

Dapagliflozin

Chemical Properties

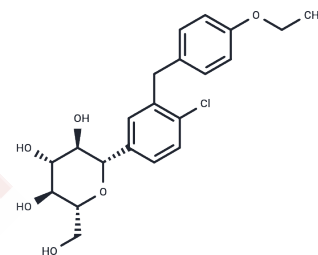
CAS No. : 461432-26-8

Formula: C₂₁H₂₅ClO₆

Molecular Weight: 408.87

Storage: Store under nitrogen, Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Dapagliflozin (BMS-512148) is a selective sodium-glucose co-transporter subtype 2 (SGLT2) inhibitor with antihyperglycemic activity.
Targets(IC50)	HIF,SGLT
In vitro	<p>METHODS: The human proximal tubule epithelial cell line HK2 was treated with Dapagliflozin (1-10 μM) under normoxic and hypoxic conditions for 24 h. Cell viability was assayed using Trypan blue staining.</p> <p>RESULTS: Dapagliflozin pretreatment had no effect on cell survival of normoxic HK2 cells. Hypoxia significantly reduced the cell viability of HK2 cells compared to control cells, and Dapagliflozin pretreatment of hypoxic HK2 cells significantly increased cell viability in a dose-dependent manner. [1]</p> <p>METHODS: The human proximal tubule epithelial cell line HK2 was treated with Dapagliflozin (0.1 μM) and H₂O₂ (200 μM) for 24 h. Apoptosis was detected using Hoechst 33342 and PI.</p> <p>RESULTS: Dapagliflozin significantly reduced the percentage of apoptotic cell death induced by H₂O₂. Dapagliflozin had a protective effect against H₂O₂-induced cell death. [2]</p>
In vivo	<p>METHODS: To investigate the effects on diabetic atherosclerosis, Dapagliflozin (1 mg/kg) was administered by gavage to diabetic ApoE^{-/-} mice with high-fat diet-induced atherosclerosis once daily for 12 weeks.</p> <p>RESULTS: Dapagliflozin may have therapeutic potential for high-fat diet-induced diabetic atherosclerosis, and these benefits may depend on the inhibition of IL-1β secretion by macrophages via the ROS-NLRP3-caspase-1 pathway. [3]</p>
Cell Research	To perform the cell survival assay, cells are collected after 24 h incubation with vehicle or dapagliflozin pretreatment in 30-min ischemia and surviving cells are counted with Trypan blue staining. The percentage survival is determined by quantization of the relative viable number of treated cells divided by the viable number of untreated cells.

Solubility Information

A DRUG SCREENING EXPERT

Solubility	Ethanol: 14 mg/mL (34.24 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 247.5 mg/mL (605.33 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4.5 mg/mL (11.01 mM),Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0489 mL	0.2446 mL	0.4892 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Chang YK, et al. Dapagliflozin, SGLT2 Inhibitor, Attenuates Renal Ischemia-Reperfusion Injury. PLoS One. 2016 Jul 8;11(7):e0158810.
- Xuan M Y, Piao S G, Ding J, et al. Dapagliflozin Alleviates Renal Fibrosis by Inhibiting RIP1-RIP3-MLKL-Mediated Necroinflammation in Unilateral Ureteral Obstruction. Frontiers in pharmacology. 2021, 12
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- Huang H, Kung F L, Huang Y W, et al.Sensitization of cancer cells to paclitaxel-induced apoptosis by canagliflozin. Biochemical Pharmacology.2024: 116140.
- Macdonald FR, et al. Diabetes Obes Metab, 2010, 12(11), 12004-12012.
- 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.

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