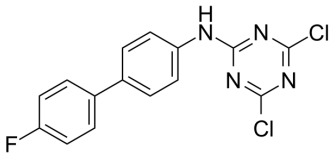
KEA1-97

Cat. No.:	HY-114982
CAS No.:	2138882-71-8
Molecular Formula:	$C_{15}H_9Cl_2FN_4$
Molecular Weight:	335.16
Target:	Caspase; 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 : 100 mg/mL (298.36 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9836 mL	14.9182 mL	29.8365 mL
	5 mM	0.5967 mL	2.9836 mL	5.9673 mL
	10 mM	0.2984 mL	1.4918 mL	2.9836 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KEA1-97 is a selective Thioredoxin-caspase 3 interaction disruptor (IC_{50}=10 μM). KEA1-97 disrupts the interaction of thioredoxin with caspase 3, activates caspases, and induces apoptosis without affecting thioredoxin activity[1].

IC_{50} & Target

Caspase 3	Thioredoxin
10 μM (IC_{50})	10 μM (IC_{50})

In Vitro

KEA1-97 (100 μM; 231MFP cells) impaires thioredoxin pulldown of caspase 3[1].
KEA1-97 (10 μM; 48 hours; 231MFP cells) impaires 231MFP serum-free cell proliferation[1].
KEA1-97 (10 μM; 0~12 hours; 231MFP cells) activates caspase 3/7 and induces apoptotic cell death[1].
KEA1-97 (231MFP cells) resistants to survival and proliferation impairments[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	231MFP cells
Concentration:	100 μM
Incubation Time:	
Result:	Impaired thioredoxin pulldown of caspase 3.

Cell Proliferation Assay[1]

Cell Line:	231MFP cells
Concentration:	10 μM
Incubation Time:	48 h
Result:	Impaired 231MFP serum-free cell proliferation.

Apoptosis Analysis[1]

Cell Line:	231MFP cells
Concentration:	10 μM
Incubation Time:	0~12 h
Result:	Activated caspase 3/7 and induced apoptotic cell death.

In Vivo

KEA1-97 (5 mg/kg; i.p.; 50 days) attenuates tumor xenograft growth[1].

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

Animal Model:	Immune-deficient SCID mice
Dosage:	5 mg/kg
Administration:	I.p.; 50 days
Result:	Attenuated tumor xenograft growth.

REFERENCES

[1]. Anderson KE, et al. Chemoproteomics-Enabled Covalent Ligand Screening Reveals a Thioredoxin-Caspase 3 Interaction Disruptor That Impairs Breast Cancer Pathogenicity. ACS Chem Biol. 2017;12(10):2522-2528.

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