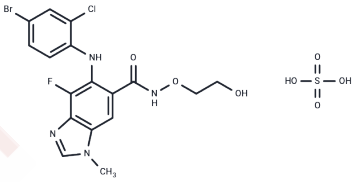
Data Sheet (Cat.No.T63929)

TargetMol

Selumetinib sulfate

Chemical Properties



CAS No. : 943332-08-9

Formula: C17H17BrClFN4O7S

Molecular Weight: 555.76

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Selumetinib sulfate (AZD6244 sulfate) is an orally available, selective and potent MEK1/2 inhibitor that inhibits MEK1/2 phosphorylation and may be useful for the study of symptomatic refractory fibroids in type 1 neurofibromatosis.
Targets(IC50)	Apoptosis,MEK
In vitro	Selumetinib sulfate (AZD6244) is a potent MEK inhibitor with an IC50 of 12 nM for purified MEK.Selumetinib sulfate is able to inhibit ERK1/2 phosphorylation in a variety of cancer cell lines with IC50s as low as 8 nM, both at basal and induced levels. In primary 2-1318 cells, Selumetinib sulfate induces growth arrest and apoptosis associated with ERK inactivation, resulting in reduced primary DNA synthesis and reduced cell viability over time and dose. [1] In H-441 and H-1437 cells, Selumetinib sulfate (1 μM) exhibits antiproliferative effects by blocking the G0/G1 phase. [2] In addition, Selumetinib sulfate inhibited the growth of various cell lines harboring B-Raf and Ras mutations, but had no significant effect on normal fibroblast cell lines. [3]
In vivo	In in vivo experiments, oral administration of 50 and 100 mg/kg Selumetinib sulfate dose-dependently reduced the growth rate of 4-1318 xenograft tumors; it also significantly inhibited the growth of 5-1318, 2-1318, 26-1004, and 29-1104 xenograft tumors at the 50 mg/kg dose. [1] Oral administration of 10, 25, 50, or 100 mg/kg Selumetinib sulfate also inhibited ERK1/2 phosphorylation and reduced HT-29 xenograft tumor growth in nude mice. Meanwhile, tumor regression was observed in the BxPC3 xenograft model. [3]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble) DMSO: 40 mg/mL (71.97 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.6 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.036 mL	0.1799 mL	0.3599 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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 Ther. 2007 Jan;6(1):138-46.
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 Ther. 2010 Jul;9(7):1985-94.
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 Mar 1;13(5):1576-83.