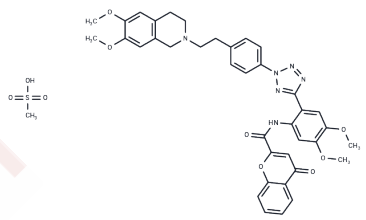
Data Sheet (Cat.No.T11578L)

TargetMol

Encequidar mesylate

Chemical Properties



CAS No. : 849675-87-2

Formula: C39H40N6O10S

Molecular Weight: 784.83

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Encequidar mesylate (HM30181A mesylate) is a competitive P-glycoprotein inhibitor.
Targets(IC50)	P-gp
In vitro	Administration of Encequidar at concentrations of 0.1 or 1 nM resulted in a reduction of cell survival by 20% and 42% upon exposure to 100 nM and 1000 nM of NSC 125973, respectively [2].
In vivo	When administered simultaneously, Encequidar demonstrates increased plasma concentrations with the microcapsule formulation compared to the powder, showing notable differences from 1 to 2 hours. The microcapsule formulation achieves a 1.7-fold quicker Tmax and a 1.6-fold greater AUC value than the powder (2.5±0.6 vs. 4.3±0.9 hours; 107.7±20.1 vs. 64.3±18.0 h ng/mL), indicating faster and more efficient absorption. This enhanced absorption of Encequidar in microcapsule format is likely attributed to its improved aqueous solubility and dissolution rates, facilitated by the conversion from crystalline to amorphous form and the reduction in particle size [1].

Solubility Information

Solubility	DMSO: 62.5 mg/mL (79.64 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 6.25 mg/mL (7.96 mM),Suspension. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.2742 mL	6.3708 mL	12.7416 mL
5 mM	0.2548 mL	1.2742 mL	2.5483 mL
10 mM	0.1274 mL	0.6371 mL	1.2742 mL
50 mM	0.0255 mL	0.1274 mL	0.2548 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kim JC, et al. Effect of HM30181 mesylate salt-loaded microcapsules on the oral absorption of NSC 125973 as a novel P-glycoprotein inhibitor. Int J Pharm. 2016 Jun 15;506(1-2):93-101.

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.