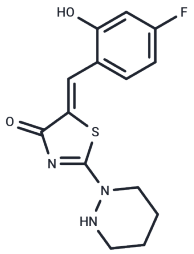
Data Sheet (Cat.No.T14984)

TargetMol

CLP257

Chemical Properties



CAS No. : 1181081-71-9

Formula: C14H14FN3O2S

Molecular Weight: 307.34

Storage: Powder: -20°C for 3 years
Actual storage temperature shall be subject to the COA.

Biological Description

Description	CLP257 is a selective K+-Cl– cotransporter KCC2 activator (EC50: 616 nM) and it is inactive against NKCC1, GABAA receptors, KCC1, KCC3 or KCC4. CLP257 alleviates hypersensitivity in rats with neuropathic painc and it also modulates plasmalemmal KCC2 protein turnover post-translationally. CLP257 restores impaired Cl– transport in neurons with diminished KCC2 activity.
Targets(IC50)	Potassium Channel
In vitro	Oocyte pre-incubation with CLP257 (200 nM) increases KCC2 transport activity by 61%. However, it causes no change in other CCCs. There is no change in [Cl?]i in HEK293-cl cells when incubated with CLP257. CLP257 (50 μM) provokes < 0.2% of the effect of 5 μM muscimol in CHO cells transduced with recombinant α1β2γ2 GABAA receptors. Dose-dependent antagonism is also observed between CLP257 and the recently characterized KCC2 antagonist VU024055119 [1].
In vivo	CLP257 (100?mg/kg; i.p.; adult male rats) treatment causes an obvious increase in mechanical sensitivity [2].

Solubility Information

Solubility	DMSO: 17.86 mg/mL (58.11 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: 1 mg/mL (3.25 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	3.2537 mL	16.2686 mL	32.5373 mL
5 mM	0.6507 mL	3.2537 mL	6.5075 mL
10 mM	0.3254 mL	1.6269 mL	3.2537 mL
50 mM	0.0651 mL	0.3254 mL	0.6507 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

Gagnon M, et al. Chloride extrusion enhancers as novel therapeutics for neurological diseases. Nat Med. 2013 Nov; 19(11):1524-8.

Ferrini F, et al. Enhancing KCC2 function counteracts morphine-induced hyperalgesia. Sci Rep. 2017 Jun 20;7(1): 3870.