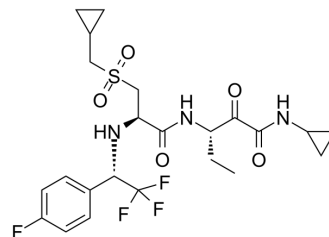


VBY-825

Cat. No.:	HY-15958		
CAS No.:	1310340-58-9		
Molecular Formula:	C ₂₃ H ₂₉ F ₄ N ₃ O ₅ S		
Molecular Weight:	535.55		
Target:	Cathepsin		
Pathway:	Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (233.40 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.8672 mL	9.3362 mL	18.6724 mL
	5 mM	0.3734 mL	1.8672 mL	3.7345 mL
	10 mM	0.1867 mL	0.9336 mL	1.8672 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (3.88 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.08 mg/mL (3.88 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (3.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VBY-825 is a novel, reversible cathepsin inhibitor with high potency against cathepsins B, L, S and V. IC₅₀ value: Target: 130/250/250/330/2.3/4.7 nM (K_i for cathepsin S/L/ZV/Bhumanized-rabbit cathepsin K/cathepsin F) [1] VBY-825 is a potent inhibitor of the assayed cathepsins and its potency against at least one cathepsin, cathepsin S, extends across species relevant for pharmacology studies, specifically mouse. 10 mg/kg/day dose of VBY-825 achieves a trough plasma concentration >200nM, which is well above that required for full inhibition of the intracellular activity of cathepsins B, F, K, L, S and V in both mouse and human cell lines.

REFERENCES

[1]. Elie BT, et al. Identification and pre-clinical testing of a reversible cathepsin protease inhibitor reveals anti-tumor efficacy in a pancreatic cancer model. *Biochimie*. 2010 Nov;92(11):1618-24.

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

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