AMG-510

Cat. No.: HY-114277
CAS No.: 2296729-00-3
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

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td>1 mM</td>
<td>5 mM</td>
<td>10 mM</td>
</tr>
<tr>
<td>DMSO</td>
<td></td>
<td>1.7838 mL</td>
<td>8.9192 mL</td>
<td>17.8383 mL</td>
</tr>
<tr>
<td>H₂O</td>
<td></td>
<td>0.3568 mL</td>
<td>1.7838 mL</td>
<td>3.5677 mL</td>
</tr>
</tbody>
</table>

SOLVENT & SOLUBILITY

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.71 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: ≥ 2.08 mg/mL (3.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
AMG-510 is a first-in-class, orally bioavailable, and selective KRAS G12C covalent inhibitor. AMG-510 irreversibly inhibits KRAS G12C by locking it in an inactive GDP-bound state. AMG-510 is the first KRAS G12C inhibitor in clinical development and leads to the regression of KRAS G12C tumors[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\textsuperscript{[2]}. AMG-510 (1-10 μM; 72 hours) also potently impairs cellular viability in both NCI-H358 and MIA PaCa-2 with IC\textsubscript{50} \approx 0.006 μM and 0.009 μM, respectively\textsuperscript{[3]}.

**Cell Viability Assay** \textsuperscript{[3]}

<table>
<thead>
<tr>
<th>Cell Line</th>
<th>NCI-H358 and MIA PaCa-2 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td>1-10 μM</td>
</tr>
<tr>
<td>Incubation Time</td>
<td>72 hours</td>
</tr>
<tr>
<td>Result</td>
<td>Potently impaired cellular viability in both NCI-H358 and MIA PaCa-2 (IC\textsubscript{50} \approx 0.006 μM and 0.009 μM respectively).</td>
</tr>
</tbody>
</table>

**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\textsuperscript{[3]}.

**CUSTOMER VALIDATION**

- **Clin Cancer Res.** 2020 Apr 1;26(7):1633-1643.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

**REFERENCES**


---

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

Tel: 609-228-6898  Fax: 609-228-5909  E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA