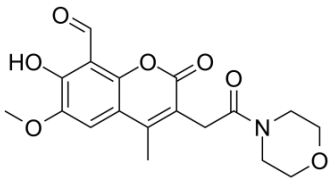
MKC8866

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 : 16.67 mg/mL (46.13 mM; Need ultrasonic)

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.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (4.62 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (4.62 mM); Clear solution

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.
- Cancer Lett. 2020 Oct 10;490:76-88.
- Sci Rep. 2019 Mar 1;9(1):3210.

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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.

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

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