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产品名称：HM30181
产品别名：Encequidar；HM30181A

生物活性：

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 (IC50, 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].
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].
Solvent&Solubility	***In Vitro:*** **DMSO : 6.9 mg/mL (10.02 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	0.2904 mL	1.4519 mL	2.9039 mL
	10 mM	0.1452 mL	0.7260 mL	1.4519 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。
储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Animal Administration	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].
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.