Product Data Sheet

Product Name: fluoro-Dapagliflozin
Cat. No.: GC16697

Chemical Properties

Cas. No.	1181681-43-5		
Chemical Name	(1S)-1,5-anhydro-1-C-[4-chloro-3-[(4-ethoxyphenyl)methyl]phenyl]-4-deoxy-4-fluoro-D-glucitol		
SMILES	ClC(C=CC([C@H]1[C@H](O)[C@@H](O)[C@H](F)[C@@H](CO)O1)=C2)=C2CC3=CC=C(OCC)C=C3		
Formula	$C_{21}H_{24}ClFO_5$	M.Wt	410.9
Solubility	≤30mg/ml in ethanol;30mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	fluoro-Dapagliflozin		

Background

Ki: 5.3 and 330 nM for SGLT2 and SGLT1, respectively

fluoro-Dapagliflozin is a selective sodium-glucose cotransporter (SGLT) inhibitor.

Human Na(+)-D-glucose cotransporter (hSGLT) inhibitors constitute the newest class of diabetes drugs, blocking up to in-vivo 50% of renal glucose reabsorption.

In vitro: Dapagliflozin and fluoro-dapagliflozin could block glucose transport and glucose-coupled currents with around 100-fold specificity for hSGLT2 over hSGLT1. It was found that phlorizin and galacto-dapagliflozin rapidly dissociated from SGLT2, while fluoro-dapagliflozin dissociated from hSGLT2 at a rate 10-fold slower. In contrast, fluoro-dapagliflozin could quickly dissociate from hSGLT1 [1].

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In vivo: Dapagliflozin, the close analog of fluoro-dapagliflozin, could acutely induce renal glucose excretion in normal and diabetic rats, improve glucose tolerance in normal rats, and reduce hyperglycemia in Zucker diabetic fatty at doses ranging from 0.1 to 1.0 mg/kg. Moreover, the once-daily dapagliflozin treatment was able to significantly lower fasting and fed glucose levels and led to a significant increase in glucose utilization rate [2].

Clinical trial: Clinical study found that dapagliflozin could lower hyperglycemia in treatment-naive patients with newly diagnosed type 2 diabetes, which made dapagliflozin a unique addition to existing treatment options for type 2 diabetes [3].

References:
[1] Hummel, C. S.,Lu, C.,Liu, J., et al. Structural selectivity of human SGLT inhibitors. American Journal of Physiology.Cell Physiology 302(2), C373-C382 (2012).
[2] 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).
[3] Ferrannini E, Ramos SJ, Salsali A, Tang W, List JF. Dapagliflozin monotherapy in type 2 diabetic patients with inadequate glycemic control by diet and exercise: a randomized, double-blind, placebo-controlled, phase 3 trial. Diabetes Care. 2010 Oct;33(10):2217-24.

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