Encequidar mesylate

Cat. No.: HY-13646A

CAS No.: 849675-87-2

Molecular Formula: $C_{39}H_{40}N_6O_{10}S$

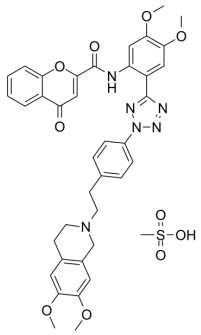
Molecular Weight: 784.83

Target: P-glycoprotein

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (31.85 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (3.19 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Encequidar mesylate (HM30181 mesylate; HM30181A mesylate) is a competitive and potent P-glycoprotein inhibitor.

IC_{50} & Target

P-glycoprotein[1][2].

In Vitro

Treatment of 0.1 or 1 nM Encequidar (HM30181) lead to 20 and 42% inhibition of survival at the 100 nM and 1000 nM NSC 125973 treatment, respectively[2].

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

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].

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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 NSC 125973 solution only, at a drug dose of 20 mg/kg. The rats in the other two groups are administered NSC 125973 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].

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CUSTOMER VALIDATION

- Nat Commun. 2021 Jan 12;12(1):312.
- Crit Rev Anal Chem. 2021 Mar 10;1-15.

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REFERENCES

[1]. 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.

[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.

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