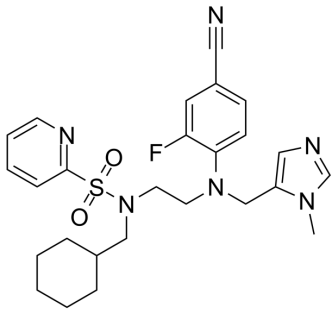
FGTI-2734

Cat. No.:	HY-128350
CAS No.:	1247018-19-4
Molecular Formula:	$C_{26}H_{31}FN_6O_2S$
Molecular Weight:	510.63
Target:	Farnesyl Transferase
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (97.92 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9584 mL	9.7918 mL	19.5837 mL
	5 mM	0.3917 mL	1.9584 mL	3.9167 mL
	10 mM	0.1958 mL	0.9792 mL	1.9584 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 7.5 mg/mL (14.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FGTI-2734 is a RAS C-terminal mimetic dual farnesyl transferase (FT) and geranylgeranyl transferase-1 (GGT-1) inhibitor with IC_{50}s of 250 nM and 520 nM for FT and GGT-1, respectively. FGTI-2734 can prevent membrane localization of KRAS, hence solving KRAS resistance problem and thwarting mutant KRAS patient-derived pancreatic tumors[1].

IC_{50} & Target

IC50: 250 nM (FT) and 520 nM (GGT-1)[1]

In Vitro

FGTI-2734 (1-30 μM; 72 hours) induces CASPASE-3 and PARP cleavage in MiaPaCa2, L3.6pl and Calu6 cells[1].
FGTI-2734 (3-30 μM; 72 hours) inhibits both protein prenylation of HDJ2, RAP1A, KRAS and NRAS. FGTI-2734 inhibits KRAS membrane localization in RAS-transformed murine NIH3T3 cells and in mutant KRAS human cancer cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	MiaPaCa2, L3.6pl and Calu6 cells

Concentration:	1, 3, 10, 30 μM
Incubation Time:	72 hours
Result:	Induced CASPASE-3 and PARP cleavage in MiaPaCa2, L3.6pl and Calu6 cells.

Western Blot Analysis[1]

Cell Line:	KRAS, HRAS, and NRAS-transformed NIH3T3 cells
Concentration:	3, 10, 30 μM
Incubation Time:	72 hours
Result:	Inhibited both protein prenylation of HDJ2, RAP1A, KRAS and NRAS.

In Vivo

FGTI-2734 (intraperitoneally; 100 mg/kg/daily for 18 to 25 days) only inhibits tumor growth in mutant KRAS-dependent tumors but not in mutant KRAS-independent tumors[1].

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

Animal Model:	Male SCID-bg mice following injection of MiaPaCa2, L3.6pl, Calu6, A549, H460 and DLD1 cancer cells[1]
Dosage:	100 mg/kg
Administration:	Intraperitoneally; daily; for 18 to 25 days
Result:	Inhibited tumor growth in mutant KRAS-dependent tumors.

REFERENCES

[1]. Kazi A, et al. Dual farnesyl and geranylgeranyl transferase inhibitor thwarts mutant KRAS-driven patient-derived pancreatic tumors. Clin Cancer Res. 2019 Jun 21.

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