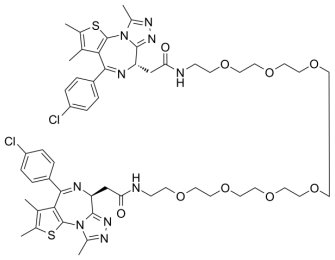
MT1

Cat. No.:	HY-111976
CAS No.:	2060573-82-0
Molecular Formula:	$C_{54}H_{66}Cl_2N_{10}O_9S_2$
Molecular Weight:	1134.2
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (132.25 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8817 mL	4.4084 mL	8.8168 mL
	5 mM	0.1763 mL	0.8817 mL	1.7634 mL
	10 mM	0.0882 mL	0.4408 mL	0.8817 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: ≥ 7.5 mg/mL (6.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 7.5 mg/mL (6.61 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 7.5 mg/mL (6.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MT1 is a bivalent chemical probe of BET bromodomains, with an IC_{50} of 0.789 nM for BRD4(1)[1].

In Vitro

MT1 (100 nM, 24 h) significantly induces apoptosis via caspase-3 and PARP in MV4;11 cells[1].

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

Western Blot Analysis[1]

Cell Line:	MV4;11 cells[1].

Concentration:	100 nM.
Incubation Time:	24 h.
Result:	Significant apoptosis was observed by caspase-3 and PARP cleavage after treatment.

In Vivo

MT1 (44.2 and 22.1 μmol/kg, ip daily, for 14 days) significantly delayed leukemia progression in mice (Mus musculus) compared to JQ1[1].

MT1 exhibits terminal $t_{1/2}$ of 2.70 h in mice[1].

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

Animal Model:	Leukemia xenograft models[1].
Dosage:	44.2 and 22.1 μmol/kg.
Administration:	Intraperitoneally for 14 subsequent days.
Result:	Significantly reduced leukemic burden over the course of the study compared to either vehicle or JQ1.

REFERENCES

[1]. Minoru Tanaka, et al. Design and characterization of bivalent BET inhibitors. Nat Chem Biol. 2016 Dec;12(12):1089-1096.

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