AMG-510

Cat. No.: HY-114277
Molecular Formula: C₃₀H₃₀F₂N₆O₃
Molecular Weight: 560.59
Target: Ras
Pathway: GPCR/G Protein
Storage: -20°C, stored under nitrogen
* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

**SOLVENT & SOLUBILITY**

**In Vitro**

DMSO: 50 mg/mL (89.19 mM; Need ultrasonic)

<table>
<thead>
<tr>
<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>1.7838 mL</td>
<td>8.9192 mL</td>
<td>17.8383 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3568 mL</td>
<td>1.7838 mL</td>
<td>3.5677 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1784 mL</td>
<td>0.8919 mL</td>
<td>1.7838 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 (4.46 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.46 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**

AMG-510 is a potent, orally bioavailable, and selective KRAS G12C covalent inhibitor, which locks KRAS G12C in an inactive GDP-bound state. AMG-510 selectively targets the KRAS p.G12C mutant and shows anti-tumor activity[1][2].

**IC₅₀ & Target**

KRAS(G12C)

**In Vitro**

In cellular assays, AMG 510 covalently modifies KRAS G12C and inhibits KRAS G12C signaling as measured by phosphorylation of ERK1/2 (p-ERK) in all KRAS p.G12C-mutant cell lines[2].
In Vivo

| In Vivo | In preclinical tumor models, AMG 510 rapidly and irreversibly binds to KRAS G12C, providing durable suppression of the mitogen-activated protein kinase (MAPK) signaling pathway. AMG 510 (orally, once daily) is capable of inducing tumor regression in mouse models of KRAS G12C cancer[3]. |

REFERENCES

