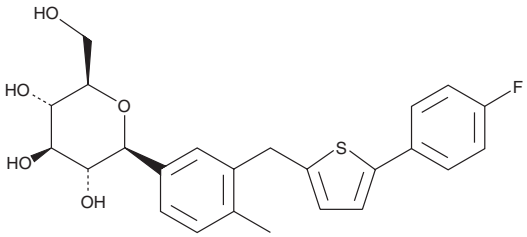
PRODUCT INFORMATION

Canagliflozin

Item No. 11575

CAS Registry No.: 842133-18-0
Formal Name: (1S)-1,5-anhydro-1-C-[3-[[5-(4-fluorophenyl)-2-thienyl]methyl]-4-methylphenyl]-D-glucitol
Synonyms: JNJ-24831754, TA-7284
MF: $C_{24}H_{25}FO_5S$
FW: 444.5
Purity: ≥98%
UV/Vis.: λ_{max}: 288, 291 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Canagliflozin is supplied as a crystalline solid. A stock solution may be made by dissolving the canagliflozin in the solvent of choice. Canagliflozin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of canagliflozin in these solvents is approximately 30 mg/ml.

Canagliflozin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, canagliflozin should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Canagliflozin has a solubility of approximately 0.125 mg/ml in a 1:7 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Canagliflozin is an inhibitor of sodium-glucose cotransporter 2 (SGLT2; IC_{50} = 2.2 nM) that less potently blocks SGLT1 (IC_{50} = 910 nM).[1] Canagliflozin is orally bioavailable and lowers plasma glucose by lowering the renal threshold for glucose and increasing urinary glucose excretion in animals.[1,2] Formulations containing SGLT2 inhibitors, including canagliflozin, are used to treat type 2 diabetes mellitus.[3]

References

1. Nomura, S., Sakamaki, S., Hongu, M., *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.* **53**, 6355-6360 (2010).
2. Sha, S., Devineni, D., Ghosh, A., *et al.* Canagliflozin, a novel inhibitor of sodium glucose co-transporter 2, dose dependently reduces calculated renal threshold for glucose excretion and increases urinary glucose excretion in healthy subjects. *Diabetes Obes. Metab.* **13(7)**, 669-672 (2011).
3 Reed, J.W. Impact of sodium-glucose cotransporter 2 inhibitors on blood pressure. *Vasc. Health Risk Manag.* **12**, 393-405 (2016).

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