Tofogliflozin

Cat. No.: HY-14902

CAS No.: 903565-83-3

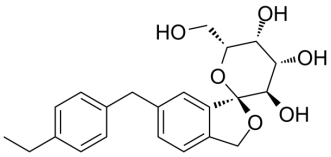
Molecular Formula: $C_{22}H_{26}O_6$

Molecular Weight: 386.44

Target: SGLT

Pathway: Membrane Transporter/Ion Channel

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Tofogliflozin(CSG-452) is a potent and highly specific sodium/glucose cotransporter 2(SGLT2) inhibitor with Ki values of 2.9, 14.9, and 6.4 nM for human, rat, and mouse SGLT2.IC50 value: 2.9/14.9/6.4 nM(human/rat/mouse SGLT2) [1]Target: SGLT2 inhibitorin vitro: Tofogliflozin competitively inhibited SGLT2 in cells overexpressing SGLT2, and K(i) values for human, rat, and mouse SGLT2 inhibition were 2.9, 14.9, and 6.4 nM, respectively. The selectivity of tofogliflozin toward human SGLT2 versus human SGLT1, SGLT6, and sodium/myo-inositol transporter 1 was the highest among the tested SGLT2 inhibitors under clinical development [1]. tofogliflozin was catalyzed to the primary hydroxylated derivative (M4) by CYP2C18, CYP4A11 and CYP4F3B, then M4 was oxidized to M1. 3. Tofogliflozin had no induction potential on CYP1A2 and CYP3A4 [4].in vivo: A single oral gavage of tofogliflozin increased renal glucose clearance and lowered the blood glucose level in Zucker diabetic fatty rats. Tofogliflozin also improved postprandial glucose excursion in a meal tolerance test with GK rats. In db/db mice, 4-week tofogliflozin treatment reduced glycated hemoglobin and improved glucose tolerance in the oral glucose tolerance test 4 days after the final administration [1]. Tofogliflozin (400 ng/ml) induced UGE of about 2 mg·kg 1·min 1 and increased EGP by 1-2 mg·kg 1·min 1, resulting in PG in the normal range [2]. Tofogliflozin suppressed plasma glucose and glycated Hb and preserved pancreatic beta-cell mass and plasma insulin levels. No improvement of glycaemic conditions or insulin level was observed with losartan treatment [3].

CUSTOMER VALIDATION

- Biochem Pharmacol. 2018 Jun;152:45-59.
- Biochem Pharmacol. 2016 Feb 1;101:27-39.
- J Chromatogr B Analyt Technol Biomed Life Sci. 2020 Mar 15;1141:122020.

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REFERENCES

[1]. Nagata T, et al. Tofogliflozin, a novel sodium-glucose co-transporter 2 inhibitor, improves renal and pancreatic function in db/db mice. Br J Pharmacol. 2013 Oct;170(3):519-31.

[2]. Yamane M, et al. In vitro profiling of the metabolism and drug-drug interaction of tofogliflozin, a potent and highly specific sodium-glucose co-transporter 2 inhibitor, using human liver microsomes, human hepatocytes, and recombinant human CYP. Xenobiotica. 2014 Oct 28:1-9.

[3]. Suzuki M, et al. Tofogliflozin, a potent and highly specific sodium/glucose cotransporter 2 inhibitor, improves glycemic control in diabetic rats and mice. J Pharmacol Exp Ther. 2012 Jun;341(3):692-701.

[4]. Nagata T, et al. Selective SGLT2 inhibition by tofogliflozin reduces renal glucose reabsorption under hyperglycemic but not under hypo- or euglycemic conditions in rats. Am J Physiol Endocrinol Metab. 2013 Feb 15;304(4):E414-23.

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