Selumetinib

Potent and selective ATP non-competitive inhibitor of MEK1/2

Selumetinib (AZD6244) is a second-generation, orally available, potent and selective ATP non-competitive inhibitor of MEK 1/2. In direct assays, AZD6244 selectively inhibits purified active MEK1 and MEK2 with an IC50 of 14 nM, and AZD6244 has reported IC_{50} values of <100nm for MEK1 in ELISA assays and in bindings assays against recombinant domains of MEK2, Kd values were 53nM for AZD6244. AZD6244 demonstrated very effective anti-proliferation effects against several different cell lines with IC50 values ranging from a low of 3nM to < 1 μM depending upon the cell system used, and the compound inhibits basal and growth factor-stimulated phosphorylation of ERK1/ 2 with IC_{50} concentrations < 40 nM. AZD6244 has demonstrated potent dose-dependent antitumor activity against a panel of mouse xenograft models of colorectal, pancreatic, liver, skin, and lung cancer, and inhibition of tumor growth was found to correlate with the reduction of phospho-ERK1/2 levels in tumors.

Citations: 1 View Online »

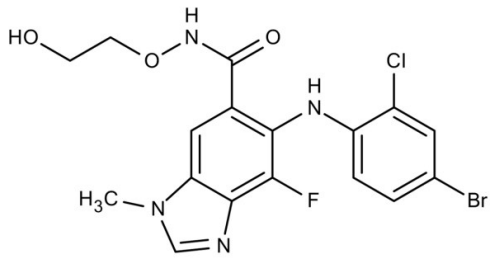
Ordering Information

Order Online »

ENZ-CHM184-0050	50mg

Manuals, SDS & CofA

View Online »

Handling & Storage

Use/Stability	As indicated on product label or CoA when stored as recommended.
Short Term Storage	+4°C
Long Term Storage	-20°C
Shipping	Ambient Temperature

Regulatory Status

RUO - Research Use Only

Product Details

Alternative Name	AZD-6244, ARRY-142886
Appearance	Beige powder.
CAS	606143-52-6
Couple Target	MEK
Couple Type	Inhibitor
Formula	$C_{17}H_{15}BrClFN_4O_3$
Identity	Determined by EM-MS.
MW	457.7
Purity	≥95% (HPLC)
Solubility	Soluble in DMSO (92mg/ml) or ethanol (<1mg/ml).

Last modified: May 29, 2024

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