Apamin TFA

Cat. No.: HY-P0256A

Molecular Formula: $C_{81}H_{132}F_3N_{31}O_{26}S_4$

Molecular Weight: 2141.36

Sequence Shortening: CNCKAPETALCARRCQQH-NH2 (Disulfide bridge: Cys1-Cys11;Cys3-Cys15)

CNCKAPETALCARRCQQH-NH$_2$ (Disulfide bridge: Cys$_1$-Cys$_{11}$;Cys$_3$-Cys$_{15}$) (TFA salt)

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

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

SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (23.35 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.4670 mL	2.3350 mL	4.6699 mL
	5 mM	0.0934 mL	0.4670 mL	0.9340 mL
	10 mM	0.0467 mL	0.2335 mL	0.4670 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (46.70 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Apamin TFA (Apamine TFA) is an 18 amino acid peptide neurotoxin found in apitoxin (bee venom), is known as a specifically selective blocker of Ca^{2+}-activated K^+ (SK) channels and exhibits anti-inflammatory and anti-fibrotic activity[1].

IC_{50} & Target

K^+ channel[1]

In Vitro

Apamin (0.5-2 μg/mL; 24 hours; HSC-T6 cells) treatment markedly reduces the expression of α-SMA in the TGF-β1-induced HSC-T6 cells. Apamin treatment abrogats the activation of p-Smad2/3 and Smad4 induced by TGF-β1[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HSC-T6 cells

Concentration:	0.5 μg/mL, 1 μg/mL and 2 μg/mL
Incubation Time:	24 hours
Result:	Markedly reduced the expression of α-SMA in the TGF-β1-induced HSC-T6 cells. Abrogated the activation of p-Smad2/3 and Smad4 induced by TGF-β1.

In Vivo

Apamin (0.1 mg/kg; intraperitoneal injection; twice a week; for 4 weeks; C57BL/6 male mice) treatment results in decreased liver injury and proinflammatory cytokine levels. Apamin suppresses the deposition of collagen, proliferation of BECs and expression of fibrogenic genes in the 3,5-diethoxycarbonyl-1,4-dihydrocollidine (DDC)-fed mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	8-week-old C57BL/6 male mice (20-25 g) with DDC feeding[1]
Dosage:	0.1 mg/kg
Administration:	Intraperitoneal injection; twice a week; for 4 weeks
Result:	Resulted in decreased liver injury and proinflammatory cytokine levels. Suppressed the deposition of collagen, proliferation of BECs and expression of fibrogenic genes in the DDC-fed mice.

CUSTOMER VALIDATION

- Cell Calcium. 2022 Jun;104:102571.

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REFERENCES

[1]. Kim JY, et al. Apamin suppresses biliary fibrosis and activation of hepatic stellate cells. Int J Mol Med. 2017 May;39(5):1188-1194.

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