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

Delanzomib

Catalog No: tcsc1624

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
847499-27-8

Formula:
$C_{21}H_{28}BN_3O_5$

Pathway:
Metabolic Enzyme/Protease

Target:
Proteasome

Purity / Grade:
>98%

Solubility:
H2O :

Alternative Names:
CEP-18770

Observed Molecular Weight:
413.28

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Product Description

Delanzomib(CEP-18770) is a novel orally-active inhibitor of the chymotrypsin-like activity of the proteasome that down-modulates the nuclear factor-kappaB (NF-kappaB) activity.

IC50 Value: 3.8 nM [1]

Target: proteasome

in vitro: CEP-18770 and bortezomib showed comparable potency against chymotrypsin-like proteasome activity, cellular inhibitory activity (IC50) values of 3.8 (± 1.0) nM and 3.8 (± 0.4) nM, respectively, CEP-18770 had a 2- to 11-fold lower cytotoxic potency compared with bortezomib against solid tumor cell lines, comparable potency against 2 hematologic tumor cell lines, and a similar spectrum of antiproliferative activity with IC50 values for both compounds of less than 35 nM [1].

in vivo: in MM xenograft models, the addition of CEP-18770 IV to melphalan completely prevented the growth of both melphalan-sensitive and melphalan-resistant tumours. The combination of CEP-18770 IV and bortezomib induced complete regression of bortezomib-sensitive tumours and markedly delayed progression of bortezomib-resistant tumours compared to treatment with either agent alone [2]. Age matched MRL/lpr or NZBWF1 mice with established SLE or LN, respectively, were treated with delanzomib either 3 mg/kg once or twice weekly intravenously or orally at 10 mg/kg [3].

Toxicity: CEP-18770 showed a favourable safety profile with lack of neurotoxicity and linear plasma PK. The definition of the optimal biological dose and schedule of treatment is actively pursued because of the high incidence of skin toxicity of the twice a week schedule [4].

Clinical trial: CEP-18770 in Combination With Lenalidomide and Dexamethasone in Relapsed or Refractory Multiple Myeloma. Phase1/2