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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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MS4078

Cat. No.: HY-112155

CAS No.: 2229036-62-6

Molecular Formula: $C_{45}H_{52}ClN_9O_8S$

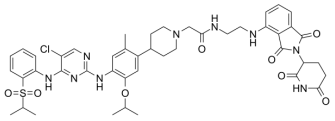
Molecular Weight: 914.47

Target: PROTACs; Anaplastic lymphoma kinase (ALK)

Pathway: PROTAC; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (54.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0935 mL	5.4676 mL	10.9353 mL
	5 mM	0.2187 mL	1.0935 mL	2.1871 mL
	10 mM	0.1094 mL	0.5468 mL	1.0935 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (2.27 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MS4078 is an anaplastic lymphoma kinase (ALK) PROTAC (degrader) based on Cereblon ligand, with a K_d of 19 nM for binding affinity to ALK[1].

IC_{50} & Target		
	ALK	Cereblon

In Vitro

MS4078 effectively inhibits cancer cell proliferation. MS4078 (10^{-3}, $10^{-2.5}$, 10^{-2}, $10^{-1.5}$, 10^{-1}, $10^{-0.5}$, 1 μM; 3 days) concentration-dependently inhibits proliferation of SU-DHL-1 cells with an IC_{50} of 33±1 nM. In comparison with SU-DHL-1 cells, the proliferation of NCI-H2228 cells is less sensitive to MS4078(10^{-2}, $10^{-1.5}$, 10^{-1}, $10^{-0.5}$, 1, $10^{0.5}$ μM; 3 days)[1].

MS4078 potently reduces the ALK fusion protein levels and inhibits the ALK auto-phosphorylation and down-steam STAT3 phosphorylation in both SU-DHL-1 and NCI-H2228 cells in a concentration-dependent manner. In SU-DHL-1 cells, MS4078 reduces the NPM-ALK protein levels with impressive DC_{50} (50% degradation) value of 11±2 nM after 16-hour treatment. Over 90% of inhibition of both ALK Y1507 and STAT3 Y705 phosphorylation is achieved at the 100 nM concentration. In NCI-

H2228 cells, MS4078 reduces the EML4-ALK protein levels with similar DC_{50} value of 59 ± 16 nM after 16-hour treatment. At the 100 nM concentration, NCI-H2228 cells reduces more than 90% of EML4-ALK protein levels[1].

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

Cell Viability Assay[1]

Cell Line:	SU-DHL-1 and NCI-H2228 cells
Concentration:	10^{-3}, $10^{-2.5}$, 10^{-2},$10^{-1.5}$, 10^{-1}, $10^{-0.5}$, and 1 μM for SU-DHL-1 cells; 10^{-2}, $10^{-1.5}$, 10^{-1}, $10^{-0.5}$, 1, $10^{0.5}$ μM for NCI-H2228 cells
Incubation Time:	3 days
Result:	Inhibited proliferation of SU-DHL-1 cells (IC_{50}=33 ± 1 nM). Less sensitive to the proliferation of NCI-H2228 cells than SU-DHL-1 cells.

Western Blot Analysis[1]

Cell Line:	SU-DHL-1 and NCI-H2228 cells
Concentration:	1, 3, 10, 30, and 100 μM for SU-DHL-1 cells; 3, 10, 30, 60, and 100 μM for NCI-H2228 cells
Incubation Time:	16 hours
Result:	Reduced the NPM-ALK protein levels with impressive DC_{50} of 11 ± 2 nM in SU-DHL-1 cells. Reduced the EML4-ALK protein levels with similar DC_{50} of 59 ± 16 nM in NCI-H2228 cells

CUSTOMER VALIDATION

- Int J Pharm. 2023 Dec 17:650:123725.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Zhang C, et al. Proteolysis Targeting Chimeras (PROTACs) of Anaplastic Lymphoma Kinase (ALK). Eur J Med Chem. 2018 May 10;151:304-314.

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