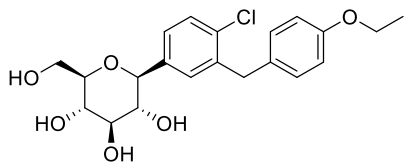
Product data sheet

MedKoo Cat#: 314204 Name: Dapagliflozin CAS#: 461432-26-8 Chemical Formula: $C_{21}H_{25}ClO_6$ Exact Mass: 408.13397 Molecular Weight: 408.87	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Dapagliflozin, also known as BMS-512148, is a drug used to treat type 2 diabetes approved in 2012 by FDA. Dapagliflozin inhibits subtype 2 of the sodium-glucose transport proteins (SGLT2) which are responsible for at least 90% of the glucose reabsorption in the kidney. Blocking this transporter mechanism causes blood glucose to be eliminated through the urine. In clinical trials, dapagliflozin lowered HbA1c by 0.6 versus placebo percentage points when added to metformin.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	91.0	222.56
Ethanol	82.0	200.55

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.45 mL	12.23 mL	24.46 mL
5 mM	0.49 mL	2.45 mL	4.89 mL
10 mM	0.24 mL	1.22 mL	2.45 mL
50 mM	0.05 mL	0.24 mL	0.49 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Dai C, Walker JT, Shostak A, Bouchi Y, Poffenberger G, Hart NJ, Jacobson DA, Calcutt MW, Bottino R, Greiner DL, Shultz LD, McGuinness OP, Dean ED, Powers AC. Dapagliflozin Does Not Directly Affect Human α or β Cells. Endocrinology. 2020 Aug 1;161(8):bqaa080. doi: 10.1210/endocr/bqaa080. PMID: 32428240; PMCID: PMC7375801.
2. Tsai KL, Hsieh PL, Chou WC, Cheng HC, Huang YT, Chan SH. Dapagliflozin attenuates hypoxia/reoxygenation-caused cardiac dysfunction and oxidative damage through modulation of AMPK. Cell Biosci. 2021 Feb 26;11(1):44. doi: 10.1186/s13578-021-00547-y. PMID: 33637129; PMCID: PMC7913252.

In vivo study

1. Balzer MS, Rong S, Nordlohne J, Zemtsovski JD, Schmidt S, Stapel B, Bartosova M, von Vietinghoff S, Haller H, Schmitt CP, Shushakova N. SGLT2 Inhibition by Intraperitoneal Dapagliflozin Mitigates Peritoneal Fibrosis and Ultrafiltration Failure in a Mouse Model of Chronic Peritoneal Exposure to High-Glucose Dialysate. Biomolecules. 2020 Nov 19;10(11):1573. doi: 10.3390/biom10111573. PMID: 33228017; PMCID: PMC7699342.

Product data sheet

2. Nugrahaningrum DA, Marcelina O, Liu C, Wu S, Kasim V. Dapagliflozin Promotes Neovascularization by Improving Paracrine Function of Skeletal Muscle Cells in Diabetic Hindlimb Ischemia Mice Through PHD2/HIF-1α Axis. Front Pharmacol. 2020 Aug 10;11:1104. doi: 10.3389/fphar.2020.01104. PMID: 32848736; PMCID: PMC7424065.

7. Bioactivity

Biological target:

Dapagliflozin (BMS-512148) is a competitive sodium/glucose cotransporter 2 (SGLT2) inhibitor.

In vitro activity

Here, the study showed that the expression of PGC-1α was suppressed following H/R (hypoxia/reoxygenation) injury whereas treatment of DAPA (Dapagliflozin) maintained the amount of PGC-1α. Moreover, the silence of AMPK abrogated this effect (Fig. 3a, b), suggesting that reservation of PGC-1α expression by DAPA was related to AMPK activation. Downregulation of PGC-1α by I/R injury attenuated by DAPA treatment was confirmed by animal study (Fig. 3c, d). Besides, treatment of DPI to inhibit Nox attenuated the downregulation of PGC-1α under H/R injury (Fig. 3a, b), suggesting oxidative stress participated in the downregulation of PGC-1α after H/R injury. Taken together, the downregulation of PGC-1α by H/R or I/R injury could be attenuated via oxidative stress inhibition, and via AMPK activation by DAPA treatment.

Reference: Cell Biosci. 2021; 11: 44. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7913252/

In vivo activity

Mice were treated for 5 weeks with either saline or PDF with or without addition of dapagliflozin (1 mg/kg) via a peritoneal catheter (Figure 2A). A systemic action of dapagliflozin was observed, as reflected by the presence of glucosuria in 24 h urine collections of mice treated with the SGLT2 inhibitor (Figure S1, Supplementary Materials). The study then evaluated the peritoneal transcriptional expression of SGLT2, SGLT1, and several GLUTs known to be expressed in the peritoneum. The study found a strong upregulation of SGLT2 expression in mice receiving high-glucose PDF, whereas SGLT1 expression was unaltered (Figure 2B). Most notably, pharmacological inhibition of SGLT2 with dapagliflozin completely abrogated PD-induced upregulation of SGLT2. Glucose transporters demonstrated differential regulation, with GLUT1 and 3 being upregulated and GLUT4 being downregulated in response to chronic exposure to PDF. This regulation was unaffected by dapagliflozin (Figure 2C).

Reference: Biomolecules. 2020 Nov; 10(11): 1573. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7699342/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.