Tofogliflozin

Cat. No.: HY-14902
CAS No.: 903565-83-3
Molecular Formula: C₂₂H₂₆O₆
Molecular Weight: 386.44
Target: SGLT
Pathway: Membrane Transporter/Ion Channel
Storage: Please store the product under the recommended conditions in the COA.

**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 inhibitor

in 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].

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**REFERENCES**

