Alvelestat

Cat. No.: HY-15651
CAS No.: 848141-11-7
Molecular Formula: C₂₅H₂₂F₃N₅O₄S
Molecular Weight: 545.53
Target: Elastase
Pathway: Metabolic Enzyme/Protease
Storage:
- Powder: -20°C 3 years, 4°C 2 years
- In solvent: -80°C 2 years, -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO: ≥ 33 mg/mL (60.49 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>1.8331 mL</td>
<td>9.1654 mL</td>
<td>18.3308 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.3666 mL</td>
<td>1.8331 mL</td>
<td>3.6662 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1833 mL</td>
<td>0.9165 mL</td>
<td>1.8331 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: 20% DMSO, 60% PEG400, 20% Water
   Solubility: 25 mg/mL (45.83 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.58 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.58 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.58 mM); Clear solution

BIological ACTIVITY

Description
Alvelestat (AZD9668) is an orally bioavailable, affinity and selective inhibitor of neutrophil elastase (NE) with a pIC₅₀ value of 7.9 nM, a Kᵢ value of 9.4 nM and a Kᵥ value of 9.5 nM\(^{[1]}\).

IC₅₀ & Target
pIC: 7.9 nM; Kᵢ: 9.4 nM; Kᵥ: 9.5 nM [neutrophil elastase]\(^{[1]}\)
**In Vitro**

Alvelestat (20 μg/mL; 16 hours; HBE and A549 cells) treatment decreases cells death and decreases the levels of IL-1β, IL-6, and TNF-α in vitro[2].

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

**Cell Viability Assay[2]**

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>Human bronchial epithelial cells (HBE) and human alveolar epithelial cells (A549)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td>20 μg/mL</td>
</tr>
<tr>
<td>Incubation Time</td>
<td>16 hours</td>
</tr>
<tr>
<td>Result</td>
<td>Decreased cytotoxicity and inflammatory responses.</td>
</tr>
</tbody>
</table>

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**In Vivo**

Alvelestat (1-10 mg/kg; oral administration; twice daily; for 4 days; Female BALB/cJBomTac mice) treatment reduces the inflammatory response to cigarette smoke as indicated by a reduction in BAL neutrophils and interleukin-1β[1].

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

**Animal Model:** Female BALB/cJBomTac mice exposed to smoke cigarette smoke[1]

**Dosage:** 1 mg/kg, 3 mg/kg, 6 mg/kg, 10 mg/kg

**Administration:** Oral administration; twice daily; for 4 days

**Result:** Reduced the inflammatory response to cigarette smoke as indicated by a reduction in BAL neutrophils and interleukin-1β.

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**CUSTOMER VALIDATION**

- Neural Regen Res. 2023 Oct;18(10):2252-2259

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**REFERENCES**


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**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