ERX-41

Cat. No.: HY-148755

CAS No.: 2440087-54-5

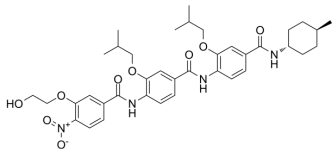
Molecular Formula: $C_{38}H_{48}N_4O_9$

Molecular Weight: 704.81

Target: Others

Pathway: Others

Storage: 4°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (35.47 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4188 mL	7.0941 mL	14.1882 mL
	5 mM	0.2838 mL	1.4188 mL	2.8376 mL
	10 mM	0.1419 mL	0.7094 mL	1.4188 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 (3.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ERX-41 is an orally active and stereospecific small molecule targeting to lysosomal acid lipase A (LIPA). ERX-41 induces endoplasmic reticulum (ER) stress resulting in cell death, indicating a function independent of LIPA but dependent on its ER localization. ERX-41 involves in a targeted strategy for solid tumors[1].

IC_{50} & Target

Lysosomal acid lipase A (LIPA)[1]

In Vitro

ERX-41 (1 μM; 0-30 h) induces cell death in MDA-MB-231 without significant effect against normal human mammary epithelial cells (HMECs)[1].
ERX-41 (1 μM; 2 h and 4 h) induces dramatic ER dilation within 4 h, and results disorganization of the peripheral ER network within 2 h[1].
ERX-41 (1 μM; 0.5-4 h) induces downstream unfolded protein response (UPR) pathways via induction of phosphorylated protein kinase R-like ER kinase (p-PERK) and phosphorylated eukaryotic translation initiation factor 2 subunit 1 (p-eIF2-α), and by expression of CCAAT-enhancer-binding homologous protein (CHOP) and phosphorylated inositol-requiring enzyme

1-α (IRE1-α) in TNBC[1].

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

Cell Viability Assay[1]

Cell Line:	MDA-MB-231 and HMEC cells
Concentration:	1 μM
Incubation Time:	0, 20 h, 30 h
Result:	Showed potent antiproliferative activity against TNBC cell within 30 h.

Western Blot Analysis[1]

Cell Line:	SUM-159 cells
Concentration:	1 μM
Incubation Time:	0.5 h, 1 h, 2 h, and 4 h
Result:	Increased the protein level of p-PERK, p-eIF2-α and CHOP at 4 h.

Cell Cytotoxicity Assay[1]

Cell Line:	SUM-159 and MDA-MB-436
Concentration:	0.125, 0.25, 0.50, 1.0, 2.0, and 4.0 μM
Incubation Time:	0h and 30 h
Result:	Caused cell death.

In Vivo

ERX-41 (10 mg/kg; p.o. or i.p.; single dose) is detectable within 1.5 h in established s.c. MDA-MB-231 xenografts after either PO or i.p. administration[1].

ERX-41 (10 mg/kg; p.o.; single dose) significantly inhibits the progression without changing body weight in mouse model with MDA-MB-231 xenografts[1].

ERX-41 (10 mg/kg; p.o. or i.p.; single dose) significantly inhibits the progression in mouse model with MDA-MB-231 s.c. xenografts[1].

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Animal Model:	Mouse models with D2A1 xenografts and MDA-MB-231 xenografts (s.c.), respectively[1]
Dosage:	10 mg/kg
Administration:	Oral gavage; once daily for 25 days
Result:	Reduced tumor growth against MDA-MB-231 xenograft without affecting body weight. And enhanced p-PERK and p-eIF2-α staining within 24 h. Significantly reduced the growth of D2A1 xenografts in syngeneic mice without affecting body weight.

REFERENCES

[1]. Liu X, et al. Targeting LIPA independent of its lipase activity is a therapeutic strategy in solid tumors via induction of endoplasmic reticulum stress. Nat Cancer. 2022

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