Encequidar

Cat. No.: HY-13646

CAS No.: 849675-66-7

Molecular Formula: $C_{38}H_{36}N_6O_7$

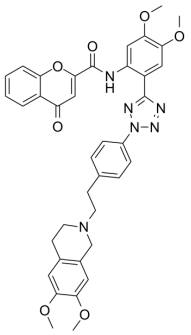
Molecular Weight: 688.73

Target: P-glycoprotein

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2.4 mg/mL (3.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4519 mL	7.2597 mL	14.5195 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

Encequidar (HM30181; HM30181A) is a potent and selective inhibitor of P-glycoprotein.

In Vitro

Encequidar (HM30181; HM30181A) is shown to be approximately equipotent with the reference Pgp inhibitor tariquidar in inhibiting rhodamine 123 efflux from CCRF-CEM T cells (IC_{50}, tariquidar: 8.2±2.0 nM, Encequidar (HM30181): 13.1±2.3 nM) [1]. Encequidar (HM30181) shows a high selectivity for mP-gp and its potency is 20-50 times higher than that of tariquitar, another third generation P-gp inhibitor[2].

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

In Vivo

PET scans with the Pgp substrate (R)-[^{11}C]NSC 657799 in FVB wild-type mice pretreated i.v. with Encequidar (HM30181) (10 or 21 mg/kg) failes to show significant increases in (R)-[^{11}C]NSC 657799 brain uptake compared with vehicle treated animals [1].

Encequidar (HM30181) inhibits P-gp mainly in the intestinal endothelium, which can be beneficial because pan-inhibition of P-gp, particularly in the brain, could lead to detrimental adverse events. Encequidar (HM30181) increases the oral bioavailability of co-administered NSC 125973 by more than 12 times in rats[2].

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

PROTOCOL

Animal Administration [1]

Mice[1]

Encequidar (HM30181) mesylate is dissolved in 5% aqueous glucose solution, containing 20 µL 0.01 M aq. HCl and injected at a volume of 4 mL/kg. Female FVB wild-type mice, aged 8-12 weeks weighing 24±4 g undergo (R)-[^{11}C]NSC 657799 PET scans without and with i.v. pretreatment with cold Encequidar (HM30181). Animals are assigned to 5 groups (n=4 per group). One group is pretreated with HM30181 vehicle solution (5% aq. glucose solution containing 20 µL 0.01 M aq. HCl) at 60 min before start of the PET scan. The other groups are pretreated with either 10 mg/kg Encequidar (HM30181) at 10, 60 or 120 min before PET or with 21 mg/kg HM30181 at 10 min before PET[1].

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

CUSTOMER VALIDATION

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

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Bauer F, et al. Interaction of HM30181 with P-glycoprotein at the murine blood-brain barrier assessed with positron emission tomography. Eur J Pharmacol. 2012 Dec 5;696(1-3):18-27.

[2]. Kim TE, et al. Effects of HM30181, a P-glycoprotein inhibitor, on the pharmacokinetics and pharmacodynamics of loperamide in healthy volunteers. Br J Clin Pharmacol. 2014 Sep;78(3):556-64.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

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