## 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>BI 2536</td>
</tr>
<tr>
<td><strong>Cas No.</strong></td>
<td>755038-02-9</td>
</tr>
<tr>
<td><strong>M.Wt.</strong></td>
<td>521.67</td>
</tr>
<tr>
<td><strong>Formula</strong></td>
<td>C28H39N7O3</td>
</tr>
<tr>
<td><strong>Synonyms</strong></td>
<td>BI-2536; BI2536</td>
</tr>
</tbody>
</table>

**Chemical Name:** 4-[[{(7R)-8-cyclopentyl-7-ethyl-5-methyl-6-oxo-7H-pteridin-2-yl}amino]-3-methoxy-N-(1-methylpiperidin-4-yl)benzamide

**Canonical SMILES:** CCC1(C(=O)N2CN=C(N=C2N1C3CCCC3)NC4=C(C=C=C4)C(=O)NC5CCN(CC5)C)OC)C

**Solubility:** ≥13.04 mg/mL in DMSO, ≥92.4 mg/mL in EtOH with ultrasonic, insoluble in H2O

**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:** Cell Cycle/Checkpoint

**Pathways:** PLK

**Description:**

BI 2536 is a potent, ATP-competitive, well tolerated and highly specific human polo-like kinase 1 (PLK1) inhibitor with IC value of 0.83 nM, which shows 1000-fold selectivity against other kinases [1]. BI 2536 has been demonstrated to suppress cell growth and colony formation, it has been shown...
to induce mitotic arrest at G2/M phase and apoptosis in human cervical adenocarcinoma cell line HeLa [2].

BI 2536 has shown to have the effect of inhibiting cell proliferation in more than 20 tumor cell lines with half maximal effective concentration (EC50) values ranging from 2–25 nM. In vivo, multiple studies in xenograft models of human carcinoma have shown the anti-tumor activity of BI 2536 when the drug was intravenously administered 1-2 times every week [1].

Reference:

Protocol

Cell experiment:

Cell lines
HeLa-S3 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
1 μM, 24 hours

Applications
The effect of BI 2536 on the cell-cycle profile of cancer cells grown in vitro was assessed by immunofluorescence microscopy and flow cytometry. BI2536 caused HeLa cells to accumulate with a 4N DNA content, indicative of a cell-cycle block in either G2 phase or mitosis. The mitotic figures observed in BI 2536-treated cultures of HeLa cells displayed abnormal mitotic figures at EC50 values closely matching the induction of a G2/M arrest.

Animal experiment [3]:

Animal models
Immunodeficient nu/nu mice injected with HCT 116 cells

Dosage form
Intravenous injection, 40–50mg/kg, once or twice per week

Applications
The administration of BI 2536 was found to be highly efficacious in diverse xenograft models, such as the HCT 116 colon cancer with complete tumor suppression with the twice per week schedule and a T/C value of 16% with once per week treatment. By using a more
rigorous model of larger HCT 116 tumors, in which treatment was delayed until cancer nodules reached a median size of 500 mm³, it was found that five cycles of BI 2536 induced marked tumor regressions, whereas the control mice showed progressive disease.

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. Short-term 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.