ZT-12-037-01

Cat. No.: HY-122866

CAS No.: 2328073-61-4

Molecular Formula: $C_{21}H_{31}N_5O_2$

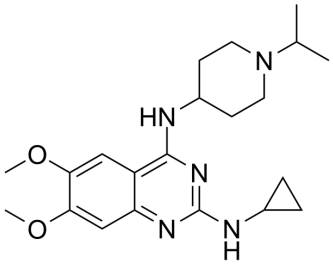
Molecular Weight: 385.5

Target: Ras

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

1M HCl : 100 mg/mL (259.40 mM; Need ultrasonic)

DMSO : 50 mg/mL (129.70 mM; ultrasonic and adjust pH to 2 with 1M HCl)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5940 mL	12.9702 mL	25.9403 mL
5 mM	0.5188 mL	2.5940 mL	5.1881 mL
10 mM	0.2594 mL	1.2970 mL	2.5940 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (12.97 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

ZT-12-037-01 is a STK19-targeted inhibitor, has a high-affinity interaction with STK19 protein and inhibits oncogenic NRAS-driven melanocyte malignant transformation. ZT-12-037-01 is an ATP-competitive inhibitor, inhibiting phosphorylation of NRAS (major isoform of Ras family) with an IC_{50} of 24 nM[1].

In Vitro

ZT-12-037-01 (3 μM; 14 days) significantly inhibits mutant NRAS-STK19-driven melanocyte colony formation and proliferation[1].

ZT-12-037-01 (0-3 μM) has an inhibitory effects of ZT-12-037-01 on STK19WT and STK19D89N-activated NRAS phosphorylation in HPMs[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	CDK4 (R24C) melanocyte cells; hTERT melanocyte cells; p53DD melanocyte cells
Concentration:	3 μM
Incubation Time:	14 days
Result:	Inhibited melanocyte proliferation.

Western Blot Analysis[1]

Cell Line:	$STK19^{WT}$ and $STK19^{D89N}$
Concentration:	0 μM, 0.1 μM, 0.3 μM, 1 μM, 3 μM
Incubation Time:	
Result:	Inhibited NRAS phosphorylation.

In Vivo

ZT-12-037-01 (intraperitoneally injection; 25-50 mg/kg; once daily; 21 days) inhibits growth of SK-MEL-2 xenograft melanoma and the sections of tumors indicates induction of apoptosis by increasing cleaved caspase-3[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SK-MEL-2 xenograft melanoma nude mice with hTERT/p53DD/CDK4(R24C) melanocytes[1]
Dosage:	25 mg/kg; 50 mg/kg
Administration:	Intraperitoneally injection; 21 days; once a day
Result:	Inhibited growth of SK-MEL-2 xenograft melanoma.

REFERENCES

[1]. Yin C, et al. Pharmacological Targeting of STK19 Inhibits Oncogenic NRAS-Driven Melanomagenesis. Cell. 2019 Feb 21;176(5):1113-1127.e16.

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