

Small Molecules

Refametinib

MEK/ERK Pathway Inhibitor; Inhibits MEK1 and MEK2

Catalog # 73372
73374

1 mg
10 mg



Scientists Helping Scientists™ | WWW.STEMCELL.COM

TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713

INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM

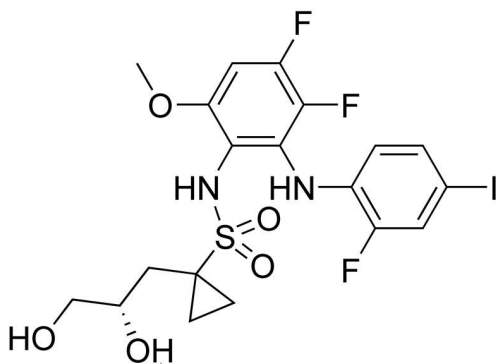
FOR GLOBAL CONTACT DETAILS VISIT OUR WEBSITE

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: $\geq 95\%$
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.

Related Small Molecules

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

This product is hazardous. Please refer to the Safety Data Sheet (SDS).

PRODUCTS ARE FOR RESEARCH USE ONLY AND NOT INTENDED FOR HUMAN OR ANIMAL DIAGNOSTIC OR THERAPEUTIC USES UNLESS OTHERWISE STATED.

Copyright © 2021 by STEMCELL Technologies Inc. All rights reserved including graphics and images. STEMCELL Technologies & Design, STEMCELL Shield Design, and Scientists Helping Scientists are trademarks of STEMCELL Technologies Canada Inc. All other trademarks are the property of their respective holders. While STEMCELL has made all reasonable efforts to ensure that the information provided by STEMCELL and its suppliers is correct, it makes no warranties or representations as to the accuracy or completeness of such information.