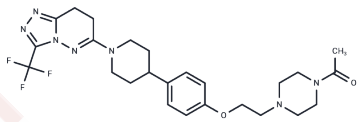
Data Sheet (Cat.No.T6400)

TargetMol

AZD3514

Chemical Properties



CAS No. : 1240299-33-5

Formula: C25H32F3N7O2

Molecular Weight: 519.56

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	AZD3514 is a potent and oral androgen receptor downregulator with Ki of 2.2 μM and has ability of reducing AR protein expression.Phase 1.
Targets(IC50)	Androgen Receptor
In vitro	AZD3514 binds to the AR ligand binding domain and has selectivity for binding to AR over other nuclear hormone receptors. In vitro AZD3514 inhibits cell growth in prostate cancer cells expressing wild-type (VCaP) and mutated (T877A) AR (LNCaP), but is inactive in AR-negative prostate cancer cells. AZD3514 causes a rapid reduction in PSA synthesis in vitro; with a significant decrease in PSA mRNA being evident in LNCaP cells within 2-3 h of compound treatment. AZD3514 inhibits an androgen-induced translocation of AR from the cytoplasm to the nucleus within a comparable time-frame in LNCaP cells and U2OS AR-transfected cells. AZD3514 treatment also reduces AR protein in LNCaP cells maintained in steroid-depleted conditions; an effect which is evident within 6-8 h, and maximal at 18-24 h. The ability to down-regulate AR under such conditions differentiates AZD3514 from the AR antagonists bicalutamide and Enzalutamide, which do not reduce AR protein levels. [2]
In vivo	Oral administration of AZD3514 (100 mg/kg once-daily for 7 days) significantly inhibits testosterone-induced growth of sexual accessory organs. The mode of action of AZD3514 is associated with loss of AR function. Administration of AZD3514 (100 mg/kg/day orally) for 3 days to Copenhagen rats bearing R3327H Dunning prostate tumours, indicates that AZD3514 treatment also reduces tumour AR in vivo. [2]

Solubility Information

Solubility	DMSO: 93 mg/mL (179 mM),Sonication is recommended. Ethanol: 93 mg/mL (179 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.35 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9247 mL	9.6235 mL	19.2471 mL
5 mM	0.3849 mL	1.9247 mL	3.8494 mL
10 mM	0.1925 mL	0.9624 mL	1.9247 mL
50 mM	0.0385 mL	0.1925 mL	0.3849 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.

Reference

Bradbury RH, et al. Bioorg Med Chem Lett, 2013, 23(7), 1945-1948.
Sarah A. Loddick, et al. Cancer Res, 2012, 72(8 Suppl), Abstract nr 3848.