**Vesatolimod**

**Cat. No.:** HY-15601  
**CAS No.:** 1228585-88-3  
**Molecular Formula:** C_{22}H_{30}N_{6}O_{2}  
**Molecular Weight:** 410.51  
**Target:** Toll-like Receptor (TLR); Apoptosis; HBV; HCV; HIV  
**Pathway:** Immunology/Inflammation; Apoptosis; Anti-infection  
**Storage:** Powder  
\[-20^\circ C\] 3 years  
\[4^\circ C\] 2 years  
In solvent  
\[-80^\circ C\] 6 months  
\[-20^\circ C\] 1 month

**SOLVENT & SOLUBILITY**

**In Vitro**

DMSO: ≥ 16.67 mg/mL (40.61 mM)  
*“≥” means soluble, but saturation unknown.*

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.4360 mL</td>
<td>12.1800 mL</td>
<td>24.3599 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4872 mL</td>
<td>2.4360 mL</td>
<td>4.8720 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2436 mL</td>
<td>1.2180 mL</td>
<td>2.4360 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

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: ≥ 1.67 mg/mL (4.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: 1.67 mg/mL (4.07 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 1.67 mg/mL (4.07 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**

Vesatolimod (GS-9620) is a potent, selective and orally active agonist of Toll-Like Receptor (TLR7) with an EC_{50} of 291 nM.

**IC_{50} & Target**

EC{50}: 291 nM (TLR7), 9 μM (TLR8) \[^{[3]}\]

**In Vitro**

Vesatolimod (GS-9620) rapidly internalizes into cells and preferentially localizes to and signals from endo-lysosomal compartments. To test this hypothesis, the kinetics of cellular uptake of the compound in Daudi cells using tritiated...
Vesatolimod (3H-GS-9620) is measured. The kinetics of 3H-GS-9620 accumulation is rapid, reaching concentration-dependent steady-state equilibrium in approximately thirty minutes. Measured intracellular concentration of 3H-Vesatolimod is 5-fold higher than the extracellular concentration of 3H-GS-9620 used to treat cells. Increases in intracellular 3H-Vesatolimod concentrations are roughly proportional with increasing concentrations of 3H-GS-9620. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### In Vivo

Single oral doses of Vesatolimod (GS-9620) at 0.3 and 1 mg/kg in uninfected chimpanzees demonstrates a dose- and exposure-related induction of serum IFN-α, select cytokines/chemokines, and IFN-stimulated genes (ISG) in the peripheral blood and liver. Following oral administration at 0.3 (n=3), and 1 mg/kg (n=3 and n=4), Vesatolimod (GS-9620) Cmax is 3.6±3.5, 36.8±34.5, and 55.4±81.0 nM, respectively. Peak serum IFN responses occur at 8 h post-dose. The mean peak levels of induced serum IFN-α are 66 and 479 pg/mL at doses of 0.3 and 1 mg/kg, respectively. Vesatolimod (GS-9620) treatment induces ISG transcripts including ISG15, OAS-1, MX1, IP-10 (CXCL10), and I-TAC (CXCL11) in peripheral blood mononuclear cells (PBMC) at 0.3 mg/kg and in both PBMC and the liver at 1 mg/kg. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### PROTOCOL

#### Cell Assay

Daudi cells are incubated for indicated times with varying concentrations [3H]Vesatolimod (GS-9620) (0.7 μCi/mL). Cell associated radioactivity is extracted with ice cold 80% ethanol and measured using liquid scintillation counting. The total amount of Vesatolimod in cells is calculated from a calibration curve for Vesatolimod (GS-9620) mass versus radioactivity. Cell volume is measured. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration

Chimpanzees are used. The trial design includes 4 weeks of pre-study evaluation (Day-28, -13 and just prior to first dose) and two cycles of oral Vesatolimod (GS-9620) treatment every other day three times per week for 4 weeks with one cycle at 1 mg/kg, and, after a one week rest, a second cycle at 2 mg/kg. Animals are also intensely monitored for 14 weeks after treatment to assess tolerability and durability of response. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### CUSTOMER VALIDATION

- Vaccine. 2018 Feb 1;36(6):794-801.

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### REFERENCES

