DT2216

Cat. No.: HY-130604
CAS No.: 2365172-42-3
Molecular Formula: C\(_{77}\)H\(_{96}\)ClF\(_3\)N\(_{10}\)O\(_{10}\)S\(_{4}\)
Molecular Weight: 1542.36
Target: PROTAC; Apoptosis
Pathway: PROTAC; Apoptosis
Storage: -20°C, stored under nitrogen
* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

SOLVENT & SOLUBILITY

In Vitro
DMSO: 25 mg/mL (16.21 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td>1 mM</td>
<td>5 mM</td>
<td>10 mM</td>
</tr>
<tr>
<td>Solvent</td>
<td>Mass</td>
<td>Mass</td>
<td>Mass</td>
</tr>
<tr>
<td>DMSO</td>
<td>0.6484 mL</td>
<td>3.2418 mL</td>
<td>6.4836 mL</td>
</tr>
</tbody>
</table>

In Vivo
Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (1.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
DT2216 is a potent and selective BCL-XL degrader based on PROTAC technology. SIAIS178 causes effective degradation of BCL-XL protein by recruiting Von Hippel-Lindau (VHL) E3 ubiquitin ligase. DT2216 inhibits various BCL-XL-dependent leukemia and cancer cells but considerably less toxic to platelets\(^1\).

IC\(_{50}\) & Target
VHL

In Vitro
DT2216 (62.5, 125 nM; 72 hours) kills MOLT-4 cells\(^1\).
DT2216 (0.001-10 μM; 72 hour) shows highly toxic to MOLT-4 cells with an EC\(_{50}\) of 0.052 μM\(^1\).
DT2216 (0.1, 0.3 μM; 24 hours) kills MOLT-4 cells by caspase-3-mediated induction of apoptosis in a BCL-2 homologous antagonist killer (BAK)- and BCL-2-associated X protein (BAX)-dependent manner\(^1\).

Apoptosis Analysis\(^1\)
Cell Line: MOLT-4 cells
Concentration: 62.5, 125 nM
Incubation Time: 72 hours
Result: Killed MOLT-4 cells.

**Cell Cytotoxicity Assay[^1]**

Cell Line: MOLT-4 cells
Concentration: 0.001, 0.01, 0.1, 1, 10 μM
Incubation Time: 72 hours
Result: Showed highly toxic to MOLT-4 cells with an EC_{50} of 0.052 μM.

**Western Blot Analysis[^1]**

Cell Line: MOLT-4 cells
Concentration: 0.1, 0.3 μM
Incubation Time: 24 hours
Result: Killed MOLT-4 cells by caspase-3-mediated induction of apoptosis in a BCL-2 homologous antagonist killer (BAK)- and BCL-2-associated X protein (BAX)-dependent manner.

**In Vivo**

DT2216 (i.p.; 7.5, 15 mg/kg; weekly for 60 days) of 15 mg/kg is more effective at suppressing the growth of MOLT-4 T-ALL xenografts in mice than 7.5 mg/kg[^1].

Animal Model: CB17/Icr-Prkdcscid/IcrIcoCrl (CB-17 SCID) mice aged 5-6 weeks[^1]
Dosage: 7.5, 15 mg/kg
Administration: i.p.; weekly for 60 days
Result: Suppressed the growth of MOLT-4 T-ALL xenografts in mice.

**REFERENCES**


Caution: Product has not been fully validated for medical applications. For research use only.
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