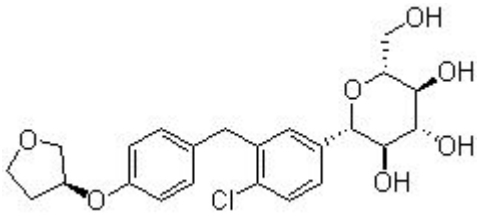
# Product Introduction

## Empagliflozin (BI 10773)

Empagliflozin (BI-10773) is a potent and selective **SGLT-2** inhibitor with **IC50** of 3.1 nM, exhibits >300-fold selectivity over SGLT-1, 4, 5 and 6. Phase 3.

### Technical Data:

| | | |
|---|---|---|
| **Molecular Weight (MW):** | 450.91 |  |
| **Formula:** | C23H27ClO7 | |
| **Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:** | DMSO 90 mg/mL | |
| | Water <1 mg/mL | |
| | Ethanol <1 mg/mL | |
| **Purity:** | >98% | |
| **Storage:** | 3 years -20℃Powder | |
| | 6 months-80℃in DMSO | |
| **CAS No.:** | 864070-44-0 | |

### Biological Activity

Empagliflozin shows >2500-fold selectivity for hSGLT-2 over hSGLT-1 (IC50 8300 nM) and >3500-fold selectivity over hSGLT-4, it exhibits >350-fold selectivity over hSGLT-5 (IC50=1100 nM) and >600-fold selectivity over hSGLT-6. No relevant inhibition of GLUT1 is observed up to 10 μM Empagliflozin. In a kinetic binding experiments, [3H]-empagliflozin displays a high affinity for SGLT-2 with a mean Kd of 57 nM in the absence of glucose, and shows a half-life of [3H]-empagliflozin-binding to SGLT-2 of 59 min in the absence of glucose.Its binding to SGLT-2 is competitive with glucose. [1]

High exposure of empagliflozin is achieved in dogs, with plasma concentrations >100-fold above IC50 measured 24 h after administration of 5 mg/kg empagliflozin. The total plasma clearance of empagliflozin in ZDF rat is 43 mL/min/kg, while in dogs is lower at 1.8 mL/min/kg. Cmax of empagliflozin in ZDF rat and dogs is 167 nM and 17254 nM, respectively. [1] Terminal elimination half-life in ZDF rat and dogs is 1.5 h and 6.3 h, respectively. Bioavailability of empagliflozin in ZDF rat is 33.2%, while in dogs is higher at 89.0%. Long-term treatment with empagliflozin, improves glycaemic control and features of metabolic syndrome in diabetic rats. [2]

## References


[1] Grempler R, et al. Diabetes Obes Metab, 2012, 14(1), 83-90.
[2] Thomas L, et al. Diabetes Obes Metab, 2012, 14(1), 94-96.
[3] Panchapakesan U, et al. PLoS One, 2013, 8(2), e54442.

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