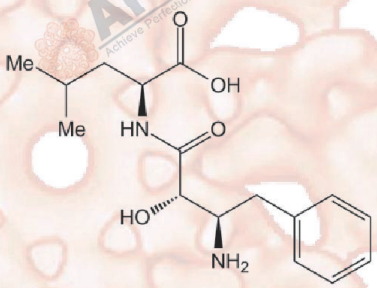
Product Data Sheet

Bestatin

Cat. No.:	A2575
CAS No.:	58970-76-6
Formula:	C16H24N2O4
M.Wt:	308.37
Synonyms:	Ubenimex,Bestatin
Target:	Proteases
Pathway:	Aminopeptidase
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in EtOH; ≥12.34 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	3.2429 mL	16.2143 mL	32.4286 mL
5 mM	0.6486 mL	3.2429 mL	6.4857 mL
10 mM	0.3243 mL	1.6214 mL	3.2429 mL

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

Biological Activity

Shortsummary	Aminopeptidase inhibitor
IC_{50} & Target	0.5 nM (cytosol aminopeptidase), 5 nM (aminopeptidase N), 0.28 μM (zinc aminopeptidase), 1-10 μM (amino peptidase B)

In Vitro

Cell Viability Assay

Cell Line:	K562 and K562/ADR cells
Preparation method：	The solubility of this compound in DMSO is ≥12.34mg/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:	24 h; 100 μM
	Applications:	To determine the interaction and the possible role of APN in MDR, RT–PCR was performed to detect the mRNA levels of APN and MDR1 in K562 and K562/ADR cells. After incubation with various concentration of bestatin for 24 h, the expression of APN mRNA was almost unchanged in K562 and K562/ADR cells. However, K562/ADR cells exhibited a significant lower level of APN mRNA than K562 cells. On the other hand, high dose of bestatin (100 μM) induced MDR1 upregulation by 49.4% and 18.0% in K562 and K562/ADR cells, respectively. The result confirmed that bestatin was a substrate of P-gp in mRNA level.
In Vivo	**Animal experiment**	
	Animal models:	Male Wistar rat
	Dosage form:	4 mg/kg, dis-solved in normal saline; oral taken
	Applications:	When bestatin and CsA were co-administered orally, the plasma concentrations of bestatin were increased significantly compared to that of control group. 1.97- and 1.92-fold increases were observed in Cmax (4.8±0.8 μg/ml vs. 2.4±0.6 μg/ml) and AUC (1.06±0.14 mg min/ml vs. 0.55±0.04 mg min/ml) of bestatin after combination with CsA, respectively. The results suggested concomitantly administered CsA increased the intestinal absorption of bestatin.
	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] Huo X, Liu Q, Wang C, et al. Enhancement effect of P-gp inhibitors on the intestinal absorption and antiproliferative activity of bestatin[J]. European Journal of Pharmaceutical Sciences, 2013, 50(3): 420-428.

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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