Ertugliflozin L-pyroglutamic acid

Cat. No.: HY-15461A

CAS No.: 1210344-83-4

Molecular Formula: $C_{27}H_{32}ClNO_{10}$

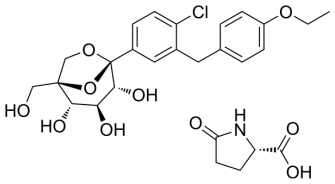
Molecular Weight: 566

Target: SGLT

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (220.85 mM)

H_2O : 5 mg/mL (8.83 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7668 mL	8.8339 mL	17.6678 mL
5 mM	0.3534 mL	1.7668 mL	3.5336 mL
10 mM	0.1767 mL	0.8834 mL	1.7668 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ertugliflozin L-pyroglutamic acid (PF-04971729 L-pyroglutamic acid) is a potent, selective and orally active inhibitor of the sodium-dependent glucose cotransporter 2 (SGLT2), with an IC_{50} of 0.877 nM for h-SGLT2[1]. Has the potential for the treatment of type 2 diabetes mellitus[2].

IC_{50} & Target

SGLT2

In Vitro	Ertugliflozin L-pyroglutamic acid (PF-04971729 L-pyroglutamic acid) demonstrates >2000-fold selectivity for SGLT2 inhibition (relative to SGLT1) in vitro[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ertugliflozin L-pyroglutamic acid (PF-04971729 L-pyroglutamic acid) reveals a concentration-dependent glucosuria after oral administration to rats[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biochem Pharmacol. 2016 Feb 1;101:27-39.

See more customer validations on www.MedChemExpress.com

REFERENCES

[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA