MY-943

Cat. No.: HY-149249

Molecular Formula: $C_{30}H_{36}N_4O_6S_2$

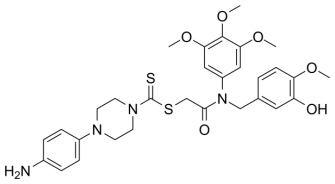
Molecular Weight: 612.76

Target: Microtubule/Tubulin; Apoptosis; Histone Demethylase

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis; Epigenetics

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 : 100 mg/mL (163.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6320 mL	8.1598 mL	16.3196 mL
	5 mM	0.3264 mL	1.6320 mL	3.2639 mL
	10 mM	0.1632 mL	0.8160 mL	1.6320 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: 2.5 mg/mL (4.08 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.08 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (4.08 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MY-943 is a potent tubulin polymerization and LSD1 inhibitor with anticancer activity. MY-943 induces G2/M phase arrest and apoptosis, and inhibits cell migration. MY-943 can be used for gastric cancer research[1].

In Vitro

MY-943 exhibits the anti-proliferative activities against three kinds of cancer cells with IC_{50} values of 0.019 μM for MGC-803, 0.044 μM for HCT-116 and 0.030 μM for KYSE450[1].

MY-943 (10, 20, 30 nM; 20, 40, 48, 60 h) dose-dependently and time-dependently inhibits the cell viability of MGC-803 and SGC-7901 cells[1].

MY-943 (1, 5, 10 μm; 48 h) dose-dependently weakens the alkylation of β-tubulin in the presence of EBI, and prevents the formation of β-tubulin:EBI adduct band in MGC-803 and SGC-7901 cells[1].

MY-943 (10, 20, 30 nM; 8, 16, 24 nM; 48 h) concentration-dependently inhibits tubulin polymerization in MGC-803 and SGC-7901 cells[1].

MY-943 (10, 20, 30 nM; 8, 16, 24 nM; 48 h) dose-dependently induces cell apoptosis[1].

MY-943 dose-dependently down-regulates the expression levels of Bcl-2 and Mcl-1 (anti-apoptotic proteins), and dose-dependently increases the expression levels of cleaved Caspase-3 and Caspase-7[1].

MY-943 (10, 20, 30 nM; 8, 16, 24 nM; 48 h) dose-dependently down-regulates the expression levels of Weel, CyclinB1 and CDC2, and dose-dependently increases the expression levels of p-Histone H3, H3K4me1 and H3K4me2[1].

MY-943 (10, 20, 30 nM; 8, 16, 24 nM; 48 h) effectively and dose-dependently induces G2/M phase arrest[1].

MY-943 (10, 20, 30 nM; 8, 16, 24 nM; 48 h) significantly inhibits the migration ability of gastric cancer cells MGC-803 and SGC-7901[1].

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

Cell Viability Assay[1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	10, 20, 30 nM
Incubation Time:	20, 40, 48, 60 h
Result:	Dose-dependently inhibited the cell viability of MGC-803 and SGC-7901 cells (10, 20, 30 nM; 48 h). Time-dependently inhibited the cell viability of MGC-803 and SGC-7901 cells (10, 20, 30 nM; 20, 40, 60 h).

Western Blot Analysis[1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	1, 5, 10 μM
Incubation Time:	48 h
Result:	Dose-dependently weakened the alkylation of β-tubulin in the presence of EBI, and prevented the formation of β-tubulin:EBI adduct band in MGC-803 and SGC-7901 cells.

Immunofluorescence[1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	10, 20, 30 nM for SGC-7901 cells; 8, 16, 24 nM for MGC-803 cells
Incubation Time:	48 h
Result:	Concentration-dependently inhibited tubulin polymerization in MGC-803 and SGC-7901 cells, thereby destroying the microtubule network.

Immunofluorescence[1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	10, 20, 30 nM for SGC-7901 cells; 8, 16, 24 nM for MGC-803 cells
Incubation Time:	48 h
Result:	A concentration-dependently made cell nuclei brighten, shrink, and vary in size, and when the concentration was 24 nM for MGC-803 cells or 30 nM for SGC-7901 cells, the nucleus appeared broken, showing the morphological characteristics of apoptotic cells and the proportion of dead cells increased.

Cell Proliferation Assay[1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	10, 20, 30 nM for SGC-7901 cells; 8, 16, 24 nM for MGC-803 cells
Incubation Time:	48 h
Result:	Effectively and dose-dependently induced G2/M phase arrest. After the treatment with 24 nmol/L (MGC-803 cells) or 30 nmol/L (SGC-7901 cells), the percentages of G2/M phase in MGC-803 and SGC-7901 cells were 60% and 74%. While the percentages of G2/M in untreated groups were 33% (MGC-803 cells) and 32% (SGC-7901 cells), respectively.

Western Blot Analysis[1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	10, 20, 30 nM for SGC-7901 cells; 8, 16, 24 nM for MGC-803 cells
Incubation Time:	48 h
Result:	Down-regulated the expression levels of Bcl-2 and Mcl-1 (anti-apoptotic proteins) in a dose-dependent manner, significantly increased the protein levels of cleaved Caspase-3 and Caspase-7.

Western Blot Analysis[1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	10, 20, 30 nM for SGC-7901 cells; 8, 16, 24 nM for MGC-803 cells
Incubation Time:	48 h
Result:	Down-regulated the expression levels of the proliferation related proteins Weel and CDC2 in dose-dependent manners, thus leading to the decrease of cdc2 phosphorylation (thr161). While decreased the expression level of CyclinB1 (a G2 phase related protein), and obviously increased the expression level of p-Histone H3 (a M phase marker protein) in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	10, 20, 30 nM for SGC-7901 cells; 8, 16, 24 nM for MGC-803 cells
Incubation Time:	48 h
Result:	With the increase of concentrations, increased the expression levels of H3K4me1 and H3K4me2, indicating that inhibited cellular activity of LSD1 in MGC-803 and SGC-7901 cells.

Cell Migration Assay [1]

Cell Line:	MGC-803 and SGC-7901 cells
Concentration:	10, 20, 30 nM for SGC-7901 cells; 8, 16, 24 nM for MGC-803 cells
Incubation Time:	48 h
Result:	Exhibited significant inhibitory effects on the migratory ability of gastric cancer cells MGC-

	803 and SGC-7901 cells.

In Vivo

MY-943 (25 mg/kg/day; i.p.; 21 days) significantly inhibits the growth of gastric cancer and greatly reduces the weight and volume of the tumor tissues in mice[1].

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

Animal Model:	BALB/c-nu nude mice[1]
Dosage:	25 mg/kg
Administration:	25 mg/kg/day; i.p.; 21 days
Result:	Significantly inhibited the growth of gastric cancer and greatly reduced the weight and volume of the tumor tissues.

REFERENCES

[1]. Yuan XY, et al. Discovery of novel N-benzylarylamide-dithiocarbamate based derivatives as dual inhibitors of tubulin polymerization and LSD1 that inhibit gastric cancers. Eur J Med Chem. 2023 Apr 5;252:115281.

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