Ipragliflozin

Cat. No.: HY-14894

CAS No.: 761423-87-4

Molecular Formula: $C_{21}H_{21}FO_5S$

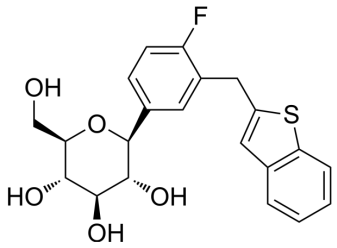
Molecular Weight: 404

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 : ≥ 100 mg/mL (247.52 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.4752 mL	12.3762 mL	24.7525 mL
5 mM	0.4950 mL	2.4752 mL	4.9505 mL
10 mM	0.2475 mL	1.2376 mL	2.4752 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: ≥ 2.5 mg/mL (6.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ipragliflozin (ASP1941) is an orally active and selective SGLT2 inhibitor with IC_{50}s of 7.38 and 1876 nM, 6.73 and 1166 nM, 5.64 and 1380 nM for human SGLT2 and SGLT1, rat SGLT2 and SGLT1, mouse SGLT2 and SGLT1, respectively. Antidiabetic agent [1].

IC_{50} & Target	hSGLT2	hSGLT1	rSGLT2	rSGLT1

	7.38 nM (IC_{50})	1876 nM (IC_{50})	6.73 nM (IC_{50})	1166 nM (IC_{50})
	mSGLT2 5.64 nM (IC_{50})	mSGLT1 1380 nM (IC_{50})		

In Vitro

Ipragliflozin (1-50 μM) significantly and dose-dependently suppresses the growth of MCF-7 human breast cancer cell lines. Upon knocking down SGLT2 expression using siRNA, the attenuation of cell proliferation induced by Ipragliflozin is completely canceled, suggesting that Ipragliflozin attenuates breast cancer cell proliferation through SGLT2 inhibition. BrdU assay revealed that Ipragliflozin at a high dose (50 and 100 μM) significantly inhibits DNA synthesis of MCF-7 cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	MCF-7 human breast cancer cell lines
Concentration:	1, 10, 50 μM
Incubation Time:	24, 48, 72, 96 hours
Result:	Decreased the number of MCF-7 cells in a dose-dependent manner.

In Vivo

Ipragliflozin shows antihyperglycemic effect. Ipragliflozin (0.1-1 mg/kg) dose-dependently inhibits increases in blood glucose levels. In STZ-induced type 1 diabetic rats, this effect is significant at doses of 0.3 and 1 mg/kg, and in KK-A^y type 2 diabetic mice, the effect is significant at all tested doses[1].

Ipragliflozin (0.3 and 1 mg/kg) shows antidiabetic effects of repeated administration in streptozotocin-induced type 1 diabetic rats[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Single Administration[1] Streptozotocin (STZ; 50 mg/kg)-induced type 1 diabetic rats and KK-A^y type 2 diabetic mice
Dosage:	0.1-1 mg/kg
Administration:	Single oral administration in the fed condition. Blood glucose levels were then measured for 8 h under fasting conditions.
Result:	Dose-dependently lowered blood glucose levels, and this effect was significant at all tested doses.

Animal Model:	Repeated Administration[1] Streptozotocin (STZ; 50 mg/kg)-induced type 1 diabetic rats
Dosage:	0.3 and 1 mg/kg
Administration:	Administration orally once daily (at night) for 4 weeks.
Result:	Significantly reduced the levels of HbA_{1c} and blood glucose. Pancreatic insulin content was significantly increased at a dose of 1 mg/kg. Urinary glucose excretion was increased dose-dependently, and this was significant at the 1 mg/kg dose.

CUSTOMER VALIDATION

- Biochem Pharmacol. 2018 Jun;152:45-59.
- Biochem Pharmacol. 2016 Feb 1;101:27-39.
- Hypertens Res. 2017 Jul;40(7):646-651.
- Int J Med Sci. 2018 Jun 13;15(9):937-943.
- J Chromatogr B Analyt Technol Biomed Life Sci. 2015 Sep 1;1000:22-8.

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REFERENCES

[1]. Atsuo Tahara, et al. Pharmacological profile of ipragliflozin (ASP1941), a novel selective SGLT2 inhibitor, in vitro and in vivo. Naunyn Schmiedebergs Arch Pharmacol. 2012 Apr;385(4):423-36.

[2]. Shiho Komatsu, et al. SGLT2 inhibitor ipragliflozin attenuates breast cancer cell proliferation. Endocr J. 2020 Jan 28;67(1):99-106.

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