Small Molecules

Trametinib

MEK/ERK pathway inhibitor; Inhibits MEK1 and MEK2

Catalog #	73502	1 mg
	73504	10 mg

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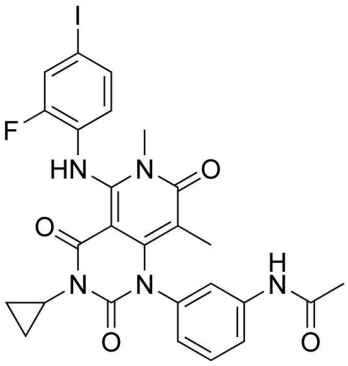
Product Description

Trametinib is an allosteric, reversible, non-ATP competitive inhibitor of mitogen-activated protein kinase kinase (MEK) isoforms MEK1 and MEK2 with IC_{50} values of 0.7 and 0.9 nM, respectively (Gilmartin et al.; Yoshida et al.). It is specific for MEK versus > 100 other kinases (Yamaguchi et al.).

Molecular Name:	Trametinib
Alternative Names:	G 02442104; GSK 1120212; JTP-74057; Mekinist
CAS Number:	871700-17-3
Chemical Formula:	$C_{26}H_{23}FIN_5O_4$
Molecular Weight:	615.4 g/mol
Purity:	≥ 95%
Chemical Name:	N-[3-[3-cyclopropyl-5-(2-fluoro-4-iodoanilino)-6,8-dimethyl-2,4,7-trioxopyrido[4,3-d]pyrimidin-1-yl]phenyl]acetamide
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 ≤ 4 mM For example, to prepare a 1 mM stock solution in DMSO, resuspend 1 mg in 1.63 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 in multiple cell lines, especially those with B-RAF V600, other RAF/RAS-activating mutations, or β-catenin mutations (Gilmartin et al.; Jing et al.; Uitdehaag et al.; Yamaguchi et al.).
· Delays tumor growth and causes tumor regression in mouse xenograft models with various cancer cell types containing B-RAF or K-RAS mutations (Gilmartin et al.; Yamaguchi et al.).

References

Gilmartin AG et al. (2011) GSK1120212 (JTP-74057) is an inhibitor of MEK activity and activation with favorable pharmacokinetic properties for sustained in vivo pathway inhibition. Clin Cancer Res 17(5): 989–1000.
Jing J et al. (2012) Comprehensive predictive biomarker analysis for MEK inhibitor GSK1120212. Mol Cancer Ther 11(3): 720–9.
Uitdehaag JCM et al. (2014) Comparison of the cancer gene targeting and biochemical selectivities of all targeted kinase inhibitors approved for clinical use. PLoS One 9(3): e92146.
Yamaguchi T et al. (2011) Antitumor activities of JTP-74057 (GSK1120212), a novel MEK1/2 inhibitor, on colorectal cancer cell lines in vitro and in vivo. Int J Oncol 39(1): 23–31.
Yoshida T et al. (2012) Identification and characterization of a novel chemotype MEK inhibitor able to alter the phosphorylation state of MEK1/2. Oncotarget 3(12): 1533–45.

Related Small Molecules

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