MI-1061 TFA

Cat. No.: HY-125858A

CAS No.: 1410737-35-7

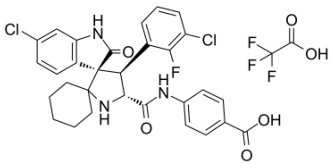
Molecular Formula: $C_{32}H_{27}Cl_2F_4N_3O_6$

Molecular Weight: 696.47

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

Pathway: Apoptosis; Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (172.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4358 mL	7.1791 mL	14.3581 mL
	5 mM	0.2872 mL	1.4358 mL	2.8716 mL
	10 mM	0.1436 mL	0.7179 mL	1.4358 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: ≥ 3 mg/mL (4.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (4.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MI-1061 TFA 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 TFA potently activates p53 and induces apoptosis in the SJSA-1 xenograft tumor tissue in mice. Anti-tumor activity[1].

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.

REFERENCES

[1]. Aguilar A, et al. Design of chemically stable, potent, and efficacious MDM2 inhibitors that exploit the retro-mannich ring-opening-cyclization reaction mechanism in spiro-oxindoles. J Med Chem. 2014;57(24):10486-10498.

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