FGFR1/DDR2 inhibitor 1

Cat. No.: HY-114311

CAS No.: 2308497-58-5

Molecular Formula: $C_{28}H_{22}F_3N_5O$

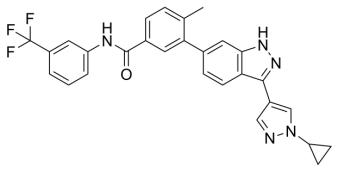
Molecular Weight: 501.5

Target: FGFR; Discoidin Domain Receptor

Pathway: Protein Tyrosine Kinase/RTK

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 : 250 mg/mL (498.50 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9940 mL	9.9701 mL	19.9402 mL
	5 mM	0.3988 mL	1.9940 mL	3.9880 mL
	10 mM	0.1994 mL	0.9970 mL	1.9940 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.15 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FGFR1/DDR2 inhibitor 1 is an orally active inhibitor of fibroblast growth factor receptor 1 (FGFR1) and discoindin domain receptor 2 (DDR2), with IC_{50} values of 31.1 nM and 3.2 nM, respectively. Antitumor activity[1].

IC_{50} & Target

FGFR1	DDR2
31.1 nM (IC_{50})	3.2 nM (IC_{50})

In Vitro

FGFR1/DDR2 inhibitor 1 (compound 11k) (25-200 μM; 2 hours) shows significant inhibition of FGFR2 phosphorylation in a dose-dependent manner in SNU16 cells. FGFR1/DDR2 inhibitor 1 shows (60-250 μM; 2 hours) significant inhibition of DDR2 phosphorylation in a dose-dependent manner in H2286 cells[1].

FGFR1/DDR2 inhibitor 1 significantly inhibits the proliferation of FGFR-driven cancer cell lines with IC_{50}s of 108.4, 93.4, 31.8

	and 306.6 nM against KG-1, SNU-16, NCI-H716 and UMUC14 respectively. FGFR1/DDR2 inhibitor 1 demonstrates substantially activity against the DDR2-driven cancer cell line NCI-H2286 (93.0 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	FGFR1/DDR2 inhibitor 1 (10-20 mg/kg; p.o.; once daily for 7 days) has profound anti-tumor efficacy in NCI-H1581 tumor model[1]. SCID mice bearing NCI-H2286 tumors were randomized and treated with FGFR1/DDR2 inhibitor 1 at doses of 10 mg/kg for 10 consecutive days. FGFR1/DDR2 inhibitor 1 could suppress tumor growth with tumor growth inhibition rates (TGI) of 82.8%[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice bearing NCI-H1581 tumors[1]
Dosage:	10 or 20 mg/kg
Administration:	P.o.; once daily for 7 days
Result:	Suppressed tumor growth in a dose-dependent manner with tumor growth inhibition rates (TGI) of 59.7% and 98.1% at doses of 10 and 20 mg/kg, respectively.

REFERENCES

[1]. Wang Q, et al. Discovery and optimization of a series of 3-substituted indazole derivatives as multi-target kinase inhibitors for the treatment of lung squamous cell carcinoma. Eur J Med Chem. 2019 Feb 1;163:671-689.

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