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Produktinformation

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- Diagnostik & molekulare Diagnostik
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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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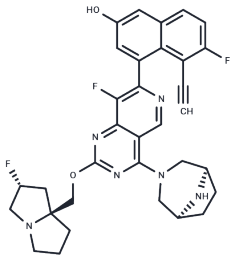
Data Sheet (Cat.No.T9303)

TargetMol

MRTX1133

Chemical Properties



CAS No. : 2621928-55-8

Formula: C33H31F3N6O2

Molecular Weight: 600.63

Appearance: no data available

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

Biological Description

Description	MRTX1133 is a KRAS G12D inhibitor (KD=0.2 pM) that is potent, selective, and non-covalent. MRTX1133 exhibits inhibitory activity against KRAS G12D-mutated tumors, but not against KRAS wild-type tumors.
Targets(IC50)	Ras
In vitro	**METHODS**: Human gastric cancer cells AGS (KRASG12D) and MKN1 (KRASWT) were treated with MRTX1133 (0-3 μM) for 72 h, and cell viability was measured by CTG method in 2D culture. **RESULTS**: The IC50 of MRTX1133 on AGS and MKN1 cells was 6 nM and >3000 nM, respectively. [1] **METHODS**: Human gastric cancer cells AGS (KRASG12D) were treated with MRTX1133 (0-10 μM) for 3-72 h, and the expression levels of target proteins were detected by In-Cell Western method. **RESULTS**: MRTX1133 inhibited the p-ERK level of AGS with an IC50 of 2 nM. [1] **METHODS**: Human pancreatic cancer cells SUIT2 (KRASG12D) were treated with MRTX1133 (60 nmol/L) for 24 h, and the expression levels of target proteins were detected by Western Blot. **RESULTS**: SUIT2 cells treated with MRTX1133 showed a significant decrease in pMEK1/2, a partial decrease in pERK1/2, and an initial decrease in pAKT followed by a recovery at a later time point. The levels of pEGFR and pHER2 decreased and recovered at 72 h. [2]
In vivo	**METHODS**: To test the antitumor activity in vivo, MRTX1133 (3-30 mg/kg, 10% Captisol in 50 mM citrate buffer pH 5.0) was intraperitoneally injected into nude-Foxn1nu mice bearing human pancreatic adenocarcinoma tumor Panc 04.03 twice daily for seven weeks. **RESULTS**: MRTX1133 exhibited dose-dependent antitumor activity, with 94% tumor growth inhibition observed at the 3 mg/kg group. Tumor regression of -62% and -73% was observed in the 10 mg/kg and 30 mg/kg groups, respectively. [1] **METHODS**: To assay antitumor activity in vivo, MRTX1133 (0.5 mg/kg in 12.5% Cremophor+12.5% ethanol+75%, orally once daily) and Cetuximab (50 mg/kg, intraperitoneally once weekly) were administered to BALB/c nude mice harboring human colorectal tumors, LS531 or CACO-2 for twenty-one days. **RESULTS**: MRTX1133 significantly inhibited tumorigenesis in both in vivo models. The anti-tumor effect was further enhanced when MRTX1133 was combined with Cetuximab. [3]

Solubility Information

Solubility	DMSO: 50 mg/mL (83.25 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6649 mL	8.3246 mL	16.6492 mL
5 mM	0.333 mL	1.6649 mL	3.3298 mL
10 mM	0.1665 mL	0.8325 mL	1.6649 mL
50 mM	0.0333 mL	0.1665 mL	0.333 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.

Reference

Wang X, et al. Identification of MRTX1133, a Noncovalent, Potent, and Selective KRASG12D Inhibitor. J Med Chem. 2022 Feb 24;65(4):3123-3133.