Canagliflozin

Cat. No.: HY-10451

CAS No.: 842133-18-0

Molecular Formula: $C_{24}H_{25}FO_5S$

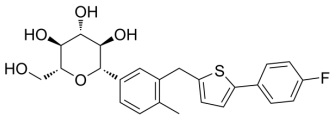
Molecular Weight: 444.52

Target: SGLT

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (112.48 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.2496 mL	11.2481 mL	22.4962 mL
	5 mM	0.4499 mL	2.2496 mL	4.4992 mL
	10 mM	0.2250 mL	1.1248 mL	2.2496 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (22.50 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (5.62 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.62 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.68 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.68 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.68 mM); Clear solution
7. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.5 mg/mL (1.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Canagliflozin (JNJ 28431754) is a selective SGLT2 inhibitor with IC_{50}s of 2 nM, 3.7 nM, and 4.4 nM for mSGLT2, rSGLT2, and hSGLT2 in CHOK cells, respectively[1].
IC_{50} & Target	SGLT2
In Vitro	Canagliflozin inhibits Na^+-dependent ^{14}C-AMG uptake in CHO-hSGLT2 cells, with an IC_{50} of 4.4±1.2 nM. Similar IC_{50} values are obtained in CHO-rSGLT2 and CHO-mSGLT2 cells (IC_{50} = 3.7 and 2.0 nM for rat and mouse SGLT2, respectively). Canagliflozin inhibits ^{14}C-AMG uptake in CHO-hSGLT1 and mSGLT1 cells with IC_{50} of 684±159 nM and >1,000 nM, respectively [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Canagliflozin (30 mg/kg treatment for 4 weeks) reduces 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

CUSTOMER VALIDATION

- Nature. 2018 Aug;560(7719):499-503.
- Nat Cancer. 2024 Jan 29.
- Nat Cell Biol. 2022 May 30.
- Mol Cell. 2020 Oct 1;80(1):87-101.e5.
- Cardiovasc Res. 2023 Jul 31;cvad119.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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