Product Data Sheet

Product Name: galacto-Dapagliflozin
Cat. No.: GC17190

Chemical Properties

Cas. No.	1408245-02-2		
Chemical Name	(1S)-1,5-anhydro-1-C-[4-chloro-3-[(4-ethoxyphenyl)methyl]phenyl]-D-galactitol		
SMILES	ClC(C=CC([C@H]1[C@H](O)[C@@H](O)[C@@H](O)[C@@H](CO)O1)=C2)=C2CC3=CC=C(OCC)C=C3		
Formula	$C_{21}H_{25}ClO_6$	M.Wt	408.9
Solubility	≤30mg/ml in DMSO;50mg/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	galacto-Dapagliflozin		

Background

Ki = 2 nM

galacto-Dapagliflozin is a potent inhibitor of human SGLT2.

Renal glucose transport is mediated by sodium-glucose cotransporters (SGLT) 1 and 2. In humans, SGLT2 is responsible for the majority of glucose reabsorption in the kidney.

In vitro: It was found that galacto-dapagliflozin was a selective inhibitor of hSGLT2, but was less potent than dapagliflozin for both transporters. Both phlorizin and galacto-dapagliflozin rapidly dissociated from SGLT2, while dapagliflozin and fluoro-dapagliflozin dissociated from hSGLT2 at a rate 10-fold slower. Dapagliflozin, fluoro-dapagliflozin, and galacto-dapagliflozin dissociated quickly from hSGLT1, and phlorizin readily exchanged with dapagliflozin bound to hSGLT1 [1].

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In vivo: Male db/db mice were administered dapagliflozin for 12 weeks. Results showed that administration of dapagliflozin could ameliorate hyperglycemia, β-cell damage and albuminuria in db/db mice. Serum creatinine, creatinine clearance and blood pressure were not affected by administration of dapagliflozin. Dapagliflozin treatment was able to decrease macrophage infiltration in the kidney of db/db mice [2].

Clinical trial: Previous clinical study found that lowering the plasma glucose concentration with dapagliflozin could markedly improve β-cell function, which provided strong support for the glucotoxic effect of hyperglycemia on β-cell function [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] Terami N et al. Long-term treatment with the sodium glucose cotransporter 2 inhibitor, dapagliflozin, ameliorates glucose homeostasis and diabetic nephropathy in db/db mice. PLoS One. 2014 Jun 24;9(6):e100777.
[3] Merovci A et al. Dapagliflozin lowers plasma glucose concentration and improves β-cell function. J Clin Endocrinol Metab. 2015 May;100(5):1927-32.

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