Mivebresib

Cat. No.: HY-100015
CAS No.: 1445993-26-9
Molecular Formula: C_{22}H_{19}F_{2}N_{3}O_{4}S
Molecular Weight: 459.47
Target: Epigenetic Reader Domain; Apoptosis
Pathway: Epigenetics; Apoptosis
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 : 100 mg/mL (217.64 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>2.1764 mL</td>
<td>10.8821 mL</td>
<td>21.7642 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4353 mL</td>
<td>2.1764 mL</td>
<td>4.3528 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2176 mL</td>
<td>1.0882 mL</td>
<td>2.1764 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 (5.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Mivebresib is a potent and orally available bromodomain and extraterminal domain (BET) bromodomain inhibitor. Mivebresib binds to BRD4 with a $K_i$ of 1.5 nM.

IC_{50} & Target
IC_{50}: 1.5 nM (BET)$^{[1]}$

In Vitro
Mivebresib inhibit DHT-stimulated transcription of AR target genes without significant effect on AR protein.
expression. In addition to blocking the transcription activation downstream of AR, Mivebresib is also a potent inhibitor of MYC and the TMPRSS2-ETS fusion proteins\[1\].

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**REFERENCES**

[1]. EJ Faivre et al. Abstract 4694: ABBV-075, a novel BET family inhibitor, disrupts critical transcription programs that drive prostate cancer growth to induce potent anti-tumor activity in vitro and in vivo