



Catalog Number:	MC11084	Product Type:	Small Molecule
Bio-Activity:	ERK inhibitor	CAS #:	606143-52-6
Research Categories:	Cancer		
Solubility:	Soluble in DMSO (up to 50 mg/ml) or in Ethanol (up to 2 mg/ml)	Molecular Formula:	C ₁₇ H ₁₅ BrClFN ₄ O ₃
Purity:	> 98%	Molecular Weight:	457.68
Format:	Powder	Ship Temp:	Ambient
Storage:	-20°C		

Application Notes

Description/Data:

AZD6244 is a potent, selective, and non-ATP competitive inhibitor of MEK1/2 (IC₅₀ MEK1 = 14 nM) and ERK 1/2 phosphorylation (IC₅₀ = 10 nM) [1,2]. AZD 6244 is in clinical trials for treating various cancers [3-7].

References:

- 1) Davies et al. (2007), AZD6244(ARRY-142886), a potent inhibitor of mitogen-activated protein kinase/extracellular signal-regulated 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

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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

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Neuromics Antibodies • 5325 West 74th Street, Suite 8 • Edina, MN 55439
phone 866-350-1500 • fax 612-677-3976 • e-mail: pshuster@neuromics.com