BAY-2402234

Cat. No.: HY-112645
CAS No.: 2225819-06-5
Molecular Formula: C₂₁H₁₈ClF₅N₄O₄
Molecular Weight: 520.84
Target: Dihydroorotate Dehydrogenase; DNA/RNA Synthesis
Pathway: Metabolic Enzyme/Protease; Cell Cycle/DNA Damage
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 : 125 mg/mL (240.00 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.9200</td>
<td>9.5999</td>
<td>19.1998</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3840</td>
<td>1.9200</td>
<td>3.8400</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1920</td>
<td>0.9600</td>
<td>1.9200</td>
<td></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: ≥ 2.08 mg/mL (3.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.99 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
BAY-2402234 is a selective dihydroorotate dehydrogenase (DHODH) inhibitor for the treatment of myeloid malignancies.

IC₅₀ & Target
DHODH[1].

In Vitro
BAY-2402234 is a selective low-nanomolar inhibitor of human DHODH enzymatic activity. In vitro, it potently inhibits
proliferation of AML cell lines in the sub-nanomolar to low-nanomolar range. BAY-2402234 induces differentiation of AML cell lines also in a sub-nanomolar to low-nanomolar range, demonstrating the anticipated mode of action in cellular mechanistic assays[1].

In Vivo

BAY-2402234 exhibits strong in vivo anti-tumor efficacy in monotherapy in several subcutaneous and disseminated AML xenografts as well as AML patient-derived xenograft (PDX) models. Target engagement of the novel DHODH inhibitor BAY-2402234 can be observed by increase of tumoral and plasma dihydroorotate levels after treatment with the inhibitor. Consistent with the in vitro data BAY-2402234 induces AML differentiation in vivo as detected by upregulation of differentiation cell surface markers in xenograft and PDX models after treatment with the inhibitor. Furthermore, differentiation-associated transcriptomic changes are evident following a single administration of BAY-2402234 in vivo[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES