CG-806

Cat. No.: HY-112646
CAS No.: 1370466-81-1
Molecular Formula: C₂₆H₁₉F₄N₅O₂
Molecular Weight: 509.45
Target: FLT3; Btk
Pathway: 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 : 7.2 mg/mL (14.13 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.9629 mL</td>
<td>9.8145 mL</td>
<td>19.6290 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3926 mL</td>
<td>1.9629 mL</td>
<td>3.9258 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1963 mL</td>
<td>0.9815 mL</td>
<td>1.9629 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description CG-806 is an orally active, potent and non-covalent pan-FLT3/pan-BTK inhibitor with an IC₅₀ of 0.08 µM for FLT3[1][2]. CG-806 has an IC₅₀ of 11 nM against FLT3 wild type (WT)-transfected Ba/F3 cells[3].

IC₅₀ & Target FLT3/BTK[1].

In Vitro In FLT3-ITD AML cells, CG-806 induces apoptosis through inhibition of FLT3 signaling (decreases phospho-FLT3, -STAT5 and -ERK) and promotion of G0/G1 cell cycle arrest. In FLT3-WT AML cell lines, or Ba/F3 cells transfected with FLT3-WT, D835Y, ITD+D835Y, or ITD+F691L, CG-806 markedly decreases phosphorylation of BTK, aurora kinases (AURK) and H3S10, resulting in G2/M arrest or polyploidy, and apoptosis with less or no effect on FLT3-WT activity. CG-806 decreases BTK phosphorylation in all malignant B cell lines tested and inhibits cell proliferation and colony formation. CG-806 equivalently inhibits BTK-WT and BTK-C481S in HEK293 transfected cells[3].

In Vivo CG-806 (0-120 mg/kg; oral administration; for 28 days; CD-1 mice) treatment suppresses leukemia growth at all doses tested throughout the 28-day period of dosing, and has no adverse CG-806-related effects on body weight.
ophthalmic, respiratory or neurological examinations, clinical pathology (coagulation, clinical chemistry, or urinalysis), organ weight or macroscopic evaluations in the subcutaneous MV4-11 xenograft model\(^1\).

<table>
<thead>
<tr>
<th>Animal Model</th>
<th>CD-1 mice with MV4-11(^1)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage</td>
<td>0 mg/kg, 30 mg/kg, 60 mg/kg or 120 mg/kg</td>
</tr>
<tr>
<td>Administration</td>
<td>Oral administration; for 28 days</td>
</tr>
<tr>
<td>Result</td>
<td>Suppressed leukemia growth at all doses tested throughout the 28-day period of dosing.</td>
</tr>
</tbody>
</table>

**REFERENCES**

