Small Molecules

Refametinib

MEK/ERK Pathway Inhibitor; Inhibits MEK1 and MEK2

Catalog # 73372 1 mg
73374 10 mg

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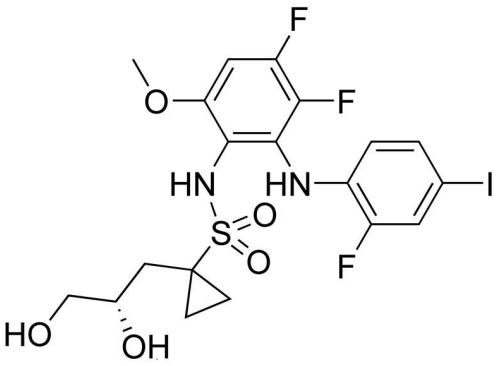
Product Description

Refametinib is an inhibitor of both mitogen-activated protein kinase kinases 1 (MEK1) and 2 (MEK2) with IC_{50} values of 19 and 47 nM, respectively. It binds in an allosteric site adjacent to the ATP pocket and is selective for MEK1/2 versus 205 other kinases (Iverson et al.).

Molecular Name:	Refametinib
Alternative Names:	BAY-86-9766; RDEA119
CAS Number:	923032-37-5
Chemical Formula:	$C_{19}H_{20}F_3IN_2O_5S$
Molecular Weight:	572.3 g/mol
Purity:	≥ 95%
Chemical Name:	N-[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-6-methoxyphenyl]-1-[(2S)-2,3-dihydroxypropyl]-cyclopropanesulfonamide
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 1.5 mM · Absolute ethanol ≤ 35 mM For example, to prepare a 1 mM stock solution in DMSO, resuspend 1 mg in 1.75 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°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 cancer cell lines in vitro, including those expressing B-RAF mutation V600E (Iverson et al.).
· Inhibits tumor growth in various xenograft models including human melanoma A375 and human colon cancer COLO 205 cell lines, and primary pancreatic cancers (Iverson et al.; Chang et al.).
· Synergistically induces apoptosis in pancreatic cancer cell lines when combined with Erlotinib, an epidermal growth factor receptor (EGFR) inhibitor (Diep et al.).
· Synergistically inhibits tumor growth in hepatocellular carcinoma rodent models when combined with Sorafenib, an inhibitor of the tyrosine kinases vascular endothelial growth factor receptor (VEGFR) and platelet-derived growth factor receptor (PDGFR) (Schmieder et al.).

References

Chang Q et al. (2010) Antitumour activity of a potent MEK inhibitor RDEA119/BAY 869766 combined with rapamycin in human orthotopic primary pancreatic cancer xenografts. BMC Cancer 10(1): 515.
Diep CH et al. (2011) Synergistic effect between erlotinib and MEK inhibitors in KRAS wild-type human pancreatic cancer cells. Clin Cancer Res 17(9): 2744–56.
Iverson C et al. (2009) RDEA119/BAY 869766: a potent, selective, allosteric inhibitor of MEK1/2 for the treatment of cancer. Cancer Res 69(17): 6839–47.
Schmieder R et al. (2013) Allosteric MEK1/2 inhibitor refametinib (BAY 86-9766) in combination with sorafenib exhibits antitumor activity in preclinical murine and rat models of hepatocellular carcinoma. Neoplasia 15(10): 1161–71.

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