Microtubule inhibitor 2

Cat. No.: HY-145828

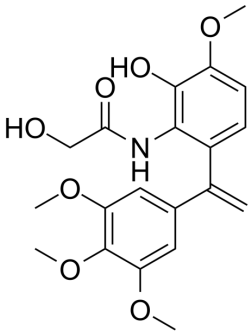
Molecular Formula: $C_{20}H_{23}NO_7$

Molecular Weight: 389.4

Target: Ferroptosis; Microtubule/Tubulin

Pathway: Apoptosis; Cell Cycle/DNA Damage; Cytoskeleton

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



BIOLOGICAL ACTIVITY

Description

Microtubule inhibitor 2 is a potent and selective, orally active microtubule inhibitor. Microtubule inhibitor 2 triggers cell death through ferroptosis . Microtubule inhibitor 2 shows antitumor activity[1].

In Vitro

Microtubule inhibitor 2 (compound 33) (48 h) shows antiproliferative activity with IC_{50} values of 0.01, 0.02, 0.02, 0.04, 0.05 μM for A549, Hela, A2780, HCT-8, MCF-7 cells, respectively[1].

Microtubule inhibitor 2 shows selective toward normal human cells and cancer cells (IC_{50}s of 0.01, 0.04, 1.45, 1.32,0.54 μM for A549, quiescent HUVECs, LO2, HLF, MCF-10A cells, respectively)[1].

Microtubule inhibitor 2 (48 h) shows antiproliferative activity toward drug-resistant cancer cells (IC_{50}s of 0.02, 0.07, 0.04 for A549/ADM, HCT-8/VCR, A2780/TAX cells, respectively)[1].

Microtubule inhibitor 2 (5, 10, 20 nM; 24 h) dramatically disrupts the dynamic balance of the tubulin−microtubule system, induces the multipolarization of the mitotic spindle, and interfered with the mitosis of A549 cells[1].

Microtubule inhibitor 2 (5, 10, 20 nM, 24 h, 48 h) arrests cell cycle progression at the G2/M phase in a dose and time-dependent manner[1].

Microtubule inhibitor 2 triggers cell death through ferroptosis rather than apoptosis[1].

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

Cell Proliferation Assay[1]

Cell Line:	A549, Hela, A2780, HCT-8, MCF-7 cells
Concentration:	
Incubation Time:	48 h
Result:	Showed antiproliferative activities with IC_{50} values of 0.01, 0.02, 0.02, 0.04, 0.05 μM for A549, Hela, A2780, HCT-8, MCF-7 cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	A549 cells
Concentration:	5, 10, 20 nM
Incubation Time:	24 h, 48 h
Result:	Arrested cell cycle progression at the G2/M phase in a dose and time-dependent manner.

In Vivo

Microtubule inhibitor 2 (10 mg/kg; p.o.)displays excellent oral bioavailability (F% = 69.45) [1].

Microtubule inhibitor 2 (10 mg/kg; i.p.; every other day for 22 days) shows antitumor activity and the level of tumor growth inhibition was 78.63%[1].

Pharmacokinetic Parameters of Microtubule inhibitor 2 in Male Institute of Cancer Research (ICR) mice (18–23 g)[1].

	p.o.	i.v.
dose (mg/kg)	10	1
$T_{1/2}$ (h)	2.12	0.62
T_{max} (h)	0.25	0.08
T_{max} (ng/mL)	776.31	871.40
$AUC_{(0-t)}$ (h ng^{-1} mL)	2432.04	350.19
$AUC_{(0-\infty)}$ (h ng^{-1} mL)	2463.76	353.02
MRT (h)	2.57	0.68
CL (mL h^{-1} kg^{-1})	-	2855.67
F %	69.45	-

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

Animal Model:	Male Institute of Cancer Research (ICR) mice (18–23 g) [1]
Dosage:	10 mg/kg
Administration:	
Result:	Displayed excellent oral bioavailability (F% = 69.45).

Animal Model:	Male BALB/c nude mice (5 weeks old, 18–20 g) (A549 xenograft models) [1]
Dosage:	10 mg/kg
Administration:	i.p.; every other day, 22 days
Result:	Showed antitumor activity and the level of tumor growth inhibition was 78.63%.

REFERENCES

[1]. Zhou J, et al. Discovery of a Novel Stilbene Derivative as a Microtubule Targeting Agent Capable of Inducing Cell Ferroptosis. J Med Chem. 2022; 65(6):4687-4708.

Caution: Product has not been fully validated for medical applications. For research use only.

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