Dapagliflozin

Cat. No.: HY-10450

CAS No.: 461432-26-8

Molecular Formula: $C_{21}H_{25}ClO_6$

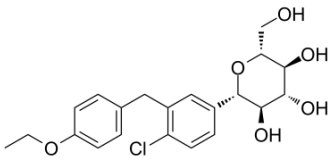
Molecular Weight: 408.87

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 : ≥ 100 mg/mL (244.58 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4458 mL	12.2288 mL	24.4577 mL
5 mM	0.4892 mL	2.4458 mL	4.8915 mL
10 mM	0.2446 mL	1.2229 mL	2.4458 mL

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

In Vivo

1. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.5 mg/mL (1.22 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution
6. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Dapagliflozin (BMS-512148), a new type of drug used to treat diabetes mellitus (DM), is a competitive sodium/glucose cotransporter 2 (SGLT2) inhibitor, which results in excretion of glucose into the urine[1].Dapagliflozin induces HIF1 expression and attenuates renal IR injury[2].
IC_{50} & Target	SGLT2[1]
In Vitro	Dapagliflozin (0-10 μM□24 hours) significantly increases the cell survival in hypoxic HK2 cell in a dose-dependent manner [2]. Dapagliflozin (0-10 μM□2 hours) increases the HIF1 expression, increases AMPK and EKR phosphorylation in hypoxic HK2 cells, but shows no effect on the phosphorylation of AMPK and ERK in normoxic HK2 cells[2].. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Hypoxic HK2 cells
Concentration:	0 μM, 1 μM, 2 μM, 5 μM, 10 μM
Incubation Time:	24 hours
Result:	Improved the cell viability in a dose-dependent manner compared with control cells.

Western Blot Analysis[1]

Cell Line:	Hypoxic HK2 cells, Normoxic HK2 cells
Concentration:	0 μM, 1 μM, 2 μM, 5 μM, 10 μM
Incubation Time:	24 hours
Result:	Induced HIF1 expression in hypoxic and normoxic HK2 cells.

In Vivo	Dapagliflozin (oral administration; 10 mg/kg) causes a marked increase in urinary glucose in SGLT2i-mice, suppresses BAT thermogenesis by reducing sympathetic nerve activity and enhances hepatic gluconeogenesis and glycogenolysis[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Metab. 2019 Jan;19:1-12.
- Biochem Pharmacol. 2018 Jun;152:45-59.
- Food Funct. 2020 Dec 15.
- Vascul Pharmacol. 2018 Oct;109:56-71.
- Front Endocrinol (Lausanne). 2019 Jul 3;10:441.

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REFERENCES

[1]. Pedersen MG, et al. Dapagliflozin stimulates glucagon secretion at high glucose: experiments and mathematical simulations of human A-cells. Sci Rep. 2016 Aug 18;6:31214.

[2]. Chiba Y, et al. Dapagliflozin, a Sodium-Glucose Co-Transporter 2 Inhibitor, Acutely Reduces Energy Expenditure in BAT via Neural Signals in Mice. PLoS One. 2016 Mar

10;11(3):e0150756.

[3]. Chang YK, et al. Dapagliflozin, SGLT2 Inhibitor, Attenuates Renal Ischemia-Reperfusion Injury. PLoS One. 2016 Jul 8;11(7):e0158810.

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