

Product Data Sheet

Product Name: MS4077
Cat. No.: GC65243

Chemical Properties

Cas. No. 2230077-10-6

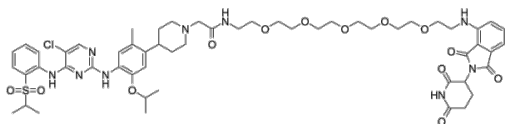
Formula C₅₅H₇₂ClN₉O₁₃S M.Wt 1134.73

Solubility DMSO : 110 mg/mL (96.94 mM; Need ultrasonic) Storage Store at -20°C, sealed storage, away from moisture and light

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

MS4077 is an anaplastic lymphoma kinase (ALK) PROTAC (degrader) based on Cereblon ligand, with a K_d of 37 nM for binding affinity to ALK[1].

MS4077 effectively inhibits cancer cell proliferation. MS4077 (10-3-1 μM; 3 days) concentration-dependently inhibits proliferation of SU-DHL-1 cells with an IC₅₀ of 46 ± 4 nM. In comparison with SU-DHL-1 cells, the proliferation of NCI-H2228 cells is less sensitive to MS4077 (10-2-100.5 μM; 3 days)[1]. MS4077 potently reduces the ALK fusion protein levels and inhibits the ALK auto-

Caution: Product has not been fully validated for medical applications. For research use only.

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phosphorylation and down-stream STAT3 phosphorylation in both SU-DHL-1 and NCI-H2228 cells in a concentration-dependent manner. In SU-DHL-1 cells, MS4077 reduces the NPM-ALK protein levels with impressive DC50 (50% degradation) value of 3 ± 1 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, MS4077 reduces the EML4-ALK protein levels with similar DC50 value of 34 ± 9 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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