Data Sheet (Cat.No.T15244)



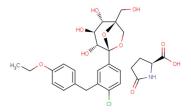
Ertugliflozin L-pyroglutamic acid

Chemical Properties

CAS No.: 1210344-83-4
Formula: C27H32CINO10

Molecular Weight: 566
Appearance: N/A

Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Ertugliflozin L-pyroglutamic acid is selective and orally active inhibitor of the sodium-dependent glucose cotransporter 2 (IC50: 0.877 nM for h-SGLT2). It also has the potential for the treatment of type 2 diabetes mellitus.
Targets(IC ₅₀)	h-SGLT2: 0.877 nM
In vitro	Ertugliflozin L-pyroglutamic acid shows >2000-fold selectivity for SGLT2 inhibition (relative to SGLT1) in vitro [3].
In vivo	After oral administration to rats, Ertugliflozin L-pyroglutamic acid shows concentration-dependent glucosuria [3].

Solubility Information

Solubility	DMSO: 125 mg/mL (220.85 mM)
	(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.767 mL	8.834 mL	17.668 mL
5 mM	0.353 mL	1.767 mL	3.534 mL
10 mM	0.177 mL	0.883 mL	1.767 mL
50 mM	0.035 mL	0.177 mL	0.353 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

- 1. Mascitti V, et al. Discovery of a clinical candidate from the structurally unique dioxa-bicyclo[3.2.1]octane class of sodium-dependent glucose cotransporter 2 inhibitors. J Med Chem. 2011 Apr 28;54(8):2952-60.
- 2. Miao Z, et al. Pharmacokinetics, metabolism, and excretion of the antidiabetic agent ertugliflozin (PF-04971729) in healthy male subjects. Drug Metab Dispos. 2013 Feb;41(2):445-56.
- 3. Kalgutkar AS, et al. Preclinical species and human disposition of PF-04971729, a selective inhibitor of the sodium-dependent glucose cotransporter 2 and clinical candidate for the treatment of type 2 diabetes mellitus. Drug Metab Dispos. 2011 Sep;39(9):1609-19.

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