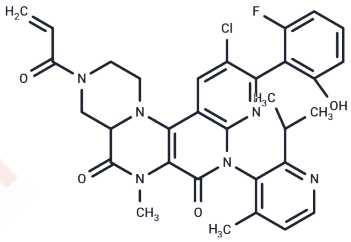
Data Sheet (Cat.No.T73190)

TargetMol

Fulzerasib

Chemical Properties



CAS No. : 2641747-54-6

Formula: C32H30ClFN6O4

Molecular Weight: 617.07

Storage: 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	Fulzerasib is an orally active KRAS G12C inhibitor that covalently binds to the cysteine residue on the protein, thereby inhibiting the growth of KRAS G12C mutant tumour cell lines, with an IC50 of 2-20 nM, and can be used to treat KRAS G12C mutant non-small cell lung cancer (NSCLC).
Targets(IC50)	Ras,Kras
In vitro	**Method**: The mechanism of action of Fulzerasib on KRAS G12C was evaluated through in vitro enzymatic and cellular assays. The compound's ability to inhibit GDP/GTP nucleotide exchange (IC_{50}), reduce RAS-GTP levels (IC_{50}), and suppress downstream signaling molecule pERK (IC_{50}) was assessed. Additionally, its antiproliferative activity was tested in tumor cell lines harboring the KRAS G12C mutation. **Result**: Fulzerasib covalently inhibited GDP/GTP exchange on KRAS G12C (IC_{50}: 29 nM), locking KRAS in its inactive GDP-bound state (RAS-GTP IC_{50}: 74 nM) and blocking downstream signaling (pERK IC_{50}: 37 nM). Moreover, Fulzerasib effectively inhibited the proliferation of KRAS G12C-mutant tumor cell lines with IC_{50} values ranging from 2 to 20 nM. [1]
In vivo	**Method**: The antitumor activity of Fulzerasib was evaluated in pancreatic cancer cell line xenograft models (MIA Paca-2 CDX), human lung adenocarcinoma xenograft models (NCI-H358 CDX), patient-derived colon adenocarcinoma xenograft models (SW837 CDX), and patient-derived lung cancer xenograft models (LU2529 PDX). **Result**: Fulzerasib demonstrated potent antitumor activity in multiple xenograft models of pancreatic cancer, lung adenocarcinoma, and colon cancer. [1]

Solubility Information

Solubility	DMSO: 100 mg/mL (162.06 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6206 mL	8.1028 mL	16.2056 mL
5 mM	0.3241 mL	1.6206 mL	3.2411 mL
10 mM	0.1621 mL	0.8103 mL	1.6206 mL
50 mM	0.0324 mL	0.1621 mL	0.3241 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

Rafael Rosell, et al. KRAS G12C-mutant driven non-small cell lung cancer (NSCLC). Crit Rev Oncol Hematol. 2024 Mar:195:104228.

Vanesa Gregorc, et al. KROCUS: A phase II study investigating the efficacy and safety of fulzerasib. Free access. June 05, 2024.