

Product Data Sheet

Product Name: JNJ-63576253

Cat. No.: GC62106

Chemical Properties

Cas. No. 2110428-64-1

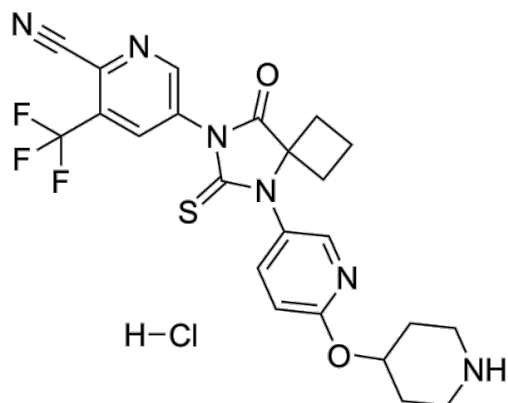
Formula $C_{23}H_{22}ClF_3N_6O_2S$ M.Wt 538.97

Solubility DMSO : 250 mg/mL (463.85 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

JNJ-63576253 (TRC-253) 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)[1].

JNJ-63576253 (0.0003-100 μM; 5 d) inhibits the growth of VCaP cells, with an IC₅₀ of 265 nM[1]. JNJ-63576253 is stable in human liver microsomes, with an T_{1/2} of >180 min[1].

Caution: Product has not been fully validated for medical applications. For research use only.

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JNJ-63576253 (30 mg/kg; p.o. once daily for 72 days) significantly inhibits the growth of prostate LNCaP SR α F877L tumor in mice[1]. 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[1]. JNJ-63576253 (10 mg/kg; p.o.) exhibits moderate oral bioavailability (45%), C_{max} (0.66 μ M) and AUC_{last} (4.9 μ g•h/mL) in mice[1]. JNJ-63576253 (2 mg/kg; i.v.) exhibits reasonable half-life (5.99 h), CL (15.0 mL/min/kg) and V_{dss} (6.11 L/kg) in mice[1].

[1]. 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.

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