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

Ixazomib

Catalog No: tcsc1657

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
1072833-77-2

Formula:
$C_{14}H_{19}BCl_2N_2O_4$

Pathway:
Metabolic Enzyme/Protease;Autophagy

Target:
Proteasome;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 28 mg/mL (77.56 mM)

Alternative Names:
MLN2238

Observed Molecular Weight:
361.03

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Product Description

Ixazomib (MLN2238) is a selective, potent, and reversible **proteasome** inhibitor, which inhibits the chymotrypsin-like proteolytic (β5) site of the 20S proteasome with an **IC_{50}** of 3.4 nM (**K_i** of 0.93 nM).

IC50 & Target: IC50: 3.4 nM (20S proteasome)[1]

Ki: 0.93 nM (20S proteasome)[1]

In Vitro: Ixazomib (MLN2238) is an N-capped dipeptidyl leucine boronic acid and preferentially bound to and inhibited the chymotrypsin-like proteolytic (β5) site of the 20S proteasome with an IC_{50} value of 3.4 nM (K_i of 0.93 nM). At higher concentrations, Ixazomib (MLN2238) also inhibits the caspase-like (β1) and trypsin-like (β2) proteolytic sites (IC_{50} of 31 and 3,500 nM, respectively). Cell viability studies are performed in a variety of mammalian cell lines to compare the in vitro antiproliferative effects of Ixazomib (MLN2238) with Bortezomib. Studies performed with A375 (lung), H460 (lung), HCT-116 (colon), and HT-29 (colon) cells revealed similar LD_{50} values for the two compounds, which range from 4 to 58 nM[1].

In Vivo: Ixazomib (MLN2238) shows antitumor activity in the CWR22 xenograft model. The antitumor effects of Ixazomib (MLN2238) dosed at 14 mg/kg i.v. or 7 mg/kg i.v. are compared with Bortezomib dosed at 0.8 mg/kg i.v. or 0.4 mg/kg i.v. on a twice weekly regimen. The high dose for both Ixazomib (MLN2238) and Bortezomib shows similar antitumor activity in this model (T/C=0.36 and 0.44, respectively). However, Ixazomib (MLN2238) (7 mg/kg) shows greater efficacy at a 0.5 MTD dose compared with a 0.5 MTD dose of Bortezomib (0.4 mg/kg; T/C=0.49 compared with T/C=0.79, respectively) Ixazomib (MLN2238) shows time-dependent reversible proteasome inhibition; however, the proteasome dissociation half-life ($t_{1/2}$) for Ixazomib (MLN2238) is determined to be 18 minutes[1].