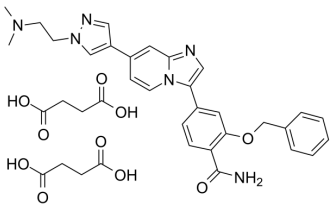
MBM-17S

Cat. No.:	HY-101030A
CAS No.:	2083621-91-2
Molecular Formula:	$C_{36}H_{40}N_6O_{10}$
Molecular Weight:	716.74
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	MBM-17S is a potent NIMA-related kinase 2 (Nek2) inhibitor, with an IC_{50} of 3 nM. MBM-17S effectively inhibits the proliferation of cancer cells by inducing cell cycle arrest and apoptosis. MBM-17S shows antitumor activities, and no obvious toxicity to mice[1].
IC_{50} & Target	IC50: 3 nM (Nek2), 5800 nM (Aurora A)[1]
In Vitro	MBM-17S inhibits MGC-803, HCT-116, and Bel-7402 cells proliferation with IC_{50}s of 0.48, 1.06, and 4.53 μM, respectively[1]. MBM-17S (0.25-1.0 μM; 24 hours) induced G2/M phase arrest and accumulation of cells with >4N content[1]. MBM-17S (0.5-1.0 μM; 24 hours) triggers apoptosis of cancer cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MBM-17S (20 mg/kg; i.p.; twice a day for 21 days) exhibits good antitumor activity and a well-tolerated dose schedule[1]. MBM-17S (1.0 mg/kg; i.v.) treatment shows CL, V_{ss}, $T_{1/2}$, AUC_{0-t}, and $AUC_{0-\infty}$ values of 42.4 mL/min/kg, 4.06 L/kg, 2.42 hours,

Cell Cycle Analysis[1]

Cell Line:	HCT-116 and MGC-803 cells
Concentration:	0.25-1.0 μM
Incubation Time:	24 hours
Result:	Obvious accumulation of cells in the G2/M phase with >4 N DNA content.

Apoptosis Analysis[1]

Cell Line:	HCT-116 and MGC-803 cells
Concentration:	0.5 μM, 1.0 μM
Incubation Time:	24 hours
Result:	For HCT-116 cells, the percentage of total apoptotic cells was 39.3%±3.8% and 47.1%±0.6% at 0.5 μM and 1.0 μM, respectively. For MGC-803 cells, the percentage of total apoptotic cells increased to 32.9%±4.6% and 41.1%±0.2% at 0.25 μM and 0.5 μM, respectively.

386 ng/h/mL, and 405 ng/h/mL, respectively[1].

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

Animal Model:	Female BALB/c nu/nu mice (5-6 weeks, bearing HCT-116 xenografts)[1]
Dosage:	20 mg/kg
Administration:	Intraperitoneal injection; twice a day for 21 days
Result:	Tmor growth was significantly suppressed.

Animal Model:	Male Sprague Dawley (SD) rats[1]
Dosage:	1.0 mg/kg
Administration:	IV injection (Pharmacokinetic Analysis)
Result:	The CL, V_{ss}, $T_{1/2}$, AUC_{0-t}, and $AUC_{0-\infty}$ values of 42.4 mL/min/kg, 4.06 L/kg, 2.42 hours, 386 ng/h/mL, and 405 ng/h/mL, respectively.

REFERENCES

[1]. Xi JB, et al. Structure-based design and synthesis of imidazo[1,2-a]pyridine derivatives as novel and potent Nek2 inhibitors with in vitro and in vivo antitumor activities. Eur J Med Chem. 2017 Jan 27;126:1083-1106.

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