# **Screening Libraries**

# **Product** Data Sheet

# **Dapagliflozin**

Cat. No.: HY-10450 CAS No.: 461432-26-8 Molecular Formula: C21H25ClO6 Molecular Weight: 408.87 **SGLT** Target:

Pathway: Membrane Transporter/Ion Channel Storage: 4°C, sealed storage, away from moisture

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: ≥ 100 mg/mL (244.58 mM)

H<sub>2</sub>O: 50 mg/mL (122.29 mM; Need ultrasonic) \* "≥" 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: PBS
  - Solubility: 33.33 mg/mL (81.52 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
- 2. 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
- 3. 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
- 4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution
- 5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution
- 6. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution
- 7. Add each solvent one by one: 1% DMSO >> 99% saline Solubility: ≥ 0.5 mg/mL (1.22 mM); Clear solution

## **BIOLOGICAL ACTIVITY** Description Dapagliflozin (BMS-512148), a new type of agent used to treat diabetes mellitus (DM), is a competitive sodium/glucose cotransporter 2 (SGLT2) inhibitor, which results in excretion of glucose into the urine<sup>[1]</sup>. Dapagliflozin induces HIF1 expression and attenuates renal IR injury<sup>[2]</sup>. IC<sub>50</sub> & Target SGLT2 In Vitro Dapagliflozin (0-10 μM 224 hours) significantly increases the cell survival in hypoxic HK2 cell in a dose-dependent manner [2]. Dapagliflozin (0-10 μΜΙΣΙ 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<sup>[2]</sup>.. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay<sup>[1]</sup> Cell Line: Hypoxic HK2 cells Concentration: $0 \mu M$ , $1 \mu M$ , $2 \mu M$ , $5 \mu M$ , $10 \mu M$ **Incubation Time:** 24 hours Improved the cell viability in a dose-dependent manner compared with control cells. Result: Western Blot Analysis<sup>[1]</sup> Cell Line: Hypoxic HK2 cells, Normoxic HK2 cells Concentration: $0 \mu M$ , $1 \mu M$ , $2 \mu M$ , $5 \mu M$ , $10 \mu M$ **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<sup>[3]</sup>.

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

### **CUSTOMER VALIDATION**

- EBioMedicine. 2022, 86: 104342.
- Cardiovasc Res. 02 November 2020.
- Acta Pharmacol Sin. 2021 Dec 1.
- Mol Metab. 2019 Jan;19:1-12.
- Biomed Pharmacother. 2023 Nov 4:168:115840.

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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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