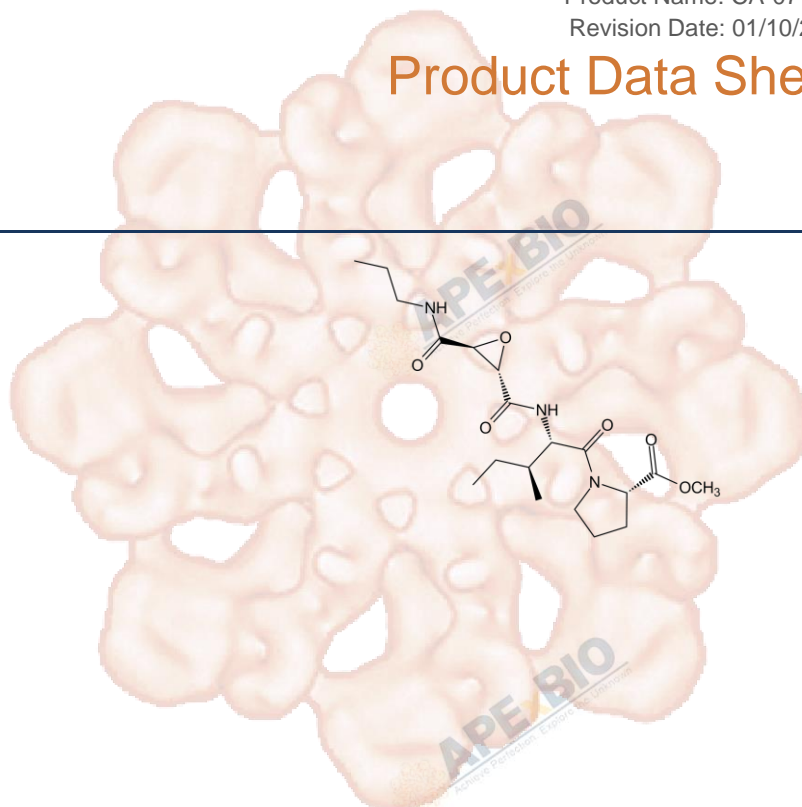


Product Data Sheet

CA-074 Me

Cat. No.:	A8239
CAS No.:	147859-80-1
Formula:	C ₁₉ H ₃₁ N ₃ O ₆
M.Wt:	397.5
Synonyms:	
Target:	Proteases
Pathway:	Cathepsin
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥19.88 mg/mL in DMSO; ≥51.5 mg/mL in EtOH with ultrasonic

In Vitro

Preparing Stock Solutions	Mass		1mg	5mg	10mg
	Solvent	Concentration			
		1 mM	2.5157 mL	12.5786 mL	25.1572 mL
		5 mM	0.5031 mL	2.5157 mL	5.0314 mL
		10 mM	0.2516 mL	1.2579 mL	2.5157 mL

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

Biological Activity

Shortsummary

Cathepsin B inhibitor

IC₅₀ & Target

2.2 nM (cathepsin B)

In Vitro

Cell Viability Assay

Cell Line: McNtcp.24 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: 0.1 μM, 2 hours

	Applications:	Cells were incubated in medium alone or with 50 μ M GCDC in the absence or presence of 0.1 μ M CA-074 Me. Apoptosis was quantitated after 2 h of incubation. The cathepsin B inhibitor CA-074 Me reduced the GCDC-mediated increase in cathepsin B activity and apoptosis in McNtcp.24 cells. The result confirms that cathepsin B activity increases and contributes to bile salt-mediated apoptosis in primary rat hepatocytes.
In Vivo	Animal experiment	
	Animal models:	CatB+/+ mice
	Dosage form:	Intraperitoneal injection, 4 mg/100g
	Applications:	Serum ALT levels after TNF- α -treatment were significantly reduced in catB+/+ mice pretreated with CA-074 Me compared to saline-injected controls. In contrast, liver architecture was preserved and only moderate damage was observed in catB+/+ mice pretreated with CA-074 Me. These results suggest that pharmacological inhibition of cat B may partially attenuate TNF- α -induced liver damage.
	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. Chen CH, Bhasin S, et al. "Study of Cathepsin B inhibition in VEGFR TKI treated human renal cell carcinoma xenografts." *Oncogenesis*. 2019 Feb 22;8(3):15.PMID:30796200
2. Xu Z, Zhang Y, et al. "Novel half-sandwich iridium O²C (carbene)-Complexes: In vitro and in vivo tumor growth suppression and pro-apoptosis via ROS-mediated cross-talk between mitochondria and lysosomes." *Cancer Lett*. 2019 Apr 10;447:75-85.PMID:30673591
3. Yan X, Li F, et al. "Interleukin-1beta released by microglia initiates the enhanced glutamatergic activity in the spinal dorsal horn during paclitaxel-associated acute pain syndrome." *Glia*. 2018 Dec 21.PMID:30578561
4. Gonzalez EA, Martins GR, et al. "Cathepsin B inhibition attenuates cardiovascular pathology in mucopolysaccharidosis I mice." *Life Sci*. 2018 Mar 1;196:102-109.PMID:29366749
5. Shao G, Wang R, et al. "The E3 ubiquitin ligase NEDD4 mediates cell migration signaling of EGFR in lung cancer cells." *Mol Cancer*. 2018 Feb 19;17(1):24.PMID:29455656

See more customer validations on www.apexbt.com.

References

- [1] Faubion W A, Guicciardi M E, Miyoshi H, et al. Toxic bile salts induce rodent hepatocyte apoptosis via direct activation of Fas. *The Journal of clinical investigation*, 1999, 103(1): 137-145.
- [2] Guicciardi M E, Miyoshi H, Bronk S F, et al. Cathepsin B knockout mice are resistant to tumor necrosis factor- α -mediated hepatocyte apoptosis and liver injury: implications for therapeutic applications. *The American journal of pathology*, 2001, 159(6): 2045-2054.

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 APEX BIO 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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