产品名称：Canagliflozin (hemihydrate)
产品别名：卡格列净半水合物 ；JNJ 28431754 (hemihydrate)

生物活性：

Description	Canagliflozin hemihydrate (JNJ28431754 hemihydrate) is a selective SGLT2 inhibitor with IC50s of 2 nM, 3.7 nM, and 4.4 nM for mSGLT2, rSGLT2, and hSGLT2 in CHOK cells, respectively[1].
IC_{50} & Target	IC50: 2/3.7/4.4 nM (mSGLT2/rSGLT2/hSGLT2, in CHOK cells)[1]
In Vitro	Canagliflozin inhibits Na+-dependent 14C-AMG uptake in CHO-hSGLT2 cells, with an IC50 of 4.4±1.2 nM. Similar IC50 values are obtained in CHO-rSGLT2 and CHO-mSGLT2 cells (IC50=3.7 and 2.0 nM for rat and mouse SGLT2, respectively). Canagliflozin inhibits 14C-AMG uptake in CHO-hSGLT1 and mSGLT1 cells with IC50 of 684±159 nM and >1,000 nM, respectively[1].
In Vivo	Canagliflozin (30 mg/kg treatment for 4 weeks) reduced blood glucose (BG) levels, respiratory exchange ratio, and body weight gain in DIO mice[1]. Canagliflozin (3 mg/kg for 3 weeks) increases urinary glucose excretion (UGE) with no significant change in total food intake compared with that in vehicle-treated rats, leading to a decrease in body weight In ZF rats[1].

Animal Model:	Diet-induced obese, insulin resistantmice (DIO) Mice[1]
Dosage:	30 mg/kg
Administration:	Oral gavage; daily; 4 weeks
Result:	Reduced BG levels, respiratory exchange ratio, and body weight gain.
Animal Model:	Male Zucker fatty (ZF) obese, insulin resistant rats[1]
Dosage:	3 mg/kg
Administration:	Oral gavage; daily; 3 weeks
Result:	UGE was increased with no significant change in total food intake compared with that in vehicle-treated rats, leading to a decrease in body weight.

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (220.50 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2050 mL	11.0249 mL	22.0497 mL
5 mM	0.4410 mL	2.2050 mL	4.4099 mL
10 mM	0.2205 mL	1.1025 mL	2.2050 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 (5.51 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.51 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 (5.51 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.51 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 (5.51 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.51 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]. Liang Y, et al. Effect of canagliflozin on renal threshold for glucose, glycemia, and body weight in normal and diabetic animal models. PLoS One. 2012;7(2):e30555.