GW788388

**Cat. No.:** HY-10326  
**CAS No.:** 452342-67-5  
**Molecular Formula:** C₂₅H₂₃N₅O₂  
**Molecular Weight:** 425.48  
**Target:** TGF-β Receptor  
**Pathway:** TGF-beta/Smad  
**Storage:**  
<table>
<thead>
<tr>
<th>State</th>
<th>Temp.</th>
<th>Duration</th>
</tr>
</thead>
<tbody>
<tr>
<td>Powder</td>
<td>-20°C</td>
<td>3 years</td>
</tr>
<tr>
<td></td>
<td>4°C</td>
<td>2 years</td>
</tr>
<tr>
<td>In solvent</td>
<td>-80°C</td>
<td>6 months</td>
</tr>
<tr>
<td></td>
<td>-20°C</td>
<td>1 month</td>
</tr>
</tbody>
</table>

**SOLVENT & SOLUBILITY**

**In Vitro**  
DMSO: ≥ 48 mg/mL (112.81 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</td>
<td>Concentration</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>DMSO</td>
<td>1 mM</td>
<td>2.3503 mL</td>
<td>11.7514 mL</td>
<td>23.5029 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4701 mL</td>
<td>2.3503 mL</td>
<td>4.7006 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2350 mL</td>
<td>1.1751 mL</td>
<td>2.3503 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 (5.88 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (5.88 mM); Clear solution  
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (5.88 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**  
GW788388 is a potent and selective inhibitor of ALKS with IC₅₀ of 18 nM, and also inhibits TGF-β type II receptor and activin type II receptor activities, without inhibiting BMP type II receptor.

**IC₅₀ & Target**  
IC₅₀: 18 nM (ALK5)
GW788388 given orally for 5 weeks significantly reduces renal fibrosis and decreased the mRNA levels of key mediators of extracellular matrix deposition in kidneys in db/db mice[1]. GW788388 (50 mg/kg/day, p.o.) significantly attenuates systolic dysfunction in the MI animals, together with the attenuation of the activated (phosphorylated) Smad2 (P < 0.01), α-smooth muscle actin (P < 0.001), and collagen I (P < 0.05) in the noninfarct zone of MI rats[2]. GW788388 reduces the expression of collagen IA1 by 80% at a dose of 1 mg/kg twice a day (b.i.d.). GW788388 significantly reduces the expression of collagen IA1 mRNA when administered orally at 10 mg/kg once a day (u.i.d.) in a model of puromycin aminonucleoside-induced renal fibrosis[3].

PROTOCOL

Animal Administration [2]

One week postsurgery, sham-operated (N=6) and infarcted animals (N=10) are randomized to treatment with the ALK5 inhibitor GW788388 (GSK) at a dosage of 50 mg/kg/day by gavage, which has been shown to significantly attenuate collagen overexpression in a rodent model of dimethylnitrosamine-induced liver disease. Untreated rats, that is, sham-operated (N=9) and MI animals (N=15), are gavaged with vehicle (1% carboxymethyl cellulose solution). Four animals with < 25% infarct size as determined postmortem by histology are excluded from further analyses. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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REFERENCES

