

Spadin TFA

Cat. No.:	HY-P1422A	
Molecular Formula:	C ₉₂ H ₁₄₃ F ₃ N ₂₆ O ₂₄	
Molecular Weight:	2126.36	
Sequence Shortening:	YAPLPRWSGPIGVSWGLR	YAPLPRWSGPIGVSWGLR (TFA salt)
Target:	Potassium Channel; 5-HT Receptor	
Pathway:	Membrane Transporter/Ion Channel; GPCR/G Protein; 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

DMSO : 100 mg/mL (47.03 mM; Need ultrasonic)
H₂O : 25 mg/mL (11.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	0.4703 mL	2.3514 mL	4.7029 mL
	5 mM	0.0941 mL	0.4703 mL	0.9406 mL
	10 mM	0.0470 mL	0.2351 mL	0.4703 mL

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 50 mg/mL (23.51 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (1.18 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (1.18 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (1.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Spadin TFA, a natural peptide derived from a propeptide released in blood, is a potent TREK-1 channel blocker with an IC₅₀ value of 10 nM. Spadin TFA enhances dorsal raphe nucleus 5-HT neurotransmission in mice and induces hippocampal CREB activation and neurogenesis. Spadin TFA can be used for antidepressant research^{[1][2]}.

In Vitro	<p>Spadin TFA (100 nM; COS-7 cells) has inhibitory effect of spadin on the TREK-1 channel and blocks 63% of the TREK-1 current stimulated by arachidonic acid^[1].</p> <p>Spadin TFA (100 nM) blocks the TREK-1 channels activity in CA3 hippocampal neurons on brain slices of wild-type mice^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																
In Vivo	<p>Spadin TFA (10 µM, 100 µL; i.p.; for 30 min; male C57Bl/6J and TREK-1 deficient mice) increases of the 5-HT neuron firing rate in the dorsal raphe nucleus (DRN)^[2].</p> <p>Spadin TFA (0.01-100 µM, 100 µL; ICV, i.p. and i.v.; daily, for 7 days; male C57Bl/6J and TREK-1 deficient mice) has anti-depressant behavior in mice^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 485 1516 722"> <tr> <td>Animal Model:</td> <td>Male C57Bl/6J and TREK-1 deficient mice^[2]</td> </tr> <tr> <td>Dosage:</td> <td>10 µM</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; for 30 min</td> </tr> <tr> <td>Result:</td> <td>Increased of the 5-HT neuron firing rate in the dorsal raphe nucleus (DRN).</td> </tr> </table> <table border="1" data-bbox="347 764 1516 1066"> <tr> <td>Animal Model:</td> <td>Male C57Bl/6J and TREK-1 deficient mice^[2]</td> </tr> <tr> <td>Dosage:</td> <td>0.01-100 µM</td> </tr> <tr> <td>Administration:</td> <td>Intracerebroventricular injection (100 nM), intraperitoneal injection (1-100 µM) and intravenous injection (0.01-1 µM); daily, for 7 days</td> </tr> <tr> <td>Result:</td> <td>Had any effect on mouse locomotion analyzed in short- or long-time after the drug injection.</td> </tr> </table>	Animal Model:	Male C57Bl/6J and TREK-1 deficient mice ^[2]	Dosage:	10 µM	Administration:	Intraperitoneal injection; for 30 min	Result:	Increased of the 5-HT neuron firing rate in the dorsal raphe nucleus (DRN).	Animal Model:	Male C57Bl/6J and TREK-1 deficient mice ^[2]	Dosage:	0.01-100 µM	Administration:	Intracerebroventricular injection (100 nM), intraperitoneal injection (1-100 µM) and intravenous injection (0.01-1 µM); daily, for 7 days	Result:	Had any effect on mouse locomotion analyzed in short- or long-time after the drug injection.
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CUSTOMER VALIDATION

- Biol Chem. 2023 Feb 14.

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REFERENCES

- [1]. Borsotto M, et, al. Targeting two-pore domain K(+) channels TREK-1 and TASK-3 for the treatment of depression: a new therapeutic concept. Br J Pharmacol. 2015 Feb;172(3):771-84.
- [2]. Mazella J, et, al. Spadin, a sortilin-derived peptide, targeting rodent TREK-1 channels: a new concept in the antidepressant drug design. PLoS Biol. 2010 Apr 13;8(4):e1000355.

Caution: Product has not been fully validated for medical applications. For research use only.

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