Etroicoxib

Cat. No.: HY-15321
CAS No.: 202409-33-4
Molecular Formula: C₁₈H₁₅ClN₂O₂S
Molecular Weight: 358.84
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

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>100 mg/mL (278.68 mM; Need ultrasonic)</td>
<td>2.7868 mL</td>
<td>13.9338 mL</td>
<td>27.8676 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mM</th>
<th>5 mM</th>
<th>10 mM</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.7868 mL</td>
<td>13.9338 mL</td>
<td>27.8676 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5574 mL</td>
<td>2.7868 mL</td>
<td>5.5735 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2787 mL</td>
<td>1.3934 mL</td>
<td>2.7868 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 (6.97 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (6.97 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Etroicoxib (MK-0663) is a non steroidal anti-inflammatory agent, acting as a selective and orally active COX-2 inhibitor, with IC₅₀ of 1.1 μM and 116 μM for COX-2 and COX-1 in human whole blood.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>IC₅₀</th>
<th>COX-2</th>
<th>COX-1</th>
</tr>
</thead>
<tbody>
<tr>
<td>1.1 μM (IC₅₀, in human whole blood)</td>
<td>116 μM (IC₅₀, in human whole blood)</td>
<td></td>
</tr>
</tbody>
</table>
In Vitro
Etoricoxib (MK-0663) is a selective and orally active COX-2 inhibitor, with IC\textsubscript{50}s of 1.1 μM, 116 μM and 5 μM for COX-2, COX-1 in human whole blood and purified human COX-2, respectively. Etoricoxib (MK-0663) shows inhibitory effect on PGE2 production by CHO (COX-2) cells (IC\textsubscript{50}, 79 nM), on purified human COX-2 with detergent (IC\textsubscript{50}, 4.1 μM), and on purified PGE2 production by U937 microsomes (low substrate; IC\textsubscript{50}, 12.1 μM). However, Etoricoxib (MK-0663) has little activity against COX-1 with a K\textsubscript{i} of 167 μM\textsuperscript{[1]}. 

In Vivo
Etoricoxib (MK-0663) (0.1-30 mg/kg, p.o.) dose-dependently inhibits carrageenan-induced paw edema, carrageenan-induced paw hyperalgesia, and endotoxin-induced pyrexis in rats. Etoricoxib (≥10 mg/kg) completely reverses hyperalgesia response in the rat hyperalgesia model. Etoricoxib (MK-0663) (200 mg/kg/day) has no effect on urinary \textsuperscript{51}Cr excretion in rats, and nor in monkeys at 100 mg/kg/day\textsuperscript{[1]}. Etoricoxib (MK-0663) (50 and 100 mg/kg) potently increases the malondialdehyde (MDA) and myeloperoxidase (MPO) levels, and decreases the total glutathione (tGSH) and glutathione reductase (GSHRd) levels in rats. Etoricoxib (MK-0663) (100 mg/kg) significantly inhibits the decrease of NO in rats\textsuperscript{[2]}. Etoricoxib (MK-0663) (0.64 mg/kg, p.o.) reduces the features such as multiple plaque lesions, hyperplasia and dysplasia induced by 1,2-dimethylhydrazine dihydrochloride (DMH) in rats\textsuperscript{[3]}.

PROTOCOL

Animal Administration\textsuperscript{[3]}
Rats\textsuperscript{[3]}
Animals are assorted into the following groups with four to six animals in each group: Control Group, Animals are administrated the vehicle (1mM EDTA-saline subcutaneously) in weekly injection and \textbf{0.5% carboxymethyl cellulose per oral daily}; 1,2-dimethylhydrazine dihydrochloride (DMH) Group, animals are administrated with DMH weekly at a dose of 30 mg/kg body weight subcutaneously, DMH is freshly prepared in 1mM EDTA-saline, pH adjusted to 7.0 using dilute NaOH solution; DMH + Etoricoxib Group, \textbf{Etoricoxib (MK-0663) is given daily per oral} at its therapeutic anti-inflammatory dose (ED\textsubscript{50} for rats, \textbf{0.64 mg/kg body weight}) to the animals along with the weekly administration of DMH; and Etoricoxib Group: Etoricoxib (MK-0663) alone is administered orally daily (0.64 mg/kg body weight). After six weeks, animals are kept on overnight fasting with drinking water ad libitum and sacrificed the next day. The animal body weights in all the groups are recorded once in a week till the termination\textsuperscript{[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.