GW2580

Cat. No.: HY-10917
CAS No.: 870483-87-7
Molecular Formula: C₂₀H₂₂N₄O₃
Molecular Weight: 366.41
Target: c-Fms
Pathway: Protein Tyrosine Kinase/RTK
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 : 17.5 mg/mL (47.76 mM; Need ultrasonic and warming)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.7292 mL</td>
<td>13.6459 mL</td>
<td>27.2918 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5458 mL</td>
<td>2.7292 mL</td>
<td>5.4584 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2729 mL</td>
<td>1.3646 mL</td>
<td>2.7292 mL</td>
</tr>
</tbody>
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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 (6.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
GW2580 is an orally bioavailable and selective inhibitor of c-Fms kinase which completely inhibits human cFMS kinase in vitro at 0.06 μM. GW2580 acts as a competitive inhibitor of ATP binding to the cFMS kinase and inhibits colony-stimulating-factor-1 signaling\(^1\).

IC₅₀ & Target
IC₅₀: 60 nM (c-FMS)

In Vitro
GW2580 completely inhibits the growth of CSF-1-dependent mouse myeloid M-NFS-60 cells at 0.7 μM. GW2580 at 0.8-1 μM completely blocks the ability of CSF-1 to induce the growth of mouse M-NFS60 myeloid cells and human monocytes\(^1\).

GW2580 causes a 30-40% inhibition of PTH-induced calcium release at 0.1-0.3 μM, with higher concentrations of 1, 3, and 10 μM completely inhibiting the PTH response\(^1\).
GW2580 inhibits CSF1R phosphorylation in RAW264.7 murine macrophages stimulated with 10 ng/mL with IC<sub>50</sub> of approximately 10 nM<sup>[2]</sup>. GW2580 also inhibits TRKA activity with IC<sub>50</sub> of 0.88 μM<sup>[3]</sup>.

**In Vivo**

GW2580 (Oral administration; 20 and 80 mg/kg) produces a dose-related decrease in the number of tumor cells, with the 80 mg/kg dose completely blocking tumor growth<sup>[1]</sup>. GW2580 (Oral administration; 20 and 80 mg/kg) has gave maximal plasma concentrations of 1.4 and 5.6 μM, respectively<sup>[1]</sup>. GW2580 (50 mg/kg; twice a day from days 0 to 21, 7 to 21, or 14 to 21) inhibits joint connective tissue and bone destruction in a 21-day adjuvant arthritis model<sup>[3]</sup>.

<table>
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<tr>
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<th>Female C3H/HEN mice or female CD-1 nude mice weighing 22-26 g&lt;sup&gt;[1]&lt;/sup&gt;</th>
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<td>Result:</td>
<td>Produced a dose-related decrease in the number of tumor cells, with the 80 mg/kg dose completely blocking tumor growth.</td>
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<td>Dosage:</td>
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<td>Oral administration</td>
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<tr>
<td>Result:</td>
<td>Had gave maximal plasma concentrations of 1.4 and 5.6 μM, respectively.</td>
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**REFERENCES**


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