PND-1186

Cat. No.: A3730
CAS No.: 1061359-68-1
Formula: C25H26F3N5O3
M.Wt: 501.5
Synonyms: SR-2516; PND 1186; PND1186; SR2516; VS-4718; VS 4718; VS4718
Target: Tyrosine Kinase
Pathway: FAK
Storage: Store at -20°C

Solvent & Solubility

≥50.2 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

<table>
<thead>
<tr>
<th>Solvent</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.9940 mL</td>
<td>9.9701 mL</td>
<td>19.9402 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3988 mL</td>
<td>1.9940 mL</td>
<td>3.9880 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1994 mL</td>
<td>0.9970 mL</td>
<td>1.9940 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary
Potent FAK inhibitor

IC₅₀ & Target
1.5 nM (FAK)

Cell Viability Assay

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>Murine breast carcinoma 4T1, ID8 ovarian carcinoma, human MD-MBA-231 and HEY ovarian cancer cell lines</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method:</td>
<td>The solubility of this compound in DMSO is &gt;25.1 mg/mL. 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</td>
</tr>
</tbody>
</table>
Reacting conditions:
below -20°C for several months.

Applications:
0.1 to 1 μM

In murine breast carcinoma 4T1 cells, ID8 ovarian carcinoma cells and human MD-MBA-231 cells, PND-1186 could inhibit FAK Tyr-397 phosphorylation and increase the protein level of FAK. Meanwhile, PND-1186 could also significantly induce the cell apoptosis and increase the cleavage caspase 3 in suspended but not adherent 4T1 cells. Additionally, PND-1186 was able to promote HEY and OVCAR8 G0/G1 cell cycle arrest followed by cell death whereas growth of SKOV3-IP and OVCAR10 cells were resistant to 1.0 μM PND-1186.

In Vivo Animal experiment
Animal models:
Mouse xenograft model with 4T1 tumor
Dosage form:
150 mg/kg, i.p.
Applications:
Oral administration of 150 mg/kg PND-1186 gave a more sustained PK profile verses i.p., and when given twice daily, PND-1186 significantly inhibited syngeneic murine 4T1 orthotopic breast carcinoma tumor growth and spontaneous metastasis to lungs. Moreover, low-level 0.5 mg/ml PND-1186 ad libitum administration in drinking water prevented oncogenic KRAS- and BRAF-stimulated MDA-MB-231 breast carcinoma tumor growth and metastasis with inhibition of tumoral FAK and p130Cas phosphorylation.

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.

Product Citations

References

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.

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