Ralaniten triacetate

Cat. No.: HY-123875A

CAS No.: 1637573-04-6

Molecular Formula: $C_{27}H_{33}ClO_8$

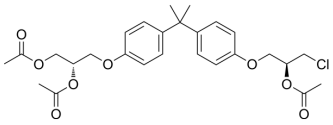
Molecular Weight: 521

Target: Androgen Receptor

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (191.94 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9194 mL	9.5969 mL	19.1939 mL
5 mM	0.3839 mL	1.9194 mL	3.8388 mL
10 mM	0.1919 mL	0.9597 mL	1.9194 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 (4.80 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.80 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ralaniten triacetate (EPI-506), the pro-agent of Ralaniten, is a first-in-class, orally active androgen receptor (AR) N-terminal domain (NTD) inhibitor. Ralaniten triacetate shows activity against both full length and resistance-related AR species, including AR-v7[1][2].

In Vitro

Ralaniten triacetate (EPI-506) targets the N-terminal domain of the androgen receptor (AR), and is used for metastatic castration-resistant prostate cancer (mCRPC) research. EPI-506 is a first-in-class, highly-specific small molecule that binds to a novel target on the AR, the N-terminal domain (NTD) and directly inhibits AR transcriptional activity by blocking the

	interaction of the AR with transcriptional proteins[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Obst JK, et al. Revealing Metabolic Liabilities of Ralaniten To Enhance Novel Androgen Receptor Targeted Therapies. ACS Pharmacol Transl Sci. 2019;2(6):453-467. Published 2019 Sep 26.

[2]. Chi, Kim Nguyen et al. Efficacy, safety, tolerability, and pharmacokinetics of EPI-506 (ralaniten acetate), a novel androgen receptor (AR) N-terminal domain (NTD) inhibitor, in men with metastatic castration-resistant prostate cancer (mCRPC) progressing after enzalutamide and/or abiraterone. Journal of Clinical Oncology 35 (2017): 5032-5032.

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