GW311616

Cat. No.: HY-15891
CAS No.: 198062-54-3
Molecular Formula: C₁₉H₃₁N₃O₄S
Molecular Weight: 397.53
Target: Elastase
Pathway: Metabolic Enzyme/Protease
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 : ≥ 44 mg/mL (110.68 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solvent Concentration</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>2.5155 mL</td>
<td>12.5777 mL</td>
<td>25.1553 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.5031 mL</td>
<td>2.5155 mL</td>
<td>5.0311 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2516 mL</td>
<td>1.2578 mL</td>
<td>2.5155 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
GW-311616 is a potent, orally bioavailable, long duration and selective human neutrophil elastase (HNE) inhibitor with IC₅₀ value of 22 nM and Kᵢ value of 0.31 nM.[1]

IC₅₀ & Target
IC₅₀: 22 nM (HNE)[1] Kᵢ: 0.31 nM (HNE)[1]

In Vitro
GW-311616 (150 μM; 48 hours) markedly suppresses NE activity in U937 and K562 cells lines.[2]
GW-311616 (20–320 μM; 48 hours; U937 cells) treatment inhibits proliferation and induces apoptosis in leukemia cells.[2]
GW-311616 (150 μM; U937 cells) treatment can increase the protein expression levels of Bax and decrease the expression of Bcl-2[2]
Cell Viability Assay[2]
### Cell Line: U937 and K562 cells

**Concentration:** 150 μM  
**Incubation Time:** 48 hours  
**Result:** Markedly suppressed NE activity.

### Apoptosis Analysis

**Cell Line:** U937 cells  
**Concentration:** 20 μM, 40 μM, 80 μM, 160 μM, 320 μM  
**Incubation Time:** 48 hours  
**Result:** The rate of apoptosis was enhanced.

### Western Blot Analysis

**Cell Line:** U937 cells  
**Concentration:** 150 μM  
**Incubation Time:** 48 hours  
**Result:** Increased the protein expression levels of Bax and decreased the expression of Bcl-2.

### In Vivo

GW-311616 (2 mg/kg; oral administration) rapidly abolishes the circulation of neutrophil elastase (NE) in dogs, while >90% inhibition is maintained for 4 days. This prolonged effect is independent to be due to penetration of neutrophils in bone marrow by orally administrated GW-311616. GW-311616 has moderate terminal elimination half-life ($t_{1/2}$) of 1.1 hours and 1.5 hours for dog (2 mg/kg, oral), rat (2 mg/kg, oral), respectively.[3]

**Animal Model:** Dogs (9-month-old)[3]  
**Dosage:** 0.22 mg/kg, 0.66 mg/kg and 2 mg/kg (Pharmacokinetic study)  
**Administration:** Oral administration  
**Result:** At 0.22 mg/kg, greater than 50% inhibition of elastase was achieved 6 hours after dosing, with activity returning towards control values. Single oral dose of 2 mg/kg rapidly abolished circulating enzyme activity, and greater than 90% inhibition was maintained for 4 days.

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REFERENCES


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