MI-1061

Cat. No.: HY-125858

CAS No.: 1410737-34-6

Molecular Formula: $C_{30}H_{26}Cl_2FN_3O_4$

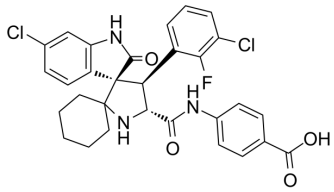
Molecular Weight: 582.45

Target: MDM-2/p53; Apoptosis; E1/E2/E3 Enzyme

Pathway: Apoptosis; Metabolic Enzyme/Protease

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 160 mg/mL (274.70 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7169 mL	8.5844 mL	17.1689 mL
	5 mM	0.3434 mL	1.7169 mL	3.4338 mL
	10 mM	0.1717 mL	0.8584 mL	1.7169 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: ≥ 4 mg/mL (6.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 4 mg/mL (6.87 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4 mg/mL (6.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MI-1061 is a potent, orally bioavailable, and chemically stable MDM2 (MDM2-p53 interaction) inhibitor (IC_{50}=4.4 nM; K_i=0.16 nM). MI-1061 potently activates p53 and induces apoptosis in the SJSA-1 xenograft tumor tissue in mice. Anti-tumor activity [1].

IC_{50} & Target

IC50: 4.4 nM (MDM2)[1]
Ki: 0.16 nM (MDM2)

In Vitro	MI-1061 achieves IC_{50}=100 and 250 nM in the SJSA-1 and HCT-116 $p53^{+/+}$ cell lines, respectively, and has IC_{50}>10000 nM in the p53 knockout cell line HCT-116 $p53^{-/-}$cell line[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MI-1061 (100 mg/kg; p.o.; daily for 14 days) is capable of achieving tumor regression in the SJSA-1 xenograft tumor model in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice bearing SJSA-1 osteosarcoma xenografts[1]
Dosage:	100 mg/kg
Administration:	P.o.; daily for 14 days
Result:	Demonstrated strong antitumor activity and achieved significant tumor regression.

CUSTOMER VALIDATION

- Cell Rep. 2022 May 31;39(9):110879.

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REFERENCES

[1]. Aguilar A, et al. Design of chemically stable, potent, and efficacious MDM2 inhibitors that exploit the retro-mannichring-opening-cyclization reaction mechanism in spiro-oxindoles. J Med Chem. 2014 Dec 26;57(24):10486-98.

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