ACT-709478

Chemical Properties

CAS No.:	1838651-58-3
Formula：	C22H18F3N5O
Molecular Weight：	425.41
Appearance:	N/A
Storage：	0-4℃ for short term (days to weeks), or -20℃ for long term (months).
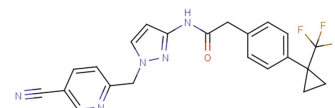
Biological Description

Description	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].
Targets(IC$_{50}$)	Cav3.1: 6.4 nM Cav3.2: 18 nM Cav3.3: 7.5 nM Cav1.2: 2410 nM
In vitro	ACT-709478 (Compound 66b) blocks Cav3.1, Cav3.2, Cav3.3, Cav1.2 with IC50s of 6.4, 18, 7.5 and 2410 nM, respectively. ACT-709478 blocks recombinant channel hCav3.3 potently with marked voltage-dependency (Kr≈1500 nM and Ki≈20 nM). ACT-709478 blocks currents through hKv11.1-hERG channels with an IC50 of 5.5 μM[1]. ACT-709478 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].
In vivo	ACT-709478 (100, 300 mg/kg, p.o., 12 hours) can decreas the cumulative duration of absence-like seizures in mice[1].

Solubility Information

Solubility	DMSO: 125 mg/mL (293.83 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.351 mL	11.753 mL	23.507 mL
5 mM	0.47 mL	2.351 mL	4.701 mL
10 mM	0.235 mL	1.175 mL	2.351 mL
50 mM	0.047 mL	0.235 mL	0.47 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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.

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