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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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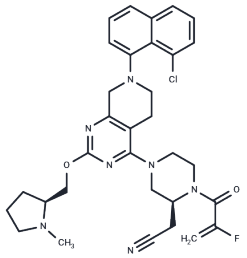
Data Sheet (Cat.No.T8369)

TargetMol

Adagrasib

Chemical Properties



CAS No. : 2326521-71-3

Formula: C32H35ClFN7O2

Molecular Weight: 604.12

Appearance: no data available

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

Biological Description

Description	Adagrasib (MRTX849) is an orally active and selective covalent inhibitor of KRAS G12C. Adagrasib binds to the GDP state of the inactive conformation of KRAS G12C and inhibits KRAS and its downstream signaling. Adagrasib exhibits inhibitory activity against KRAS G12C mutant tumors.
Targets(IC50)	Ras
In vitro	**METHODS**: Seventeen KRAS G12C mutant and three non-KRAS G12C mutant tumor cells were treated with Adagrasib (0-10 μM) for 3 days, and cell viability was measured in 2D culture using CellTiter-Glo assay. **RESULTS**: MRTX849 effectively inhibited cell growth in the majority of KRAS G12C mutant cell lines, with IC50 values ranging from 10-973 nM. three non-KRAS G12C mutant cells had IC50 values greater than 1 μM. [1] **METHODS**: Human lung cells NCI-H358 were treated with Adagrasib for 3 h, and the target inhibitory activity was detected by Cell-Based Phospho-ERK Assay. **RESULTS**: Adagrasib inhibited the p-ERK level of NCI-H358, and the IC50 was 14 nM. [2]
In vivo	**METHODS**: To assay antitumor activity in vivo, Adagrasib (1-100 mg/kg, 10% Captisol in 10 mM citrate buffer pH 5.0) was administered by gavage to athymic nude mice harboring human pancreatic adenocarcinoma tumor MIA PaCa-2 or human lung adenocarcinoma tumor H358 once daily for 16-22 days. **RESULTS**: MRTX849 showed dose-dependent antitumor efficacy in a well-tolerated dose range. [1] **METHODS**: To assay in vivo antitumor activity, Adagrasib (30 mg/kg orally) and paclitaxel (18 mg/kg intraperitoneally) were administered every three days for four weeks to athymic nude mice harboring tumors of ABCB1-mediated MDR xenografts. **RESULTS**: Tumors were resistant to Adagrasib and paclitaxel alone and did not show significant antitumor effects.Combined treatment with Adagrasib and paclitaxel showed stronger inhibition of tumor growth. [3]

Solubility Information

Solubility	DMSO: 60 mg/mL (99.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6553 mL	8.2765 mL	16.553 mL
5 mM	0.3311 mL	1.6553 mL	3.3106 mL
10 mM	0.1655 mL	0.8277 mL	1.6553 mL
50 mM	0.0331 mL	0.1655 mL	0.3311 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

Hallin J, et al. The KRASG12C Inhibitor MRTX849 Provides Insight toward Therapeutic Susceptibility of KRAS-Mutant Cancers in Mouse Models and Patients. Cancer Discov. 2020 Jan;10(1):54-71.