

MRTX-1257

Chemical Properties

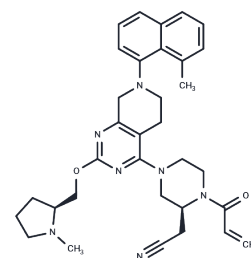
CAS No. : 2206736-04-9

Formula: C33H39N7O2

Molecular Weight: 565.71

Storage: 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	MRTX-1257 is a selective, irreversible, and covalent inhibitor of KRAS G12C with an IC50 of 900 pM for KRAS-dependent ERK phosphorylation in H358 cells. MRTX-1257 demonstrated 31% bioavailability in the mouse, with free fraction exposures well above cellular potency, and 77% target engagement in a PK/PD experiment.
Targets(IC50)	Ras,Kras
In vivo	MRTX-1257 shows sustained regression at 3,10, 30, and 100 mg/kg dose groups. MRTX-1257 dosed of 100 mg/kg daily causes complete responses that are maintained >70 days after cessation of treatment. MRTX-1257 (1 mg/kg, 3 mg/kg, 10 mg/kg, 30 mg/kg and 100 mg/kg, orally, daily for 30 days) displays rapid tumor growth inhibition at all dose groups in MIA PaCa-2 G12C Xenograft model in mice .

Solubility Information

Solubility	DMSO: 35 mg/mL (61.87 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: 2 mg/mL (3.54 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	1.7677 mL	8.8385 mL	17.6769 mL
5 mM	0.3535 mL	1.7677 mL	3.5354 mL
10 mM	0.1768 mL	0.8838 mL	1.7677 mL
50 mM	0.0354 mL	0.1768 mL	0.3535 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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