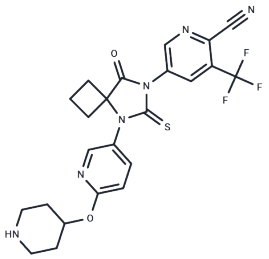
Data Sheet (Cat.No.T8933)

TargetMol

JNJ-63576253 free base

Chemical Properties



CAS No. : 2110426-27-0

Formula: C23H21F3N6O2S

Molecular Weight: 502.51

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	JNJ-63576253 free base (TRC253) is a potent and orally active full antagonist of androgen receptor (AR), with IC50s of 37 and 54 nM for F877L mutant AR and wild-type AR in LNCaP cells. JNJ-63576253 can be used for the research of castration-resistant prostate cancer (CRPC).
Targets(IC50)	Androgen Receptor
In vitro	JNJ-63576253 is stable in human liver microsomes, with an T1/2 of >180 min. It(0.0003-100 μM; 5 d) inhibits the growth of VCaP cells, with an IC50 of 265 nM.
In vivo	JNJ-63576253 (30 mg/kg;?p.o. once daily for 72 days) significantly inhibits the growth of prostate LNCaP SRα F877L tumor in mice.?JNJ-63576253 (30 mg/kg;?p.o. once daily for 10 days) inhibits the five androgen sensitive organs (ASOs) under stimulation by testosterone propionate (TP) in mice.?JNJ-63576253 (10 mg/kg;?p.o.) exhibits moderate oral bioavailability (45%), Cmax (0.66 μM) and AUClast (4.9 μg h/mL) in mice.?JNJ-63576253 (2 mg/kg;?i.v.) exhibits reasonable half-life (5.99 h), CL (15.0 mL/min/kg) and Vdss (6.11 L/kg) in mice.

Solubility Information

Solubility	DMSO: 45 mg/mL (89.55 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.990 mL	9.9501 mL	19.9001 mL
5 mM	0.398 mL	1.990 mL	3.980 mL
10 mM	0.199 mL	0.995 mL	1.990 mL
50 mM	0.0398 mL	0.199 mL	0.398 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

Zhang Z, et, al. Discovery of JNJ-63576253: A Clinical Stage Androgen Receptor Antagonist for F877L Mutant and Wild-Type Castration-Resistant Prostate Cancer (mCRPC). J Med Chem. 2021 Jan 28;64(2):909-924.