Product Name: AR-42 (OSU-HDAC42)

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Product Name:</td>
<td>AR-42 (OSU-HDAC42)</td>
</tr>
<tr>
<td>Cas No.:</td>
<td>935881-37-1</td>
</tr>
<tr>
<td>M.Wt:</td>
<td>312.36</td>
</tr>
<tr>
<td>Formula:</td>
<td>C18H20N2O3</td>
</tr>
<tr>
<td>Chemical Name:</td>
<td>N-hydroxy-4-[(2S)-3-methyl-2-phenylbutanoyl]amino]benzamide</td>
</tr>
<tr>
<td>Canonical SMILES:</td>
<td>CC(C)C(C1=CC=CC=C1)C(=O)NC2=CC=C(C=C2)=ONO</td>
</tr>
<tr>
<td>Solubility:</td>
<td>&gt;15.6mg/mL in DMSO</td>
</tr>
<tr>
<td>Storage:</td>
<td>Store at -20° C</td>
</tr>
<tr>
<td>General tips:</td>
<td>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.</td>
</tr>
</tbody>
</table>
| Shopping Condition: | Evaluation sample solution: ship with blue ice  
All other available size: ship with RT, or blue ice upon request |

Biological Activity

| Targets             | HDAC                                      |
| Pathways:           | DNA Damage/DNA Repair >> HDAC             |

Description:

AR-42 (also known as OSU-HDAC42), a derivative of hydroxamate-tethered phenylbutyrate, is a novel and potent inhibitor of histone deacetylase (HDAC) that potently inhibits the activity of HDAC with 50% inhibition concentration IC50 value of 16 nM and induces histone H3 acetylation, α-tubulin acetylation and p21 up-regulation, which have been considered as the hallmark indicators of HDAC inhibition. AR-42 has been found to modulate several apoptosis inhibitors as well as cell survival regulator, including Akt, Bcl-xL, Bax, Ku70 and surviving, and exert potent antitumor activity against multiple tumor types, such as human prostate and hepatic cancers, at least partially through PI3K/Akt pathway inhibition.
Protocol

Cell experiment:

Cell lines
- DU-145 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
- 10 ~ 1000 nM; 96 hrs

Applications
- AR42 inhibited the growth of DU-145 cells with an IC50 value of 0.11 μM.

Animal experiment [3]:

Animal models
- Intact male NCr athymic nude mice inoculated s.c. with PC-3 cells

Dosage form
- 25 mg/kg, q.d., or 50 mg/kg, q.o.d.; p.o.; for 28 days

Applications
- At the doses of 25 mg and 50 mg, AR42 inhibited the growth of PC-3 tumor xenografts by 52% and 67%, respectively.

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


Product Validation

PARP is affected by AR-42 treatment

AR-42 increases the acetylation of histone H3 and tubulin

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.