CLP257

Cat. No.: HY-110143

CAS No.: 1181081-71-9

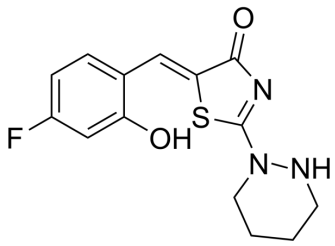
Molecular Formula: $C_{14}H_{14}FN_3O_2S$

Molecular Weight: 307.34

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

Storage: Powder -20°C 3 years
4°C 2 years
* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 17.86 mg/mL (58.11 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.79 mg/mL (5.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 1.79 mg/mL (5.82 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

CLP257 is a selective K^+-Cl^- cotransporter KCC2 activator with an EC_{50} of 616 nM. CLP257 is inactive against NKCC1, GABAA receptors, KCC1, KCC3 or KCC4. CLP257 restores impaired Cl^- transport in neurons with diminished KCC2 activity. CLP257 alleviates hypersensitivity in rats with neuropathic pain. CLP257 modulates plasmalemmal KCC2 protein turnover post-translationally[1][2].

IC_{50} & Target

EC50: 616 nM (KCC2)[1]

In Vitro

There is no change in $[Cl^-]_i$ in HEK293-cl cells when incubated with CLP257, indicating inactivity on NKCC1, KCC1, KCC3 or KCC4. Oocyte pre-incubation with CLP257 (200 nM) increases KCC2 transport activity by 61%, but causes no change in other CCCs. Functional, dose-dependent antagonism is also observed between CLP257 and the recently characterized KCC2 antagonist VU024055119. CLP257 (50 μM) provokes < 0.2% of the effect of 5 μM muscimol in CHO cells transduced with

recombinant α1β2γ2 GABAA receptors, indicating negligible agonist activity of CLP257 on GABAA receptors[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

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

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