Selumetinib sulfate

Cat. No.: HY-50706A

CAS No.: 943332-08-9

Molecular Formula: $C_{17}H_{17}BrClFN_4O_7S$

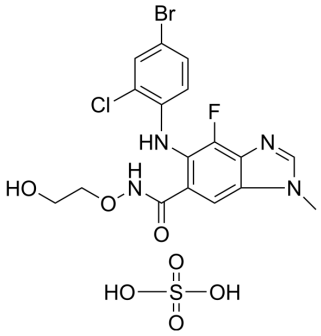
Molecular Weight: 555.76

Target: MEK; Apoptosis

Pathway: MAPK/ERK Pathway; Apoptosis

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (89.97 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7993 mL	8.9967 mL	17.9934 mL
5 mM	0.3599 mL	1.7993 mL	3.5987 mL
10 mM	0.1799 mL	0.8997 mL	1.7993 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (17.99 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.50 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.50 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Selumetinib (AZD6244) is selective, non-ATP-competitive oral MEK1/2 inhibitor, with an IC_{50} of 14 nM for MEK1. Selumetinib (AZD6244) inhibits ERK1/2 phosphorylation.

In Vitro

Selumetinib (AZD6244) causes a time- and dose-dependent reduction in DNA synthesis and cell viability in primary, induces growth arrest and apoptosis associated with the inactivation of ERK in primary 2-1318 cells[1].

Selumetinib (AZD6244) (1μM) shows anti-proliferative effects through G0/G1 arrest on H-441, H-1437 cells[2].

	Selumetinib (AZD6244) results in the growth inhibition of several cell lines containing B-Raf and Ras mutations but has no effect on a normal fibroblast cell line[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Selumetinib (AZD6244, 50 and 100 mg/kg, p.o.) decreases the growth rate of 4-1318 xenografts in a dose-dependent manner; AZD6244 when given at the dose of 50 mg/kg also significantly suppresses the growth of the 5-1318, 2-1318, 26-1004, and 29-1104 xenografts[1]. Selumetinib (ARRY-142886, 10, 25, 50, or 100 mg/kg, p.o.) is capable of inhibiting both ERK1/2 phosphorylation and growth of HT-29 xenograft tumors in nude mice. Tumor regressions are also seen in a BxPC3 xenograft model[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Cell. 2020 Mar 16;37(3):387-402.e7.
- Cell Res. 2018 Dec;28(12):1171-1185.
- J Hematol Oncol. 2020 Feb 22;13(1):13.
- Neuro Oncol. 2019 Mar 18;21(4):486-497.
- Genome Med. 2016 Oct 31;8(1):116.

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REFERENCES

[1]. [1].Huynh H, et al, Targeted inhibition of the extracellular signal-regulated kinase kinase pathway with AZD6244 (ARRY-142886) in the treatment of hepatocellular carcinoma. Mol Cancer Therapy, 2007, 6 (1), 138-146

[2]. [2].Garon EB, et al. Identification of common predictive markers of in vitro response to the Mek inhibitor selumetinib (AZD6244; ARRY-142886) in human breast cancer and non-small cell lung cancer cell lines. Mol Cancer Thera, 2010, 9 (7), 1985-1994.

[3]. [3].Yeh TC, 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 (5), 1576-1583.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA