Empagliflozin

货号：E3801

储存条件：粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

产品描述

Sodium glucose cotransporter-2 (SGLT-2) is responsible for glucose reabsorption via the kidney. Empagliflozin is a selective SGLT-2 inhibitor that blocks the uptake of [14C]-AMG via human SGLT-2 (hSGLT-2) with an IC50 value of 3.1nM in vitro. It exhibited >2500-, >3500-, >350-, and >600-fold selectivity over hSGLT-1 (IC50 = 8.3μM), hSGLT-4 (IC50 = 11μM), hSGLT-5 (IC50 = 1.1μM), and hSGLT-6 (IC50 = 2.0μM), respectively. In kinetic binding experiments, [3H]-empagliflozin showed a high affinity for SGLT-2 (Kd = 57nM) in the absence of glucose, whereas glucose at 20nM lowered the affinity of empagliflozin to a Kd value of 194nM. In db/db mice, treatment with empagliflozin (10mg/kg/day in food) for 4 weeks decreased the ventricular mass, lowered the fasting glucose level and elevated the fed and fasted ketone levels as compared to the vehicle-treated group. In the presence of insulin, empagliflozin-treated db/db mice showed increased mean palmitate oxidation rate in the heart in comparison to C57BL/6J mice. The cardiac ATP production rate in vehicle-treated db/db mice was 36% lower than that in C57BL/6J mice, whereas empagliflozin treatment restored the ATP production rate to the level similar to that in C57BL/6J mice.

作用机制

Empagliflozin is a potent and selective inhibitor of SGLT-2. It binds to SGLT-2 in a glucose-competitive manner.

产品信息

CAS 号	864070-44-0	
分子式	C23H27ClO7	
分子量	450.91	
溶解度	DMSO	89.0 mgrmL (197 .4 mM)
	Water	Insoluble
	Ethanol	Insoluble