Delanzomib

Cat. No.: HY-10454

CAS No.: 847499-27-8

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

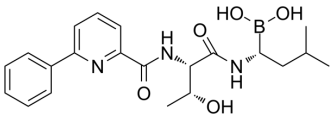
Molecular Weight: 413

Target: Proteasome; NF-κB; Apoptosis

Pathway: Metabolic Enzyme/Protease; NF-κB; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (242.13 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4213 mL	12.1065 mL	24.2131 mL
5 mM	0.4843 mL	2.4213 mL	4.8426 mL
10 mM	0.2421 mL	1.2107 mL	2.4213 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.17 mg/mL (5.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.17 mg/mL (5.25 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (5.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Delanzomib (CEP-18770) is a potent and orally active chymotrypsin-like activity of the proteasome inhibitor with an IC_{50} of 3.8 nM. Delanzomib inhibits NF-κB activity, induces cancer cell apoptotic, and has strong antiangiogenic and anti-cancer activities[1].

IC_{50} & Target

IC50: 3.8 nM (Chymotrypsin-like activity of the proteasome)[1]

In Vitro

Delanzomib (CEP-18770; 20 nM; 12-24 hours) treatment results in a progressive appearance of cleaved caspases-3, -7, and -9

between 12 and 24 hours'exposure in the human MM cell lines, RPMI-8226, and U266[1].
Delanzomib (CEP-18770; 5-40 nM; 4-24 hours) treatment induces an accumulation of ubiquitinated proteins over 4 to 8 hours [1].
Delanzomib (CEP-18770) inhibits endothelial cell survival, vasculogenesis, and osteoclastogenesis in vitro; and displays a favorable cytotoxicity profile toward normal cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	RPMI-8226, U266, and K562 cells
Concentration:	20 nM
Incubation Time:	12 hours, 24 hours
Result:	Resulted in a progressive appearance of cleaved caspases-3, -7, and -9 between 12 and 24 hours'exposure in the human MM cell lines.

Western Blot Analysis[1]

Cell Line:	RPMI-8226, U266, and K562 cells
Concentration:	5 nM, 10 nM, 20 nM, 40 nM
Incubation Time:	4 hours, 8 hours, 12 hours, 24 hours
Result:	Induced an accumulation of ubiquitinated proteins over 4 to 8 hours.

In Vivo

Delanzomib (CEP-18770; 7.8-13 mg/kg; oral administration; twice a week; for 4 weeks) treatment results in a more sustained pharmacodynamic inhibition of proteasome activity in tumors relative to normal tissues, complete tumor regression of multiple myeloma (MM) xenografts and improves overall median survival in a systemic model of human MM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice injected with RPMI 8226 cells[1]
Dosage:	7.8 mg/kg, 10 mg/kg, 13 mg/kg
Administration:	Oral administration; twice a week; for 4 weeks
Result:	Resulted in a more sustained pharmacodynamic inhibition of proteasome activity in tumors relative to normal tissues.

CUSTOMER VALIDATION

- Biol Pharm Bull. 2023;46(2):279-285.

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REFERENCES

[1]. Piva R, et al. CEP-18770: A novel, orally active proteasome inhibitor with a tumor-selective pharmacologic profile competitive with bortezomib. Blood. 2008 Mar 1;111(5):2765-75.

Caution: Product has not been fully validated for medical applications. For research use only.

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