BI-9627 hydrochloride

Cat. No.: HY-18071A

CAS No.: 1422252-46-7

Molecular Formula: $C_{16}H_{20}ClF_3N_4O_2$

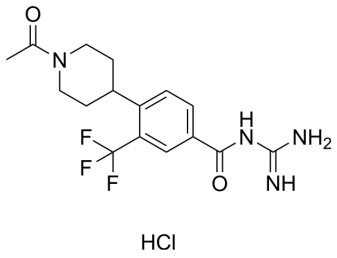
Molecular Weight: 392.8

Target: Na+/H+ Exchanger (NHE)

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (254.58 mM; Need ultrasonic)

H_2O : 4.17 mg/mL (10.62 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	2.5458 mL	12.7291 mL	25.4583 mL
5 mM	0.5092 mL	2.5458 mL	5.0916 mL
10 mM	0.2546 mL	1.2729 mL	2.5458 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: ≥ 2.5 mg/mL (6.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.36 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BI-9627 hydrochloride is potent sodium-hydrogen exchanger isoform 1 (NHE1) inhibitor, with IC50s of 6 and 31 nM in intracellular pH recovery (pHi) and human platelet swelling assays, respectively. BI-9627 hydrochloride displays >30-fold selectivity against NHE2 and with no measurable inhibitory activity against the NHE3 isoform. BI-9627 hydrochloride shows low DDI (agent-agent interaction) potential, excellent pharmacokinetics in rat and dog, and remarkably potent activity in the isolated heart model of ischemia-reperfusion injury[1].

IC_{50} & Target

IC50: 6 nM (NHE1 in intracellular pH recovery assay), 31 nM (NHE1 in human platelet swelling assay)[1]

REFERENCES

[1]. Huber JD, et al. Identification of a potent sodium hydrogen exchanger isoform 1 (NHE1) inhibitor with a suitable profile for chronic dosing and demonstrated cardioprotective effects in a preclinical model of myocardial infarction in the rat. J Med Chem. 2012;55(16):7114-7140.

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