Dapagliflozin

货号： D2342

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

The sodium-dependent glucose transport (SGLT) proteins belong to the sodium glucose cotransporter family. Sodium-dependent glucose transport 2 (SGLT2) is a high-capacity, low-affinity transporter that is expressed mainly in the kidney. It has a Na(+) to glucose coupling ratio of 1:1. It is estimated that 90% of renal glucose reabsorption is facilitated by SGLT2 residing on the surface of the epithelial cells lining the S1 segment of the proximal tubule. Dapagliflozin is a potent and selective SGLT2 inhibitor. In an experiment system of Chinese hamster ovary cells stably expressing human SGLT2 and SGLT1, data yielded by monitoring inhibition of AMG showed that the EC50 of dapagliflozin for human SGLT2 inhibition was 1.1 nM, while that for human SGLT1 was 1390 nM. In acute normal and diabetic rat studies, SD or ZDF rats were dosed orally with single doses of dapagliflozin at 0.01 mg/kg, 0.1 mg.kg, 1 mg/kg or 10 mg/kg. In normal rats， the results were that dapagliflozin caused significant dose-dependent glucosuria and increase in urine volume, with 1 mg/kg producing a 400-fold increase in urine glucose and a threefold increase in urine volume versus vehicle over 24 h post-dose. Dapagliflozin administration was also associated with a reduction in glucose area under the curve over 1 h post-dose at 1 and 10 mg/kg doses in the glucose tolerance test in normal rats. In ZDF rats, dapagliflozin dose-dependently increased urine glucose and urine volume excretion at 6 h post-dose . In another study, dapagliflozin was administrated at the dose of 0.5 mg/kg orally once daily for 15 days. When measured 24 h after the final dose on day 15, ZDF rats treated with dapagliflozin displayed a 53% decrease in 18-h FPG level compared with vehicle-treated rats.

产品信息

CAS 号	461432-26-8	
分子式	C21H25ClO6	
分子量	408.87	
溶解度	DMSO	120.0 mg/mL (293.5 mM)
	Water	insoluble