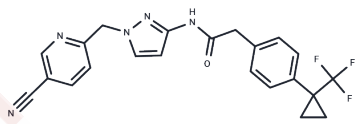
Data Sheet (Cat.No.T14120)

TargetMol

Apinocaltamide

Chemical Properties



CAS No. : 1838651-58-3

Formula: C22H18F3N5O

Molecular Weight: 425.41

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Apinocaltamide (ACT-709478) is an orally available and selective T-type calcium channel blocker that penetrates the blood-brain barrier (BBB) with IC50=6.4-18nM for Cav3.1, Cav3.2, and Cav3.3, and is commonly used in epilepsy research.
Targets(IC50)	Calcium Channel
In vitro	Apinocaltamide (Compound 66b) blocks Cav3.1, Cav3.2, Cav3.3, Cav1.2 with IC50s of 6.4, 18, 7.5 and 2410 nM, respectively. Apinocaltamide also inhibits P450 enzymes with IC50s of 14, 15, 22, 25, 51 and 52 μM for CYP2C8,CYP2D6 CYP2C9, CYP2C19, CYP3A4, and CYP2B6, respectively[1]. Apinocaltamide blocks currents through hKv11.1-hERG channels with an IC50 of 5.5 μM[1]. Apinocaltamide blocks recombinant channel hCav3.3 potently with marked voltage-dependency (Kr≈1500 nM and Ki≈20 nM)[1].
In vivo	In male juvenile DBA/2J mice (22-24 days old), oral administration of Apinocaltamide (100 or 300mg/kg, given 1 or 3 hours prior to stimulation) significantly reduced the cumulative duration of absence-like seizures over the following 12 hours by 93%, indicating strong anti-absence seizure efficacy[1].

Solubility Information

Solubility	DMSO: 120 mg/mL (282.08 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (7.76 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.047 mL	0.2351 mL	0.4701 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.