PRODUCT INFORMATION



fluoro-Dapagliflozin

Item No. 15951

CAS Registry No.: 1181681-43-5

Formal Name: (1S)-1,5-anhydro-1-C-[4-chloro-3-[(4-ethoxyphenyl)

methyl]phenyl]-4-deoxy-4-fluoro-D-glucitol

Synonym: fluoro-DAPA MF: C₂₁H₂₄CIFO₅ 410.9 FW:

≥95% **Purity:** UV/Vis.: λ_{max} : 224 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

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

fluoro-Dapagliflozin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, fluoro-dapagliflozin should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. fluoro-Dapagliflozin has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Dapagliflozin (Item No. 11574) is a selective sodium-glucose cotransporter (SGLT) inhibitor that blocks glucose transport with about 100-fold selectivity for SGLT2 ($K_i = 6$ nM; $EC_{50} = 1.1$ nM) over SGLT1 $(K_i = 390 \text{ nM})^{1.2}$ It improves glucose tolerance in normal rats and reduces hyperglycemia in Zucker diabetic fatty rats.³ fluoro-Dapagliflozin is an analog of dapagliflozin that displays similar selectivity for inhibiting SGLT2 over SGLT1 (K_i s = 5.3 and 330 nM, respectively). If fluoro-Dapagliflozin (10 nM) significantly reduces phlorizin-sensitive sugar transport in COS-1 cells expressing human SGLT2.¹

References

- 1. Hummel, C.S., Lu, C., Liu, J., et al. Structural selectivity of human SGLT inhibitors. Am. J. Physiol. Cell Physiol. 302(2), C373-C382 (2012).
- 2. Chao, E.C. and Henry, R.R. SGLT2 inhibition A novel strategy for diabetes treatment. Nat. Rev. Drug Discov. 9(7), 551-559 (2010).
- 3. Han, S., Hagan, D.L., Taylor, J.R., et al. Dapagliflozin, a selective SGLT2 inhibitor, improves glucose homeostasis in normal and diabetic rats. Diabetes 57, 1723-1729 (2008).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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