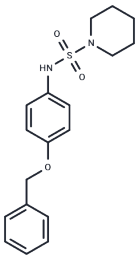
Data Sheet (Cat.No.T210996)

TargetMol

AR antagonist 15

Chemical Properties



CAS No. : 1790308-86-9

Formula: C18H22N2O3S

Molecular Weight: 346.44

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	AR antagonist 15 is an orally active androgen receptor (AR) antagonist with an IC50 of 97 nM for ART787A. It impairs AR nuclear translocation, hinders AR homodimerization, and inhibits AR-regulated gene transcription by competitively binding to the ligand-binding pocket. AR antagonist 15 significantly reduces prostate-specific antigen (PSA) levels and induces apoptosis by decreasing the expression of apoptosis-related proteins. This compound is useful for prostate cancer research.
Targets(IC50)	Apoptosis,Androgen Receptor
In vitro	AR antagonist 15 (Compound LT16) exhibits significant antagonistic activity against the androgen receptor (AR) and its various mutations: AR T787A (IC50 = 97 nM), AR F877L (IC50 = 200 nM), AR F877L/T787A (IC50 = 260 nM), AR H875Y/T787A (IC50 = 200 nM), AR W742C (IC50 = 510 nM), and AR T787G (IC50 = 555 nM). It reduces prostate-specific antigen (PSA) secretion levels in a dose-dependent manner with an IC50 of 0.16 μM. AR antagonist 15 facilitates the translocation of AR from the cytoplasm to the nucleus over 48 hours, downregulates AR-regulated gene mRNA levels in LNCaP cells and significantly decreases mRNA levels of crucial proteins involved in apoptosis within the 0.1-10 μM concentration range for 48 hours. It also significantly inhibits the proliferation of AR-positive cells at concentrations of 0.01-100 μM over 3 to 5 days. Furthermore, at 10 μM for 48 hours, it induces G0/G1 cell cycle arrest in LNCaP cells. Additionally, in the range of 0.5-5 μM for 14 days, AR antagonist 15 inhibits LNCaP cell growth and reduces colony formation.
In vivo	AR antagonist 15, administered at 50 mg/kg via intragastric route once daily for three weeks, significantly reduced tumor volume and lowered serum PSA levels in male Balb/C nude mice with prostate cancer.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8865 mL	14.4325 mL	28.865 mL
5 mM	0.5773 mL	2.8865 mL	5.773 mL
10 mM	0.2887 mL	1.4433 mL	2.8865 mL
50 mM	0.0577 mL	0.2887 mL	0.5773 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.