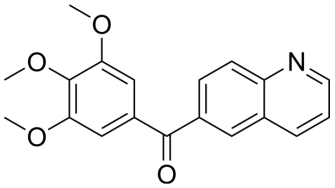
MPT0B014

Cat. No.:	HY-120786
CAS No.:	1215208-59-5
Molecular Formula:	$C_{19}H_{17}NO_4$
Molecular Weight:	323.34
Target:	Microtubule/Tubulin; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
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 : 50 mg/mL (154.64 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0927 mL	15.4636 mL	30.9272 mL
	5 mM	0.6185 mL	3.0927 mL	6.1854 mL
	10 mM	0.3093 mL	1.5464 mL	3.0927 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

MPT0B014 is a tubulin polymerization inhibitor. MPT0B014 induces cancer cell apoptosis. MPT0B014 can be used for the research of cancer[1].

IC_{50} & Target

Tubulin polymerization[1]

In Vitro

MPT0B014 (0-1 μM; 48 h) inhibits A549, H1299 and H226 cells growth in a dose-dependent manner[1].
MPT0B014 (0.05-0.3 μM; 24 and 48 h) arrests cell cycle at G2/M and sub-G1 phases and induces apoptosis in A549 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	A549, H1299, H226 and HUVEC cells
Concentration:	0, 0.025, 0.05, 0.075 and 1 μM
Incubation Time:	48 h

Result:	Inhibited cell viability with IC_{50}s of 0.109±0.01, 0.055±0.004, 0.077±0.005 and 0.536±0.166 μM against A549, H1299, H226 and HUVEC cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	A549, H1299 and H226
Concentration:	0.05, 0.1 and 0.3 μM
Incubation Time:	24 and 48 h
Result:	Treatment for 24 h led to notable accumulation of cells in the G2/M phase. At 48 h, sub-G1 apoptotic cell populations were increased in a concentration-dependent manner. Cells in the G2/M phase began to rise at 12 h post-treatment and peaked at 24 h. Following this, there was an emergence of cells in the sub-G1 population phase until 48 h.

Western Blot Analysis[1]

Cell Line:	A549, H1299 and H226
Concentration:	0.05, 0.1 and 0.3 μM
Incubation Time:	24 h
Result:	Resulted in a marked increase in expression of the mitosis marker MPM2 and the proteins cyclin B1, Cdc2, Thr161, Aurora A and Aurora B in a concentration-dependent manner. Decreased the expression of Cdc (Tyr15) and Cdc25C, whereas total protein levels of Cdc2 did not change.

Apoptosis Analysis[1]

Cell Line:	A549
Concentration:	0.05, 0.075, 0.1 and 0.3 μM
Incubation Time:	48 h
Result:	Induced apoptosis in a concentration-dependent manner.

Western Blot Analysis[1]

Cell Line:	A549
Concentration:	0.05, 0.1 and 0.3 μM
Incubation Time:	24, 36 and 48 h
Result:	Induced activation of caspases-3, -7, -8 and -9, and cleavage of PARP in a time- and concentration-dependent manner. Significantly induced Bcl-2 phosphorylation. Down-regulated Mcl-1 expression in a concentration-dependent manner.

In Vivo

The combination of MPT0B014 (100 mg/kg; i.v./i.p.; daily for 25 days) and 25 mg/kg Erlotinib (HY-50896) significantly improves A549 tumor inhibition in mice[1].

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Animal Model:	Nude athymic mice, A549 xenografts[1]

Dosage:	100 mg/kg alone or in combination with 25 mg/kg Erlotinib (HY-50896)
Administration:	i.v./i.p., daily for 25 days
Result:	The combined treatment resulted in more significant tumor growth delay (28%) compared with treatment alone (7%). The combination produced significantly higher anti-tumor activity. The growth of A549 cancer cell xenografts was suppressed by 11, 21 and 49% (tumor growth inhibition) after treatment with MPT0B014, Erlotinib and MPT0B014 plus Erlotinib, respectively.

REFERENCES

[1]. Tsai AC, et al. In vitro and in vivo anti-tumour effects of MPT0B014, a novel derivative aroylquinoline, and in combination with erlotinib in human non-small-cell lung cancer cells. Br J Pharmacol. 2014 Jan;171(1):122-33.

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

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