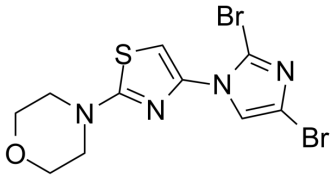
VPC-14449

Cat. No.:	HY-116501
CAS No.:	1621375-32-3
Molecular Formula:	$C_{10}H_{10}Br_2N_4OS$
Molecular Weight:	394.09
Target:	Androgen Receptor
Pathway:	Vitamin D Related/Nuclear Receptor
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 : 125 mg/mL (317.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5375 mL	12.6875 mL	25.3749 mL
	5 mM	0.5075 mL	2.5375 mL	5.0750 mL
	10 mM	0.2537 mL	1.2687 mL	2.5375 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: ≥ 2.08 mg/mL (5.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VPC-14449 is a potent and selective inhibitor of the DNA-binding domain of the androgen receptor (AR-DBD), with IC_{50} of 0.34 μM for full-length human AR. VPC-14449 reduces the ability of full-length AR as well as AR variants to interact with chromatin. VPC-14449 can be used for the research of prostate cancer[1][2].

IC_{50} & Target

IC50: 0.34 μM (AR-DBD)[1]

In Vitro

VPC-14449 (0.01-100 μM; 24 h) inhibits AR-transcriptional activity and cell viability in LNCaP, C4-2, MR49F, and 22Rv1 cells[2].

VPC-14449 (0.01-100 μM; 24 h) dose-dependently inhibits the transiently expressed full-length human AR in PC3 cells (IC_{50} =0.34 μM) without affecting AR protein expression[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	LNCaP, C4-2, MR49F, and 22Rv1 cells
Concentration:	0.01, 0.1, 10, 100 μM
Incubation Time:	24 hours
Result:	Suppressed the growth of every tested cell line.

Western Blot Analysis[2]

Cell Line:	LNCaP, C4-2, MR49F, and 22Rv1 cells
Concentration:	0.01, 0.1, 10, 100 μM
Incubation Time:	24 hours
Result:	Inhibited endogenous AR transactivation in LNCaP, C4-2 and MR49F cells stimulated with the synthetic androgen R1881.

In Vivo

VPC-14449 (100 mg/kg; i.p. twice daily for 4 weeks) reduces tumor volume and abolishes PSA production with no decrease in body weight over a total duration 4 weeks in LNCaP xenograft model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice (Harlan Sprague-Dawley; 25-31 g; 6-8 weeks) were subcutaneously inoculated with LNCaP cells and castrated[1]
Dosage:	100 mg/kg
Administration:	I.p. twice daily for 4 weeks
Result:	Suppressed LNCaP tumor volume and blocked serum PSA production.

REFERENCES

[1]. Dalal K, et, al. Selectively targeting the DNA-binding domain of the androgen receptor as a prospective therapy for prostate cancer. J Biol Chem. 2014 Sep 19;289(38):26417-26429.

[2]. Dalal K, et, al. Bypassing Drug Resistance Mechanisms of Prostate Cancer with Small Molecules that Target Androgen Receptor-Chromatin Interactions. Mol Cancer Ther. 2017 Oct;16(10):2281-2291.

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