Empagliflozin

Cat. No.: HY-15409

CAS No.: 864070-44-0

Molecular Formula: $C_{23}H_{27}ClO_7$

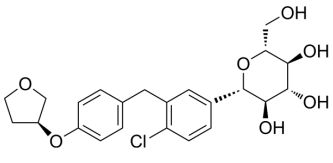
Molecular Weight: 450.91

Target: SGLT

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (110.89 mM; Need ultrasonic)

H_2O : 0.11 mg/mL (0.24 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2177 mL	11.0887 mL	22.1774 mL
5 mM	0.4435 mL	2.2177 mL	4.4355 mL
10 mM	0.2218 mL	1.1089 mL	2.2177 mL

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

In Vivo

1. Add each solvent one by one: 0.5%HPMC
   Solubility: 5 mg/mL (11.09 mM); Suspension solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.87 mg/mL (6.36 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.87 mg/mL (6.36 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Empagliflozin (BI 107730 is a selective sodium glucose cotransporter-2 (SGLT-2) inhibitor with an IC_{50} of 3.1 nM for human SGLT-2[1].
IC_{50} & Target	IC50: 3.1 nM (SGLT-2), 1.1 μM (SGLT-5), 2 μM (SGLT-6), 8.3 μM (SGLT-1), 11 μM (SGLT-4)[1]
In Vitro	Empagliflozin is a potent and competitive SGLT-2 inhibitor with an excellent selectivity profile and the highest selectivity window of the tested SGLT-2 inhibitors over hSGLT-1. Empagliflozin inhibits the uptake of [^{14}C]-alpha-methyl glucopyranoside (AMG) via hSGLT-2 in a dose-dependent manner with an IC_{50} of 3.1 nM, but is less potent for other SGLTs (IC_{50} range: 1100-11000 nM). [^{3}H]-Empagliflozin displays a high affinity for SGLT-2 with a mean K_d of 57±37 nM in the absence of glucose in kinetic binding experiments[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Glucose intolerance is significantly improved after 8 days of Empagliflozin treatment at either dose (3mg/kg Empagliflozin 3058±180 vs 10mg/kg Empagliflozin 3090±219). Therefore, acute treatment with Empagliflozin has a beneficial effect on hyperglycemia and glucose intolerance. Since there are no significant differences in blood glucose homeostasis with the two different doses of Empagliflozin, and random blood glucose levels of T1DM mice are significantly improved by 3mg/kg of Empagliflozin, the effect of the lower dose of Empagliflozin (3mg/kg) is investigated on preserving β-cell mass and function[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Mice[2] Male C57BL/6J mice (10 weeks of age) are used. Empagliflozin is dissolved in hydroxy ethyl cellulose (HEC) and administered to mice in the experimental group (3 or 10 mg/kg) by oral gavage once daily for 8 days, whereas the vehicle group is given same volume of HEC alone. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Protein Cell. 2022 May;13(5):336-359.
- Acta Biomater. 2022 Feb 18;S1742-7061(22)00096-4.
- Circ Heart Fail. 2020 Jan;13(1):e006277.
- JACC Basic Transl Sci. 2019 Sep 4;4(5):575-591.
- JACC Basic Transl Sci. 2017 Aug;2(3):347-354.

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REFERENCES

[1]. Grempler R, et al. Empagliflozin, a novel selective sodium glucose cotransporter-2 (SGLT-2) inhibitor: characterisation and comparison with other SGLT-2 inhibitors. Diabetes Obes Metab. 2012 Jan;14(1):83-90.

[2]. Cheng ST, et al. The Effects of Empagliflozin, an SGLT2 Inhibitor, on Pancreatic β-Cell Mass and Glucose Homeostasis in Type 1 Diabetes. PLoS One. 2016 Jan 25;11(1):e0147391.

[3]. Nikole J.ByrneBSc, et al. Empagliflozin Prevents Worsening of Cardiac Function in an Experimental Model of Pressure Overload-Induced Heart Failure. JACC Basic Transl Sci. 2017 Aug;2(4):347-354.

[4]. Sakaeda T, et al. Susceptibility to serious skin and subcutaneous tissue disorders and skin tissue distribution of sodium-dependent glucose co-transporter type 2 (SGLT2) inhibitors. Int J Med Sci. 2018 Jun 13;15(9):937-943.

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