

Product Data Sheet

Product Name: Mizagliflozin

Cat. No.: GC60249

Chemical Properties

Cas. No. 666843-10-3

SMILES O=C(N)C(C)(C)CNCCCCOC1=CC=C(CC2=C(C(C)C)NN=C2O[C@H]3[C@@H]([C@H]([C@@H]([C@@H]([C@@H](CO)O3)O)O)O)C(C)=C1

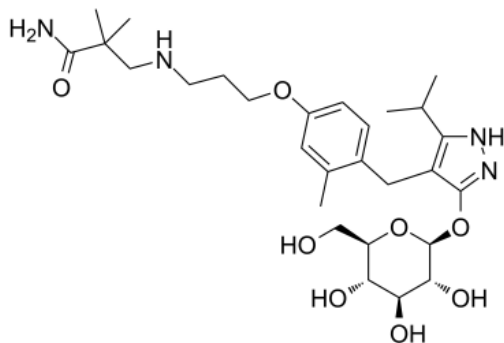
Formula $C_{28}H_{44}N_4O_8$ M.Wt 564.67

Solubility Methanol: 250 mg/mL (442.74 mM); Storage 4°C, protect from light
DMSO: 100 mg/mL (177.09 mM)

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines	Rat PC12HS cells
Preparation Method	To examine effects of IL-1 β stimulation on PC12HS cells, the cells were exposed to 100ng/ml rat IL-1 β for 48h. Mizagliflozin at a concentration of 1 or 10 μ M was added to the culture 24h before or 6h after IL-1 β stimulation started. PC12HS cell viability was measured using Cell Counting Kit-8.
Reaction Conditions	1 μ M or 10 μ M; pretreated 24h or posttreated 6h.
Applications	Mizagliflozin ameliorated IL-1 β -induced decreases in the survival rate of PC12HS cells regardless of the administration start time.

Animal experiment [2]:

Animal models	Diabetes mice created with db/db mice
Preparation Method	Diabetic mice were created using db/db mice. They were administered either a low dose (0.5mg/kg) or a high dose (1.0mg/kg) of the SGLT1 inhibitor Mizagliflozin via stomach gavage for 8 weeks. Subsequently, mesangial cells (MCs) were isolated and subjected to high glucose conditions in culture to assess the effects of Mizagliflozin on DN(diabetic nephropathy).
Dosage form	0.5mg/kg or 1mg/kg; 8 weeks; p.o.

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Applications

Low doses of Mizagliflozin significantly reduced albuminuria to a level comparable to that achieved with high doses in db/db mice. High doses of Mizagliflozin led to a substantial increase in body weight in mice, along with decreased blood glucose levels and food intake. Moreover, the intervention with high-dose Mizagliflozin notably decreased the expression of extracellular matrix genes, such as collagen type 1 alpha 1 mRNA levels. While the expression of SGLT1 increased after exposure to high glucose, it decreased following treatment with Mizagliflozin. Furthermore, Mizagliflozin intervention was more effective in improving lactate dehydrogenase levels in MCs induced by high glucose compared to canagliflozin. Mizagliflozin also significantly elevated levels of antioxidant enzymes superoxide dismutase, catalase, and glutathione, while reducing malondialdehyde levels.

References:

- [1]. Ishida N, Saito M, Sato S, et al. Mizagliflozin, a selective SGLT1 inhibitor, improves vascular cognitive impairment in a mouse model of small vessel disease[J]. *Pharmacology Research & Perspectives*, 2021, 9(5): e00869.
- [2]. Lin Z M, Gao H Y, Shi S H, et al. Mizagliflozin ameliorates diabetes induced kidney injury by inhibitor inhibit inflammation and oxidative stress[J]. *World Journal of Diabetes*, 2025, 16(1): 92711.

Background

Mizagliflozin, a novel SGLT1 inhibitor with a K_i value of 27.0 ± 1.5 nM, can be used to treat diabetes and modify postprandial blood glucose excursion^[1].

Mizagliflozin was administrated to Renal cyst lining epithelial cells OX161 and renal tubular epithelial cells UCL93, incubated at 37°C for 24, 48, and 72h, and found that Mizagliflozin inhibited the proliferation and fibrosis of polycystic kidney cells in a concentration and time dependent manner^[2]. Mizagliflozin, 1 μ M or 10 μ M added to Rat PC12HS cells to pretreat for 24h or posttreat for 6h, ameliorated IL-1 β -induced decreases in the survival rate of PC12HS cells, and regardless of the administration start time^[3].

Mizagliflozin given to diabetic mice at a low dose (0.5mg/kg) or a high dose (1.0mg/kg) via stomach gavage for 8 weeks, and mesangial cells were isolated and subjected to high glucose conditions in

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culture to assess the effects of Mizagliflozin on diabetic nephropathy. The results showed that low doses of Mizagliflozin significantly reduced albuminuria to a level comparable to that achieved with high doses in db/db mice. High doses of Mizagliflozin led to a substantial increase in body weight in mice, along with decreased blood glucose levels and food intake^[4]. Mizagliflozin administered intravenously (0.3mg/kg) and orally (3mg/kg) in rats declined with a short half-life (0.23 and 1.14h, respectively), the absolute bioavailability was only 0.02%. Up to 24h after oral administration of [¹⁴C]Mizagliflozin (1mg/kg), radioactivity was recovered in the faeces (98.4%) and in the urine (0.8%)^[5].

References:

- [1] Inoue Toshihiro, Takemura Masaaki, Fushimi Nobuhiko et al. Mizagliflozin, a novel selective SGLT1 inhibitor, exhibits potential in the amelioration of chronic constipation.[J]. Eur J Pharmacol, 2017, 806: 25-31.
- [2] Liu W, Shuangcheng W U, Zhang T, et al. Mizagliflozin inhibits proliferation and fibrosis of autosomal dominant polycystic kidney cells by inhibiting function of sodium-glucose cotransporter 1[J]. Academic Journal of Naval Medical University, 2024, 45(11): 1343-1351.
- [3] Ishida N, Saito M, Sato S, et al. Mizagliflozin, a selective SGLT1 inhibitor, improves vascular cognitive impairment in a mouse model of small vessel disease[J]. Pharmacology Research & Perspectives, 2021, 9(5): e00869.
- [4] Lin Z M, Gao H Y, Shi S H, et al. Mizagliflozin ameliorates diabetes induced kidney injury by inhibitor inhibit inflammation and oxidative stress[J]. World Journal of Diabetes, 2025, 16(1): 92711.
- [5] Ohno H, Kojima Y, Harada H, et al. Absorption, disposition, metabolism and excretion of [¹⁴C] mizagliflozin, a novel selective SGLT1 inhibitor, in rats[J]. Xenobiotica, 2019, 49(4): 463-473.

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