# Product Data Sheet

## Chemical Properties

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Product Name:</strong></td>
<td>Obatoclax mesylate (GX15-070)</td>
</tr>
<tr>
<td><strong>Cas No.:</strong></td>
<td>803712-79-0</td>
</tr>
<tr>
<td><strong>M.Wt:</strong></td>
<td>413.5</td>
</tr>
<tr>
<td><strong>Formula:</strong></td>
<td>C20H19N3O.CH4O3S</td>
</tr>
<tr>
<td><strong>Chemical Name:</strong></td>
<td>(2Z)-2-[(5Z)-5-[(3,5-dimethyl-1H-pyrrol-2-yl)methylidene]-4-methoxy pyrrol-2-ylidene]indole;methanesulfonic acid</td>
</tr>
<tr>
<td><strong>Canonical SMILES:</strong></td>
<td>CC1(CC(=C(N1)C=C2C(=CC(=C3C=CC4=CC=CC4=N3)N2)OC)C.CS(=O)(=O)O</td>
</tr>
<tr>
<td><strong>Solubility:</strong></td>
<td>≥20.7mg/mL in DMSO</td>
</tr>
<tr>
<td><strong>Storage:</strong></td>
<td>Store at -20°C</td>
</tr>
<tr>
<td><strong>General tips:</strong></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>
<tr>
<td><strong>Shopping Condition:</strong></td>
<td>Evaluation sample solution : ship with blue ice</td>
</tr>
<tr>
<td></td>
<td>All other available size: ship with RT, or blue ice upon request</td>
</tr>
</tbody>
</table>

## Biological Activity

**Targets:** Apoptosis  
**Pathways:** Bcl-2 Family  
**Description:**  
Obatoclax mesylate, also known as GX15-070, is a hydrophobic small molecule that potently inhibits BCL-2 family by binding to the BH3-binding site of BCL-2 and other related BCL-2 family members (including BCL-XL, MCL-1, A1, and BCL-B). As a pan-BCL-2 inhibitor being investigated for the treatment of refractory malignancies, obatoclax mesylate directly induce apoptosis in cultured acute myeloid leukemia (AML) cells as well as primary patient samples and exhibits antitumor activity in mouse xenografts of solid tumor and myeloma cell lines. Study results have
shown that obatoclax mesylate inhibited clonogenic growth of primary AML samples (IC50 < nmol/L) and dissociated Bak and Bim from MCL-1 in cultured AML cells.

Reference:

Protocol

Cell experiment:

Cell lines
UMSCC-22A cells stably expressing GFP-LC3

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
200 nM, 48 hours

Applications
After the treatment, cells were fixed in 4% paraformaldehyde and then stained with Hoechst 33258. A confocal microscope was used to visualize GFP-LC3 punctate dots. Treatment of these cells for 24 or 48 h with obatoclax (100 or 200 nM) resulted in relocalization of the GFP-LC3 protein to punctate cytoplasmic dots, an indicator of autophagosome formation. Treatment with obatoclax resulted in an approximately 10-fold increase in the average number of puncta per cell at 48 h as well as 24 h.

Animal experiment [3]:

Animal models
Beige-nude-XID mice injected with SUDHL4 cells

Dosage form
Intraperitoneal injection, 3.0 mg/kg

Applications
Obatoclax (3.0 mg/kg) had little effect on tumor growth while carfilzomib (2.0 mg/kg) by itself significantly reduced tumor size. Combined treatment resulted in minimal tumor growth, an effect significantly greater than that observed with either agent alone. IVIS imaging of luciferase-expressing tumor cells confirmed the marked reduction in tumor growth with combined therapy. Kaplan-Meier analysis also demonstrated that that carfilzomib significantly
increased the survival of obatoclax-treated mice.

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.