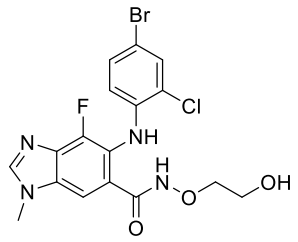
Product data sheet

MedKoo Cat#: 200300 Name: Selumetinib (AZD6244) CAS#: 606143-52-6 Chemical Formula: $C_{17}H_{15}BrClFN_4O_3$ Exact Mass: 456.00001 Molecular Weight: 457.68	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Selumetinib, also known as AZD6244, is an orally bioavailable small molecule with potential antineoplastic activity. Selumetinib inhibits mitogen-activated protein kinase kinases (MEK or MAPK/ERK kinases) 1 and 2, which may prevent the activation of MEK1/2-dependent effector proteins and transcription factors, and so may inhibit cellular proliferation in MEK-overexpressing tumor cells.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	13.05	28.51
DMSO:PBS (pH 7.2) (1:1)	0.5	1.09
DMF	15.0	32.77

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.18 mL	10.92 mL	21.85 mL
5 mM	0.44 mL	2.18 mL	4.37 mL
10 mM	0.22 mL	1.09 mL	2.18 mL
50 mM	0.04 mL	0.22 mL	0.44 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Au ED, Desai AP, Koniaris LG, Zimmers TA. The MEK-Inhibitor Selumetinib Attenuates Tumor Growth and Reduces IL-6 Expression but Does Not Protect against Muscle Wasting in Lewis Lung Cancer Cachexia. Front Physiol. 2017 Jan 18;7:682. doi: 10.3389/fphys.2016.00682. PMID: 28149280; PMCID: PMC5241300.
2. Li C, Chen Z, Yang H, Luo F, Chen L, Cai H, Li Y, You G, Long D, Li S, Zhang Q, Rao L. Selumetinib, an Oral Anti-Neoplastic Drug, May Attenuate Cardiac Hypertrophy via Targeting the ERK Pathway. PLoS One. 2016 Jul 20;11(7):e0159079. doi: 10.1371/journal.pone.0159079. PMID: 27438013; PMCID: PMC4954659.

In vivo study

1. Liang L, Coudière-Morrison L, Tatari N, Stromecki M, Fresnoza A, Porter CJ, Del Bigio MR, Hawkins C, Chan JA, Ryken TC, Taylor MD, Ramaswamy V, Werbowetski-Ogilvie TE. CD271+ Cells Are Diagnostic and Prognostic and Exhibit Elevated MAPK Activity in SHH Medulloblastoma. Cancer Res. 2018 Aug 15;78(16):4745-4759. doi: 10.1158/0008-5472.CAN-18-0027. Epub 2018 Jun 21. PMID: 29930101.

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2. Ullrich M, Weber M, Post AM, Popp S, Grein J, Zechner M, Guerrero González H, Kreis A, Schmitt AG, Üçeyler N, Lesch KP, Schuh K. OCD-like behavior is caused by dysfunction of thalamo-amygdala circuits and upregulated TrkB/ERK-MAPK signaling as a result of SPRED2 deficiency. Mol Psychiatry. 2018 Feb;23(2):444-458. doi: 10.1038/mp.2016.232. Epub 2017 Jan 10. PMID: 28070119; PMCID: PMC5794898.

7. Bioactivity

Biological target:

Selumetinib (AZD6244) is a non-ATP-competitive MEK1/2 inhibitor, with an IC_{50} of 14 nM for MEK1.

In vitro activity

Differentiated C2C12 myotubes were incubated with Selumetinib or vehicle for 48 h, with a media change after the first 24 h. Western blotting showed that 1 and 10 nM Selumetinib reduced ERK1/2 phosphorylation in C2C12 myotubes by ~30% (Figure 1A). Myotube hypertrophy (diameter +15.42%, $P < 0.05$) was observed at a concentration of 10 nM but not 1 nM Selumetinib (Figure 1B), and higher concentrations were toxic (data not shown).

Reference: Front Physiol. 2016; 7: 682. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5241300/

In vivo activity

Importantly, this study observed a significant survival increase in the selumetinib-treated mice (Fig. 7B) with a median survival time of 55.5 days relative to 44 days for vehicle controls. Moreover, CD271 levels were downregulated in all selumetinib-treated tumors (Fig. 7C). These results support in vitro studies and demonstrate the potential clinical utility of selumetinib for targeting CD271+ cells in a biologically relevant in vivo medulloblastoma tumor model.

Reference: Cancer Res. 2018 Aug 15;78(16):4745-4759. https://cancerres.aacrjournals.org/content/78/16/4745.long

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.