Certificate of Analysis

Product Name: **MZ 1** **Catalog No.: 6154** **Batch No.: 3**

CAS Number: 1797406-69-9

IUPAC Name: (2*S*,4*R*)-1-((*S*)-2-(tert-butyl)-17-((*S*)-4-(4-chlorophenyl)-2,3,9-trimethyl-6*H*-thieno[3,2- *f*][1,2,4]triazolo[4,3-*a*][1,4] diazepin-6-yl)-4,16-dioxo-6,9,12-trioxa-3,15-diazaheptadecanoyl)- 4-hydroxy-*N*-(4-(4-methylthiazol-5-yl)benzyl) pyrrolidine-2-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{49}H_{60}ClN_9O_8S_2.H_2O$

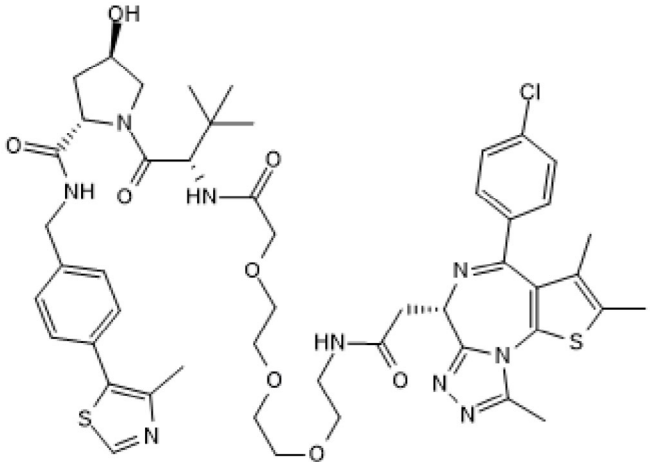
Batch Molecular Weight: 1020.66

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.38 (Dichloromethane:Methanol [95:5])

HPLC: Shows 98.1% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	57.66	6.12	12.35
Found	57.33	5.92	12.27

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CAS Number: 1797406-69-9

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Description:

MZ 1 is a cell penetrant Degrader (PROTAC®) based on (+)-JQ1 (Cat. No. 4499) conjugated to a von Hippel-Lindau (VHL) ligand. MZ 1 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), while retaining high affinity for BRD2, BRD3 and BRD4 bromodomains (K_d = 13-60 nM). MZ 1 induces complete degradation of BRD4 at a concentration of 100 nM, whereas complete degradation of BRD2/3 is achieved at 2 µM. Potent cytotoxicity and antiproliferative effects are exhibited in AML cell lines (pEC_{50} = 7.6 in Mv4-11 cells). Negative control cis MZ 1 a... Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

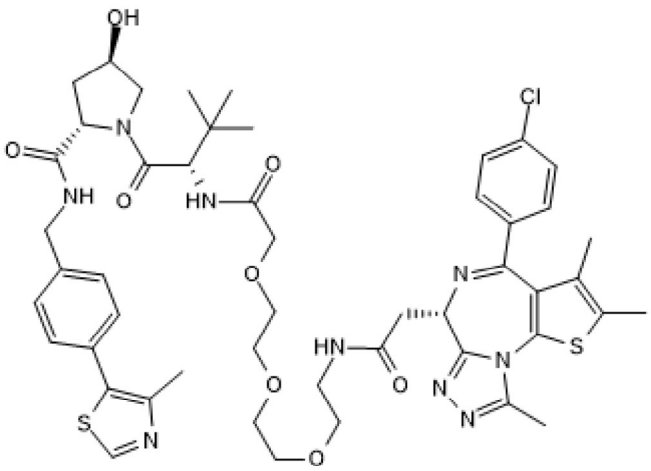
Batch Molecular Formula: $C_{49}H_{60}ClN_9O_8S_2.H_2O$

Batch Molecular Weight: 1020.66

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold under licence from the University of Dundee

References:

Zhou *et al* (2022) A comprehensive review of BET-targeting PROTACs for cancer therapy. Bioorg.Med.Chem. ***73*** 117033. PMID: 36202064.

Gadd *et al* (2017) Structural basis of PROTAC cooperative recognition for selective protein degradation. Nat.Chem.Biol.. PMID: 28288108.

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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