Sotorasib [2296729-00-3]

#Cat: NB-64-45019-1g **Size: 1g**
#Cat: NB-64-45019-1mL **Size: 1mL**
#Cat: NB-64-45019-1mg **Size: 1mg**
#Cat: NB-64-45019-2mg **Size: 2mg**
#Cat: NB-64-45019-5mg **Size: 5mg**
#Cat: NB-64-45019-10mg **Size: 10mg**
#Cat: NB-64-45019-25mg **Size: 25mg**
#Cat: NB-64-45019-50mg **Size: 50mg**
#Cat: NB-64-45019-100mg **Size: 100mg**
#Cat: NB-64-45019-500mg **Size: 500mg**

Chemical Properties

Cas No: 2296729-00-3
Formula: $C_{30}H_{30}F_2N_6O_3$
Molecular weight: 560.59
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Sotorasib (AMG-510) is an orally active and selective covalent inhibitor of KRAS G12C. Sotorasib binds to the GDP state of the inactive conformation of KRAS G12C and inhibits KRAS and its downstream signaling. Sotorasib exhibits inhibitory activity against KRAS G12C mutant tumors.
Targets(IC50)	Kras
In vitro	**METHODS:** Twenty-two tumor cells were treated with Sotorasib (0-10 μM) for 72 h. Cell viability was measured using the CellTiter-Glo Luminescent Cell Viability Assay kit. **RESULTS:** Sotorasib impaired the growth of all KRAS G12C cell lines except SW1573, with IC50 values ranging from 0.004-0.032 μM. non-KRAS G12C cell lines were sensitive to Sotorasib, with an IC50 >7.5 μM. [1] **METHODS:** KRAS G12C mutant tumor cells were treated with Sotorasib (100 nM) for 4-72 h, and the expression levels of target proteins were detected using Western Blot method. **RESULTS:** Sotorasib rapidly inhibited KRAS downstream signaling, but p-ERK levels returned to 75% of the baseline level at 72 h. Sotorasib was also shown to rapidly inhibit KRAS downstream signaling. [2]
In vivo	**METHODS:** To assay antitumor activity in vivo, Sotorasib (3-100 mg/kg) was orally administered once daily for four weeks to athymic nude mice bearing the human pancreatic cancer tumor MIA PaCa-2 T2 or the human lung cancer tumor NCI-H358. **RESULTS:** Sotorasib significantly inhibited the growth of MIA PaCa-2 T2 and NCI-H358 tumors at all doses, and tumor regression was observed at higher doses. [1] **METHODS:** To assay antitumor activity in vivo, Sotorasib (30 mg/kg in 0.5% sodium carboxymethylcellulose, administered by gavage once daily) and Cisplatin (4 mg/kg in 0.9% saline, intraperitoneally every two days) were administered to Balb/C nude mice harboring human lung cancer tumors. **RESULTS:** Tumor shrinkage in the combination group was more than twice that of the single-administration group. [3]

Solubility Information

Solubility	H2O: 33.33 mg/mL (59.46 mM),when pH is adjusted to 11 with NaOH. Sonication is recommended. DMSO: 60 mg/mL (107.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7838 mL	8.9192 mL	17.8383 mL
5 mM	0.3568 mL	1.7838 mL	3.5677 mL
10 mM	0.1784 mL	0.8919 mL	1.7838 mL
50 mM	0.0357 mL	0.1784 mL	0.3568 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

Yang N, Fan Z, Sun S, et al.Discovery of highly potent and selective KRASG12C degraders by VHL-recruiting PROTACs for the treatment of tumors with KRASG12C-Mutation.European Journal of Medicinal Chemistry.2023: