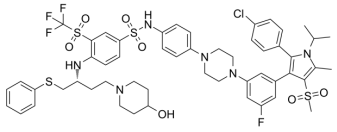
BM-1197

Cat. No.:	HY-120882
CAS No.:	1391107-89-3
Molecular Formula:	$C_{53}H_{59}ClF_4N_6O_7S_4$
Molecular Weight:	1131.78
Target:	Bcl-2 Family
Pathway:	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 : 250 mg/mL (220.89 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8836 mL	4.4178 mL	8.8356 mL
	5 mM	0.1767 mL	0.8836 mL	1.7671 mL
	10 mM	0.0884 mL	0.4418 mL	0.8836 mL

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

BIOLOGICAL ACTIVITY

Description

BM-1197 (UBX1967) is a potent and selective inhibitor of dual Bcl-2/Bcl-xL, with IC_{50}s of 3.5 nM and 5.2 nM for Bcl-2 and Bcl-xL, respectively. BM-1197 exhibits antitumor effects both in vitro and in vivo[1][2].

IC_{50} & Target

Bcl-2	Bcl-xL
3.5 nM (IC_{50})	5.2 nM (IC_{50})

In Vitro

BM-1197 (2-2000 nM; 3 d) has marginal cytotoxicity against wild-type mouse embryonic fibroblast (MEF) cells but exerts potent growth-inhibitory activity in the $MCL1^{-/-}$ cells[1].

BM-1197 shows potent growth-inhibitory activities in 7 small cell lung cancer (SCLC) cell lines with IC_{50}s <100 nM, moderate activity in 3 SCLC cell lines with IC_{50}s of ~600 nM and weak activity in 2 SCLC cell lines with IC_{50}s >2000 nM[1].

BM-1197 (100 nM; 16 h) potently induces apoptosis in H146 cells[1].

BM-1197 (100 nM; 2 h) disrupts the association between Bcl-xl and Puma or Bim in H146 cells[1].

BM-1197 (100 nM; 0.5-2 h) induces Bax translocation, and it (3-30 nM; 2 h) induces cytochrome c release in H146 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	MEF/MCL1$^{-/-}$ cells
Concentration:	2, 20, 200, 2000 nM
Incubation Time:	3 days
Result:	Inhibited MCL1$^{-/-}$ cells proliferation.

Apoptosis Analysis[1]

Cell Line:	H146 cells
Concentration:	100 nM
Incubation Time:	16 hours
Result:	Induced apoptosis in a strictly Bax/Bak-dependent manner.

Western Blot Analysis[1]

Cell Line:	H146 cells
Concentration:	100 nM
Incubation Time:	2 hours
Result:	Attenuated the associations between Bcl-xL and BimEL or Puma.

In Vivo

BM-1197 (10 mg/kg; i.v. daily 5 days per week for 2 weeks) results in rapid and complete tumor regression in all 8 mice in H146 and H1963 tumor model[1].
BM-1197 (15 mg/kg; i.v.) causes thrombocytopenia in mice but the effect is reversible even at highly efficacious doses[1].
BM-1197 (10 mg/kg; i.v. qd) exerts a strong anti-tumor effect and is well tolerated in OCI-Ly8 xenograft models[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice bearing H146 cells[1]
Dosage:	10 mg/kg
Administration:	I.v. daily 5 days per week for 2 weeks
Result:	Remained tumor free for at least 32 days after the end of the treatment.

REFERENCES

[1]. Bai L, et, al. BM-1197: a novel and specific Bcl-2/Bcl-xL inhibitor inducing complete and long-lasting tumor regression in vivo. PLoS One. 2014 Jun 5; 9(6): e99404.

[2]. Sun YL, et, al. A novel Bcl-2 inhibitor, BM-1197, induces apoptosis in malignant lymphoma cells through the endogenous apoptotic pathway. BMC Cancer. 2019 Dec 31; 20(1):1.

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

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