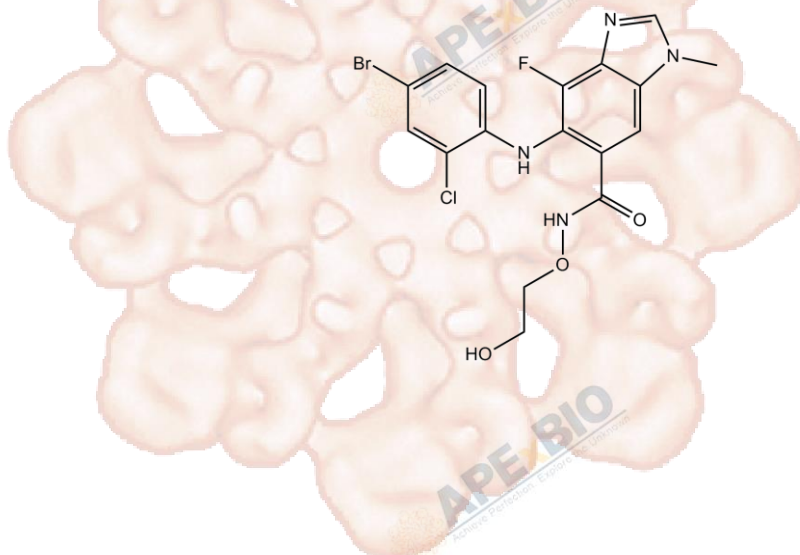


# Product Data Sheet

## AZD6244 (Selumetinib)

|                  |  |
|------------------|--|
| <b>Cat. No.:</b> | A8207  |
| <b>CAS No.:</b>  | 606143-52-6  |
| <b>Formula:</b>  | C <sub>17</sub> H <sub>15</sub> BrClFN <sub>4</sub> O <sub>3</sub> |
| <b>M.Wt:</b>     | 457.69   |
| <b>Synonyms:</b> |  |
| <b>Target:</b>   | MAPK Signaling   |
| <b>Pathway:</b>  | MEK1/2   |
| <b>Storage:</b>  | Store at -20°C   |



### Solvent & Solubility

≥22.88 mg/mL in DMSO; insoluble in H<sub>2</sub>O; insoluble in EtOH

In Vitro

| Preparing Stock Solutions | Solvent | Mass Concentration | Mass      |            |            |
|---------------------------|---------|--------------------|-----------|------------|------------|
|                           |         |                    | 1mg       | 5mg        | 10mg       |
|                           |         | 1 mM               | 2.1849 mL | 10.9244 mL | 21.8488 mL |
|                           |         | 5 mM               | 0.4370 mL | 2.1849 mL  | 4.3698 mL  |
|                           |         | 10 mM              | 0.2185 mL | 1.0924 mL  | 2.1849 mL  |

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

### Biological Activity

Shortsummary

MEK inhibitor

IC<sub>50</sub> & Target

14 nM (MEK1)

In Vitro

#### Cell Viability Assay

|                      |   |
|----------------------|---|
| Cell Line:           | 1205Lu cells (BRAFFV600E)   |
| 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 $\mu$ M 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.  |
| In Vivo | <b>Animal experiment</b> |   |
|         | 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.  |

## Product Citations

1. Bunda S, Heir P, et al. "CIC protein instability contributes totumorigenesis in glioblastoma." Nat Commun. 2019 Feb 8;10(1):661.PMID:30737375
2. Khan IA, Yoo BH, et al. "Mek activity is required for ErbB2 expression in breast cancer cells detached from the extracellular matrix." Oncotarget. 2017 Oct 31;8(62):105383-105396.PMID:29285258
3. Sieber J, Wieder N, et al. "GDC-0879, a BRAF(V600E) Inhibitor, Protects Kidney Podocytes fromDeath." Cell Chem Biol. 2017 Dec 6.PMID:29249695

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## References

- [1] Haass N K, Sproesser K, Nguyen T K, et al. The mitogen-activated protein/extracellular signal-regulated kinase kinase inhibitor AZD6244 (ARRY-142886) induces growth arrest in melanoma cells and tumor regression when combined with docetaxel. Clinical Cancer Research, 2008, 14(1): 230-239.
- [2] Yeh T C, Marsh V, Bernat B A, et al. Biological characterization of ARRY-142886 (AZD6244), a potent, highly selective mitogen-activated protein kinase kinase 1/2 inhibitor. Clinical Cancer Research, 2007, 13(5): 1576-1583.

## Caution

**FOR RESEARCH PURPOSES ONLY.**



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**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most APEx BIO 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.



**APEx BIO Technology**

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