OSU-03012
PDK1 Inhibitor
Catalog # inh-os03
For research use only
Version # 14F23-MT

PRODUCT INFORMATION

Content:
• 10 mg OSU-03012

Storage and stability:
- OSU-03012 is provided as a solid and shipped at room temperature. Store at -20˚C. Solid product is stable 1 year at -20˚C.
- Upon resuspension, prepare aliquots of OSU-03012 and store at -20˚C. Avoid repeated freeze-thaw cycles. Resuspended product is stable 3 months when properly stored.

Quality control:
- The absence of bacterial contamination (e.g. endotoxins and peptidoglycans) is controlled using HEK-Blue™ TLR2 and HEK-Blue™ TLR4 cells.

DESCRIPTION
OSU-03012, a derivative of the cyclooxygenase-2 (COX2) inhibitor celecoxib but lacking COX2 inhibitory activity, is a potent inhibitor of PDK1 (phosphoinositide-dependent kinase-1), a protein in the PI3K/Akt pathway that is involved in the growth and proliferation of cells1. OSU-03012 has been shown to induce cell death in various types of cancer cells through the inhibition of PDK1, although other mechanisms of action of this agent may be involved2,3. OSU-03012-induced cell killing is dependent on protein kinase RNA-like endoplasmic reticulum kinase (PERK)4.

CHEMICAL PROPERTIES

Working concentration: 1-5 µM
CAS number: 742112-33-0
Synonym: 2-amino-N-(4-(5-(phenanthren-2-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)acetamide
Formula: C26H19F3N4O
Molecular weight: 460.45
Solubility: DMSO (10 mg/ml)
Purity: >97% (UHPLC)

METHOD

Preparation of stock solution (25 mM)
1. Add 869 µl DMSO to 10 mg OSU 03012.
2. Vortex until complete solubilization.
3. Prepare aliquots and store at -20˚C. Once OSU 03012 is solubilized, dilutions can be prepared with aqueous buffers.

PROTOCOLS (For reference only)

Cell Culture Assay

Cells:
- U266, ARH-77, IM-9, and RPMI-8226, and primary myeloma cells

Working concentration: 15-25 µM
Incubation time: 6, 24, or 72 hours

Method:
OSU-03012-treated cells were incubated with acridine orange (1 µg/mL) and monodansylcadaverine (MDC; 0.05 mmol/L) and then examined under a fluorescence microscope to detect the autophagosome formation.

Animal Study

Animal model: BALB/c nude Mice
Dose: 100 mg/kg
Administration: Oral (p.o.)
Solubility: 0.5% methylcellulose (w/v), 0.1% Tween 80/v/v in sterile water


RELATED PRODUCTS

<table>
<thead>
<tr>
<th>Product</th>
<th>Description</th>
<th>Catalog Code</th>
</tr>
</thead>
<tbody>
<tr>
<td>3-Methyladenine</td>
<td>PI3K inhibitor</td>
<td>ttrl-3ma</td>
</tr>
<tr>
<td>LY294002</td>
<td>PI3K inhibitor</td>
<td>ttrl-ly29</td>
</tr>
<tr>
<td>Wortmannin</td>
<td>PI3K inhibitor</td>
<td>ttrl-wtm</td>
</tr>
<tr>
<td>pSELECT-GFP-LC3</td>
<td>Autophagy reporter plasmid</td>
<td>psetz-gfpLC3</td>
</tr>
</tbody>
</table>