产品名称：CFTRinh-172
产品别名：CFTR(inh)-172

生物活性：

Description	CFTR(inh)-172 is a potent and selective blocker of the CFTR chloride channel; reversibly inhibits CFTR short-circuit current in less than 2 minutes with a Ki of 300 nM.
IC_{50} & Target	Ki: 300 nM (CFTR)[1]
In Vitro	Inhibition by CFTR(inh)-172 is complete in approximately 10 minutes (t1/2=4 minutes) and is reversed after ishout with t1/2 approximately 5 minutes. CFTRinh-172 is nontoxic to FRT cells after 24 hours at concentrations up to 100 μM[1]. CFTR(inh)-172 does not alter CFTR unitary conductance (8 pS), but reduces open probability by > 90% with Ki=0.6 μM. This effect is due to increased mean channel closed time without changing mean channel open time. The Ki values for inhibition of Cl- current in wild-type, G551D, and G1349D CFTR are about 0.5 μM; however, Ki is significantly reduced to 0.2 μM for vF508 CFTR[2].
In Vivo	A single intraperitoneal injection of CFTR(inh)-172 (250 μg/kg) in mice reduces by more than 90% cholera toxin–induced fluid secretion in the small intestine over 6 hours. CFTR(inh)-172 is nontoxic at high concentrations in mouse models. CFTRinh-172 significantly reduces fluid secretion to that in saline control loops, whereas an inactive CFTRinh-172 analog does not inhibit fluid secretion[1].
Solvent&Solubility	***In Vitro:*** **DMSO : 50 mg/mL** (**122.13 mM;** Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4426 mL	12.2130 mL	24.4260 mL
5 mM	0.4885 mL	2.4426 mL	4.8852 mL
10 mM	0.2443 mL	1.2213 mL	2.4426 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 (6.11 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (6.11 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 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% corn oil

Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (6.11 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]. Ma T, et al. Thiazolidinone CFTR inhibitor identified by high-throughput screening blocks cholera toxin-induced intestinal fluid secretion. J Clin Invest. 2002 Dec;110(11):1651-8. [2]. Taddei A, et al. Altered channel gating mechanism for CFTR inhibition by a high-affinity thiazolidinone blocker. FEBS Lett. 2004 Jan 30;558(1-3):52-6.

实验参考：

Cell Assay	CFTR(inh)-172 is diluted in DMSO as a 10 mM stock solution and diluted with appropriate medium. Fischer rat thyroid (FRT) cells coexpressing human wild-type CFTR and the halide indicator YFP-H148Q are generated. Cell toxicity is assayed by the dihydrorhodamine method at 24 hours after cell incubation with 0–1,000 μM inhibitor CFTR(inh)-172[1].
Animal Administration	Mice: Animal toxicity is assessed by measurement of serum chemistries and hematology in mice at 5 days after daily intraperitoneal injections with 0-1,000 μg/kg CFTR(inh)-172[1].
References	[1]. Ma T, et al. Thiazolidinone CFTR inhibitor identified by high-throughput screening blocks cholera toxin-induced intestinal fluid secretion. J Clin Invest. 2002 Dec;110(11):1651-8. [2]. Taddei A, et al. Altered channel gating mechanism for CFTR inhibition by a high-affinity thiazolidinone blocker. FEBS Lett. 2004 Jan 30;558(1-3):52-6.