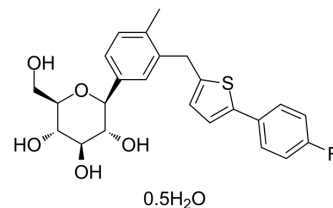


Canagliflozin hemihydrate

Cat. No.:	HY-I0383		
CAS No.:	928672-86-0		
Molecular Formula:	C ₂₄ H ₂₆ FO ₅ S		
Molecular Weight:	453.52		
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 : ≥ 100 mg/mL (220.50 mM)
 * "≥" means soluble, but saturation unknown.

Concentration	Mass		
	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

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (5.51 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (5.51 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.51 mM); Clear solution

BIOLOGICAL ACTIVITY

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].

IC₅₀ & Target

SGLT2

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 ($IC_{50} = 3.7$ 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 resistant mice (DIO) Mice ^[1]
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Dosage:	30 mg/kg
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Administration:	Oral gavage; daily; 4 weeks
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Result:	Reduced BG levels, respiratory exchange ratio, and body weight gain.
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Animal Model:	Male Zucker fatty (ZF) obese, insulin resistant rats ^[1]
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Dosage:	3 mg/kg
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Administration:	Oral gavage; daily; 3 weeks
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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.
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CUSTOMER VALIDATION

- Nature. 2018 Aug;560(7719):499-503.
- Nat Cell Biol. 2022 May 30.
- Mol Cell. 2020 Oct 1;80(1):87-101.e5.
- Cardiovasc Res. 2023 Jul 31;cvad119.
- Cardiovasc Res. 02 November 2020.

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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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