Tenapanor

Cat. No.: HY-15991

CAS No.: 1234423-95-0

Molecular Formula: $C_{50}H_{66}Cl_4N_8O_{10}S_2$

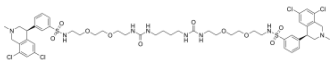
Molecular Weight: 1145.05

Target: Sodium Channel

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (43.67 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8733 mL	4.3666 mL	8.7332 mL
	5 mM	0.1747 mL	0.8733 mL	1.7466 mL
	10 mM	0.0873 mL	0.4367 mL	0.8733 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 (2.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (2.18 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.18 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (2.18 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Tenapanor is an inhibitor of the Na^+/H^+ exchanger NHE3 with IC_{50} values of 5 and 10 nM against human and Rat NHE3, respectively.

IC_{50} & Target

IC50: 5 nM (NHE3, human), 10 nM (NHE3, rat)[1]

In Vitro	Tenapanor exhibits human and rat NHE3 with IC_{50} values of 5 and 10 nM, respectively. Human intestinal transporters NHE1, NHE2, TGR5, ASBT, and Pit-1 and the sodium-dependent phosphate transporter NaPiIIb are not inhibited by tenapanor at concentrations up to 10 to 30 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tenapanor plays a prominent role in sodium handling in the gastrointestinal tract and kidney. It acts exclusively in the gastrointestinal tract to inhibit sodium uptake when administered orally to rats. Average plasma C_{max} values of tenapanor in rats and humans are less than 1 ng/mL with negligible area under the curve at doses of up to 30mg/kg in rats, 10mg/kg in dogs, and 900 mg in humans. Dose-dependent reductions in urinary sodium and increases in fecal sodium and luminal fluid mass are observed upon administering single doses of tenapanor to rats. Chronic administration of tenapanor to rats fed with standard chow (0.49% NaCl) causes a sustained reduction of urinary sodium and increase in fecal sodium[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats: For urinary and fecal sodium assessments, 8-week-old Sprague-Dawley rats are randomized into groups before oral administration of vehicle or tenapanor (10ml/kg). After 16 to 24 hours, collected excreta are analyzed for electrolytes by ion chromatography. In normal rats, tenapanor doses ranges from 0.1 to 10 mg/kg. Higher doses within this range (1 to 10 mg/kg) are used to evaluate aldosterone levels and serum bicarbonate; lower doses (0.1 to 3 mg/kg) are used to evaluate urine electrolytes as well as other electrolytes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Spencer AG, et al. Intestinal inhibition of the Na+/H+ exchanger 3 prevents cardiorenal damage in rats and inhibitsNa+ uptake in humans.

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