SB 239063

Cat. No.: HY-11068
CAS No.: 193551-21-2
Molecular Formula: \( \text{C}_{20}\text{H}_{21}\text{FN}_{4}\text{O}_{2} \)
Molecular Weight: 368.4
Target: p38 MAPK; Autophagy
Pathway: MAPK/ERK Pathway; Autophagy
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: 33.33 mg/mL (90.47 mM; Need ultrasonic)
H\(_2\)O: < 0.1 mg/mL (insoluble)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass</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></td>
<td>2.7144 mL</td>
<td>13.5722 mL</td>
<td>27.1444 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td></td>
<td>0.5429 mL</td>
<td>2.7144 mL</td>
<td>5.4289 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td></td>
<td>0.2714 mL</td>
<td>1.3572 mL</td>
<td>2.7144 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 (6.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
SB 239063 is a potent, selective and orally active p38 MAPK inhibitor, exhibits an IC\(_{50}\) of 44 nM for recombinant purified human p38\(\alpha\), with equipotent inhibitory activity against p38\(\alpha\) and p38\(\beta\). SB 239063 has no effect on p38\(\gamma\) or p38\(\delta\). With anti-asthma activity and also be used to enhance memory which is impaired due to aging or medical conditions, such as, AD\([1][2]\).

IC\(_{50}\) & Target
IC\(_{50}\): 44 nM (Human p38\(\alpha\))\([1]\)

In Vitro
SB 239063 (0.1–10 \(\mu\)M; 29 hours, 47 hours) increases apoptosis of eosinophils in a dose-related in the presence of 10 pM IL-5 at every time point from 21 hours onwards\([1]\). SB 239063 potently inhibits IL-1 and TNF-α production in LPS-stimulated human peripheral blood monocytes with IC\(_{50}\)
values of 120 nM and 350 nM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>Eosinophils (guinea pig BALs)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>0.1μM, 1μM, 10μM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>29 hours, 47 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Increased apoptosis of eosinophils in a dose-related in the presence of 10 pM IL-5 at every time point from 21 hours onwards.</td>
</tr>
</tbody>
</table>

**In Vivo**

SB 239063 (12 mg/kg; p.o.; 1 hour before and 4 hours after OA challenge; b.i.d. for 3 days) significantly inhibits the resultant antigen-induced airway eosinophilia[1].

SB 239063 (12 mg/kg; p.o.) almost abolishes ovalbumin (OA)-induced airway eosinophilia (~ 93% inhibition) by inhalation[1].

SB 239063 is a potent inhibitor of LPS-induced TNF-alpha production in the mouse peritoneal cavity with an EC\textsubscript{50} of 5.8 mg/kg (2.8–10.3; 95% CL)[1].

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

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Male BALB/c mice (18–20 g)[1]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>12 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Oral administration; 1 h before and 4 h after OA challenge; bis in die for 3 days</td>
</tr>
<tr>
<td>Result:</td>
<td>Significantly inhibited the resultant antigen-induced airway eosinophilia.</td>
</tr>
</tbody>
</table>

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**REFERENCES**


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

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