

Small Molecules

Trametinib

MAPK pathway inhibitor; MEK1, MEK2 inhibitor

Catalog # 73502
73504

1 mg
10 mg



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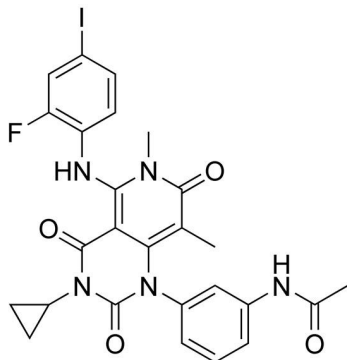
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Product Description

Trametinib is an allosteric, reversible, non-ATP competitive inhibitor of mitogen-activated protein kinase kinases (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: GSK 1120212, G 02442104, JTP-74057, Mekinist
CAS Number: 871700-17-3
Chemical Formula: $C_{26}H_{23}FIN_5O_4$
Molecular Weight: 615.4 g/mol
Purity: $\geq 95\%$
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 $-20^{\circ}C$ as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
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 $-20^{\circ}C$. 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

- Inhibits growth in multiple cell lines, especially those with B-RAF V600, other RAF/RAS-activating, or β -catenin mutations (Gilmartin et al.; Jing et al.; Yamaguchi et al.; Uitdehaag 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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