GsMTx4 TFA

Cat. No.: HY-P1410A

Molecular Formula: $C_{185}H_{273}N_{49}O_{45}S_6.xC_2HF_3O_2$

Sequence Shortening: GCLEFWWKCNPNDDKCCRPKLKCSKLFKLCNFSF-NH2 (Disulfide bridge:Cys2-Cys17, Cys 9-Cys17, Cys16-Cys30)

GCLEFWWKCNPNDDKCCRPKLKCSKLFKLCNFSF-NH_2
(Disulfide bridge:Cys2-Cys17, Cys9-Cys23, Cys16-Cys30) (TFA salt)

Target: TRP Channel; Piezo Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Sealed storage, away from moisture and light

Powder	-80°C	2 years
	-20°C	1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)

SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (Need ultrasonic)
DMSO : ≥ 50 mg/mL
* "≥" means soluble, but saturation unknown.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (Infinity mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GsMTx4 TFA is a spider venom peptide that selectively inhibits cationic-permeable mechanosensitive channels (MSCs) belonging to the Piezo and TRP channel families. GsMTx4 TFA also blocks cation-selective stretch-activated channels (SACs) , attenuates lysophosphatidylcholine (LPC)-induced astrocyte toxicity and microglial reactivity. GsMTx4 TFA is an important pharmacological tool for identifying the role of these excitatory MSCs in normal physiology and pathology[1][2][4].

IC_{50} & Target

MSCs[1]

In Vitro

GsMTx4 TFA (5 μM) reduces Piezo1-mediated charge transfer to 38% of its initial levels in HEK293 cells transfected with Piezo1 cDNA[1].
GsMTx4 TFA (5 μM) blocks cation-selective stretch-activated channels in astrocytes, cardiac cells, and smooth and skeletal muscle cells[2].
GsMTx4 TFA (2.5 μM, 16 h) significantly diminishes both the leptin-induced AMPK and MLC-2 phosphorylation in breast epithelial cells (MCF10A)[3].

GsMTx4 TFA (500 nM, 48 h) attenuates demyelination induced by the cytotoxic lipid and psychosine (organotypic cerebellar slices)[4].
?GsMTx4 TFA (5 μM, 12 h) suppresses neurogenesis and increases astrogenesis in human neural stem cells[5]
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	MCF10A cells
Concentration:	2.5 μM
Incubation Time:	16 h
Result:	Diminished both the leptin-induced AMPK and MLC-2 phosphorylation.

In Vivo

GsMTx4 TFA (stereotactic injection, 3 μM for 1 μL, a single dose) is neuroprotective and inhibits lysophosphatidylcholine-induced astrocyte toxicity and demyelination in the cerebral cortex[4].
GsMTx-4 TFA (intraperitoneal injection, 270 μg/kg for a single dose) reduces mechanical allodynia induced by inflammation and by sciatic nerve injury in Von Frey test[6].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6 mice (toxin-induced focal demyelination of cortical brain tissue)[4]
Dosage:	3 μM for 1 μL, a single dose.
Administration:	Stereotactic injection in the left and right cerebral hemispheres (sacrificed 4 days post-injection)
Result:	Prevented the enhanced increase in microglial reactivity and microglial cell numbers induced by lysophosphatidylcholine (LPC). Prevented LPC-mediated astrocyte toxicity by attenuating the decrease in GFAP+ cells and GFAP fluorescence intensity.

Animal Model:	Sciatic nerve injury model of male Sprague-Dawley rats[6]
Dosage:	270 μg/kg, a single dose
Administration:	Intraperitoneal injection
Result:	Reduced inflammation-evoked mechanical allodynia.

CUSTOMER VALIDATION

- Cell Discov. 2022 Sep 6;8(1):84.
- Neuron. 2022 Nov 8;S0896-6273(22)00954-0.
- Research (Wash D C). 2023 Jan 20.
- Materials Today Advances. 2023, 17: 100325.
- Hypertension. 2021 Sep;78(3):647-660.

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REFERENCES

[1]. Gnanasambandam R, et al. GsMTx4: Mechanism of Inhibiting Mechanosensitive Ion Channels. Biophys J. 2017 Jan 10;112(1):31-45.

[2]. T M Suchyna, et al. Identification of a peptide toxin from Grammostola spatulata spider venom that blocks cation-selective stretch-activated channels. J Gen Physiol. 2000 May;115(5):583-98.

[3]. Anna Acheva, et al. Adipokine Leptin Co-operates With Mechanosensitive Ca 2 +-Channels and Triggers Actomyosin-Mediated Motility of Breast Epithelial Cells. Front Cell Dev Biol. 2021 Jan 6;8:607038.

[4]. María Velasco-Estevez, et al. Inhibition of Piezo1 attenuates demyelination in the central nervous system. Glia. 2020 Feb;68(2):356-375.

[5]. Medha M Pathak, et al. Stretch-activated ion channel Piezo1 directs lineage choice in human neural stem cells. Proc Natl Acad Sci U S A. 2014 Nov 11;111(45):16148-53.

[6]. Seung Pyo Park, et al. A tarantula spider toxin, GsMTx4, reduces mechanical and neuropathic pain. Pain. 2008 Jul;137(1):208-217.

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