# 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>Trametinib (GSK1120212)</td>
</tr>
<tr>
<td><strong>Cas No.:</strong></td>
<td>871700-17-3</td>
</tr>
<tr>
<td><strong>M.Wt:</strong></td>
<td>615.39</td>
</tr>
<tr>
<td><strong>Formula:</strong></td>
<td>C26H23FIN5O4</td>
</tr>
<tr>
<td><strong>Synonyms:</strong></td>
<td>Trametinib, GSK-1120212, GSK1120212, Mekinist, JTP74057, JTP-74057</td>
</tr>
<tr>
<td><strong>Chemical Name:</strong></td>
<td>N-[3-[3-cyclopropyl-5-(2-fluoro-4-iodoanilino)-6,8-dimethyl-2,4,7-tri oxopyrido[4,3-d]pyrimidin-1-yl]phenyl]acetamide</td>
</tr>
<tr>
<td><strong>Canonical SMILES:</strong></td>
<td>CC1=C2C=(C(N(C1=O)C)NC3=C(C=C(C=C3)I)F)C(=O)N(C(=O)N2C4=CC(=CC=C4)NC(=O)C)C5CC5</td>
</tr>
<tr>
<td><strong>Solubility:</strong></td>
<td>≥15.38mg/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:** MAPK Signaling  
**Pathways:** MEK1/2  
**Description:** Trametinib (also known as GSK1120212 or JTP 74057), originally identified as a p15 inductive compound, is a novel and potent allosteric inhibitor of MEK kinase, which exhibits ATP non-competitive inhibition against MEK1 and MEK2 kinase. It has demonstrated broad antitumor
activities in a variety of tumor xenograft models, including HT-29 and COLO205 colorectal tumor cell lines. Trametinib induces expression of p15 and p27, reduces cyclin D1 levels, and causes dephosphorylation of RB protein and G1-phase arrest with a reduction of TS expression in HT-29 cells. It also effectively inhibits p-ERK 1/2 resulting in cell growth inhibition in tumor cell lines harboring B-RAF mutant.

Reference:
Akintunde Akinleye, Muhammad Furqan, Nikhil Mukhi, Pavan Ravella and Delong Liu. MEK and the inhibitors: from bench to bedside. Journal of Hematology & Oncology 2013, 6:27

Protocol

Cell experiment:

**Cell lines**
HT-29 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**
100 nM, 72 hours

**Applications**
Trametinib showed a subnanomolar IC50 value for 72 h in a Cell Counting Kit-8 assay of human colon cancer HT-29 cells. The treatment with trametinib for 24 h dose-dependently increased the G1 phase with a decrease in the S phase, and 72 h treatment induced apoptosis in a dose-dependent manner together with G1 arrest.

Animal experiment [3]:

**Animal models**
Male ICR mice

**Dosage form**
Oral administration, 3 mg/kg, daily

**Applications**
GSK1120212 was effective at blocking phosphorylation of ERK over 24 h and 7 d. To test whether the inhibitor blocked adaptive growth, mice were treated with GSK1120212 and/or the trypsin inhibitor camostat mesylate S (TI) for 7 d. TI-induced pancreatic growth was blocked by GSK1120212 as measured by pancreatic mass, protein, DNA, and RNA content. These results show that GSK1120212 like
PD0325901 blocks pancreatic adaptive growth induced by TI.

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.