**Product Data Sheet**

**Tofogliflozin hydrate**

**Cat. No.**: HY-13413  
**CAS No.**: 1201913-82-7  
**Molecular Formula**: C₂₂H₂₈O₇  
**Molecular Weight**: 404.45  
**Target**: SGLT  
**Pathway**: Membrane Transporter/Ion Channel  
**Storage**:
- Powder: -20°C 3 years, 4°C 2 years  
- In solvent: -80°C 6 months, -20°C 1 month

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**SOLVENT & SOLUBILITY**

**In Vitro**

- **DMSO**: ≥ 100 mg/mL (247.25 mM)  
- **H₂O**: 0.33 mg/mL (0.82 mM; Need ultrasonic)  
* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.4725 mL</td>
<td>12.3625 mL</td>
<td>24.7249 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4945 mL</td>
<td>2.4725 mL</td>
<td>4.9450 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2472 mL</td>
<td>1.2362 mL</td>
<td>2.4725 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: **10% DMSO >> 90% corn oil**  
   Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**  
   Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**  
   Solubility: ≥ 2.5 mg/mL (6.18 mM); Clear solution

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**BIOLOGICAL ACTIVITY**

**Description**

Tofogliflozin hydrate (CSG-452 hydrate) 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]

[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. 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 per min and increased EGP by 1-2 mg/kg per min, 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].

**REFERENCES**


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