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产品名称：BPO-27 (racemate)
产品别名：BPO-27 (racemate)

生物活性：

Description	BPO-27 racemate is a potent CFTR inhibitor with an IC_{50} of 8 nM.
IC_{50} & Target	IC50: 8 nM[1]
In Vitro	The benzopyrimido-pyrrolo-oxazinedione BPO-27 is an analogue of PPQ-102, which inhibits CFTR with an IC_{50} of 8 nM. The R enantiomer of BPO-27 inhibits CFTR chloride conductance with an IC_{50} of 4 nM, while S enantiomer is inactive. *In vitro* metabolic stability in hepatic microsomes shows both enantiomers as stable, with less than 5% metabolism in 4 h[1]. (R)-BPO-27 binds near the canonical ATP binding site. Whole-cell patch-clamp studies shows linear CFTR currents with a voltage-independent (R)-BPO-27 block mechanism. At a concentration of (R)-BPO-27 that inhibits CFTR chloride current by 50%, the EC_{50} for ATP activation of CFTR increases from 0.27 to 1.77 mM[2].
In Vivo	Following bolus interperitoneal administration in mice, serum (R)-1 decays with $t_{1/2} \approx 1.6$ h and gives sustained therapeutic concentrations in kidney[1].
Solvent&Solubility	***In Vitro:*** **DMSO : 6 mg/mL (10.94 mM;** Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8237 mL	9.1184 mL	18.2369 mL
5 mM	0.3647 mL	1.8237 mL	3.6474 mL
10 mM	0.1824 mL	0.9118 mL	1.8237 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。

References	[1]. Snyder DS, et al. Absolute Configuration And Biological Properties of Enantiomers of CFTR Inhibitor BPO-27. ACS Med Chem Lett. 2013 May 9;4(5):456-459. [2]. Kim Y, et al. Benzopyrimido-pyrrolo-oxazine-dione (R)-BPO-27 Inhibits CFTR Chloride Channel Gating by Competition with ATP. Mol Pharmacol. 2015 Oct;88(4):689-96.

实验参考：

Cell Assay	Whole-cell recordings are done on CFTR-expressing CHO-K1 cells. After establishing the whole-cell configuration, BPO-27 is added for 5 minutes, and then CFTR is activated by the addition of forskolin (10 μM) in the continued presence of BPO-27 (0.5 or 1 μM). Whole-cell currents are elicited by applying hyperpolarizing and depolarizing voltage pulses from a holding potential of 0 mV to potentials between +80 and −80 mV in steps of 20 mV. Recordings are made at room temperature using an Axopatch-200B. Currents are digitized with a Digidata 1440A converter and filtered at 5 kHz[2].
	Mice: (R)-BPO-27 is formulated at 1 mg/mL in 5% DMSO, 2.5% Tween-80 and 2.5% PEG400 in water. Male mice in a CD1 genetic background are administered 300 μL of the (R)-BPO-27

Animal Administration	formulation by intraperitoneal injection. At specified times, blood samples are collected by eye bleed. At 4 h, kidneys are removed following renal arterial perfusion with PBS. Kidneys are weighed, mixed with acetic acid and homogenized for analysis[1].
References	[1]. Snyder DS, et al. Absolute Configuration And Biological Properties of Enantiomers of CFTR Inhibitor BPO-27. ACS Med Chem Lett. 2013 May 9;4(5):456-459. [2]. Kim Y, et al. Benzopyrimido-pyrrolo-oxazine-dione (R)-BPO-27 Inhibits CFTR Chloride Channel Gating by Competition with ATP. Mol Pharmacol. 2015 Oct;88(4):689-96.