Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Pevonedistat hydrochloride

Catalog No: tcsc0068

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1160295-21-5

Formula:
$C_{21}H_{26}ClN_5O_4S$

Pathway:
Metabolic Enzyme/Protease

Target:
NEDD8-activating Enzyme

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 160 mg/mL (333.35 mM)

Alternative Names:
MLN4924 (hydrochloride)

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Observed Molecular Weight:
479.98

Product Description

Pevonedistat hydrochloride (MLN4924 hydrochloride) is a potent and selective NEDD8-activating enzyme (**NAE**) inhibitor, with an **IC $_{50}$** of 4.7 nM.

IC50 & Target: IC50: 4.7 nM (NAE)

In Vitro: Pevonedistat (MLN4924) is a potent inhibitor of NAE (half-maximal inhibitory concentration (IC_{50}=0.004 μM), and is selective relative to the closely related enzymes UAE, SAE, UBA6 and ATG7 (IC_{50}=1.5, 8.2, 1.8 and >10 μM, respectively). Pevonedistat (MLN4924) treatment inhibits overall protein turnover in cultured HCT-116 cells. Treatment of HCT-116 cells with Pevonedistat (MLN4924) for 24 h results in a dose-dependent decrease of Ubc12-NEDD8 thioester and NEDD8-cullin conjugates, with an IC_{50}[1]. Pevonedistat induces CLL cell apoptosis and circumvented stroma-mediated resistance. Pevonedistat promotes induction of Bim and Noxa in the CLL cells leading to rebalancing of Bcl-2 family members toward the proapoptotic BH3-only proteins[2]. Pevonedistat (MLN4924) rapidly inhibits cullin 1 neddylation and remarkably suppressed growth and survival as well as migration in a dose-and time-dependent manner in gastric cancer cells, and significantly suppresses migration by transcriptionally activating E-cadherin and repressing MMP-9[3].

In Vivo: Pevonedistat (MLN492410, 30 or 60 mg/kg, s.c.) leads to a dose- and time-dependent increase in the steady state levels of NRF2 and CDT1 in HCT-116 tumour-bearing mice, and decreases NEDD8-cullin levels in normal mouse tissue as illustrated in mouse bone marrow cells. Pevonedistat (MLN4924) administered on a BID schedule at 30 and 60 mg/kg inhibits tumour growth with T/C values of 0.36 and 0.15, respectively[1].