PROTAC B-Raf degrader 1

**Cat. No.:** HY-111758

**CAS No.:** 2364367-27-9

**Molecular Formula:** C₃₆H₃₇N₅O₁₂S

**Molecular Weight:** 763.77

**Target:** PROTAC; Raf

**Pathway:** PROTAC; MAPK/ERK Pathway

**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 (32.73 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Solvent 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>1.3093 mL</td>
<td>6.5465 mL</td>
<td>13.0929 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.2619 mL</td>
<td>1.3093 mL</td>
<td>2.6186 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1309 mL</td>
<td>0.6546 mL</td>
<td>1.3093 mL</td>
<td></td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

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 (3.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   - Solubility: ≥ 2.5 mg/mL (3.27 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**

PROTAC B-Raf degrader 1 (compound 2) is a proteolysis targeting chimera (PROTAC) for the degradation of B-Raf. With anti-cancer activity[1].

**IC₅₀ & Target**

**B-Raf**

#### In Vitro

The IC₅₀ values of PROTAC B-Raf degrader 1 (compound 2) towards MCF-7, MDA-MB-231, HepG2, LO2 and B16 cells are 2.7 μM, 21.21 μM, 18.70 μM, 41.11 μM and 22.68 μM, respectively[1].

PROTAC B-Raf degrader 1 (5 or 10 μM) can accelerate the degradation of B-Raf by recruiting ubiquitin-proteasome system, and further affects the expression of Mcl-1, a downstream protein of B-Raf[1].

MCF-7 cells achieve an apoptosis rate of 76.70% (64.00% early apoptosis, 12.70% late apoptosis) after 24 h incubation of PROTAC B-Raf degrader 1 with the concentration of 20 μM[1].
PROTAC B-Raf degrader 1 arrests cell cycle at the G2/M phase[1].

**Cell Cytotoxicity Assay[1]**

**Cell Line:**
Human MCF-7 breast cancer cell line, MDA-MB-231 breast cancer cells, human HepG2 hepatoma cells, human normal LO2 liver cells, B16 cells.

**Concentration:**
0-200 μM.

**Incubation Time:**
72 hours.

**Result:**
The IC\textsubscript{50} values are 2.7 μM, 21.21 μM, 18.70 μM, 41.11μM and 22.68 μM in MCF-7, MDA-MB-231, HepG2, LO2 and B16 cells, respectively.

**Western Blot Analysis[1]**

**Cell Line:**
Human MCF-7 breast cancer cell line.

**Concentration:**
5 or 10 μM.

**Incubation Time:**
24 hours.

**Result:**
Effectively induced the degradation of B-Raf and impacted the expression of Mcl-1.

**Apoptosis Analysis[1]**

**Cell Line:**
Human MCF-7 breast cancer cell line.

**Concentration:**
2.7-20 μM.

**Incubation Time:**
24 hours.

**Result:**
Achieved an apoptosis rate of 76.70% (64.00% early apoptosis, 12.70% late apoptosis) after 24 h incubation with the concentration of 20 μM.

**Cell Cycle Analysis[1]**

**Cell Line:**
Human MCF-7 breast cancer cell line.

**Concentration:**
20 μM.

**Incubation Time:**
24 hours.

**Result:**
1.94% cells were arrested at G1 phase, 8.20% at S phase, and 89.86% at G2/M phase.

**REFERENCES**


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

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