FX-11

Cat. No.: HY-16214

CAS No.: 213971-34-7

Molecular Formula: $C_{22}H_{22}O_4$

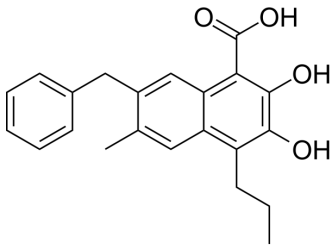
Molecular Weight: 350

Target: Lactate Dehydrogenase; Apoptosis; Reactive Oxygen Species

Pathway: Metabolic Enzyme/Protease; Apoptosis; Immunology/Inflammation; NF-κB

Storage:

Powder	-20°C	3 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (714.29 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8571 mL	14.2857 mL	28.5714 mL
5 mM	0.5714 mL	2.8571 mL	5.7143 mL
10 mM	0.2857 mL	1.4286 mL	2.8571 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: ≥ 2.08 mg/mL (5.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FX-11 is a potent, selective, reversible and competitive lactate dehydrogenase A (LDHA) inhibitor, with a K_i of 8 μM. FX-11 reduces ATP levels and induces oxidative stress, ROS production and cell death. FX-11 shows antitumor activity in lymphoma and pancreatic cancer xenografts[1][2][3].

IC_{50} & Target

IC50: 23.3 μM (LDHA in HeLa cell) [1].

In Vitro

FX-11 (9 μM, 24-48 h) shows activation of AMP kinase and phosphorylation of its substrate acetyl-CoA carboxylase[2].
FX-11 (0-100 μM, 72 h) inhibits cell proliferation in BxPc-3 and MIA PaCa-2 cells[3].
FX-11 inhibits glycolysis and alters cellular energy metabolism in P493 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	P493 cells
Concentration:	9 μM
Incubation Time:	24 h, 48 h
Result:	Showed a decrease in ATP levels, accompanied by activation of AMP kinase and phosphorylation of its substrate acetyl-CoA carboxylase.

Cell Proliferation Assay[3]

Cell Line:	BxPc-3 and MIA PaCa-2 cells
Concentration:	0-100 μM
Incubation Time:	72 h
Result:	Reduced cell metabolic activity in a concentration-dependent manner, showed a significant reduction in cell proliferation, with IC_{50} values of 49.27 μM and 60.54 μM for BxPc-3 and MIA PaCa-2 cells, respectively.

In Vivo

FX-11 (42 μg/mouse; IP, daily for 10-14 days) inhibits P493 tumor growth[2].
FX-11 (0-2 mg/kg, IP, daily, for 3 weeks) significantly delays tumor growth[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male SCID mice and RH-Foxn1nu mice (human P493 B-cell xenografts)[2]
Dosage:	42 μg/mouse (2.1 mg/kg)
Administration:	IP; daily for 10-14 days
Result:	Resulted in a remarkable inhibition of tumor growth, inhibited tumor xenograft progression.

Animal Model:	Immunocompromised CD-1 mice (6-8 weeks; 20-25 g, n=5 per group)[3]
Dosage:	2 mg/kg, 1 mg/kg+15 mg/kg TEPP-46, 2 mg/kg+30 mg/kg TEPP-46
Administration:	IP (100 μL), daily, for 3 weeks
Result:	Significantly lowered LDHA activity in plasma and tumor lysates; significantly lowered the expression of the proliferation marker Ki-67; significantly decreased proliferation indices were observed in tumor sections; significantly delayed tumor growth.

CUSTOMER VALIDATION

- Cell Res. 2024 Jan 2.
- Cell Metab. 2021 Jan 5;33(1):51-64.e9.
- Nat Metab. 2022 Dec 19.
- Clin Transl Med. 2021 Jun;11(6):e467.
- Fundamental Research. 2023 Mar 6.

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REFERENCES

[1]. Le A, et, al. Inhibition of lactate dehydrogenase A induces oxidative stress and inhibits tumor progression. Proc Natl Acad Sci U S A. 2010 Feb 2;107(5):2037-42.

[2]. Mohammad GH, et al. Targeting Pyruvate Kinase M2 and Lactate Dehydrogenase A Is an Effective Combination Strategy for the Treatment of Pancreatic Cancer. Cancers (Basel). 2019 Sep 16;11(9):1372.

[3]. EC Calvaresi. Small molecule inhibitors of lactate dehydrogenase a as an anticancer strategy. 2014.

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