E64FC26

Cat. No.: HY-122895

CAS No.: 2285446-62-8

Molecular Formula: $C_{19}H_{23}F_3O_2$

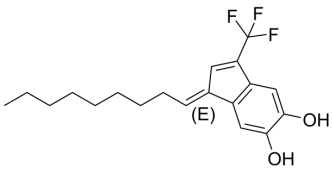
Molecular Weight: 340.38

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	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (293.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9379 mL	14.6895 mL	29.3789 mL
	5 mM	0.5876 mL	2.9379 mL	5.8758 mL
	10 mM	0.2938 mL	1.4689 mL	2.9379 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

E64FC26 is a potent pan-inhibitor of the protein disulfide isomerase (PDI) family, with IC_{50}s of 1.9, 20.9, 25.9, 16.3, and 25.4 μM against PDIA1, PDIA3, PDIA4, TXNDC5, and PDIA6, respectively. E64FC26 shows anti-myeloma activity[1].

In Vitro

E64FC26 (0.01-100 μM; 24 hours) shows anti-MM activity , with an EC_{50} of 0.59 μM[1].?E64FC26 is more cytotoxic against a genetically diverse panel of multiple myeloma (MM) cell lines (KMS11, OPM2, MM.1S BzR, MM.1S, SA-13, U266 BzR, ANBL6, KMS12PE, U266, 8226 DxR, 8226 BzR, KMS12BM, H929,8226 cells) when compared to non-malignant cells[1].

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

Cell Viability Assay[1]

Cell Line:	MM.1S BzR cells
Concentration:	0.01, 0.1, 1, 10, 100 μM

Incubation Time:	24 hours
Result:	Showed anti-MM activity , with an EC_{50} of 0.59 μM.

In Vivo

E64FC26 (2 mg/ kg; i.p.; three days a week for 7days) shows anti-MM effect in NSG mice model, and increases median survival by 2 weeks[1].

?The combination of E64FC26 and Bortezomib produced the greatest improvement in survival, extending the median survival by 20 days[1].

?Pharmacokinetic of E64FC26 was measured in CD-1 mice. E64FC26 was administered i.v. (2 mg/kg; gray tracing) or p.o. (5 mg/ kg; blue tracing) and plasma drug concentrations were measured over a 24 h period. In CD-1 mice demonstrated adequate oral bioavailabilty of 34% with systemic exposure approaching a maximum concentration (C_{max}) of 400 nM after a single oral dose of 5 mg/kg with a terminal half-life of 9.5 h[1].

?Vk*MYC transgenic mice are treated with E64FC26 (2 mg/kg, i.p., 3 days/week) for two consecutive weeks. E64FC26 treatment induces an immediate anti-MM response, decreasing serum M-protein in all mice by an average of 33 ± 7.9%[1].

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

Animal Model:	NOD-SCID IL2Rγ-/- (NSG) mice (bearing MM.1S cells)[1]
Dosage:	2 mg/ kg
Administration:	i.p.; three days a week for 7days
Result:	Showed a clear anti-MM effect in this model also, increasing median survival by 2 weeks.

REFERENCES

[1]. Robinson RM, et al. Inhibitors of the protein disulfide isomerase family for the treatment of multiple myeloma. Leukemia. 2019 Apr;33(4):1011-1022.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA