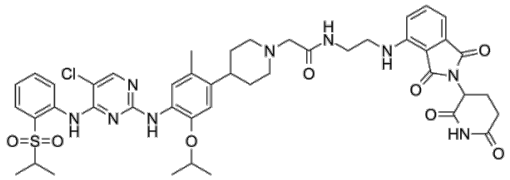
Product Data Sheet

Product Name: MS4078
Cat. No.: GC64966

Chemical Properties

Cas. No.	2229036-62-6		
Formula	C45H52ClN9O8S	M.Wt	914.47
Solubility	DMSO : 50 mg/mL (54.68 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

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

Caution: Product has not been fully validated for medical applications. For research use only.
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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 IC50 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, 100.5 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 DC50 (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 DC50 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].

[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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