KRAS inhibitor-10

Cat. No.: HY-138295

CAS No.: 2578876-75-0

Molecular Formula: $C_{30}H_{37}N_3O_5$

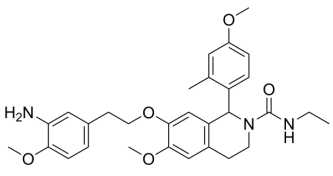
Molecular Weight: 519.63

Target: Ras

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (192.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9244 mL	9.6222 mL	19.2445 mL
	5 mM	0.3849 mL	1.9244 mL	3.8489 mL
	10 mM	0.1924 mL	0.9622 mL	1.9244 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 (4.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KRAS inhibitor-10 (compound 11) selectively and effectively inhibit RAS proteins, and particularly KRAS proteins. KRAS inhibitor-10 is an orally active anti-cancer agent and can be used for cancer research, such as pancreatic cancer, breast cancer, multiple myeloma, leukemia and lung cancer. KRAS inhibitor-10 is a tetrahydroisoquinoline compound (compound 11) extracted from patent WO2021005165 A1[1].

IC_{50} & Target

KRas G12C

In Vitro

KRAS inhibitor-10 (0.1-50 μM; 72 hours) has antiproliferative effects in KRas mutant tumor cells, KRAS inhibitor-10 is against A549 (lung carcinoma cell line bearing $KRas^{G12S}$ oncogenic mutation), H358 (non-small cell lung cancer line bearing $KRas^{G12C}$ oncogenic mutation), PANC-1 (epithelioid carcinoma of the pancreas cell line bearing $KRas^{G12D}$ oncogenic mutation)

	and RPMI (myeloma cell line bearing $KRas^{G12A}$ oncogenic mutation) cell proliferation with IC_{50} values of 0.2 μM, 0.2 μM, 0.1 μM and 0.8 μM, respectively[1]. KRAS inhibitor-10 (0-1 μM, 7 days) is against cell viability in a 3D CellTiter-GloTM cell viability assay for NIH-H358 cell, the IC_{50} value is 0.413 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	KRAS inhibitor-10 (oral administration; 20 mg/kg; BID; 27 days) is safe and tolerate for the bearing mice. KRAS inhibitor-10 demonstrates significant oral anti-tumor activities in vivo. And the tumor growth inhibition (TGI) value is 48.22% for KRAS inhibitor-10[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Subcutaneous NCI-H358 Human Lung Cancer Model in NCr nude mice[1]
Dosage:	20 mg/kg
Administration:	Oral administration; 20 mg/kg; BID; 27 days
Result:	Decreased tumor volume and exhibited anti-tumor effects in the bearing mice.

REFERENCES

[1]. Miguel VEGA GARCÍA, et al. Tetrahydroisoquinoline compounds. Patent wo2021002165

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