JNJ-31020028

Cat. No.: HY-14450
CAS No.: 1094873-14-9
Molecular Formula: C₃₄H₃₆FN₅O₂
Molecular Weight: 565.68
Target: Neuropeptide Y Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
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 : 21.5 mg/mL (38.01 mM; Need ultrasonic and warming)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.7678 mL</td>
<td>8.8389 mL</td>
<td>17.6778 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3536 mL</td>
<td>1.7678 mL</td>
<td>3.5356 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1768 mL</td>
<td>0.8839 mL</td>
<td>1.7678 mL</td>
</tr>
</tbody>
</table>

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description JNJ-31020028 is a selective brain penetrant antagonist of neuropeptide Y2 receptor with high affinity (pIC50=8.07, human; pIC50=8.22 rat); >100-fold selective versus human Y1/Y4/Y5 receptors. IC50 value: 8.07/8.22(human/rat pIC50) [1]

Target: Y2 receptor antagonist
in vitro: JNJ-31020028 was demonstrated to be an antagonist (pK(B) = 8.04 +/- 0.13) in functional assays [1].
in vivo: JNJ-31020028 occupied Y(2) receptor binding sites (approximately 90% at 10 mg/kg) after subcutaneous administration in rats [1]. Neither systemic (0, 15, 30, and 40 mg/kg, subcutaneously [s.c.]) nor intracerebroventricular (0.0, 0.3, and 1.0 nmol/rat) administration of JNJ-31020028 affected alcohol-reinforced lever pressing or relapse to alcohol seeking behavior following stress exposure. JNJ-31020028 (15 mg/kg, s.c.) did reverse the anxiogenic effects of withdrawal.
from a single bolus dose of alcohol on the elevated plus-maze, confirming the anxiolytic-like properties of NPY Y2 antagonism [2]. Chronic administration of JNJ-31020028 induced a decrease in immobility time in the forced swim test in OBX while had no effect in control animals [3].

REFERENCES

