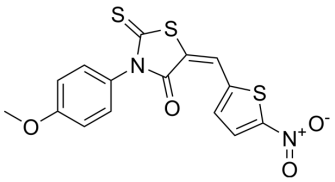
CCF642

Cat. No.:	HY-100430
CAS No.:	346640-08-2
Molecular Formula:	$C_{15}H_{10}N_2O_4S_3$
Molecular Weight:	378.45
Target:	Apoptosis; PDI
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (79.27 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6424 mL	13.2118 mL	26.4236 mL
5 mM	0.5285 mL	2.6424 mL	5.2847 mL
10 mM	0.2642 mL	1.3212 mL	2.6424 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: 0.62 mg/mL (1.64 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

CCF642 is a potent protein disulfide isomerases (PDI) inhibitor with an IC_{50} of 2.9 μM. CCF642 causes acute endoplasmic reticulum (ER) stress in multiple myeloma cells accompanied by apoptosis-inducing calcium release. CCF642 has broad anti-multiple myeloma activity[1].

IC_{50} & Target

IC50: 2.9 μM (PDI)[1]

In Vitro

CCF642 (3 μM; 0.5-6 hours) increases PERK dimerization by phosphorylation and IRE1-α oligomerization within 30 minutes in KMS-12-PE confirming accumulation of misfolded ER proteins[1].

?CCF642, a bone marrow-sparing compound, exhibits a submicromolar IC_{50} in 10 of 10 multiple myeloma cell lines (MM1.S, MM1.R, KMS-12-PE, KMS-12-BM, NCI-H929, U266, RPMI 8226, JJN-3, HRMM.09-luc, 5TGM1-luc)[1].

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

Western Blot Analysis[1]

Cell Line:	MM1.S cells
Concentration:	3 μM
Incubation Time:	0.5, 1, 2, 4, 6 hours
Result:	Increased PERK dimerization by phosphorylation and IRE1-α oligomerization within 30 minutes in KMS-12-PE confirming accumulation of misfolded ER proteins.

In Vivo

CCF642 (10 mg/kg; i.p.; three times a week; for 24 days) significantly prolongs life of 5TGM1-luc-bearing mice and suppresses 5TGM1-luc growth as determined by life imaging[1].

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

Animal Model:	C57BL/KaLwRij mice of 6 to 8 weeks of age with 5TGM1-luc[1]
Dosage:	10 mg/kg
Administration:	i.p.; three times a week; for 24 days
Result:	Significantly prolonged life of 5TGM1-luc–bearing mice and suppressed 5TGM1-luc growth as determined by life imaging.

REFERENCES

[1]. Vatolin S et al. Novel Protein Disulfide Isomerase Inhibitor with Anticancer Activity in Multiple Myeloma.Cancer Res. 2016 Jun 1;76(11):3340-50.

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