BMS-986158

Cat. No.: HY-101567
CAS No.: 1800340-40-2
Molecular Formula: C\textsubscript{30}H\textsubscript{33}N\textsubscript{5}O\textsubscript{2}
Molecular Weight: 495.62
Target: Epigenetic Reader Domain
Pathway: Epigenetics
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 : 50 mg/mL (100.88 mM; Need ultrasonic)
H\textsubscript{2}O : < 0.1 mg/mL (ultrasonic; warming; heat to 60°C) (insoluble)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.0177 mL</td>
<td>10.0884 mL</td>
<td>20.1767 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4035 mL</td>
<td>2.0177 mL</td>
<td>4.0353 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2018 mL</td>
<td>1.0088 mL</td>
<td>2.0177 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 (5.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
BMS-986158 is a potent BET inhibitor with IC\textsubscript{50}s of 6.6 and 5 nM in NCI-H211 small cell lung cancer (SCLC) cells and MDA-MB231 triple negative breast cancer (TNBC) cells, respectively\textsuperscript{[1]}.

IC\textsubscript{50} & Target
IC50: 6.6 nM (BET, in NCI-H211 SCLC cells), 5 nM (in MDA-MB231 TNBC) cells\textsuperscript{[1]}

In Vitro
BMS-986158 is an inhibitor of the bromodomain (BRD) and extra-terminal domain (BET) family of proteins, with potential
antineoplastic activity. Upon administration, the BET inhibitor BMS-986158 binds to the acetyl-lysine binding site in the BRD of BET proteins, thereby preventing the interaction between BET proteins and acetylated histones. This disrupts chromatin remodeling and prevents the expression of certain growth-promoting genes, resulting in an inhibition of tumor cell growth [2].

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

CUSTOMER VALIDATION

- Pharmaceuticals. 2022, 15(3), 338.

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REFERENCES