Product Data Sheet

Trametinib DMSO solvate

Cat. No.: A3887

CAS No.: 1187431-43-1

Formula: C28H29FIN5O5S

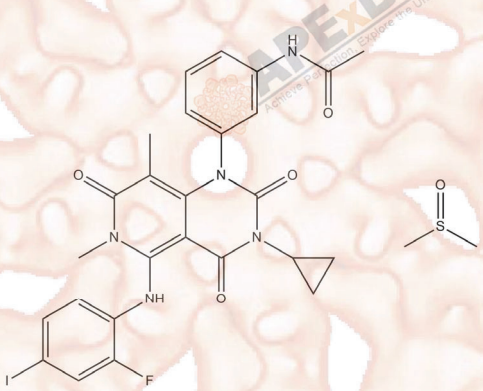
M.Wt: 693.53

Synonyms: GSK-1120212 DMSO solvate;Trametinib;JTP-74057;GSK-1120212; GSK1120212;GSK 1120212;JTP 74057;JTP74057

Target: MAPK Signaling

Pathway: MEK1/2

Storage: Store at -20°C



Solvent & Solubility

In Vitro

≥11.2mg/mL in DMSO with ultrasonic and warming, <5.03mg/mL in H2O,insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.4419 mL	7.2095 mL	14.4190 mL
5 mM	0.2884 mL	1.4419 mL	2.8838 mL
10 mM	0.1442 mL	0.7209 mL	1.4419 mL

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

Biological Activity

Shortsummary	Allosteric MEK1/MEK2 kinase inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	HT-29 and COLO205 cells
Preparation method:	This compound is soluble in DMSO. 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:	0, 1, 10 and 100 nM; 0 ~ 4 days
	Applications:	Trametinib induced apoptosis both in HT-29 and COLO205 cells, but that COLO205 cells were more sensitive to Trametinib than HT-29 cells in terms of apoptosis induction.
In Vivo	**Animal experiment**	
	Animal models:	Female BALB/c-nu/nu mice inoculated subcutaneously with HT-29 or COLO205 cells
	Dosage form:	0.3 or 1 mg/kg; p.o.; q.d., for 14 days
	Applications:	Oral administration of Trametinib (0.3 or 1 mg/kg, q.d., for 14 days) was effective in inhibiting the HT-29 xenograft growth, and 1 mg/kg of Trametinib almost completely blocked the tumor increase. In the COLO205 xenograft model, tumor regression was observed even at a dose of 0.3 mg/kg. At a dosage of 1 mg/kg, a complete regression was obtained in 4 out of 6 mice in which the tumor degenerated to the point that tumor volume was not measurable.
	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. Lee PC, Fang YF, et al. "Targeting PKCδ as a Therapeutic Strategy against Heterogeneous Mechanisms of EGFR InhibitorResistance in EGFR-Mutant Lung Cancer." Cancer Cell. 2018 Dec 10;34(6):954-969.e4.PMID:30537515

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References

[1]. Yamaguchi T, Kakefuda R, Tajima N, Sowa Y, Sakai T. Antitumor activities of JTP-74057 (GSK1120212), a novel MEK1/2 inhibitor, on colorectal cancer cell lines in vitro and in vivo. Int J Oncol. 2011 Jul;39(1):23-31.

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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