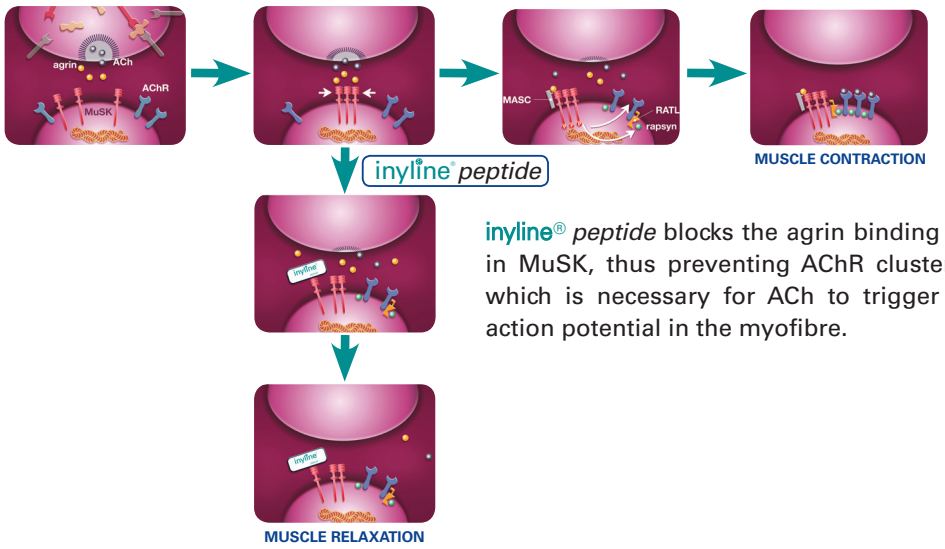
Solubility
Water soluble.

In vitro action mechanism

The agrin-MuSK-rapsyn-AChR cascade is at the core of post-synaptic Neuromuscular Junction (NMJ) formation. The release of agrin by motor neurons at the synapsis causes MuSK dimerisation.

MUSCLE CONTRACTION

Apart from activating MuSK tyrosine phosphorylation and AChR clustering, agrin also stimulates phosphorylation of the AChR β- and δ-subunits via downstream kinases. Acetylcholine (ACh) binds then to the receptor and a flux of sodium passes through the ion channels generating the action potential that leads to muscle contraction.

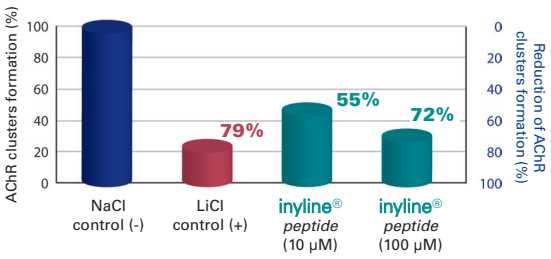


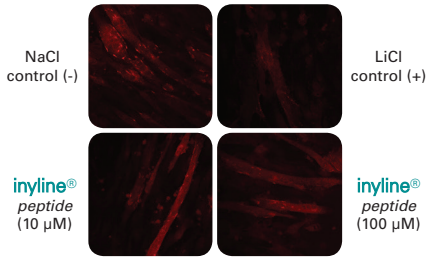
inyline® *peptide* blocks the agrin binding site in MuSK, thus preventing AChR clustering which is necessary for ACh to trigger the action potential in the myofibre.

In vitro efficacy

INHIBITION OF ACETYLCHOLINE RECEPTOR CLUSTERING

Efficacy of inyline® *peptide* was evaluated in an assay of competition with the C-terminal fragment of agrin for the induction of the aggregation of AChRs in cultured differentiated myoblasts. AChR clusters were visualised by treatment with α-bungarotoxin (AChR irreversible antagonist) conjugated to tetramethylrhodamine, and analysed with a fluorescence microscope and an appropriate software.





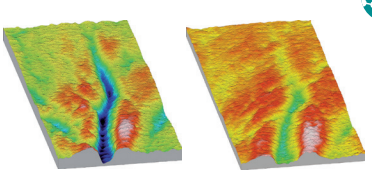
inyline® *peptide* specifically reduces AChR clustering, a key step in the post-synaptic functionality of the NMJ

72% inhibition was reached at 100 µM.

In vivo efficacy

ANTI-WRINKLE EFFICACY

A panel of 20 female volunteers aged 41 to 50 applied a cream containing 5% inyline® *peptide solution* in the crow's feet area twice daily. Measurements were taken before the test and after 28 days of treatment. Wrinkle depth was determined by means of PRIMOS technique.



A decrease in wrinkle depth of 14.9% demonstrates the ability of inyline® *peptide* to attenuate expression wrinkles

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