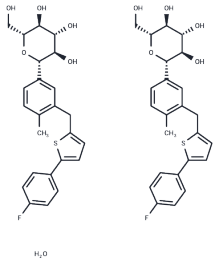
Data Sheet (Cat.No.T1782L)

TargetMol

Canagliflozin hemihydrate

Chemical Properties



CAS No. : 928672-86-0

Formula: C48H52F2O11S2

Molecular Weight: 907.05

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Canagliflozin hemihydrate (TA 7284) is a drug of the gliflozin class or subtype 2 sodium-glucose transport inhibitors used for the treatment of type 2 diabetes. SGLT2 is responsible for at least 90% of renal glucose reabsorption (SGLT1 being responsible for the remaining 10%). Blocking this transporter causes up to 119 grams of blood glucose per day to be eliminated through the urine, corresponding to 476 kilocalories.
Targets(IC50)	SGLT
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, while Canagliflozin (3 mg/kg for 3 weeks) increased urinary glucose excretion (UGE) without significant change in total food intake, resulting in decreased body weight in ZF rats[1].

Solubility Information

Solubility	DMSO: 255 mg/mL (281.13 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (3.64 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.1025 mL	5.5124 mL	11.0248 mL
5 mM	0.2205 mL	1.1025 mL	2.205 mL
10 mM	0.1102 mL	0.5512 mL	1.1025 mL
50 mM	0.022 mL	0.1102 mL	0.2205 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
Davidson JA, Sloan L. Fixed-Dose Combination of Canagliflozin and Metformin for the Treatment of Type 2 Diabetes: An Overview. Adv Ther. 2016 Nov 16.
Qiu R, et al. Canagliflozin: Efficacy and Safety in Combination with Metformin Alone or with Other Antihyperglycemic Agents in Type 2 Diabetes. Diabetes Ther. 2016 Oct 12.
Canagliflozin (Invokana) for Type 2 Diabetes Mellitus [Internet]. Ottawa (ON): Canadian Agency for Drugs and Technologies in Health; 2015 Sep. Available from http://www.ncbi.nlm.nih.gov/books/NBK349575/ PubMed PMID: 26962611.
Kaur K, et al. Efficacy and safety of canagliflozin among patients with type 2 diabetes mellitus: A systematic review and meta-analysis. Indian J Endocrinol Metab. 2015 Nov-Dec;19(6):705-21.