MK-0429

Cat. No.: HY-15102
CAS No.: 227963-15-7
Molecular Formula: C₂₃H₂₉N₅O₄
Molecular Weight: 439.51
Target: Integrin
Pathway: Cytoskeleton
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: 250 mg/mL (568.82 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>2.2753 mL</td>
<td>11.3763 mL</td>
<td>22.7526 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.4551 mL</td>
<td>2.2753 mL</td>
<td>4.5505 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.2275 mL</td>
<td>1.1376 mL</td>
<td>2.2753 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: ≥ 2.08 mg/mL (4.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
MK-0429 (L-000845704) is an orally active, potent, selective and nonpeptide αvβ3 integrin antagonist with an IC₅₀ of 80 nM.

IC₅₀ & Target
IC₅₀: 80 nM (αvβ3 integrin)[¹]

In Vivo
MK-0429 (100 or 300 mg/kg, p.o., twice daily b.i.d., 2 weeks) reduces metastatic tumor colony formation and area in
the lungs. MK-0429 is safe and efficacious in significantly decreasing melanoma metastasis in the lungs[1].

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>B6D2F1 hybrid female mice[2]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>100 or 300 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>P.o., twice daily (b.i.d.), 2 weeks</td>
</tr>
<tr>
<td>Result:</td>
<td>MK-0429 at 100 and 300 mg/kg reduced the number of metastatic tumor colonies by 64 and 57%, respectively, and the high dose also reduced the tumor area by 60% as compared to the vehicle[2].</td>
</tr>
</tbody>
</table>

REFERENCES


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

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