Product Data Sheet

Product Name: Tofogliflozin (CSG452)
Cat. No.: GC31461

Chemical Properties

Cas. No.	903565-83-3		
SMILES	O[C@@H]1[C@@H](O)[C@@H](O)[C@@H](CO)O[C@@]21OCC3=CC=C(CC4=CC=C(CC)C=C4)C=C23		
Formula	$C_{22}H_{26}O_6$	M.Wt	386.44
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Tofogliflozin (CSG452)		

Background

Tofogliflozin (CSG 452) is a novel sodium-glucose co-transporter 2(SGLT2) inhibitor with IC50 values of 2.9 nM and 8444 nM for hSGLT2 and hSGLT1, respectively.

Tofogliflozin is the potent and most selective inhibitor of SGLT2; the selectivity of tofogliflozin toward SGLT2 is 2900 times that toward SGLT1. Tofogliflozin dose-dependently inhibited glucose entry into tubular cells, tofogliflozin suppressed high glucose-induced ROS generation, MCP-1 gene induction and apoptosis in tubular cells and an antioxidant NAC mimicked the effects of tofogliflozin on high glucoseexposed tubular cells[3].

A single oral administration of this compound lowers blood glucose levels in Zucker diabetic rats with increased renal glucose clearance and treatment for 4 weeks with this compound improves glucose tolerance in db/db mice. Tofogliflozin treatment lowers urine volume compared with the untreated control group at 8 weeks of treatment. Tofogliflozin treatment increases renal glucose clearance levels compared with untreated db/db mice, whereas losartan treatment has no effect on this parameter.

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Tofogliflozin treatment reduces the threshold of glucose reabsorption in db/db mice and increases the UGE, and then reduces the PG. Tofogliflozin treatment significantly and dose-dependently elevates the total beta-cell mass, suggesting that beta-cell loss is prevented. Tofogliflozin suppresses plasma glucose and glycated Hb and preserves pancreatic beta-cell mass and plasma insulin levels[2].

[1] Yoshihito Ohtake, et al. J Med Chem. 2012, 55(17):7828-7840. [2] Nagata T, et al. Br J Pharmacol. 2013, 170(3):519-31. [3] Ishibashi Y, et al. Horm Metab Res. 2016, 48(3):191-5.

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