AMG-510

**Chemical Properties**

- **CAS No.**: 2296729-00-3
- **Formula**: C30H30F2N6O3
- **Molecular Weight**: 560.59
- **Appearance**: N/A
- **Storage**: 0-4°C for short term (days to weeks), or -20°C for long term (months).

**Biological Description**

- **Description**: AMG-510 is a selective and orally bioavailable KRAS G12C covalent inhibitor.
- **Targets (IC50)**: KRAS G12C: None

**In vitro**

In cellular assays, AMG 510 covalently modified KRASG12C and inhibited KRASG12C signaling as measured by phosphorylation of ERK1/2 (p-ERK) in all KRAS p.G12C-mutant cell lines tested but did not inhibit p-ERK in cell lines with various other KRAS mutations. AMG 510 also selectively impaired viability of KRAS p.G12C mutant cell lines but did not affect cell lines with other KRAS mutations[1].

**In vivo**

In vivo pharmacodynamic assays demonstrated dose- and time-dependent inhibition of KRASG12Csignaling in human pancreatic and NSCLC tumor xenografts. Covalent modification of KRASG12C by AMG 510 was measured by mass spectrometry and correlated with p-ERK inhibition in tumors. AMG 510 significantly inhibited the growth of KRAS p.G12C xenografts and resulted in tumor regression. Combination treatment of AMG 510 with standard-of-care and targeted agents demonstrated enhanced tumor growth inhibition compared to either single agent. In a syngeneic model of KRAS p.G12C mutant cancer, AMG 510 treatment significantly inhibited tumor growth and caused regression[1].

**Solubility Information**

- **Solubility**: H2O: 33.33 mg/mL (59.46 mM)
  DMSO: 50 mg/mL (89.19 mM)
  (< 1 mg/ml refers to the product slightly soluble or insoluble)

**Preparing Stock Solutions**

<table>
<thead>
<tr>
<th>Solubility</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.784 mL</td>
<td>8.919 mL</td>
<td>17.838 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.357 mL</td>
<td>1.784 mL</td>
<td>3.568 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.178 mL</td>
<td>0.892 mL</td>
<td>1.784 mL</td>
</tr>
<tr>
<td>50 mM</td>
<td>0.036 mL</td>
<td>0.178 mL</td>
<td>0.357 mL</td>
</tr>
</tbody>
</table>

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.
Reference