Valdecoxib

Cat. No.: HY-15762
CAS No.: 181695-72-7
Molecular Formula: C₁₆H₁₄N₂O₃S
Molecular Weight: 314.36
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 : ≥ 34 mg/mL (108.16 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<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>3.1811 mL</td>
<td>15.9053 mL</td>
<td>31.8107 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.6362 mL</td>
<td>3.1811 mL</td>
<td>6.3621 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.3181 mL</td>
<td>1.5905 mL</td>
<td>3.181 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description Valdecoxib is a highly potent and selective inhibitor of COX-2, with IC₅₀ values of 5 nM and 140 μM for COX-2 and COX-1, respectively. Valdecoxib can be used in the research of arthritis and pain.

IC₅₀ & Target

- COX-2: 5 nM (IC₅₀)
- COX-1: 140 μM (IC₅₀)

In Vitro Valdecoxib (Compound 2) is a highly potent, selective and orally active inhibitor of COX-2, with IC₅₀ values of 5 nM and 140 μM for COX-2 and COX-1, respectively[1]. Valdecoxib (10, 100 μM) inhibits LPS-induced proliferation of endothelial cells and bFGF secretion in a dose-dependent manner. Valdecoxib stimulates VEGF formation via HMEC-1 under inflammatory conditions[2].

In Vivo Valdecoxib (Compound 2) shows potent oral activity in an acute antiinflammatory assay (rat carrageenan foot pad edema; ED₅₀ = 10.2 ± 1.4 mg/kg). Valdecoxib also has chronic antiinflammatory activity in the rat adjuvant arthritis model[3].
model, with an ED50 of 0.032 ± 0.002 mg/kg/day\(^1\). Valdecoxib (10 mg/kg, i.p.) significantly attenuates the behavioral and biochemical (oxidative damage) alterations in chronic-stressed mice\(^3\).

**PROTOCOL**

**Cell Assay**\(^2\)

HMEC-1 cells proliferation is measured using the MTT conversion method. Cells are seeded (50,000 cells/well) into 96-well plates. The cells are incubated for 24 h with LPS 100 µg/mL, CoCl\(_2\) 200 µM, Valdecoxib 10 or 100 µM, LPS and Valdecoxib or CoCl\(_2\) and Valdecoxib or without tested chemicals (control group). All the substances are added at the same time. After incubation, 50 µL MTT (1 mg/mL) is added and the plates are incubated at 37°C for 4 h. At the end of the experiment, cells are exposed to 100 µL DMSO, which enables the release of the blue reaction product-formazan. The absorbance at 570 nm is read on a microplate reader and results are expressed as a percentage of the absorbance measured in control cells\(^2\).

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration**\(^3\)

Mice\(^3\).

The drugs including naproxen (14 mg/kg, i.p.), rofecoxib (5 mg/kg, i.p.), meloxicam (5 mg/kg, i.p.), nimesulide (5 mg/kg, i.p.) and Valdecoxib (10 mg/kg, i.p.) are used in the assay. The animals are randomized into 7 groups (n=10 in each group), including the naive group, in which the mice only receive vehicle for 15 d without forced swimming session; the control (chronically stressed) group, in which mice receive vehicle 30 min before the forced swimming session (6 min) for 15 d; the naproxen (14 mg/kg) group; the Valdecoxib (10 mg/kg) group; the rofecoxib (5 mg/kg) group; the meloxicam (5 mg/kg) group; and the nimesulide (5 mg/kg) group. Drugs are suspended in 0.25% carboxymethylcellulose (CMC) and administered intraperitoneally, 30 min before the forced swimming session for 15 consecutive days\(^3\).

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**REFERENCES**


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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA