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ANTAGONIST OF TRPV1 RECEPTOR

THERAPEUTICS

Peptides that irreversibly inactivate TRPV1 and a method for delivering these peptides while limiting off-site toxicity.

TECHNOLOGY TYPE

Peptides
Central Nervous System
Nociception
Chronic Pain
Hyperalgesia

STAGE OF DEVELOPMENT

Proof of concept
demonstrated through testing
of TRPV1 activity.

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Reference Number: U-5087

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TECHNOLOGY SUMMARY

Transient Receptor Potential Vanilloid-1 (TRPV1) mediates pain and inflammation. Stimuli, such as heat, protons, and chemical ligands, generate action potentials that release neurotransmitters and neuroactive peptides to stimulate nerves causing a painful, burning sensation. Studies indicate inhibiting TRPV1 could suppress pain, as well as treat chronic pain and inflammatory hyperalgesia.

The proposed invention is a series of peptides that act as TRPV1 channel antagonists. These peptides are delivered to the TRPV1 channel using a carrier that prevents off-site toxicity, but still allows the antagonist to bind to the TRPV1 channel. The peptides can be delivered topically or intravenously for use in pain treatment.

FEATURES AND BENEFITS

- Inhibits TRPV1 activity.
- Alleviates chronic pain.
- Reduces side effects and off-site toxicity through increased binding site selectivity.

RECENT PUBLICATIONS

Lin, Z., Reilly, C.A., Antemano, R., Huguen, R W., Marett, L., Concepcion, G.P., Haygood, M.G., Olivera, B.M., Light, A., Schmidt, E.W. (2011). Nobilamides A–H, long-acting transient receptor potential vanilloid-1 (TRPV1) antagonists from mollusk-associated bacteria. *Journal of Medicinal Chemistry*. 54(11): 3746-3755. doi: [10.1021/jm101621u](https://doi.org/10.1021/jm101621u)

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