产品名称：西沙必利
产品别名：(±)-Cisaprid; R 51619；Cisapride

生物活性：

Description

Cisapride(R 51619) is a nonselective 5-HT4 receptor agonist, it is also a potent hERG potassium channel inhibitor. IC50 Value: 0.14 μM(EC50 for 5-HT4 receptor) [1]; 9.8 μM (Kv4.3) [2] Target: 5-HT4 Receptor in vitro: Cisapride showed higher inhibitory effects on a hERG current, as indicated by its IC50 of 9.4 × 10-9 M [1]. cisapride on cloned Kv4.3 channels stably expressed in Chinese hamster ovary cells were investigated using the whole-cell patch-clamp technique. Cisapride inhibited Kv4.3 in a concentration-dependent manner with IC50 values of 9.8 uM [2]. in vivo: Cisapride (1 mg/kg i.v.), when administered 10 min after the start of GR113808 infusion, did not stimulate either antral or colonic motor activity under treatment with GR113808. The enhanced antral or colonic motor activity induced by these drugs was antagonized by treatment with GR113808 in dogs [3]. cisapride could not bring about more colitis damages through 5HT(4) receptors. Based on the present study further researches are required for investigating the exact roles of 5HT(4) receptors in the pathogenesis of ulcerative colitis[4]. Toxicity: cardiac arrythmies.

Solvent&Solubility

In Vitro:

DMSO : 100 mg/mL (**214.62 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1462 mL	10.7308 mL	21.4615 mL
	5 mM	0.4292 mL	2.1462 mL	4.2923 mL
	10 mM	0.2146 mL	1.0731 mL	2.1462 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 (5.37 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.37 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% corn oil

Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.37 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]. Toga, T., Y. Kohmura, and R. Kawatsu, The 5-HT(4) agonists cisapride, mosapride, and CJ-033466, a Novel potent compound, exhibit different human ether-a-go-go-related gene (hERG)-blocking activities. J Pharmacol Sci, 2007. 105(2): p. 207-10. [2]. Sung, K.W. and S.J. Hahn, Effect of mosapride on Kv4.3 potassium channels expressed in CHO cells. Naunyn Schmiedebergs Arch Pharmacol, 2013. 386(10): p. 905-16. [3]. Mine, Y, et al. Comparison of effect of mosapride citrate and existing 5-HT4 receptor agonists on gastrointestinal motility in vivo and in vitro. J Pharmacol Exp Ther, 1997. 283(3): p. 1000-8. [4]. Motavallian, A, et al., Does Cisapride, as a 5HT(4) Receptor Agonist, Aggravate the Severity of TNBS-Induced Colitis in Rat. Gastroenterol Res Pract, 2012. 2012: p. 362536.