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# Produktinformation

- Forschungsprodukte & Biochemikalien
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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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# Ralaniten

**Cat. No.:** HY-109070

**CAS No.:** 1203490-23-6

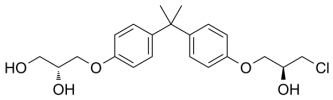
**Molecular Formula:** $C_{21}H_{27}ClO_5$

**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.





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