



MLN4924

Data Sheet

Catalog Number:	MC11032	Product Type:	Small Molecule
Bio-Activity:	Nedd8 activating enzyme inhibitor	CAS #:	905579-51-3
Research Categories:	CRISPR, cell death, neuroscience, ubiquitin/proteasome, cancer, inflammation, ischemia, neurodegeneration	Chemical Name:	((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
Solubility:	Soluble in DMSO (up to 10 mg/ml).	Molecular Formula:	C21H25N5O4S
Purity:	> 98%	Molecular Weight:	443.53
Format:	Lyophilized	Ship Temp:	Ambient
Storage:	-20°C		

Application Notes

Description/Data:

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.

References:

- 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

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5) Riesenbergs and Maricic (2018) Targeting repair pathways with small molecules increases precise genome editing in pluripotent stem cells; Nat. Commun. 9 2164

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