VII-31

Cat. No.: HY-133558

CAS No.: 2305757-96-2

Molecular Formula: $C_{23}H_{25}NO_5S$

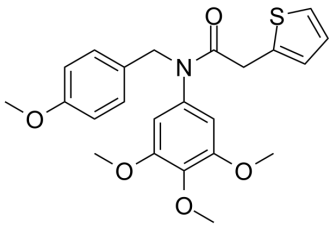
Molecular Weight: 427.51

Target: E1/E2/E3 Enzyme; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

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 : 250 mg/mL (584.78 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3391 mL	11.6956 mL	23.3913 mL
	5 mM	0.4678 mL	2.3391 mL	4.6783 mL
	10 mM	0.2339 mL	1.1696 mL	2.3391 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: ≥ 2.08 mg/mL (4.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.87 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VII-31 is a potent NEDDylation pathway activator to inhibit the tumor progression in vitro and in vivo. VII-31 induces apoptosis via intrinsic and extrinsic pathways[1].

IC_{50} & Target

NEDDylation[1]

In Vitro

VII-31 (100 nM, 200 nM; 48 hours) inhibits the cell viability of gastric cell line MGC803 with an IC_{50} of 0.09±0.01 μM. VII-31 also inhibits the cell viability of MCF-7 and PC-3 with IC_{50}s of 0.10±0.006 and 1.15±0.28μM , respectively[1].

VII-31 (50-150 nM; 24 hours) arrests MGC803 cells cycle in G2/M phase[1].
VII-31 (50-150 nM; 48 hours) induces apoptosis via intrinsic and extrinsic pathways[1].
VII-31 (50-150 nM; 24 hours) activates NEDDylation in MGC803 cells[1].
VII-31 (50-150 nM; 48 hours) up-regulates pro-apoptotic proteins FADD, Fasl, PIDD, Bax, Bad; while down-regulates anti-apoptotic proteins Bcl-xL, Bcl-2, XIAP, c-IAP1[1].

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

Cell Viability Assay[1]

Cell Line:	Gastric cancer MGC803 cells
Concentration:	100, 200 nM
Incubation Time:	48 hours
Result:	Inhibited the cell viability in dose-depend manner.

Cell Cycle Analysis[1]

Cell Line:	MGC803 cells
Concentration:	50, 100, 150 nM
Incubation Time:	24 hours
Result:	Arrested cells in G2/M phase, and a clear sub-G1 peak was observed in the high dose group.

Apoptosis Analysis[1]

Cell Line:	MGC803 cells
Concentration:	50, 75, 100, and 150 nM
Incubation Time:	48 hours
Result:	High dose (150 nM) treatment significantly elevated the early and late apoptosis rate to 92.8% from 4.8%.

Western Blot Analysis[1]

Cell Line:	MGC803 cells
Concentration:	50, 100, 150 nM
Incubation Time:	24 hours
Result:	Resulted in NEDDylation activation of MGC803 cells, the NEDDylation of 3 important proteins NAE1, Ubc12 and CUL1 has been activated.

In Vivo

VII-31 inhibits the tumor progression in vivo, while showing no obvious toxicity to mice[1].

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

Animal Model:	Mice bearing MGC803 xenograft tumors[1]
Dosage:	50, 100, 150 mg/kg
Administration:	Subcutaneous injection; daily for 28 days

Result:	The mice had a much smaller tumor compared with vehicle control. The tumor volumes of middle/high dose treated mice at certain time points were evidently decreased comparing with untreated group.

REFERENCES

[1]. Dong-Jun Fu, et al. Discovery of Novel Tertiary Amide Derivatives as NEDDylation Pathway Activators to Inhibit the Tumor Progression in Vitro and in Vivo. Eur J Med Chem. 2020 Apr 15;192:112153.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA