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产品名称：Tenapanor
产品别名：AZD1722; RDX5791

生物活性：

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].
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].
Solvent&Solubility	***In Vitro:*** **DMSO : 50 mg/mL (43.67 mM;** Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (2.18 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (2.18 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (2.18 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (2.18 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (2.18 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (2.18 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Spencer AG, et al. Intestinal inhibition of the Na+/H+ exchanger 3 prevents cardiorenal damage in rats and inhibitsNa+ uptake in humans.

实验参考：

Animal Administration	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 inhibitsNa+ uptake in humans.