

MZ1

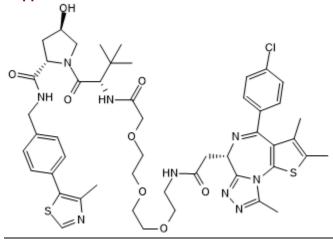
Cat. # PC-1001

Background:

Cell penetrant Proteolysis Targeting Chimera (PROTAC) compound based on (+)-JQ1 conjugated to a von Hippel-Lindau (VHL) ligand. Retains high affinity for BRD2, BRD3 and BRD4 bromodomains (K_d = 13-60 nM) but induces preferential degradation of BRD4 over BRD2 and BRD3 (DC₅₀ values for degradation of BRD4 are 8 and 23 nM in H661 and H838 cells, respectively). Exhibits potent cytotoxicity and anti-proliferative effects in AML cell lines $(pEC_{50} = 7.6 \text{ in Mv4-11 cells}).$

Application:

JQ1 based PROTAC that selectively degrades BRD4 in cells



Product Information

Purity: >98.0% MW: 1002.64

Formula: C₄₉H₆₀CIN₉O₈S₂ CAS No. 1797406-69-9

Physical State: Lyophilized white to off-white solid

Quantity: 1mg; 5mg

100 mg/mL in DMSO; or 100 mg/ml in ethanol Solubility:

Storage: Store desiccated as supplied at -20°C for up to 3 years. Store solutions at -80°C

for up to 6 months or -20°C for up to 1 month.

References

- 1. Zengerle et al (2015) Selective small molecule induced degradation of the BET bromodomain protein BRD4. ACS.Chem.Biol 10 1770 PMID: 26035625
- 2. Gadd et al (2017) Structural basis of PROTAC cooperative recognition for selective protein degradation. Nat.Chem.Biol. PMID: 28288108
- 3. Wurz et al (2017) A " click chemistry platform" for the rapid synthesis of bispecific molecules for inducing protein degradation. J.Med.Chem. PMID: 28378579

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