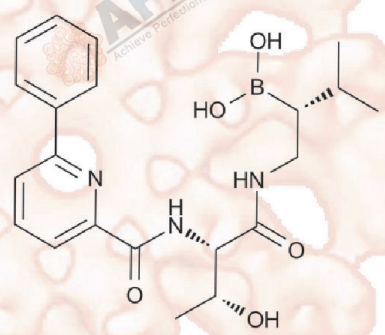
Product Data Sheet

CEP-18770



Cat. No.:	A4009
CAS No.:	847499-27-8
Formula:	C21H28BN3O5
M.Wt:	413.3
Synonyms:	
Target:	Ubiquitination/ Proteasome
Pathway:	Proteasome
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾16.75 mg/mL in DMSO; ⩾32.27 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.4195 mL	12.0977 mL	24.1955 mL
5 mM	0.4839 mL	2.4195 mL	4.8391 mL
10 mM	0.2420 mL	1.2098 mL	2.4195 mL

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

Biological Activity

Shortsummary	Proteasome inhibitor
IC_{50} & Target	3.8 nM (proteasome)

In Vitro

Cell Viability Assay

Cell Line:	RPMI-8226 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	40 nM, 4 to 8 hours

	Applications:	As an inhibitor of proteasome, CEP-18770 induced an accumulation of ubiquitinated proteins over 4 to 8 hours with a profile similar to that observed after bortezomib (another proteasome inhibitor) treatment.
In Vivo	**Animal experiment**	
	Animal models:	SCID mice bearing human MM RPMI 8226 subcutaneous xenograft
	Dosage form:	Intravenous (from 1 to 6 mg/kg, 2q7d×8 injections) or oral administration (in a solution of 3% DMSO, 10% Solutol, and 87% sterile NaCl 0.9%, twice-a-week injections for 4 weeks at doses of 7.8, 10, 13 mg/kg in a volume of 20 mL/kg body weight of mouse).
	Applications:	Intravenous administration of CEP-18770 exhibited sustained and dose-related tumor weight inhibition with RTWI of 100% at all tested doses. CEP-18770 also exhibited dose-related increases in the incidence of tumor-free mice by the completion of the studies (120 days after tumor transplantation) within the 3- and 4-mg/kg intravenous treatment groups, 89% and 80%, respectively. Oral administration of CEP-18770 resulted in a significant reduction of tumor weight and notable dose-related incidence of complete tumor regression (75% incidence of CR and 25% tumor-free mice at 10 mg/kg orally).
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Sha Z, Schnell HM, et al. "Rapid induction of p62 and GABARAPL1 upon proteasome inhibition promotes survival before autophagy activation." J Cell Biol. 2018 Mar 13. pii: jcb.201708168. PMID:29535191

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References

[1] Piva R, Ruggeri B, Williams M, et al. CEP-18770: A novel, orally active proteasome inhibitor with a tumor-selective pharmacologic profile competitive with bortezomib. Blood, 2008, 111(5): 2765-2775.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage

temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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