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

Ixazomib citrate

Catalog No: tcsc1720

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1239908-20-3

Formula:
$C_{20}H_{23}BCl_2N_2O_9$

Pathway:
Metabolic Enzyme/Protease;Autophagy

Target:
Proteasome;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (193.38 mM)

Alternative Names:
MLN9708

Observed Molecular Weight:
517.12

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Product Description

Ixazomib citrate (MLN9708) is a reversible inhibitor of the chymotrypsin-like proteolytic β5 site of the **20S proteasome** with an $\mathbf{IC_{50}}$ of 3.4 nM and a $\mathbf{K_i}$ of 0.93 nM.

IC50 & Target: IC50: 3.4 nM (20S proteasome β5), 31 nM (20S proteasome β1), 3500 nM (20S proteasome β2)[3]

In Vitro: Ixazomib citrate (MLN9708; 0.20-3.20 μM) inhibits the cell growth of both cell lines effectively in a time- and dose-dependent manner. Ixazomib induces cell cycle arrest in MG-63 and Saos-2 cells. Ixazomib induces apoptosis mainly through the caspases pathway and requires the activation of both caspase8 and caspase9. Ixazomib treatment increases the levels of pro-apoptotic proteins and down regulates the anti-apoptotic proteins that control MOMP. Ixazomib treatment induces the release of Cytc, Smac, OMI from mitochondria and decreases the protein levels of XIAP. Ixazomib inhibits the invasion ability of MG-63 and Saos-2 cells and decreases both the expression and secretion levels of MMP2/9[1].Ixazomib citrate (MLN9708; 12 nM) shows inhibitory activity against C-L and T-L proteasome activities. Treatment of H929 and MM.1S MM cells with Ixazomib triggers a marked increase in proteolytic cleavage of poly(ADP) ribose polymerase (PARP), a signature event during apoptosis. Ixazomib induces cleavage of caspase-3, an upstream activator of PARP. Ixazomib induces eIf2-α kinase activity and protein levels of Bip and CHOP/GADD153. Ixazomib blocks BMSCs-induced MM cell proliferation, inhibits in vitro capillary tubule formation, and target NF-κB[2]
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In Vivo: Ixazomib citrate (MLN9708; 11 mg/kg) significantly inhibits MM tumor growth and prolongs survival in the human plasmacytoma MM.1S xenograft mouse model. The blood chemistry profiles of Ixazomib-treated mice show normal levels of creatinine, hemoglobin, and bilirubin. Ixazomib dramatically increases the number of cleaved-caspase-3 positive cells of the xenograft model[2].