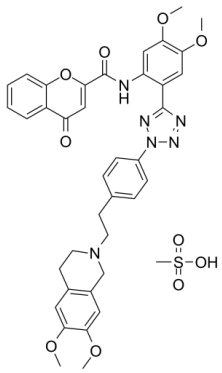
Data Sheet

Product Name:	Encequidar (mesylate)
Cat. No.:	CS-0007532
CAS No.:	849675-87-2
Molecular Formula:	C39H40N6O10S
Molecular Weight:	784.83
Target:	P-glycoprotein
Pathway:	Membrane Transporter/Ion Channel
Solubility:	H2O



BIOLOGICAL ACTIVITY:

Encequidar mesylate (HM30181 mesylate; HM30181A 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 T_{max} 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 (Extracted from published papers and Only for reference)

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].

References:

[1]. Kim JC, et al. Effect of HM30181 mesylate salt-loaded microcapsules on the oral absorption of paclitaxel as a novel P-glycoprotein inhibitor. Int J Pharm. 2016 Jun 15;506(1-2):93-101.

[2]. Joo KM, et al. Response of brain specific microenvironment to P-glycoprotein inhibitor: an important factor determining therapeutic effect of P-glycoprotein inhibitor on brain metastatic tumors. Int J Oncol. 2008 Oct;33(4):705-12.

CAIndexNames:

4H-1-Benzopyran-2-carboxamide, N-[2-[2-[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]-2H-tetrazol-5-yl]-4,5-dimethoxyphenyl]-4-oxo-, methanesulfonate (1:1)

SMILES:

O=C(C1=CC(C2=CC=CC=C2O1)=O)NC3=CC(OC)=C(OC)C=C3C4=NN(C5=CC=C(CCN6CC7=C(C=C(OC)C(OC)=C7)CC6)C=C5)N=N4.CS(=O)(O)=O

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA