Nimesulide

Cat. No.: HY-B0363
CAS No.: 51803-78-2
Molecular Formula: C₁₃H₁₂N₂O₅S
Molecular Weight: 308.31
Target: COX
Pathway: Immunology/Inflammation
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: ≥ 100 mg/mL (324.35 mM)
H₂O: < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

<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>
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</tr>
<tr>
<td></td>
<td>1 mM</td>
<td>3.2435 mL</td>
<td>16.2174 mL</td>
<td>32.4349 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.6487 mL</td>
<td>3.2435 mL</td>
<td>6.4870 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.3243 mL</td>
<td>1.6217 mL</td>
<td>3.2435 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 (8.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Nimesulide is a selective COX-2 inhibitor, with IC₅₀ of 70 nM-70 μM in a time-dependent manner, but it shows no effect on COX-1 (IC₅₀ >100 μM). Nimesulide has potent anti-inflammatory, analgesic and antipyretic properties.

IC₅₀ & Target
COX-2
0.07-70 μM (IC₅₀)

In Vitro
Nimesulide is a selective COX-2 inhibitor, with IC₅₀ of 70 nM-70 μM in a time-dependent manner, but it shows weak
effect on COX-1 (IC\textsubscript{50} >100 \mu M)\textsuperscript{[1]}. Nimesulide (10 \mu M) effectively decreases VEGF in endometrium cancer cells, and shows no effect on that in normal cells. Nimesulide (10 and 50 \mu M) dramatically decreases MCP-1 levels in normal cell, and such an effect is also observed with 10 \mu M in cancer cells. In addition, Nimesulide (50 \mu M) potently affects IL-8 level in normal cells, but causes no changes in cancer cells\textsuperscript{[3]}.

### In Vivo

Nimesulide (3 and 10 mg/kg, i.p.) effectively blocks fever induced by i.p. injection of LPS in rats. Nimesulide (3 mg/kg, i.p.) potently reduces fever response induced by IL-1\beta, IL-6 or TNF-\alpha, but does not prevent the initial rise in the febrile response induced by arachidonic acid. Nimesulide also significantly reduces PGE2 levels and PGF2\alpha levels in the cerebrospinal fluid of the LPS-stimulated animals, and inhibits the increase in plasma TNF-\alpha by 97\%\textsuperscript{[2]}.

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### PROTOCOL

#### Cell Assay \textsuperscript{[3]}

5×10\textsuperscript{5} viable cells are carefully dislodged with sterile Pasteur pipettes, transferred into new flasks and incubated with two different doses of Nimesulide (10 and 50 \mu M) for another 24\ h. Incubations for different doses of Nimesulide are repeated three times. The culture supernatant is then collected and stored in small aliquots at -70°\textdegree C until studied. VEGF, MCP-1 and IL-8 concentrations are determined by sandwich quantitative enzyme immunoassay (ELISA) using commercial kits\textsuperscript{[3]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration \textsuperscript{[2]}

Rats\textsuperscript{[2]}

In the initial experiments, rats are pre-treated with intraperitoneal injections of 1, 3 or 10 mg/kg doses of Nimesulide, diluted in a 5\% cremophor vehicle, or 2 mg/kg of indomethacin diluted in tris(hydroximetyl)- aminomethane-HCl (TRIS), pH 8.2, 30 min prior to an i.p. injection of LPS (50 \mu g/kg). Control animals receive the appropriate vehicle plus saline (1 mL/200 g, i.p.). The dose of 3 mg/kg of Nimesulide is chosen for the remaining experiments. In another set of experiments, rats are pretreated with an i.p. injection of Nimesulide (3 mg/kg) or indomethacin (2 mg/kg), diluted in the appropriate vehicles, 30 min prior to an i.c.v. injection (2 \mu L over 1 min) of IL-1 \beta (3.12 ng), IL-6 (300 ng), TNF-\alpha (250 ng), arachidonic acid (50 \mu g), MIP-1\alpha (500 ng), PGE2 (250 ng), PGF2\alpha (250 ng), CRF (2 \mu g) or ET-1 (1 pmol). Control animals receive the appropriate vehicles (1 mL/200 g, i.p.) and sterile saline (2 \mu L over 1 min, i.c.v.). All the drugs are injected between 10:00 and 11:00 AM to avoid circadian rhythm variations\textsuperscript{[2]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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### REFERENCES
