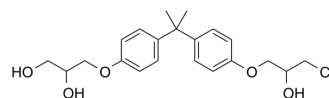


EPI-001

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)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions		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	<ol style="list-style-type: none"> 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 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 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]} .
IC₅₀ & Target	IC ₅₀ : 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 μ M) inhibits endogenous AR mRNA and protein expression in PCa and CRPC cell lines^[2].
 EPI-001 (50 μ M) 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 μ M
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 μ M
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 $100.3 \pm 1.72 \text{ mm}^3$ to $73.03 \pm 29.6 \text{ mm}^3$ 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