Product Data Sheet

Chemical Properties

Product Name: CA-074 Me

Cas No.: 147859-80-1
M.Wt: 397.5
Formula: C19H31N3O6
Synonyms: N/A
Chemical Name: methyl (2S)-1-[(2S)-3-methyl-2-[(2S,3S)-3-(propylcarbamoyl)oxirane-2-carbonyl]amino]pentanoyl]pyrrolidine-2-carboxylate
Canonical SMILES: CCCNC(=O)C1C(=O)NC(C(C)CC)C(=O)N2CCCC2C(=O)OC
Solubility: ≥19.875mg/mL in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Proteases
Pathways: Cathepsin

Description:

CA-074 Me is a membrane-permeable and selective inhibitor of cathepsin B with IC50 value of 36.3 nM [1, 2]. CA-074 Me is a methyl ester derivative of CA-074. In cultured human gingival fibroblasts, CA-074 Me exerted a 95% inhibition of cathepsin B and partial inhibition (54%) of the combined activities of cathepsins B and L. CA-074 Me was also found to inhibit cathespin L under reducing
conditions. It inhibited the activity of purified human cathepsin L by more than 90% when the enzyme had been pre-incubated with 1.4 mM DTT or 4.2 mM GSH for 2 hours. Besides that, CA-074 Me completely inhibited cathepsin B in the presence of 1.4 mM DTT [2, 3].

**Reference:**

**Protocol**

**Cell experiment:**

**Cell lines**
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 μM GCDC in the absence or presence of 0.1 μM 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.

**Animal experiment [3]:**

**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.

Reference:

Product Citations

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.