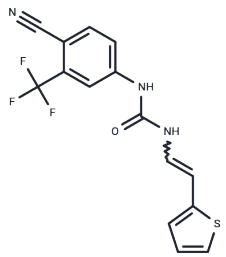
Data Sheet (Cat.No.T200348)

TargetMol

SC428

Chemical Properties



CAS No. : 1898232-70-6

Formula: C15H10F3N3OS

Molecular Weight: 337.32

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SC428 acts as an inhibitor of the androgen receptor (AR), specifically targeting the N-terminal domain of AR. This compound effectively diminishes the transactivation of various AR forms, including AR-V7, ARv567es, full-length AR (AR-FL), and its LBD mutants. Additionally, SC428 significantly inhibits AR-FL nuclear translocation, chromatin binding, and AR-regulated gene transcription under androgen stimulation. In vitro, SC428 suppresses the proliferation of tumor cells. In vivo, it inhibits tumor growth in mice transplanted with 22Rv1 cells by inducing apoptosis.
Targets(IC50)	Apoptosis,Androgen Receptor
In vitro	SC428, at concentrations ranging from 10 nmol/L to 1 μmol/L over 48 hours, inhibits the transactivation activities of AR-V7 (IC50 of 0.42 μM) and ARv567es (IC50 of 1.31 μM) in 293T cells (PSA-Luc assay). At a concentration of 5μM for 1 hour, SC428 reverses the DHT-induced thermal stability of AR-FL in LNCaP cells (CETSA assay) with an EC50 of 0.31 μM and acts as an antagonist to the F887L mutant. Additionally, SC428 suppresses ligand-induced activation of AR in a dose-dependent manner. In studies using concentrations of 1, 2.5, and 5 μmol/L for 30 minutes, SC428 inhibits the proliferation of AR-positive cell lines: LNCaP (IC50 of 1.39 μM), VCaP (IC50 of 1.01 μM), and 22Rv1 (IC50 of 1.13 μM). It exhibits weaker antiproliferative effects in AR-negative PC3 cells (IC50 of 6.49 μM). At 5 μmol/L for 5 hours, SC428 diminishes the transcription of AR-regulated genes in LNCaP-AR cells (ChIP assay), blocks AR-FL chromatin binding (confocal imaging assay), and reduces nuclear translocation. When administered at 2.5 and 5 μmol/L for 24 hours, SC428 inhibits AR signaling in prostate cancer cells highly expressing AR-V7. SC428 demonstrates inhibitory effects on both LNCaP-ARV7 and LNCaP-AR wt cells (Enzalutamide-resistant) at doses of 0.5, 1, 2.5, and 5 μmol/L for 24 hours, reducing levels of PSA and UBE2C at both protein and mRNA levels (Western blotting and qPCR). Furthermore, at 5 mmol/L for 5 hours, SC428 disrupts AR-V7 dimerization and nuclear localization in 22Rv1 cells (immunoprecipitation and confocal imaging techniques).
In vivo	SC428, administered intraperitoneally at a dosage of 60 mg/kg daily for 18 days, inhibits tumor growth by inducing apoptosis in AR-V7-expressing tumor cells in vivo. Furthermore, at a dose of 90 mg/kg, administered five times weekly for three weeks, SC428 effectively suppresses the growth of prostate cancer xenografts with high AR-V7 expression in mice.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9645 mL	14.8227 mL	29.6454 mL
5 mM	0.5929 mL	2.9645 mL	5.9291 mL
10 mM	0.2965 mL	1.4823 mL	2.9645 mL
50 mM	0.0593 mL	0.2965 mL	0.5929 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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