Nabumetone

Cat. No.: HY-B0559
CAS No.: 42924-53-8
Molecular Formula: C₁₅H₁₆O₂
Molecular Weight: 228.29
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 (438.04 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>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>4.3804 mL</td>
<td>21.9020 mL</td>
<td>43.8039 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.8761 mL</td>
<td>4.3804 mL</td>
<td>8.7608 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.4380 mL</td>
<td>2.1902 mL</td>
<td>4.3804 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 (10.95 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.95 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Nabumetone is an orally active non-acidic anti-inflammatory agent, acts as a potent and selective COX-2 inhibitor, and is the prodrug of the active metabolite 6MNA.

IC₅₀ & Target
COX-2
**In Vitro**
Nabumetone is a potent and selective COX-2 inhibitor. Nabumetone (50 μmol-2 mmol) dose-dependently inhibits the proliferation of K-562 and Meg-01 cells, but shows no obvious apoptotic effect. Nabumetone potentiates the apoptotic effect of ADR in the K-562 cell line. Moreover, Nabumetone reduces Bcl-2 expression\(^1\).

**In Vivo**
Nabumetone (79 mg/kg, p.o.) inhibits paw oedema and paw exudate PGE\(_2\) in rats. Nabumetone does not induce gastric damage and causes only 57% inhibition of gastric mucosal 6-keto-PGF\(_{1α}\) production in rats\(^2\). Nabumetone (25, 50, 100 mg/kg, i.p.) dose-dependently inhibits the increase of DDC-induced mucus secretion and stimulates stress-induced mucus secretion in rats. Nabumetone (25 mg/kg, i.p.) significantly suppresses stress-induced ulcer index in rats\(^3\).

**PROTOCOL**

**Cell Assay**\(^1\)
Every cell line is plated into 6-well plates at a concentration of \(3 \times 10^5\)/mL with or without drugs (Nabumetone, etc.) and incubated for 48 h. Viable cells are then counted using the trypan blue dye exclusion test. The percentage of proliferation inhibition is calculated as \(1 - \frac{\text{viable cells exposed to drug}}{\text{viable cells in control}} \times 100\)\(^1\). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration**\(^3\)
Albino male rats (250- to 300-g body weight) are used in the study. The animals are maintained in a single cage and are deprived of food for 16 h before the onset of experiments. Free access to water is allowed until 1 h before the beginning of experiments. There are eight rats in each group. The animals are pretreated with intraperitoneal injections of Nabumetone or dipyrone at 25-, 50-, or 100-mg/kg doses for 3 days\(^3\). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**REFERENCES**


**Caution: Product has not been fully validated for medical applications. For research use only.**
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