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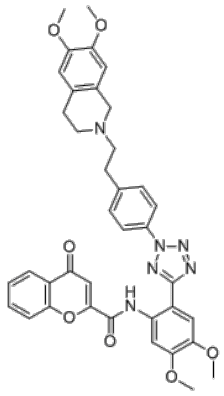
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Encequidar
Cat. No.	:	PC-73218
CAS No.	:	849675-66-7
Molecular Formula	:	$C_{38}H_{36}N_6O_7$
Molecular Weight	:	688.741
Target	:	P-glycoprotein (P-gp)
Solubility	:	10 mM in DMSO



Biological Activity

Encequidar (HM30181) is a potent selective inhibitor of **MDR1** (ABCB1, P-gp) with IC50 of 0.63 nM.
Encequidar (HM30181) effectively blocked transepithelial transport of paclitaxel in MDCK monolayers.
Encequidar (HM30181) does not inhibit MRP1 (ABCC1), MRP2 (ABCC2), and MRP3 (ABCC3), and partially inhibited BCRP (ABCG2) only at very high concentrations.
Oral co-administration of paclitaxel and HM30181 showed a tumor-inhibitory strength equal or superior to that of intravenous paclitaxel in the xenograft model in nude mice.

References

Kim TE, et al. Br J Clin Pharmacol. 2014 Sep;78(3):556-64.

Bauer F, et al. Eur J Pharmacol. 2012 Dec 5;696(1-3):18-27.

Kwak JO, et al. Eur J Pharmacol. 2010 Feb 10;627(1-3):92-8.

E-mail: tech@probechem.com