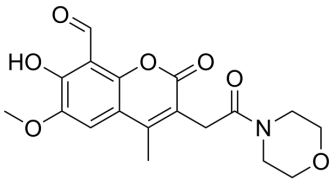
MKC8866

Cat. No.:	HY-104040
CAS No.:	1338934-59-0
Molecular Formula:	$C_{18}H_{19}NO_7$
Molecular Weight:	361.35
Target:	IRE1
Pathway:	Cell Cycle/DNA Damage
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 : 6.67 mg/mL (18.46 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7674 mL	13.8370 mL	27.6740 mL
	5 mM	0.5535 mL	2.7674 mL	5.5348 mL
	10 mM	0.2767 mL	1.3837 mL	2.7674 mL

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

BIOLOGICAL ACTIVITY

Description

MKC8866, a salicylaldehyde analog, is a potent, selective IRE1 RNase inhibitor with an IC_{50} of 0.29 μM in human vitro. MKC8866 strongly inhibits Dithiothreitol-induced X-box-binding protein 1-spliced (XBP1s) expression with an EC_{50} of 0.52 μM and unstresses RPMI 8226 cells with an IC_{50} of 0.14 μM[1]. MKC8866 inhibits IRE1 RNase in breast cancer cells leading to the decreased production of pro-tumorigenic factors and it can inhibits prostate cancer (PCa) tumor growth[2].

IC_{50} & Target

IC50: 0.29 μM (IRE1 RNase)[1]

In Vitro

MKC8866 (20?μM; 6 days) decreases proliferation of all breast cancer cell lines[2].
?MKC8866 (20 μM; 48 hours) reduces the number of cells entering S phase[2].
?MKC8866 (0.2-10 μM; 3 days) suppresses the viability of all four cell lines in a dose-dependent manner under normal conditions, with the most robust effect in LNCaP cells[1].
?MKC8866 (20 μM; 72?hours) is sufficient to completely block NSC 125973-induced expression of XBP1s [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	MCF7, SKBR3, MDA-MB-231 and MCF10A cells
Concentration:	20 μM
Incubation Time:	For 6 days
Result:	Decreased proliferation of all breast cancer cell lines.

Cell Cycle Analysis[2]

Cell Line:	MDA-MB-231, MCF7 and SKBR3 cells
Concentration:	20 μM
Incubation Time:	48 hours
Result:	Reduced the number of cells entering S phase.

Cell Cycle Analysis[1]

Cell Line:	LNCaP, VCaP, 22Rv1 and C4-2B cells
Concentration:	0.2, 0.5, 1, 5, 10 μM
Incubation Time:	3 days
Result:	Suppressed the viability of all four cell lines in a dose-dependent manner.

Cell Cycle Analysis[2]

Cell Line:	MDA-MB-231 cells
Concentration:	20 μM
Incubation Time:	72 hours
Result:	Completely blocked NSC 125973-induced expression of XBP1s.

In Vivo

MKC8866 (oral ; 300 mg/kg; for 28 days) reduces tumor regrowth post-NSC 125973 withdrawal[1].

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

Animal Model:	Female athymic nude mice with MDA-MB-231 tumor[1]
Dosage:	300 mg/kg
Administration:	Oral; for 28 days
Result:	Reduced tumor regrowth post-NSC 125973 withdrawal.

CUSTOMER VALIDATION

- Science. 2019 Jul 19;365(6450):eaau6499.
- J Exp Med. 2022 Nov 7;219(11):e20221085.
- Cancer Lett. 2020 Oct 10;490:76-88.

- Cell Death Dis. 2022 Apr 20;13(4):384.
- Int J Mol Sci. 2022, 23(16), 9113.

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REFERENCES

[1]. Sheng X, et al. IRE1α-XBP1s pathway promotes prostate cancer by activating c-MYC signaling. Nat Commun. 2019 Jan 24;10(1):323.

[2]. Logue SE, et al. Inhibition of IRE1 RNase activity modulates the tumor cell secretome and enhances response to chemotherapy. Nat Commun. 2018 Aug 15;9(1):3267.

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