Falnidamol

Cat. No.: HY-10322  
CAS No.: 196612-93-8  
Molecular Formula: C₁₈H₁₉ClFN₇  
Molecular Weight: 387.84  
Target: EGFR  
Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK  
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 : ≥ 41 mg/mL (105.71 mM)  
* “≥” means soluble, but saturation unknown.  

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.5784 mL</td>
<td>12.8919 mL</td>
<td>25.7838 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.5157 mL</td>
<td>2.5784 mL</td>
<td>5.1568 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2578 mL</td>
<td>1.2892 mL</td>
<td>2.5784 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: ≥ 1.67 mg/mL (4.31 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**  
Falnidamol (BIBX 1382) is an orally active, selective EGFR tyrosine kinase inhibitor with an IC₅₀ of 3 nM. Falnidamol displays > 1000-fold lower potency against ErbB2 (IC₅₀=3.4 μM) and a range of other related tyrosine kinases (IC₅₀ >10 μM). Falnidamol is a pyrimido-pyrimidine compound and has anti-cancer activity[1][2].

**IC₅₀ & Target**  
<table>
<thead>
<tr>
<th>EGFR</th>
<th>ErbB2</th>
</tr>
</thead>
<tbody>
<tr>
<td>3 nM (IC₅₀)</td>
<td>3.4 μM (IC₅₀)</td>
</tr>
</tbody>
</table>

**In Vitro**  
Falnidamol (BIBX 1382) demonstrates antiproliferative activity in mitogenic assays performed with KB cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Falnidamol (BIBX 1382; p.o.; 10 mg/kg/day; 16 days) completely suppressed tumor growth of human A431 xenografts with respective a T/C value of 15% after 2 weeks of treatment[2]. Falnidamol (50 mg/kg/day for 2 weeks) results in dephosphorylation of the EGF receptor in A431 xenograft-bearing mice[2]. With Falnidamol (p.o.; 10 mg/kg/day; 16 days), the C_{4h} is 2222 nM and the C_{24h} is 244 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model: Five- to six-week-old athymic NMRI-nu/nu female mice (21-31 g) with A431, FaDu, or HN5 cells[2]

Dosage: 10 mg/kg
Administration: p.o.; daily; 16 days
Result: Completely suppressed tumor growth of human A431 xenografts with respective T/C values of 15 and 6% after 2 weeks of treatment.

Animal Model: Five- to six-week-old athymic NMRI-nu/nu female mice (21–31 g) with A431 cells[2]

Dosage: 10 mg/kg (Pharmacokinetic Analysis)
Administration: p.o.; daily; 16 days
Result: The C_{4h} is 2222 nM and the C_{24h} is 244 nM.

CUSTOMER VALIDATION


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REFERENCES


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