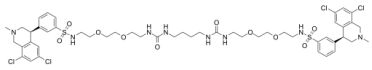
Data Sheet

Product Name:	Tenapanor
Cat. No.:	CS-6273
CAS No.:	1234423-95-0
Molecular Formula:	C50H66Cl4N8O10S2
Molecular Weight:	1145.05
Target:	Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
Solubility:	H2O : < 0.1 mg/mL (insoluble); DMSO : 50 mg/mL (43.67 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Tenapanor is prepared in water.[1]Rat: 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 inhibitsNa+ uptake in humans.

CAIndexNames:

12,15-Dioxa-2,7,9-triazaheptadecanamide, 17-[[[3-[(4S)-6,8-dichloro-1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl]phenyl]sulfonyl]amino]-N-[2-[2-[2-[[[3-[(4S)-6,8-dichloro-1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl]phenyl]sulfonyl]amino]ethoxy]ethoxy]ethyl]-8-oxo-

SMILES:

O=C(NCCOCCOCCNS(=O)(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

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

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