上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Tofogliflozin (hydrate)
产品别名：托格列净一水合物； CSG-452 hydrate

生物活性：

Description	Tofogliflozin hydrate (CSG-452 hydrate) is a potent and highly specific sodium/glucose cotransporter 2 (SGLT2) inhibitor with an IC50 of 2.9 nM and Ki values of 2.9 nM, 14.9 nM, and 6.4 nM for human, rat, and mouse SGLT2[1]. Tofogliflozin partially inhibits high glucose-induced reactive oxyen species (ROS) generation in tubular cells[2].	
IC_{50} & Target	IC50: 2.9 nM (SGLT2); Ki: 2.9 nM (human SGLT2), 14.9 nM (rat SGLT2), and 6.4 nM (mouse SGLT2)[1]	
In Vitro	Tofofloxacin (3-30 nM; 24 hours; tubular epithelial cells) treatment inhibits the oxidative stress generation and monocyte chemoattractant protein-1 (MCP-1) gene expression in tubular cells induced by high glucose[2]. Tofofloxacin (3-30 nM; 8 days; tubular epithelial cells) treatment inhibits the apoptotic cell death induced by high glucose[2].	
	RT-PCR[2]	
	Cell Line:	Tubular epithelial cells
	Concentration:	3 nM and 30 nM
	Incubation Time:	24 hours
	Result:	Inhibited MCP-1 gene expression in tubular cells induced by high glucose exposure.
	Apoptosis Analysis[2]	
	Cell Line:	Tubular epithelial cells
	Concentration:	3 nM and 30 nM
	Incubation Time:	8 days
	Result:	Inhibited the apoptotic cell death induced by high glucose.
In Vivo	Tofogliflozin (0.1-10 mg/kg; oral administration; once daily; for 4 weeks; db/db mice) treatment improves hyperglycemia and thereby ameliorated glucose intolerance of the obese diabetic mice[1].	
	Animal Model:	*db/db* mice[1]
	Dosage:	0.1 mg/kg, 0.3 mg/kg, 1 mg/kg, 3 mg/kg, or 10 mg/kg
	Administration:	Oral administration; once daily; for 4 weeks
	Result:	Observed acute blood glucose reduction, dose-dependently reduced glycated hemoglobin, significantly prevented the decrease of IRI levels at doses of 3 and 10 mg/kg, and no difference in food intake or body weight.

In Vitro:

DMSO : ≥ 100 mg/mL (247.25 mM)

H_2O : 0.33 mg/mL (0.82 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.4725 mL	12.3625 mL	24.7249 mL
	5 mM	0.4945 mL	2.4725 mL	4.9450 mL
	10 mM	0.2472 mL	1.2362 mL	2.4725 mL

Solvent&Solubility	*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 (6.18 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.18 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 (6.18 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.18 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 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 (6.18 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.18 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]. Suzuki M, et al. Tofogliflozin, a potent and highly specific sodium/glucose cotransporter 2 inhibitor, improves glycemic control in diabetic rats and mice. J Pharmacol Exp Ther. 2012 Jun;341(3):692-701. [2]. Ishibashi Y, et al. Tofogliflozin, A Highly Selective Inhibitor of SGLT2 Blocks Proinflammatory and Proapoptotic Effects of Glucose Overload on Proximal Tubular Cells Partly by Suppressing Oxidative Stress Generation. Horm Metab Res. 2016 Mar;48(3):191-5.