



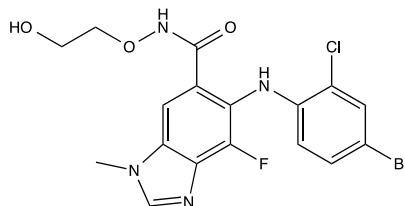
Catalog # 10-4509

AZD6244

CAS# 606143-52-6

ARRY-142886, Selumetinib

Lot # FBS2071



AZD6244 is a potent, selective, and non-ATP competitive inhibitor of MEK1/2 (IC_{50} MEK1 = 14 nM) and ERK 1/2 phosphorylation (IC_{50} = 10 nM).^{1,2} AZD 6244 is in clinical trials for treating various cancers.³⁻⁷

- 1) Davies et al. (2007), AZD6244(ARRY-142886), a potent inhibitor of mitogen-activated protein kinase/extracellular signal-regulated kinase kinase 1/2 kinases: mechanism of action in vivo, pharmacokinetic/pharmacodynamics relationship, and potential for combination in preclinical models; *Mol.Cancer Ther.* **6** 2209
- 2) Yeh et al. (2007), Biological characterization of ARRY-142886 (AZD6244), a potent, highly selective mitogen-activated protein kinase kinase 1/2 inhibitor; *Clin.Cancer Res.*, **13** 1576
- 3) Catalanotti et al. (2013), Phase II trial of MEK inhibitor selumetinib(AZD6244) in patients with BRAFV600E/K-mutated melanoma *Clin.Cancer Res.*, **19** 2257
- 4) O'Neil et al. (2011), Phase II study of the mitogen-activated protein kinase 1/2 inhibitor selumetinib in patients with advanced hepatocellular carcinoma; *J.Clin.Oncol.* **29** 2350
- 5) Khurum et al. (2012), A phase I dose escalation study of oral MK-2206 (allosteric Akt inhibitor) with oral selumetinib (AZD6244)(MEK 1/2 inhibitor) in patients with advanced or metastatic solid tumors; *J.Clin.Oncol.* **30** e13599
- 6) Hainsworth et al. (2010), A phase II, open label, randomized study to assess the efficacy and safety of AZD6244 versus pemetrexed in patients with non-small cell lung cancer who have failed one or two prior chemotherapeutic regimens; *J.Thorac.Oncol.* **5** 1630
- 7) Bodoky et al. (2012), A phase II open-label randomized study to assess the efficacy and safety of selumetinib (AZD6244) versus capecitabine in patients with advanced or metastatic pancreatic cancer who have failed first-line gemcitabine therapy; *Invest.New Drugs* **30** 1216

PHYSICAL DATA

Molecular Weight:	457.68
Molecular Formula:	C ₁₇ H ₁₅ BrClFN ₄ O ₃
Purity:	99% by HPLC: NMR: Conforms
Solubility:	DMSO (> 50 mg/ml), Ethanol (up to 2 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 2 months.

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