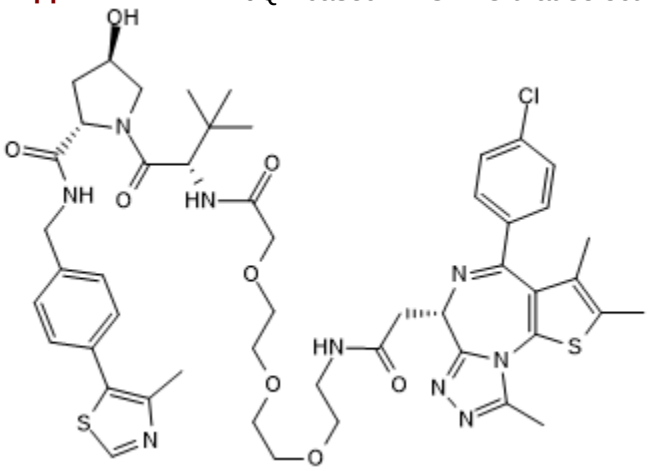
MZ1
Cat. # PC-1001

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 (DC_{50} 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 in Mv4-11 cells).

Application: JQ1 based PROTAC that selectively degrades BRD4 in cells



Product Information

Purity:	>98.0%
MW:	1002.64
Formula:	$C_{49}H_{60}ClN_9O_8S_2$
CAS No.	1797406-69-9
Physical State:	Lyophilized white to off-white solid
Quantity:	1mg; 5mg
Solubility:	100 mg/mL in DMSO; or 100 mg/ml in ethanol
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