

Trametinib (DMSO solvate)

Chemical Properties

CAS No. : 1187431-43-1

Formula: C₂₈H₂₉FIN₅O₅S

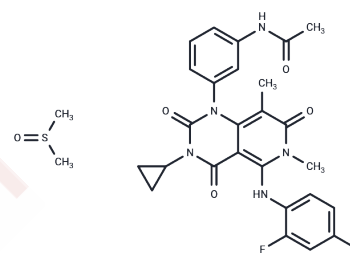
Molecular Weight: 693.53

Storage:

Keep away from direct sunlight, Keep away from moisture, Store at low temperature

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Trametinib (DMSO solvate) (GSK-1120212 (DMSO solvate)) is a highly potent and selective MEK inhibitor that specifically inhibits MEK1/2 (IC ₅₀ : 2 nM).
Targets(IC ₅₀)	Apoptosis, MEK
In vitro	Trametinib DMSO solvate displays remarkable potency in cellular assays for ERK1/2 phosphorylation and growth inhibition and excellent in vivo activity. Inhibition of the MEK/ERK pathway offers a very promising therapeutic strategy for cancers with activating mutant Ras and Raf, and GSK1120212 (DMSO solvate) has been advanced into phase III trials for advanced or metastatic BRAF mutant melanoma as well as phase I and II studies in subjects with solid tumors or leukemia.
In vivo	Trametinib DMSO solvate was then evaluated in vivo in an A549 (KRAS mutant cell line) xenograft model, orally dosing daily for 21 days (qd × 21). Near complete tumor growth inhibition was observed at 5.0 and 2.5 mg/kg [92 and 87% tumor growth inhibition (TGI), respectively] and to a lesser degree at 0.5 and 0.1 mg/kg (62 and 58% TGI). [Notably, although 5 mg/kg was the maximally tolerated dose (MTD), 3 mg/kg is the typically observed MTD.] Dose-dependent antitumor activity with GSK1120212 (DMSO solvate) treatment has been similarly reported for several other KRAS and BRAF mutant tumor models.

Solubility Information

Solubility	DMSO: 1.3 mg/mL (1.87 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.44 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4419 mL	7.2095 mL	14.419 mL
5 mM	0.2884 mL	1.4419 mL	2.8838 mL
10 mM	0.1442 mL	0.7209 mL	1.4419 mL
50 mM	0.0288 mL	0.1442 mL	0.2884 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Abe H , Kikuchi S , Hayakawa K , et al. Discovery of a Highly Potent and Selective MEK Inhibitor: GSK1120212 (JTP-74057 DMSO Solvate)[J]. ACS MEDICINAL CHEMISTRY LETTERS, 2011, 2(4):320-324.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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