

Catalog # 10-1311 MLN-4924

CAS# 905579-51-3

((1S,2S,4R)-4-(4-(((S)-2,3-dihydro-1H-inden-1-yl)amino)-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-2-hydroxycyclopentyl)methyl sulfamate Lot # X104080



Potent and selective NEDD8-activating enzyme (NAE) inhibitor.¹ It disrupts cullin-RING ligase-mediated protein turnover leading to apoptosis in human tumor cells. Suppresses the growth of human tumor xenografts in mice.² Upregulates PD-L1 expression and enhances the efficacy of immune checkpoint blockade in glioblastoma.³ Modulates tumor microenvironment.⁴ Blocks the neddylation of the DNA endonuclease CtIP, which promotes HDR in Cas9- and Cpf1-mediated gene editing. Part of the CRISPY mix for increasing precise gene editing.⁵ Cell permeable.

- 1) Soucy, et al. (2009), An inhibitor of NEDD8-activating enzyme as a new approach to treat cancer. Nature **458** 732
- 2) Milhollen, et al., (2010) MLN4924, a NEDD8-activating enzyme inhibitor, is active in diffuse large B-cell lymphoma models: rationale for treatment of NF-(kappa)B-dependent lymphoma. Blood. **116** 1515
- 3) Zhou et al. (2019) Neddylation inhibition upregulates PD-L1 expression and enhances the efficacy of immune checkpoint blockade in glioblastoma; Int. J. Cancer, **145** 763
- 4) Zhou et al. (2019) Neddylation: a novel modulator of the tumor microenvironment; Mol. Cancer 18 77
- 5) Riesenberg and Maricic (2018) *Targeting repair pathways with small molecules increases precise genome editing in pluripotent stem cells*; Nat. Commun. **9** 2164

PHYSICAL DATA

Molecular Weight:	443.53
Molecular Formula:	C ₂₁ H ₂₅ N ₅ O ₄ S
Purity:	>98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (up to 10 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Protect from exposure to moisture. Solutions in DMSO may be stored at -20°C for up to 3 months.

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