MRTX-1257

Cat. No.: HY-114436

CAS No.: 2206736-04-9

Molecular Formula: $C_{33}H_{39}N_7O_2$

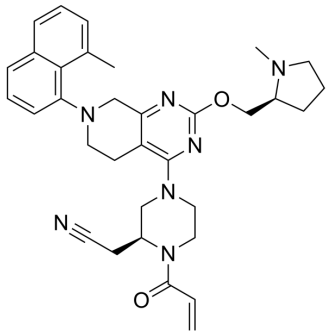
Molecular Weight: 565.71

Target: Ras

Pathway: GPCR/G Protein

Storage: -20°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 55 mg/mL (97.22 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.42 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MRTX-1257 is a selective, irreversible, covalent and orally active KRAS G12C inhibitor, with an IC_{50} of 900 pM for KRAS dependent ERK phosphorylation in H358 cells[1].

IC_{50} & Target

KRAS(G12C)

In Vivo

MRTX-1257 (1 mg/kg, 3 mg/kg, 10 mg/kg, 30 mg/kg and 100 mg/kg, orally, daily for 30 days) shows rapid tumor growth inhibition at all dose groups in MIA PaCa-2 G12C Xenograft model in mice[1].

?MRTX-1257 shows sustained regression at 3,10, 30, and 100 mg/kg dose groups[1].

?MRTX-1257 dosed of 100 mg/kg daily leads to complete responses that are maintained >70 days after cessation of

treatment[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MIA PaCa-2 G12C Xenograft Model (mouse)[1].
Dosage:	1 mg/kg, 3 mg/kg, 10 mg/kg, 30 mg/kg and 100 mg/kg.
Administration:	Orally daily for 30 days.
Result:	Showed rapid tumor growth inhibition at all dose groups. Showed sustained regression at 3,10, 30, and 100 mg/kg dose groups. 100 mg/kg daily led to complete responses that are maintained >70 days after cessation of treatment.

CUSTOMER VALIDATION

- J Am Chem Soc. 2022 Sep 19.
- J Proteome Res. 2021 Nov 9.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Matthew et al. Structure-Based Drug Discovery of MRTX1257, a Selective, Covalent KRAS G12C Inhibitor with Oral Activity in Animal Models of Cancer.

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