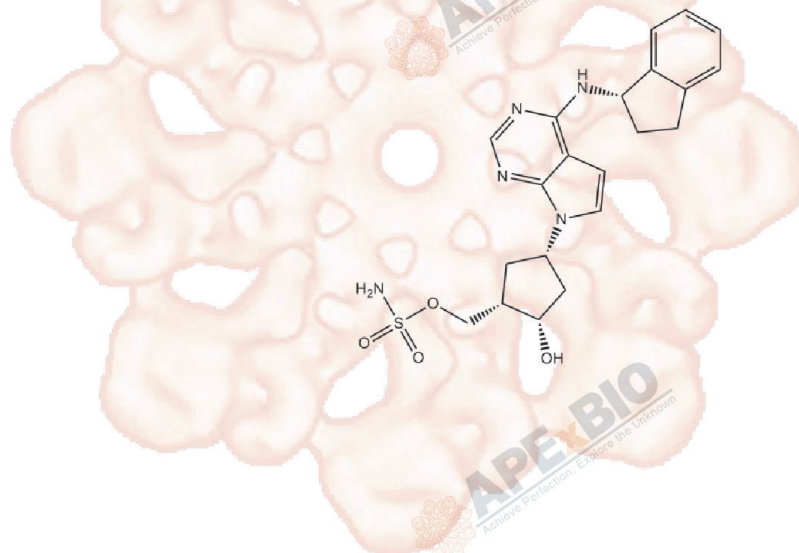


Product Data Sheet

MLN4924

Cat. No.:	B1036
CAS No.:	905579-51-3
Formula:	C ₂₁ H ₂₅ N ₅ O ₄ S
M.Wt:	443.53
Synonyms:	
Target:	Others
Pathway:	NAE
Storage:	Store at -20°C



Solvent & Solubility

≥22.18 mg/mL in DMSO; insoluble in H₂O; ≥42.2 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.2546 mL	11.2732 mL	22.5464 mL
	5 mM	0.4509 mL	2.2546 mL	4.5093 mL
	10 mM	0.2255 mL	1.1273 mL	2.2546 mL

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

Biological Activity

Shortsummary

NAE inhibitor

IC₅₀ & Target

In Vitro

Cell Viability Assay

Cell Line: HCT-116 cells

Preparation method:

Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions:

24 h

	Applications:	Treatment of HCT-116 cells with MLN4924 for 24h results in a dose-dependent decrease of Ubc12–NEDD8 thioester and NEDD8–cullin conjugates, with an IC50<0.1 μM, causing a reciprocal increase in the abundance of the known CRL substrates CDT1, p27 and NRF2. In HCT-116 cells, the most prominent phenotype observed was the disruption of S-phase regulation leading to cellular death. By 24h, a significant fraction of cells contained ≥4N DNA content.
In Vivo	Animal experiment	
	Animal models:	HCT-116 tumour-bearing mice
	Dosage form:	Subcutaneous injection once (QD) or twice (BID) daily
	Applications:	30 mgkg ⁻¹ and 60 mgkg ⁻¹ MLN4924 significantly inhibits tumor growth on a once daily. Moreover, MLN4924 administered once daily for three cycles of two-day treatment followed by five treatment-free days, results in modest but significant tumor growth inhibition. All doses and schedules were well tolerated, with an average weight loss for all dose groups at the end of treatment of less than 10%.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Mao H, Tang Z, et al. "Neddylation inhibitor MLN4924 suppresses cilia formation by modulating AKT1." Protein Cell. 2019 Mar 9. PMID:30850948
2. Zhou Q, Li H, et al. "Inhibiting neddylation modification alters mitochondrial morphology and reprograms energy metabolism in cancer cells." JCI Insight. 2019 Feb 21;4(4). pii:121582. PMID:30668548
3. Chen X, Cui D, et al. "AKT inhibitor MK-2206 sensitizes breast cancer cells to MLN4924, a first-in-class NEDD8-activating enzyme (NAE) inhibitor." Cell Cycle. 2018;17(16):2069-2079. PMID:30198810
4. Tan S, Liu F, et al. "CSN6, a subunit of the COP9 signalosome, is involved in early response to iron deficiency in *Oryza sativa*." Sci Rep. 2016 May 3;6:25485. PMID:27137867
5. Lan H, Tang Z, Jin H, Sun Y. "Neddylation inhibitor MLN4924 suppresses growth and migration of human gastric cancer cells. Sci Rep." 2016 Apr 11;6:24218. PMID:27063292

See more customer validations on www.apexbt.com.

References

1. Soucy TA, Smith PG, Milhollen MA et al. An inhibitor of NEDD8-activating enzyme as a new approach to treat cancer. Nature. 2009 Apr 9;458(7239):732-6.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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