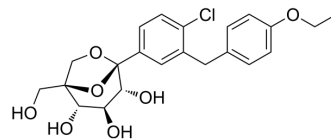


Ertugliflozin

Cat. No.:	HY-15461		
CAS No.:	1210344-57-2		
Molecular Formula:	C ₂₂ H ₂₅ ClO ₇		
Molecular Weight:	436.88		
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 : 250 mg/mL (572.24 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.2890 mL	11.4448 mL	22.8896 mL
		5 mM	0.4578 mL	2.2890 mL	4.5779 mL
10 mM		0.2289 mL	1.1445 mL	2.2890 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.76 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.76 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.76 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	Ertugliflozin (PF-04971729) 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] .
IC₅₀ & Target	SGLT2
In Vitro	Ertugliflozin (PF-04971729) 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 (PF-04971729) 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.

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REFERENCES

[1]. Mascitti V, et al. Discovery of a clinical candidate from the structurally unique dioxo-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.

Caution: Product has not been fully validated for medical applications. For research use only.

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