MBM-55

Cat. No.: HY-101029

CAS No.: 2083622-09-5

Molecular Formula: $C_{28}H_{27}FN_6O_2$

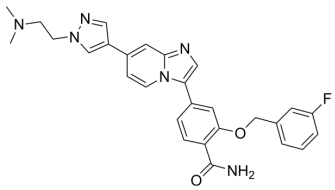
Molecular Weight: 498.55

Target: Apoptosis

Pathway: Apoptosis

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (250.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0058 mL	10.0291 mL	20.0582 mL
	5 mM	0.4012 mL	2.0058 mL	4.0116 mL
	10 mM	0.2006 mL	1.0029 mL	2.0058 mL

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

BIOLOGICAL ACTIVITY

Description

MBM-55 is a potent NIMA-related kinase 2 (Nek2) inhibitor with an IC_{50} of 1 nM. MBM-55 shows a 20-fold or greater selectivity in most kinases with the exception of RSK1 (IC_{50}=5.4 nM) and DYRK1a (IC_{50}=6.5 nM). MBM-55 effectively inhibits the proliferation of cancer cells by inducing cell cycle arrest and apoptosis. MBM-55 shows antitumor activities, and no obvious toxicity to mice[1].

IC_{50} & Target

IC50: 1 nM (Nek2), 5.4 nM (RSK1), 6.5 nM (DYRK1a), 57 nM (CHK1), 91 nM (GSK-3β), 20 nM (ABL), 370 nM (CDK2), 441nM (CDK4), 608 nM (AKT1), 5300 nM (Aurora A)[1]

In Vitro

MBM-55 (compound 42g) inhibits MGC-803, HCT-116, Bel-7402 cells proliferation with IC_{50}s of 0.53, 0.84, 7.13 μM, respectively[1].

MBM-55 (0.5-1 μM; 24 hours) induces G2/M phase arrest and accumulation of cells with >4N content in HCT-116 cells[1].

MBM-55 (0.5-1 μM; 24 hours) causes cell apoptosis in a concentration-dependent manner in HCT-116 cells[1].

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

Cell Cycle Analysis[1]

Cell Line:	HCT-116 cells

Concentration:	0.5, 1 μM
Incubation Time:	24 hours
Result:	Induced G2/M phase arrest and accumulation of cells with >4N content.

Apoptosis Analysis[1]

Cell Line:	HCT-116 cells
Concentration:	0.5, 1 μM
Incubation Time:	24 hours
Result:	Caused cell apoptosis in a concentration-dependent manner.

In Vivo

MBM-55 (20 mg/kg; i.p.; twice a day for 21 days) exhibits good antitumor activity and a well-tolerated dose schedule in nude mice bearing HCT-116 xenografts[1].
MBM-55 (1.0 mg/kg; i.v.) treatment shows the CL, V_{ss}, $T_{1/2}$, AUC_{0-t}, and $AUC_{0-\infty}$ values of 33.3 mL/min/kg, 2.53 L/kg, 1.72 hours, 495 ng/h/mL and 507 ng/h/mL, respectively.
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:	Significantly suppressed tumor growth.

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 were 33.3 mL/min/kg, 2.53 L/kg, 1.72 hours, 495 ng/h/mL and 507 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.

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