

Product Specification Sheet

Product Name: AZD6244 (Selumetinib)

Catalog Number: C2624

Technical information:

Chemical Formula: $C_{17}H_{15}BrClFN_4O_3$

CAS #: 606143-52-6

Molecular Weight: 457.68

Purity: > 98%

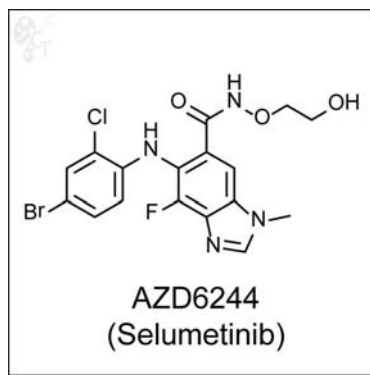
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 6-(4-bromo-2-chlorophenylamino)-7-fluoro-N-(2-hydroxyethoxy)-3-methyl-3H-benzo[d]imidazole-5-carboxamide

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.218mL of DMSO for each mg of AZD6244 (Selumetinib)
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: AZD-6244 (Selumetinib) is an orally-available, aminobenzimidazole-based, allosteric inhibitor of MEK1 kinase with an IC50 of 14 nM. [1] IC50 concentrations of <40 nM were observed in cellular phosphorylation assays measuring ERK1/2 in various cell lines.

In cellular growth assays, AZD-6244 was more potent in cell lines containing activating B-Raf and Ras mutations, with IC50 values ranging from 59 to 473 nM. In HT-29 and Malme-3M cell studies, AZD-6244 was found to induce G1-S cell cycle arrest, inducing apoptosis after a 2-day incubation period. [1] In Colo-205 xenografts, AZD6244 induced increased levels of cleaved caspase-3, indicating apoptosis. [2]

In diffuse large B-cell lymphoma (DLBCL) lines, nanomolar concentration of AZD-6244 effectively downregulated MEK/ERK target substrates, including c-Myc, Mcl-1, and Bcl-2. [3]

- Reference:**
1. Yeh et al., Biological characterization of ARRY-142886 (AZD6244), a potent, highly selective mitogen-activated protein kinase kinase 1/2 inhibitor. Clin. Cancer Res. 2007, 13, 1576-1583 Pubmed ID: 17332304
 2. Davies et al., AZD6244 (ARRY-142886), a potent inhibitor of mitogen-activated protein kinase/extracellular signal-regulated kinase 1/2 kinases: mechanism of action in vivo, pharmacokinetic/pharmacodynamic relationship, and potential for combination in preclinical models. Mol. Cancer Ther. 2007, 6, 2209-2219. Pubmed ID: 17699718
 3. Bhalla et al., The novel anti-MEK small molecule AZD6244 induces BIM-dependent and AKT-independent apoptosis in diffuse large B-cell lymphoma. Blood, 2011, 118(4), 1052-1061. Pubmed ID: 21628402

To reorder: <http://www.cellagentech.com/AZD6244-Selumetinib/>

For Technical Support: technical@cellagentech.com

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