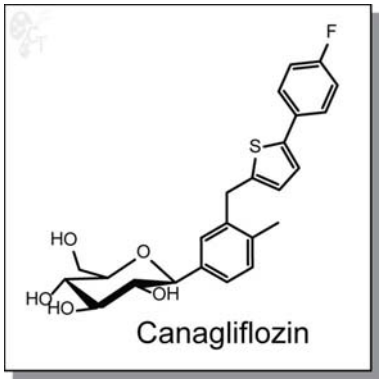
Cellagen Technology

Product Specification Sheet

Product Name: JNJ-28431754 (Canagliflozin)

Catalog Number: C2262



Technical information:

Chemical Formula:	$C_{24}H_{25}FO_5S$
CAS #:	842133-18-0
Molecular Weight:	444.52
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	(2S,3R,4R,5S,6R)-2-(3-((5-(4-fluorophenyl)thiophen-2-yl)methyl)-4-methylphenyl)-6-(hydroxymethyl)-tetrahydro-2H-pyran-3,4,5-triol
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.225mL of DMSO for each mg of JNJ-28431754 (Canagliflozin)
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

Canagliflozin is an orally-available, C-aryl glucoside inhibitor of hSGLT2, rSGLT2, and mSGLT2 cells at a potency of 4.4, 3.7, and 2.0 nM, respectively. [1] It inhibits AMG uptake in CHO-hSGLT1 cells with an IC50 of 684 nM. Canagliflozin lowers renal glucose resorptive capacity and increases urinary glucose excretion. Additionally, Canagliflozin has been shown to improve beta-cell function in ZDF rats, reduce body weight, increase fatty acid oxidation, and reduce de novo lipgenesisin rodent models of insulin resistance and Type II diabetes mellitus. [1]

In a twelve week study, Canagliflozin reduces HbA1c slightly more than sitagliptin (-0.21%) in a dose-dependent manner. [2] Reductions in blood pressure have also been observed in similar studies. Canagliflozin was approved by the FDA for the treatment of Type 2 diabetes in January, 2013.

Reference:

1. Liang 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. Pubmed ID: 22355316
2. Clar et al., Systematic review of SGLT2 receptor inhibitors in dual or triple therapy in type 2 diabetes. BMJ Open, 2012, 2, e001007. Pubmed ID: 23087012
3. Nomura et al., Discovery of canagliflozin, a novel C-glucoside with thiophene ring, as sodium-dependent glucose cotransporter 2 inhibitor for the treatment of type 2 diabetes mellitus. J. Med. Chem. 2010, 53, 6355-6360. Pubmed ID: 20690635

To reorder: http://www.cellagentech.com/JNJ-28431754-Canagliflozin/

For Technical Support: technical@cellagentech.com

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