Ralaniten

Cat. No.: HY-109070

CAS No.: 1203490-23-6

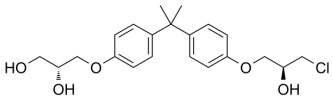
Molecular Formula: $C_{21}H_{27}ClO_5$

Molecular Weight: 394.89

Target: Androgen Receptor

Pathway: Vitamin D Related/Nuclear Receptor

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (253.24 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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 >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (6.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ralaniten (EPI-002) is a potent and orally active antagonist of the androgen receptor-N-terminal domain (AR-NTD). Ralaniten inhibits AR transcriptional activity, with IC_{50} of 7.4 μM. Ralaniten can be used for the research of castration-resistant prostate cancer (CRPC)[1][2].

IC_{50} & Target

IC50: 7.4 μM (AR-NTD)[1]

In Vitro

EPI-002 (5-35 μM; 2-3 days) reduces AR-dependent proliferation of LNCaP cells, and has no effect on the viability of PC3 human prostate cancer cells that do not express functional AR[1].
Ralaniten (10-35 μM; 4 h) inhibits transactivation of the AR N-terminal domain (NTD) induced by forskolin in LNCaP cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

EPI-002 (100 mg/kg; p.o. twice daily for 28 days) inhibits the VCaP tumor growth in castrated mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male NOD-SCID mice bearing subcutaneous tumors were castrated
Dosage:	100 mg/kg
Administration:	P.o. twice daily for 28 days
Result:	Inhibited the growth of castration-resistant prostate cancer (CRPC) xenografts that express AR splice variants[1].

REFERENCES

[1]. Myung JK, et, al. An androgen receptor N-terminal domain antagonist for treating prostate cancer. J Clin Invest. 2013 Jul;123(7):2948-60.

[2]. Yang YC, et, al. Targeting Androgen Receptor Activation Function-1 with EPI to Overcome Resistance Mechanisms in Castration-Resistant Prostate Cancer. Clin Cancer Res. 2016 Sep 1;22(17):4466-77.

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