AR antagonist 3

Cat. No.: HY-144127

CAS No.: 349573-58-6

Molecular Formula: $C_{15}H_{18}N_2O_3S$

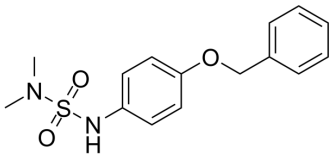
Molecular Weight: 306.38

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 : 100 mg/mL (326.39 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2639 mL	16.3196 mL	32.6392 mL
5 mM	0.6528 mL	3.2639 mL	6.5278 mL
10 mM	0.3264 mL	1.6320 mL	3.2639 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 (8.16 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (8.16 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (8.16 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

AR antagonist 3 is a potent and selective androgen receptor (AR) antagonist with an IC_{50} of 0.47 μM. AR antagonist 3 exhibits a dose-dependent decrease of the FRET signal (IC_{50}= 18.05 μM). AR antagonist 3 shows effective inhibition on tumor growth when administered intratumorally[1].

IC_{50} & Target

IC_{50}: 0.47 μM (AR)[1]

In Vitro

AR antagonist 3 (compound T1-12) (0.01, 0.1, 1, 10, 100 μM) shows excellent AR antagonistic activity (eGFP IC_{50}= 0.47 μM;

PSA IC_{50}= 1.42 μM)[1].
AR antagonist 3 (0.01, 0.1, 1, 10, 100 μM) inhibits the proliferation of LNCaP cells[1].
AR antagonist 3 (0.1, 1, 10 μM; 48 h) reduces the protein expression levels of c-Myc and KLK3[1].
AR antagonist 3 (0.01, 0.1, 1, 10, 100 μM) exhibits a dose-dependent decrease of the FRET signal (IC_{50}= 18.05 μM)[1].
AR antagonist 3 (10 μM; 2 h) reduces the DHT-mediated translocation of the AR into the nucleus in LNCaP cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	LNCaP-ARR2PB-eGFP cells
Concentration:	0.01, 0.1, 1, 10, 100 μM
Incubation Time:	
Result:	Showed excellent AR antagonistic activity (eGFP IC_{50}= 0.47 μM; PSA IC_{50}= 1.42 μM).

Cell Proliferation Assay[1]

Cell Line:	LNCaP, 22Rv1, C4-2, PC3, DU145 cells
Concentration:	0.01, 0.1, 1, 10, 100 μM
Incubation Time:	3 days
Result:	Inhibited the proliferation of LNCaP cells.

In Vivo

AR antagonist 3 (intratumorally injected; 2.5 mg/kg; every week for 25 days) inhibits tumor growth and the final tumor growth inhibition is 65%[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6 weeks-old male CB17 SCID mice (specificpathogen-free grade), 18-24 g[1]
Dosage:	2.5 mg/kg
Administration:	intratumorally injected; week; 25 days
Result:	Inhibited tumor growth and the final tumor growth inhibition is 65%.

REFERENCES

[1]. Chai X, et al. Discovery of N-(4-(Benzyloxy)-phenyl)-sulfonamide Derivatives as Novel Antagonists of the Human Androgen Receptor Targeting the Activation Function 2. J Med Chem. 2022, 65(3):2507-2521.

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