Product Data Sheet

Chemical Properties

Product Name: C646
Cas No.: 328968-36-1
M.Wt: 445.42
Formula: C24H19N3O6
Synonyms: N/A
Chemical Name: 4-[(4Z)-4-[[5-(4,5-dimethyl-2-nitrophenyl)furan-2-yl]methylidene]-3-methyl-5-oxopyrazol-1-yl]benzoic acid
Canonical SMILES: CC1=C(C(C(=C1)C2=CC=C(O2)C=C3C(=NN(C3=O)C4=CC=C(C=C4)C(=O)O)C)[N+]1(=O)[O-])C
Solubility: ≥11.1mg/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: Chromatin/Epigenetics
Pathways: Histone Acetyltransferases
Description: C646, a pyrazolone-containing small molecule, is a cell-permeable histone acetyltransferase (HAT) inhibitor that competitively and selectively inhibits the HAT activity of p300, a transcriptional co-activator involved in a variety of gene regulatory pathways and protein acetylation events, with the inhibition constant Ki value of 400 nM and the half maximal inhibition concentration IC50 value of 1.6 μM [1].
C646 binds to p300 as the Z-isomer forming hydrogen bonds between Thr1411, tYR1467, Trp1466 and Arg1410 on the side chains of p300 and oxygen atoms of C646 [1]. C646 is also capable of inhibiting a variety of p300 HAT mutants, including T1411A, Y1467F, W1466F and R1410A with IC50 values of 3.4 μM, 7 μM, 5 μM and 2.5 μM respectively [1].

**Reference:**

**Protocol**

**Cell experiment:**

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>RAW264.7 murine macrophages</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method</td>
<td>The solubility of this compound in DMSO is &gt;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.</td>
</tr>
<tr>
<td>Reacting conditions</td>
<td></td>
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<tr>
<td>Applications</td>
<td>C646 decreased pro-inflammatory gene expression and NF-kB activity and inhibited histone deacetylases in RAW264.7 murine macrophages. Moreover, C646 decreased α-tubulin acetylation in RAW264.7 cells.</td>
</tr>
</tbody>
</table>

**Animal experiment [3]:**

<table>
<thead>
<tr>
<th>Animal models</th>
<th>C57BL/6 male mice model</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>2×0.75 μl injection volume in each case, 1.5 μg, administered over 2 min</td>
</tr>
<tr>
<td>Applications</td>
<td>Inhibition of p300 by C646 in the infralimbic prefrontal cortex (ILPFC) enhanced the formation of fear extinction memory.</td>
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<tr>
<td>Other notes</td>
<td>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.</td>
</tr>
</tbody>
</table>
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.

ApexBio Technology
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