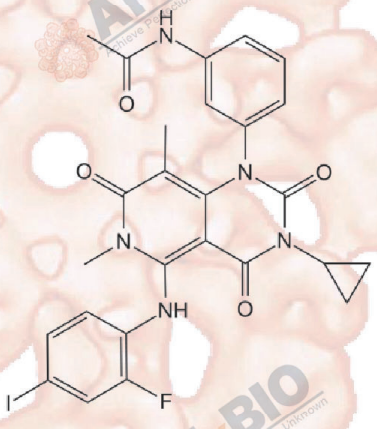
Product Data Sheet

Trametinib (GSK1120212)

Cat. No.:	A3018
CAS No.:	871700-17-3
Formula:	C26H23FIN5O4
M.Wt:	615.39
Synonyms:	Trametinib, GSK-1120212, GSK1120212, Mekinist, JTP74057, JTP-74057
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H2O; insoluble in EtOH; ⩾15.38 mg/mL in DMSO

In Vitro — Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.6250 mL	8.1249 mL	16.2499 mL
5 mM	0.3250 mL	1.6250 mL	3.2500 mL
10 mM	0.1625 mL	0.8125 mL	1.6250 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	MEK1 and MEK2 inhibitor, potent and selective
IC_{50} & Target	0.92 nM (MEK1), 1.8 nM (MEK2)

In Vitro

Cell Viability Assay

Cell Line:	HT-29 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	100 nM, 72 hours
	Applications:	Trametinib showed a subnanomolar IC50 value for 72 h in a Cell Counting Kit-8 assay of human colon cancer HT-29 cells. The treatment with trametinib for 24 h dose-dependently increased the G1 phase with a decrease in the S phase, and 72 h treatment induced apoptosis in a dose-dependent manner together with G1 arrest.
In Vivo	**Animal experiment**	
	Animal models:	Male ICR mice
	Dosage form:	Oral administration, 3 mg/kg, daily
	Applications:	GSK1120212 was effective at blocking phosphorylation of ERK over 24 h and 7 d. To test whether the inhibitor blocked adaptive growth, mice were treated with GSK1120212 and/or the trypsin inhibitor camostat mesylate S (TI) for 7 d. TI-induced pancreatic growth was blocked by GSK1120212 as measured by pancreatic mass, protein, DNA, and RNA content. These results show that GSK1120212 like PD0325901 blocks pancreatic adaptive growth induced by TI.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Cho SY, Chae J, et al. "Unstable Genome and Transcriptome Dynamics during Tumor Metastasis Contribute to Therapeutic Heterogeneity in Colorectal Cancers." Clin Cancer Res. 2019 Jan 22.PMID:30670495

2. Buhl JL, Selt F, et al. "The senescence-associated secretory phenotype mediates oncogene-induced senescence in pediatric pilocytic astrocytoma." Clin
Cancer Res. 2018 Dec 7. pii: clincanres.1965.2018.PMID:30530705

3. Knickelbein K, Tong J, et al."Restoring PUMA induction overcomes KRAS-mediated resistance to anti-EGFR antibodies in colorectal cancer." Oncogene. 2018 May 14.PMID:29755130

4. Wang YN, Lee HH, et al. "Angiogenin/Ribonuclease 5 Is an EGFR Ligand and a Serum Biomarker for Erlotinib Sensitivity in Pancreatic Cancer." Cancer Cell. 2018 Apr 9;33(4):752-769.e8.PMID:29606349

5. Sieber J, Wieder N, et al. "GDC-0879, a BRAF(V600E) Inhibitor, Protects Kidney Podocytes from Death." Cell Chem Biol. 2017 Dec 6.PMID:29249695

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References

[1] Watanabe M, Sowa Y, Yogosawa M, et al. Novel MEK inhibitor trametinib and other retinoblastoma gene (RB)-reactivating agents enhance efficacy of 5‐fluorouracil on human colon cancer cells. Cancer science, 2013, 104(6): 687-693.

[2] Holtz B J, Lodewyk K B, Sebolt-Leopold J S, et al. ERK Activation is Required for CCK-mediated Pancreatic Adaptive Growth in Mice. American Journal of Physiology-Gastrointestinal and Liver Physiology, 2014: ajpgi. 00163.2014.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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