# OARV-771

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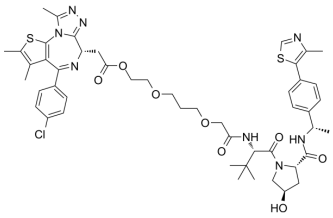
|---|---|
| **Cat. No.:** | HY-145264 |
| **CAS No.:** | 2683008-37-7 |
| **Molecular Formula:** | $C_{49}H_{59}ClN_8O_8S_2$ |
| **Molecular Weight:** | 987.62 |
| **Target:** | Epigenetic Reader Domain; PROTACs |
| **Pathway:** | Epigenetics; PROTAC |
| **Storage:** | -20°C, sealed storage, away from moisture<br>* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture) |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (101.25 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.0125 mL | 5.0627 mL | 10.1254 mL |
| | 5 mM | 0.2025 mL | 1.0125 mL | 2.0251 mL |
| | 10 mM | 0.1013 mL | 0.5063 mL | 1.0125 mL |

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 (2.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.53 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

OARV-771 is a VHL-based BET degrader (PROTAC) with improved cell permeability. OARV-771 shows $DC_{50}$s of 6, 1, and 4 nM for Brd4, Brd2 and Brd3, respectively[1].

**$IC_{50}$ & Target**

| BRD4 | BRD2 | BRD3 | VHL |
|---|---|---|---|
| 6 nM (DC50) | 1 nM (DC50) | 4 nM (DC50) | |

**In Vitro**

OARV-771 shows antiproliferative effect with an $EC_{50}$ value of 4 nM in MV4;11[1].

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

## REFERENCES

[1]. Klein VG, et al. Amide-to-Ester Substitution as a Strategy for Optimizing PROTAC Permeability and Cellular Activity. J Med Chem. 2021;64(24):18082-18101.



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