

Small Molecules

AZD6244

MEK/ERK pathway inhibitor; Inhibits MEK1 and MEK2

Catalog # 72992
72994

10 mg
50 mg



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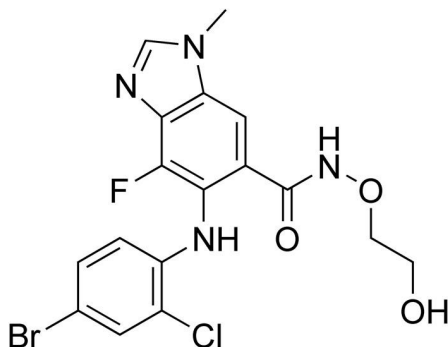
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Product Description

AZD6244 is a potent, highly selective inhibitor of the mitogen-activated protein kinases MEK1 ($IC_{50} = 14$ nM) and MEK2 ($K_d = 530$ nM; Davis et al.; Yeh et al.). It is a tight-binding noncompetitive inhibitor that does not bind in the ATP binding pocket of MEK (Huynh et al.). It also shows micromolar binding to epidermal growth factor receptor (EGFR; Davis et al.).

Molecular Name:	AZD6244
Alternative Names:	ARRY-142886; CI-1040; G 00039805; NSC 741078; Selumetinib
CAS Number:	606143-52-6
Chemical Formula:	$C_{17}H_{15}BrClFN_4O_3$
Molecular Weight:	457.7 g/mol
Purity:	$\geq 95\%$
Chemical Name:	5-[(4-bromo-2-chlorophenyl)amino]-4-fluoro-N-(2-hydroxyethoxy)-1-methyl-1H-benzimidazole-6-carboxamide
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at $-20^{\circ}C$ as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 40 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.18 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at $-20^{\circ}C$. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH

- Inhibits growth of several tumor cell lines but not normal fibroblast lines, and inhibits tumor growth in a colorectal xenograft tumor model (Yeh et al.).
- Inhibits proliferation and induces differentiation and apoptosis in multiple tumor cell lines and tumor xenograft models, especially those containing BRAF or RAS mutations (Davies et al.).
- Inhibits proliferation of breast cancer and non-small cell lung cancer cell lines, especially those containing RAF and RAS mutations, respectively (Garon et al.).

References

- Davies BR 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/pharmacodynamic relationship, and potential for combination in preclinical models. *Mol Cancer Ther* 6(8): 2209–19.
- Davis MI et al. (2011) Comprehensive analysis of kinase inhibitor selectivity. *Nat Biotechnol* 29(11): 1046–51.
- Garon EB et al. (2010) 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* 9(7): 1985–94.
- Huynh H et al. (2007) Targeted inhibition of the extracellular signal-regulated kinase kinase pathway with AZD6244 (ARRY-142886) in the treatment of hepatocellular carcinoma. *Mol Cancer Ther* 6(1): 138–46.
- Yeh TC 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(5): 1576–83.

Related Small Molecules

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