Androgen receptor antagonist 1

Cat. No.: HY-130992

CAS No.: 1338812-36-4

Molecular Formula: $C_{21}H_{25}ClN_4O_3$

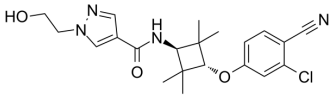
Molecular Weight: 416.9

Target: Androgen Receptor; Ligands for Target Protein for PROTAC

Pathway: Vitamin D Related/Nuclear Receptor; PROTAC

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (239.87 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3987 mL	11.9933 mL	23.9866 mL
5 mM	0.4797 mL	2.3987 mL	4.7973 mL
10 mM	0.2399 mL	1.1993 mL	2.3987 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Androgen receptor antagonist 1 is an orally available full androgen receptor (AR) antagonist with an IC_{50} of 59 nM[1]. Androgen receptor antagonist 1 (Compound 6) can be used in the synthesis of PROTAC AR degraders, which results 24% and 47 % AR protein degradation in LNCaP cells at 1 μM and 10 μM, respectively[2].

IC_{50} & Target

IC50: 59 nM (androgen receptor)[1]

In Vitro

Androgen receptor antagonist 1 (Compound 26; 1 nM-100 μM) shows significant cell growth inhibition effects for LNCaP and LNAR cells but not DU145 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Prostate cancer (CaP) cells (LNCAP, LNAR, and DU145)
Concentration:	1 nM, 10 nM, 100 nM, 1 μM, 10 μM, 100 μM
Incubation Time:	7 days
Result:	Antiproliferative effects of in LNCAP and LNAR cells.

In Vivo

Androgen receptor antagonist 1 (Compound 26; 100 mg/kg once a day for 5 weeks) demonstrates excellent in vivo tumor growth inhibition upon oral administration in a castration-resistant prostate cancer (CRPC) animal model[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male athymic nude mice with LNCaP xenograft model of CRPC[1]
Dosage:	100 mg/kg
Administration:	Orally once a day for 5 weeks
Result:	Demonstrated outstanding efficacy in inhibiting tumor growth. At the given doses (100 mg/kg once a day) nearly completely suppressed tumor growth (by 90 %) and the PSA levels (78%) after 5 weeks, with no detectable body weight loss for the period of time when animals were treated.

REFERENCES

[1]. Guo C, et al. Discovery of aryloxy tetramethylcyclobutanes as novel androgen receptor antagonists. J Med Chem. 2011 Nov 10;54(21):7693-704.

[2]. Han X, et al. Discovery of ARD-69 as a Highly Potent Proteolysis Targeting Chimera (PROTAC) Degrader of Androgen Receptor (AR) for the Treatment of Prostate Cancer. J Med Chem. 2019 Jan 24;62(2):941-964.

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