# 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>Apogossypolone (ApoG2)</td>
</tr>
<tr>
<td><strong>Cas No.</strong>:</td>
<td>886578-07-0</td>
</tr>
<tr>
<td><strong>M.Wt</strong>:</td>
<td>490.501</td>
</tr>
<tr>
<td><strong>Formula</strong>:</td>
<td>C28H26O8</td>
</tr>
<tr>
<td><strong>Chemical Name</strong>:</td>
<td>1,1′,4,4′-tetrahydroxy-5,5′-diisopropyl-3,3′-dimethyl-[2,2′-binaphthalene]-6,6′,7,7′-tetraone</td>
</tr>
<tr>
<td><strong>Canonical SMILES</strong>:</td>
<td>OC(C(C1=C(C2=O)C(C)=CC2=O)=C(C(C=C1O)C(C)=C3O)=C(C(C=C4=O)C(C)=C4=O)=C(C(C4=O)C(C)=C4=O)O</td>
</tr>
<tr>
<td><strong>Solubility</strong>:</td>
<td>≥24.55 mg/mL in DMSO, ≥51.2 mg/mL in EtOH with ultrasonic, insoluble in H2O</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>
</tbody>
</table>
| **Shopping Condition**: | Evaluation sample solution: ship with blue ice
eAll other available size: ship with RT, or blue ice upon request |

## Biological Activity

**Targets**: Apoptosis

**Pathways**: Bcl-2 Family

**Description**:

Apogossypolone is an inhibitor of Bcl-2, Mcl-1 and Bcl-XL with Ki values of 35nM, 25nM and 660nM, respectively [1]. The MTT-based cell cytotoxicity assay shows that apogossypolone has an anticancer activity with IC50 values of 1, 2 and 3μM, respectively in PC-3, DU-145 (human prostate cancer cell lines) and MDA-MB-231 (human breast cancer cell line). Apogossypolone is also found to inhibit the colony...
formation of DU-145 cells. The mechanism is that apogossypolone binds to Bcl-2 and prevents its association with BH3-only pro-apoptotic proteins, leading the pro-apoptotic proteins to participate in the apoptotic response [2].

Besides prostate cancer and breast cancer, apogossypolone is also potent in follicular lymphoma. Apogossypolone significantly inhibits the cell growth via inducing apoptosis in WSU-FSCCL cell line with IC50 value of 109.2nM at 72h [3].

Reference:

Protocol

Cell experiment:

Cell lines: human prostate cancer cell lines PC-3 and LNCaP

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:

Applications: Apogossypolone is a novel inhibitor of Bcl-2 family proteins. Apogossypolone inhibited PC-3 and LNCaP cell growth in a dose-dependent manner. Treating PC-3 and LNCaP cells with apogossypolone induced autophagy, for the appearance of membranous vacuoles in the cytoplasm and the formation of acidic vesicular organelles. Expression of autophagy-associated beclin-1 and LC3-II were also increased in both cell lines after apogossypolone treatment.

Animal experiment [3]:

Animal models: Male 4-week-old Balb/c nu/nu mice

Dosage form: 2.5, 5, 10 mg/kg, intraperitoneal administration daily for 10 days.
Applications
Apogossypolone can significantly inhibit the growth of subcutaneous prostatic carcinoma implant. Apogossypolone decreased the expression of PCNA and CD31, enhanced the expression of caspases-3, caspase-8 in tumor tissues, indicating an induction of apoptosis and inhibition of tumor proliferation and angiogenesis.

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.
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