Fasudil Hydrochloride

Cat. No.: HY-10341
CAS No.: 105628-07-7
Molecular Formula: C₁₄H₁₈ClN₃O₂S
Molecular Weight: 327.83
Target: ROCK; Calcium Channel; Autophagy; PKA; PKC; HIV
Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad; Membrane Transporter/Ion Channel; Neuronal Signaling; Autophagy; Protein Tyrosine Kinase/RTK; Epigenetics; Anti-infection
Storage: Powder -20°C 3 years
4°C 2 years
In solvent -80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
<th>Mass (1 mg)</th>
<th>Mass (5 mg)</th>
<th>Mass (10 mg)</th>
</tr>
</thead>
<tbody>
<tr>
<td>H₂O</td>
<td>55 mg/mL (167.77 mM; Need ultrasonic)</td>
<td>3.0504 mL</td>
<td>15.2518 mL</td>
<td>30.5036 mL</td>
</tr>
<tr>
<td>DMSO</td>
<td>≥ 31 mg/mL (94.56 mM)</td>
<td>0.6101 mL</td>
<td>3.0504 mL</td>
<td>6.1007 mL</td>
</tr>
</tbody>
</table>

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass (1 mg)</th>
<th>Mass (5 mg)</th>
<th>Mass (10 mg)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>3.0504 mL</td>
<td>15.2518 mL</td>
<td>30.5036 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6101 mL</td>
<td>3.0504 mL</td>
<td>6.1007 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3050 mL</td>
<td>1.5252 mL</td>
<td>3.0504 mL</td>
</tr>
</tbody>
</table>

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fasudil Hydrochloride (HA-1077 Hydrochloride; AT877 Hydrochloride), is a nonspecific ROCK inhibitor and also has inhibitory effect on protein kinases, with an $K_i$ of 0.33 μM for ROCK1, IC₅₀s of 0.158 μM and 4.58 μM, 12.30 μM, 1.650 μM.
μM for ROCK2 and PKA, PKC, PKG, respectively\[1\]. Fasudil Hydrochloride is also a potent Ca\(^{2+}\) channel antagonist and vasodilator\[2\].

<table>
<thead>
<tr>
<th>IC(_{50}) &amp; Target</th>
<th>p160ROCK</th>
<th>ROCK2</th>
<th>PKA</th>
<th>PKC</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>0.33 μM (K)</td>
<td>0.158 μM (IC(_{50}))</td>
<td>4.58 μM (IC(_{50}))</td>
<td>12.30 μM (IC(_{50}))</td>
</tr>
<tr>
<td>PKG</td>
<td>1.65 μM (IC(_{50}))</td>
<td></td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**In Vitro**

Fasudil Hydrochloride (100 μM) inhibits cell spreading, the formation of stress fibers, and expression of α-SMA with concomitant suppression of cell growth in rat HSCs and human HSC-derived TWNT-4 cells\[4\]. Fasudil Hydrochloride (50-100 μM; 24 hours) inhibits the LPA-induced phosphorylation of ERK1/2, JNK, and p38 detected by western blotting in rat HSCs and human HSC-derived TWNT-4 cells\[4\]. Fasudil Hydrochloride (25-100 μM; 24 hours) suppresses transcription of collagen and TIMP, stimulates transcription of MMP-1 in human HSC-derived TWNT-4 cells\[4\].

**Western Blot Analysis\[4\]**

- **Cell Line:** Rat HSCs and human HSC-derived TWNT-4 cells
- **Concentration:** 50 μM; 100 μM
- **Incubation Time:** 24 hours
- **Result:** Suppressed the LPA-induced phosphorylation of ERK1/2, JNK and p38 MAPK by 60%, 70%, and 90%, respectively.

**RT-PCR\[4\]**

- **Cell Line:** Rat HSCs and human HSC-derived TWNT-4 cells
- **Concentration:** 25 μM; 50 μM; 100 μM 24 hours
- **Incubation Time:** 24 hours
- **Result:** Reduced the expression of type I collagen, a-SMA, and TIMP-1.

**In Vivo**

Fasudil (30 μg) increases CBF by 50% via intra-coronary injection to dogs. Fasudil (0.01, 0.03, 0.1 and 0.3 mg/kg, bolus, i.v.) decreases MBP and increases HR, VBF, CBF, RBF, and FBF. Fasudil (1.0 ng/mL) increases cardiac output. Fasudil via i.v. produces a significant fall in MBP, left ventricular systolic pressure and total peripheral resistance with an increase in HR and cardiac output, but without obvious effect on right atrial pressure, dP/dt or left ventricular minute work in dogs\[3\]. Fasudil exhibits protectable effects on cardiovascular disease and reduces the activation of JNK and attenuates mitochondrial-nuclear translocation of AIF under ischemic injury\[6\]. Fasudil (100 mg/kg/day, p.o.) significantly reduces incidence and mean maximum clinical score of EAE in SJL/J mice immunized with PLP p139-151. Fasudil inhibits the proliferative response of splenocytes to the antigen in mice. Fasudil decreases inflammation, demyelination, axonal loss and APP positive in spinal cord of Fasudil-treated mice via p.o. administration\[7\].

**CUSTOMER VALIDATION**

REFERENCES


