Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Selumetinib

Catalog No: tcsc0059

Available Sizes

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Specifications

Application:
MEK inhibitor

CAS No:
606143-52-6

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

Pathway:
MAPK/ERK Pathway

Target:
MEK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 34 mg/mL (74.29 mM)

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Alternative Names:
AZD6244;ARRY-142886

Observed Molecular Weight:
457.68

Product Description

Selumetinib is a highly potent **MEK** inhibitor, with **IC_{50}** values of 14 nM and 12 nM against MEK1 and MEK, respectively.

IC50 & Target: IC50: 12 nM (MEK)[4], 14 nM (MEK1)[3]

In Vitro: Selumetinib 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 (1μM) shows anti-proliferative effects through G0/G1 arrest on H-441, H-1437 cells[2]. Selumetinib (ARRY-142886) 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].

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].