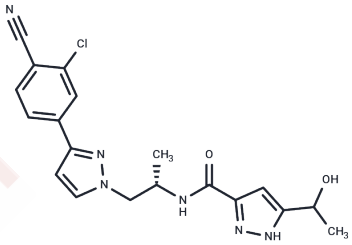
Data Sheet (Cat.No.T6915)

TargetMol

Darolutamide

Chemical Properties



CAS No. : 1297538-32-9

Formula: C19H19ClN6O2

Molecular Weight: 398.85

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	Darolutamide (BAY-1841788) is an androgen receptor (AR) antagonist that blocks AR nuclear translocation (Ki: 11 nM).
Targets(IC50)	Androgen Receptor
In vitro	In AR-HEK293 cells stably expressing full-length hAR, ODM-201 inhibits human AR (hAR) with IC50 of 26 nM. ODM-201 inhibits VCaP cell proliferation with IC50 of 230 nM, while has no effect on the viability of AR-negative cell lines tested, DU-145 prostate cancer cells and H1581 lung cancer cells. [1]
In vivo	In mice bearing VCaP xenografts, ODM-201 (50?mg/kg, p.o.) significantly inhibits castration-resistant prostate tumor growth. [1]
Kinase Assay	AR binding affinity: AR binding affinities of test compounds are studied in cytosolic lysates obtained from ventral prostates of castrated rats by a competition binding assay. Fresh prostates are minced and homogenized with Buffer A containing protease inhibitors. The homogenates are centrifuged and the resultant supernatants are treated with a dextran-coated charcoal solution to remove endogenous steroids. The dissociation constant of the radio ligand [3H]mibolerone for isolated rat ARs is determined in a saturation binding experiment. For the determination of Ki values, prostate cytosol preparations and 1?nM [3H]mibolerone are incubated with increasing concentrations of test compounds overnight. After the incubation, bound and free steroids are separated by treatment with 100?µL of dextran-coated charcoal suspension. Bound radioactivity is determined by counting 100?µL of supernatant fraction in 200?µL of scintillation fluid using a microbeta counter. All procedures are
Cell Research	VCaP cells are treated with a submaximal concentration of mibolerone (0.1?nM) and increasing concentrations of test compounds in steroid-free assay medium supplemented with 4?mM GlutaMAX. After a 4-day incubation with the compounds, cell viability is measured using a WST-1 cell proliferation assay. To rule out non-AR –mediated toxicity, AR-negative PC cells (DU-145) and lung cancer cells (H1581) are treated with an increasing concentration of ODM-201, and cell viability is measured as described above.(Only for Reference)

Solubility Information

Solubility	DMSO: 122.5 mg/mL (307.13 mM),Sonication is recommended. Ethanol: 36 mg/mL (90.26 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: 2 mg/mL (5.01 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 vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5072 mL	12.536 mL	25.0721 mL
5 mM	0.5014 mL	2.5072 mL	5.0144 mL
10 mM	0.2507 mL	1.2536 mL	2.5072 mL
50 mM	0.0501 mL	0.2507 mL	0.5014 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

Moilanen AM, et al. Sci Rep. 2015, 5:12007. doi: 10.1038/srep12007.
Zhou T, Nguyen S, Wu J, et al.LncRNA LOC730101 Promotes Darolutamide Resistance in Prostate Cancer by Suppressing miR-1-3p.Cancers.2024, 16(14): 2594.