## Chemical Properties

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Product Name:</strong></td>
<td>AZD6244 (Selumetinib)</td>
</tr>
<tr>
<td><strong>Cas No.:</strong></td>
<td>606143-52-6</td>
</tr>
<tr>
<td><strong>M.Wt:</strong></td>
<td>457.69</td>
</tr>
<tr>
<td><strong>Formula:</strong></td>
<td>C17H15BrClFN4O3</td>
</tr>
<tr>
<td><strong>Chemical Name:</strong></td>
<td>6-(4-bromo-2-chloroanilino)-7-fluoro-N-(2-hydroxyethoxy)-3-methyl benzimidazole-5-carboxamide</td>
</tr>
<tr>
<td><strong>Canonical SMILES:</strong></td>
<td>CN1C=NC2=C1C=C(C(=C2F)NC3=C(C=C=C3Br)Cl)C(=O)NOCCO</td>
</tr>
<tr>
<td><strong>Solubility:</strong></td>
<td>&gt;22.9mg/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. All other available size: ship with RT, or blue ice upon request</td>
</tr>
</tbody>
</table>

## Biological Activity

**Targets:** MEK1/2

**Pathways:** MAPK Signaling >> MEK1/2

**Description:**

AZD6244 is a highly potent and selective inhibitor of MEK1/2 with IC50 value of 14.1nM against MEK1 [1]. AZD6244 is a second generation MEK1/2 inhibitor. In the radioactive assay, AZD6244 shows potent inhibition against the purified MEK1 without the competition with ATP. Besides, it is a selective inhibitor since it has no obvious inhibition against other tyrosine kinases including MKK6, EGFR, ErbB2 and B-Raf et al. In the cellular assay, AZD6244 inhibits the phosphorylation of...
ERK1/2 which are the direct substrates of MEK1/2. The IC50 value is 10.3nM. It also inhibits the EGF-induced phosphorylation of ERK1/2 but not ERK5 in A431 cells. Since MEK is important in cell proliferation, the block of MEK1/2 caused by AZD6244 leads to a growth inhibition in the cell lines containing activating B-Raf and Ras mutations with IC50 values ranging from 59 to 473nM. Furthermore, the administration of AZD6244 can significantly inhibit tumor growth both in the HT-29 xenograft model and the BxPC3 pancreatic tumor xenograft model [1].

Reference:

Protocol

Cell experiment:

Cell lines
1205Lu cells (BRAFV600E)

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
3 μM, 24 hours

Applications
Inhibition of cell growth by AZD6244 is caused by reversible G1-phase cell cycle arrest. Adherent 1205Lu cells were treated with DMSO or 3 μM AZD6244 for 24 h or for 24 h and a further 24 h after removal of the drugs. Cells treated with AZD6244 were found to enter into the G1-phase cell cycle arrest, but to reenter S phase after removal of the drug.

Animal experiment [3]:

Animal models
Nude mice implanted with HT-29 human colon carcinoma

Dosage form
Oral administration, 10, 25, 50, or 100 mg/kg, twice a day for 21 days

Applications
AZD6244 is effective in inhibiting tumor growth at all doses tested. The time to the tumor growth end point was 36 days for the two highest dose groups compared with 18 days for the vehicle control group. Tumor growth after 11 days of dosing was inhibited by 55% at the low dose of 10 mg/kg and by 70% at the high dose of 100 mg/kg. Recovery of tumor growth was observed after cessation of
AZD6244 administration. Tumor regrowth was significantly delayed in the 100 mg/kg dose group.

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 Validation
Treatment of AZD6244 affects pERK and MCT-1 in cell culture

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.