
Product Data Sheet

Product Name: Tenapanor

Cat. No.: GC19352

Chemical Properties

Cas. No. 1234423-95-0

O=C(NCCOCCOCCNS(=O)

SMILES (C1=CC=CC([C@@H]2CN(C)CC3=C2C=C(Cl)C=C3Cl)=C1)=O)NCCCCNC(NCCOCCOCCNS(=O)
(C4=CC=CC([C@@H]5CN(C)CC6=C5C=C(Cl)C=C6Cl)=C4)=O)=O

Formula C₅₀H₆₆Cl₄N₈O₁₀S₂

M.Wt 1145.05

Solubility DMSO : 50 mg/mL (43.67 mM); Water : < 0.1 mg/mL (insoluble) Storage Store at -20°C

General For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue Condition ice upon request.

Structure

Protocol

Animal experiment:

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].

References:

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

Background

Caution: Product has not been fully validated for medical applications. For research use only.

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Tenapanor is an inhibitor of the Na⁺/H⁺ exchanger NHE3 with IC₅₀ values of 5 and 10 nM against human and Rat NHE3, respectively.

Tenapanor exhibits human and rat NHE3 with IC₅₀ 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].

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].

References:

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