Vactosertib

Cat. No.: HY-19928
CAS No.: 1352608-82-2
Molecular Formula: C₂₂H₁₈FN₇
Molecular Weight: 399.42
Target: TGF-β Receptor
Pathway: TGF-beta/Smad
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: ≥ 83.3 mg/mL (208.55 mM)
H₂O: < 0.1 mg/mL (insoluble)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.5036 mL</td>
<td>12.5182 mL</td>
<td>25.0363 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5007 mL</td>
<td>2.5036 mL</td>
<td>5.0073 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2504 mL</td>
<td>1.2518 mL</td>
<td>2.5036 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: ≥ 2.5 mg/mL (6.26 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.5 mg/mL (6.26 mM); Suspended solution; Need ultrasonic

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Vactosertib (EW-7197) is a potent, orally active and ATP-competitive activin receptor-like kinase 5 (ALK5) inhibitor with an IC₅₀ of 12.9 nM. Vactosertib also inhibits ALK2 and ALK4 (IC₅₀ of 17.3 nM) at nanomolar concentrations. Vactosertib has potently antimetastatic activity and anticancer effect[1][2].

IC₅₀ & Target
ALK5
Vactosertib (10-1000 nM; 30 minutes; 4T1 cells) treatment blocks the TGFβ-induced phosphorylation of Smad2 or Smad3 in a dose-dependent manner in 4T1 cells\[1\]. Vactosertib suppresses the TGFβ-induced nuclear translocation of Smad2/3 in 4T1 cells and MCF10A cells. The IC\(_{50}\) value of Vactosertib on pSmad3 in 4T1 cells is 10-30 nM\[1\]. Vactosertib abrogates TGFβ1-induced tumor cell migration and invasion\[1\]. TGFβ1 downregulated the mRNA level of CDH1 and upregulated the mRNA levels of FN1, HMG2 (high-mobility group AT-hook 2), SNAI1, and SNAI2 (Snail family zinc finger 1 and 2, respectively). Moreover, Vactosertib abolishes the TGFβ1-induced effects on genes related to epithelial-to-mesenchymal transition (EMT)\[1\].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Western Blot Analysis**\[1\]

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>4T1 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>10 nM, 30 µM, 50 nM, 100 µM, 300 nM, 500 nM, 1000 nM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>30 minutes</td>
</tr>
<tr>
<td>Result:</td>
<td>Blocked the TGFβ-induced phosphorylation of Smad2 or Smad3 in a dose-dependent manner.</td>
</tr>
</tbody>
</table>

**In Vivo**

Vactosertib (40 mg/kg; intraperitoneal injection; every other day; for 10 weeks; MMTV/c-Neu female mice) treatment inhibits Smad/TGFβ signaling, cell migration, invasion, and lung metastasis in MMTV/c-Neu mice\[1\]. Vactosertib also inhibits the epithelial-to-mesenchymal transition (EMT) in both TGFβ-treated breast cancer cells and 4T1 orthotopic-grafted mice. Furthermore, Vactosertib enhances cytotoxic T lymphocyte activity in 4T1 orthotopic-grafted mice and increased the survival time of 4T1-Luc and 4T1 breast tumor-bearing mice\[1\].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Mammary tumor virus (MMTV)/c-Neu female mice (32-week-old)[1]</th>
</tr>
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<tbody>
<tr>
<td>Dosage:</td>
<td>40 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Intraperitoneal injection; every other day; for 10 weeks</td>
</tr>
<tr>
<td>Result:</td>
<td>Inhibited Smad/TGFβ signaling, cell migration, invasion, and lung metastasis in MMTV/c-Neu mice.</td>
</tr>
</tbody>
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**REFERENCES**


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

Tel: 609-228-6898  Fax: 609-228-5909  E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA