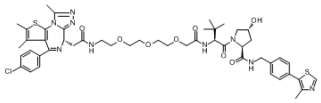
MZ 1

Cat. No.:	HY-107425
CAS No.:	1797406-69-9
Molecular Formula:	$C_{49}H_{60}ClN_9O_8S_2$
Molecular Weight:	1002.64
Target:	PROTAC; Epigenetic Reader Domain
Pathway:	PROTAC; Epigenetics
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 (24.93 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	0.9974 mL	4.9868 mL	9.9737 mL
5 mM	0.1995 mL	0.9974 mL	1.9947 mL
10 mM	0.0997 mL	0.4987 mL	0.9974 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 (2.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (2.49 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MZ 1 is a PROTAC BRD4 degrader. MZ 1 potently and rapidly induces reversible, long-lasting, and selective removal of BRD4 over BRD2 and BRD3. K_ds of 382/120, 119/115, and 307/228 nM for BRD4 BD1/2, BRD3 BD1/2, and BRD2 BD1/2, respectively[1].

IC_{50} & Target

Kd: 382/120 nM (BRD4 BD1/2), 119/115 nM (BRD3 BD1/2), 307/228 nM (BRD2 BD1/2)[1].

In Vitro

MZ 1 conjugates the pan-BET inhibitor JQ1 to VH032, a potent and specific VHL ligand, via a 3-unit PEG linker[2].
MZ 1 (100 and 250 nM; 24 hours) induces BRD4 degradation in LS174t cells 24 h. MZ 1 leads to complete degradation of BRD4

protein, whereas the expression of BRD4 mRNA is not reduced[3].

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

Western Blot Analysis[3]

Cell Line:	LS174t cells
Concentration:	0, 100, and 250 nM
Incubation Time:	24 hours
Result:	Led to complete degradation of BRD4 protein, whereas the expression of BRD4 mRNA was not reduced.

CUSTOMER VALIDATION

- ACS Chem Biol. 2019 Oct 18;14(10):2215-2223.

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REFERENCES

[1]. Gadd MS, et al. Structural basis of PROTAC cooperative recognition for selective protein degradation. Nat Chem Biol. 2017 May;13(5):514-521.

[2]. Zengerle M, et al. Selective Small Molecule Induced Degradation of the BET Bromodomain Protein BRD4. ACS Chem Biol. 2015 Aug 21;10(8):1770-7.

[3]. Otto C, et al. Targeting bromodomain-containing protein 4 (BRD4) inhibits MYC expression in colorectal cancer cells. Neoplasia. 2019 Nov;21(11):1110-1120.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA