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Diagnostik & molekulare Diagnostik



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Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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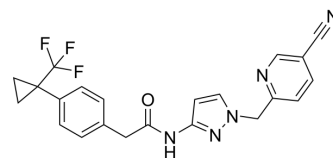
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Apinocaltamide

Cat. No.:	HY-112723		
CAS No.:	1838651-58-3		
Molecular Formula:	C ₂₂ H ₁₈ F ₃ N ₅ O		
Molecular Weight:	425.41		
Target:	Calcium Channel		
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (293.83 mM)

* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.3507 mL	11.7534 mL	23.5067 mL
	5 mM		0.4701 mL	2.3507 mL	4.7013 mL
	10 mM		0.2351 mL	1.1753 mL	2.3507 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (4.89 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (4.89 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (4.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Apinocaltamide (ACT-709478) is a potent, selective, orally active, and brain penetrating T-type calcium channel blocker. ACT-709478 is used in the research of generalized epilepsies^[1].

IC₅₀ & Target

Ca _v 1.2 2410 nM (IC ₅₀)	Ca _v 3.1 6.4 nM (IC ₅₀)	Ca _v 3.2 18 nM (IC ₅₀)	Ca _v 3.3 7.5 nM (IC ₅₀)
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In Vitro	Apinocaltamide (Compound 66b) blocks $Ca_v3.1$, $Ca_v3.2$, $Ca_v3.3$, $Ca_v1.2$ with IC_{50}s of 6.4, 18, 7.5 and 2410 nM, respectively. Apinocaltamide blocks recombinant channel $hCa_v3.3$ potently with marked voltage-dependency ($K_r \approx 1500$ nM and $K_i \approx 20$ nM). Apinocaltamide blocks currents through $hK_v11.1$-hERG channels with an IC_{50} of $5.5 \mu M$^[1]. Apinocaltamide also inhibits P450 enzymes with IC_{50}s of 14, 15, 22, 25, 51 and 52 μM for CYP2C8, CYP2D6, CYP2C9, CYP2C19, CYP3A4, and CYP2B6, respectively^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
In Vivo	Apinocaltamide (Compound 66b, 100, 300 mg/kg, p.o., measured 12 hours later) potently decreases the cumulative duration of absence-like seizures in mice^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. <table border="1" data-bbox="347 483 1515 753"> <tr> <td>Animal Model:</td><td>Male juvenile DBA/2J mice (22-24 days old)^[1]</td></tr> <tr> <td>Dosage:</td><td>100, 300 mg/kg, 1 hour or 3 hours before exposure to the stimulus.</td></tr> <tr> <td>Administration:</td><td>P.O., for 12 hours</td></tr> <tr> <td>Result:</td><td>Decreased the cumulative duration of absence-like seizures over the next 12 h period by 93%.</td></tr> </table>	Animal Model:	Male juvenile DBA/2J mice (22-24 days old) ^[1]	Dosage:	100, 300 mg/kg, 1 hour or 3 hours before exposure to the stimulus.	Administration:	P.O., for 12 hours	Result:	Decreased the cumulative duration of absence-like seizures over the next 12 h period by 93%.
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Result:	Decreased the cumulative duration of absence-like seizures over the next 12 h period by 93%.								

REFERENCES

[1]. Bezençon O, et al. Discovery of a Potent, Selective T-type Calcium Channel Blocker as a Drug Candidate for the Treatment of Generalized Epilepsies. J Med Chem. 2017 Dec 14;60(23):9769-9789.

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

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