Encequidar

Cat. No.: HY-13646
CAS No.: 849675-66-7
Molecular Formula: C₃₈H₃₆N₆O₇
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 6 months, -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO: 6.9 mg/mL (10.02 mM; Need ultrasonic)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass (ml)</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.4519</td>
<td>7.2597</td>
<td>14.5195</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.2904</td>
<td>1.4519</td>
<td>2.9039</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1452</td>
<td>0.7260</td>
<td>1.4519</td>
<td></td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

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

In Vitro
Encequidar (HM30181) 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 tariquidar, another third generation P-gp inhibitor [2].

In Vivo
PET scans with the Pgp substrate (R)-[¹¹C]verapamil in FVB wild-type mice pretreated i.v. with Encequidar (HM30181) (10 or 21 mg/kg) fail to show significant increases in (R)-[¹¹C]verapamil 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 paclitaxel by more than 12 times in rats [2].
Animal Administration [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)-[11C]verapamil 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.

REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.