EPI-001

Cat. No.: HY-100348

CAS No.: 227947-06-0

Molecular Formula: $C_{21}H_{27}ClO_5$

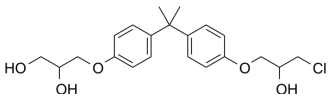
Molecular Weight: 394.89

Target: Androgen Receptor; PPAR; Apoptosis

Pathway: Others; Cell Cycle/DNA Damage; Apoptosis

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 : 33.33 mg/mL (84.40 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5324 mL	12.6618 mL	25.3235 mL
5 mM	0.5065 mL	2.5324 mL	5.0647 mL
10 mM	0.2532 mL	1.2662 mL	2.5324 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: ≥ 2.5 mg/mL (6.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EPI-001, a selective inhibitor of Androgen Receptor (AR), targets transactivation unit 5 (Tau-5) of the AR. EPI-001 can inhibit transactivation of the AR amino-terminal domain (NTD), with an IC_{50} of ~6 μM. EPI-001 is also a selective modulator of PPARγ . EPI-001 is active against castration-resistant prostate cancer[1][2][3].

IC_{50} & Target

IC50: 6 μM (AR NTD)[1]

In Vitro

EPI-001 (5-100 μM; 7 d) inhibits PCa/CRPC cell growth in a dose-dependent manner[2].

EPI-001 (50 μM) inhibits endogenous AR mRNA and protein expression in PCa and CRPC cell lines[2].
EPI-001 (50 μM) inhibits transcriptional activity of both AR TAU1 and TAU5[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	PCa, CRPC, PC-3, DU 145, and T47D cell lines
Concentration:	0, 5, 10, 25, 50, 100 μM
Incubation Time:	7 days
Result:	Inhibited growth of LNCaP cells at low concentrations. Inhibited growth of AR-negative PC-3 and DU 145 cell lines as well as the T47D breast carcinoma cell line.

Western Blot Analysis[2]

Cell Line:	LNCaP, VCaP LAPC4, C4-2,22Rv1, and CWR-R1 cells
Concentration:	50 μM
Incubation Time:	8-16 hours
Result:	Decreased expression of full-length AR protein to varying degrees.

In Vivo

EPI-00 (20 mg/kg; i.v. every 5 d for 25 d) inhibits the growth of tumors and has no general toxicity in vivo[1].
EPI-00 (50 mg/kg; i.v.) blocks the androgen-axis and inhibits androgen-dependent tumor growth[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male NOD-SCID mice (6-8 weeks) bearing LNCaP[1]
Dosage:	20 mg/kg
Administration:	I.v. every 5 days for 25 days
Result:	Reduced tumors from 100.3±1.72 mm^3 to 73.03±29.6 mm^3 within 2 weeks. Did not cause general toxicity indicated by no change in animal behavior or body weight.

REFERENCES

[1]. Andersen RJ, et, al. Regression of castrate-recurrent prostate cancer by a small-molecule inhibitor of the amino-terminus domain of the androgen receptor. Cancer Cell. 2010 Jun 15; 17(6): 535-46.

[2]. Brand LJ, et, al. EPI-001 is a selective peroxisome proliferator-activated receptor-gamma modulator with inhibitory effects on androgen receptor expression and activity in prostate cancer. Oncotarget. 2015 Feb 28; 6(6): 3811-24.

[3]. Mol ED, et, al. EPI-001, A Compound Active against Castration-Resistant Prostate Cancer, Targets Transactivation Unit 5 of the Androgen Receptor. ACS Chem Biol. 2016 Sep 16;11(9):2499-505.

Caution: Product has not been fully validated for medical applications. For research use only.

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