Encequidar mesylate

Cat. No.: HY-13646A  
CAS No.: 849675-87-2  
Molecular Formula: C₃₉H₄₀N₆O₁₀S  
Molecular Weight: 784.83  
Target: P-glycoprotein  
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

BIOLOGICAL ACTIVITY

Description  
Encequidar mesylate (HM30181 mesylate) is a competitive and potent P-glycoprotein inhibitor.

IC₅₀ & Target  
P-glycoprotein[1][2].

In Vitro  
Compared with control (0 nM Encequidar (HM30181)), paclitaxel potently inhibits the survival of K1735 cells by about 65% at 100 nM and 72% at 1000 nM in a dose-dependent manner. Encequidar (HM30181) does not make any significant changes in the survival of K1735 cells. In contrast, bEnd.3 cells do not show any decreases in survival by the paclitaxel treatment without Encequidar (HM30181). However, treatment of 0.1 or 1 nM Encequidar (HM30181) lead to 20 and 42% inhibition of survival at the 100 nM and 1000 nM paclitaxel treatment, respectively[2].

In Vivo  
The plasma concentrations of Encequidar (HM30181) are higher for the simultaneous administration with the microcapsule than with the powder, providing significant differences from 1 to 2 h. The microcapsule has about a 1.7-fold faster Tₘₐₓ and a 1.6-fold higher AUC value compared with the powder (2.5±0.6 vs. 4.3±0.9 h; 107.7±20.1 vs. 64.3±18.0 h ng/mL). The faster and overall improved absorption of Encequidar (HM30181) in microcapsule form might be due to the remarkable enhancement of the aqueous solubility and dissolution resulting from its crystalline conversion to the amorphous form and particle size reduction[1].

PROTOCOL

Animal Administration[1]  
Rats[1]  
The cannulised rats are divided into four groups, each comprises of 6 rats. Encequidar (HM30181) powder or Encequidar (HM30181)- loaded microcapsules are enclosed in hard capsules. One group of rats is dosed orally with paclitaxel solution only, at a drug dose of 20 mg/kg. The rats in the other two groups are administered paclitaxel solution at a drug dose of 20 mg/kg simultaneously with either capsules containing Encequidar (HM30181) powder or microcapsules (equivalent to 20 mg/kg Encequidar (HM30181))[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.