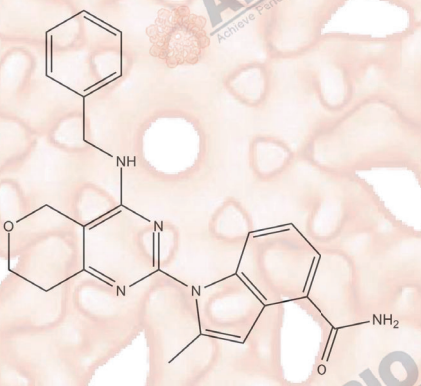
Product Data Sheet

CB-5083

Cat. No.: B6032
CAS No.: 1542705-92-9
Formula: C24H23N5O2
M.Wt: 413.47
Synonyms:
Target: Ubiquitination/ Proteasome
Pathway: p97
Storage: Store at -20°C



Solvent & Solubility

In Vitro

insoluble in H2O; ⩾20.65 mg/mL in DMSO; ⩾4.4 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.4186 mL	12.0928 mL	24.1856 mL
5 mM	0.4837 mL	2.4186 mL	4.8371 mL
10 mM	0.2419 mL	1.2093 mL	2.4186 mL

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

Biological Activity

Shortsummary	p97 inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	HEK293T stably expressing TCRα-GFP, A549 and HCT116 cell lines
Preparation method:	The solubility of this compound in DMSO is >20.7mg/mL. 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:	2.5 μM, 6 hr;

	Applications:	In human embryonic kidney 293T cells stably expressing TCRα-GFP, CB-5083 treatment led to a dose-dependent accumulation of TCRα-GFP in the ER with EC50 of 0.73 ± 0.04 μM. In the lung carcinoma cell line A549, CB-5083 led to accumulation of poly-ubiquitinated proteins. In HCT116 cells, CB-5083 treatment resulted in accumulation of K48-ubiquitinated proteins at a higher molecular weight.
In Vivo	**Animal experiment**	
	Animal models:	Nude or SCID-Beige mice xenografted with HCT116 derived from colorectal adenocarcinoma, NCI-H1838 derived from non-small-cell lung cancer, AMO-1 derived from a plasmacytoma, and colorectal cancer patient-derived xenograft (PDX) models
	Dosage form:	oral administration, 25 and 100 mg/kg, 6 hr. oral gavage once (qd) or twice (bid) daily or following a 4 days on, 3 days off (qd4/3off) cycle.
	Applications:	CB-5083 (oral, 25 and 100 mg/kg) induced the UPR and apoptosis. Oral treatment with CB-5083 inhibited the growth of human tumor xenografts in mice.
	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

See more customer validations on www.apexbt.com.

References

[1]. Anderson D J, Le Moigne R, Djakovic S, et al. Targeting the AAA ATPase p97 as an approach to treat cancer through disruption of protein homeostasis[J]. Cancer Cell, 2015, 28(5): 653-665.

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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