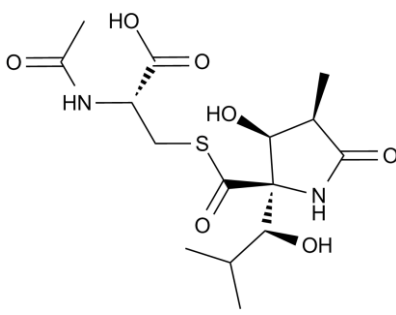


## Product Data Sheet

### Chemical Properties

|                            |  |   |
|----------------------------|--|---|
| <b>Product Name:</b>       | Lactacystin (Synthetic)  |  |
| <b>Cas No.:</b>            | 133343-34-7  |   |
| <b>M.Wt:</b>               | 376.42   |   |
| <b>Formula:</b>            | C <sub>15</sub> H <sub>24</sub> N <sub>2</sub> O <sub>7</sub> S  |   |
| <b>Synonyms:</b>           | N/A  |   |
| <b>Chemical Name:</b>      | 2-acetamido-3-[3-hydroxy-2-(1-hydroxy-2-methylpropyl)-4-methyl-5-oxopyrrolidine-2-carbonyl]sulfanylpropanoic acid  |   |
| <b>Canonical SMILES:</b>   | CC1C(C(NC1=O)(C(C(C)C)O)C(=O)SCC(C(=O)O)NC(=O)C)O  |   |
| <b>Solubility:</b>         | Soluble in H <sub>2</sub> O  |   |
| <b>Storage:</b>            | Store at -20°C   |   |
| <b>General tips:</b>       | 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. |   |
| <b>Shopping Condition:</b> | Evaluation sample solution : ship with blue ice<br>All other available size: ship with RT , or blue ice upon request   |   |

### Biological Activity

**Targets :** Ubiquitination/ Proteasome

**Pathways:** Proteasome

#### Description:

Lactacystin is a specific and an irreversible inhibitor of proteasome with IC<sub>50</sub> value of 4.8 μM [1]. Lactacystin binds to the catalytic subunits of the 20 S proteasome and inhibits all the three peptidase activities of the proteasome, chymotrypsin-like, trypsin-like and caspase-like. With this feature, lactacystin is used to study the role of the proteasome. In Neuro-2a cells, lactacystin treatment resulted in the induction of neurite outgrowth. It caused a transient increase in cAMP levels and the bipolar morphology of the cells. Lactacystin also inhibited cell cycle progression in

MG-63 human osteosarcoma cells. Moreover, lactacystin was reported to have therapeutic effects on glioma cells. In rat C6 glioma cells, lactacystin significantly inhibited cell growth with IC50 value of about 10  $\mu$ M. Besides that, in a mouse gliomaxenograft model, administration of lactacystin resulted in tumor size reduction at a dose of 1-5  $\mu$ g / 20 g body weight [2, 3].

### Reference:

1. Csizmadia V, Csizmadia E, Silverman L, et al. Effect of proteasome inhibitors with different chemical structures on the ubiquitin–proteasome system in vitro. *Veterinary Pathology Online*, 2010, 47(2): 358-367.
2. Fenteany G, Schreiber S L. Lactacystin, proteasome function, and cell fate. *Journal of Biological Chemistry*, 1998, 273(15): 8545-8548.
3. Wang H, Zhang S, Zhong J, et al. The proteasome inhibitor lactacystin exerts its therapeutic effects on glioma via apoptosis: An in vitro and in vivo study. *Journal of International Medical Research*, 2013, 41(1): 72-81.

## Protocol

### Cell experiment:

|                     |  |
|---------------------|--|
| Cell lines          | C6 cells   |
| Preparation method  | The solubility of this compound in sterile water 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 |  |
| Applications        | Compared with no treatment, Lactacystin significantly inhibited the proliferation of C6 cells at the 3 indicated doses. In addition, Lactacystin at all concentrations also significantly increased the number of apoptotic cells and decreased mitochondrial membrane potential when compared with the control group. |

### Animal experiment [3]:

|               |   |
|---------------|---|
| Animal models | Nude mice bearing gliomas   |
| Dosage form   | 1.0 $\mu$ g or 5.0 $\mu$ g per 20 g body weight; i.p.; q.d., for 7 days   |
| Applications  | In nude mice bearing gliomas, Lactacystin significantly inhibited tumor growth. However, at day 17, tumor volume increased to baseline in all experimental groups. On day 9 after termination of Lactacystin treatment, the tumor staining results revealed that Lactacystin significantly increased the mRNA and protein levels in |

the ratio of Bax to Bcl-2.

#### 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:

[1]. Wang H, Zhang S, Zhong J, et al. *The proteasome inhibitor lactacystin exerts its therapeutic effects on glioma via apoptosis: An in vitro and in vivo study. Journal of International Medical Research, 2013, 41(1): 72-81.*

## Product Citations

1. Zheng Y, Liu Q, et al. "Zika virus elicits inflammation to evade antiviral response by cleaving cGAS via NS1-caspase-1 axis." *EMBO J. 2018 Jul 31. pii: e99347. PMID:30065070*

## 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. Short-term 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.*

**ApexBio Technology**

**[www.apexbt.com](http://www.apexbt.com)**

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: [info@apexbt.com](mailto:info@apexbt.com)