### Chemical Properties

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Product Name:</strong></td>
<td>Fasudil (HA-1077) HCl</td>
</tr>
<tr>
<td><strong>Cas No.:</strong></td>
<td>105628-07-7</td>
</tr>
<tr>
<td><strong>M.Wt:</strong></td>
<td>327.83</td>
</tr>
<tr>
<td><strong>Formula:</strong></td>
<td>C14H17N3O2S.HCl</td>
</tr>
<tr>
<td><strong>Chemical Name:</strong></td>
<td>5-(1,4-diazepan-1-ylsulfonyl)isoquinoline;hydrochloride</td>
</tr>
<tr>
<td><strong>Canonical SMILES:</strong></td>
<td>C1CNCCN(C1)(=O)(=O)C2=CC=CC3=C2C=CN=C3.Cl</td>
</tr>
<tr>
<td><strong>Solubility:</strong></td>
<td>( \geq 16.4 \text{mg/mL in DMSO,} \geq 4.81 \text{mg/mL in EtOH with ultrasonic,} \geq 50 \text{mg/mL in H2O} )</td>
</tr>
<tr>
<td><strong>Storage:</strong></td>
<td>Store at -20°C</td>
</tr>
<tr>
<td><strong>General tips:</strong></td>
<td>For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.</td>
</tr>
<tr>
<td><strong>Shopping Condition:</strong></td>
<td>Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request</td>
</tr>
</tbody>
</table>

### Biological Activity

<table>
<thead>
<tr>
<th>Targets</th>
<th>TGF-β / Smad Signaling</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Pathways:</strong></td>
<td>ROCK</td>
</tr>
</tbody>
</table>

**Description:**

Fasudil (HA-1077) HCl is a selective inhibitor of ROCK with IC50 value of 0.74 μM [1]. Rho-associated protein kinase (ROCK) belongs to the AGC family of serine-threonine kinases and plays a pivotal role in regulating a variety of cellular processes. It has been reported that abnormal expression of ROCK is correlated with numerous diseases and infections [2]. Fasudil (HA-1077) HCl is a potent ROCK inhibitor and has a different structure with the reported
ROCK inhibitor Y-27632. When tested with 2 human bladder cancer cell lines (5637 and UM-UC-3), Fasudil (HA-1077) HCl treatment inhibits cell proliferation, decreases cell migration and induced cell apoptosis in a dose dependent manner via blocking Rho/ROCK pathway [3]. In oral squamous cell carcinoma SCC-4 cells, administration of Fasudil (HA-1077) HCl markedly decreases cell motility and inhibits cell migration or invasion in a dose dependent manner (1, 50 and 100 μmol/L [4].

In the Cbl/Cbl-b deficiency-driven murine model of myeloproliferative disorders, oral administration of Fasudil (HA-1077) HCl (100 mg/kg, daily) markedly increases the total white cell and monocyte numbers while prolonged survival time has trend but no statistical difference compared with the control group [2].

Reference:

Protocol

Cell experiment:

Cell lines 5637, UM-UC-3 and SCC-4 cell lines

Preparation method The solubility of this compound in DMSO is >16.4 mg/ml. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions

Applications Lysophosphatidic acid and geranylgeraniol induced an increase of cell proliferation and migration in association with promotion of RhoA activity and upregulation of ROCK expression. Fasudil (HA-1077) could suppress cell proliferation and migration, and also induce apoptosis in a dose-dependent manner. Fasudil (HA-1077) also dramatically suppressed the expression of ROCK-I and ROCK-II, but did not affect RhoA activity.

Animal experiment [3]:
Animal models

Cbl/Cbl-b deficiency-driven murine model of myeloproliferative disorders

Dosage form

100 mg/kg daily by oral gavage

Applications

In the Cbl/Cbl-b deficiency-driven murine model of myeloproliferative disorders, by 2 weeks of treatment, total white cell and monocyte counts were significantly lower in mice treated with fasudil. A trend towards improved survival in fasudil-treated mice that did not reach statistical significance was also observed. Notably, prolonged survival beyond 27 weeks was seen in two fasudil-treated mice, nearly twice the 16-week average life-span in the Cbl/Cbl-b DKO MPD model.

Other notes

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:


Product Citations


Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage
recommendations on the product data sheet.