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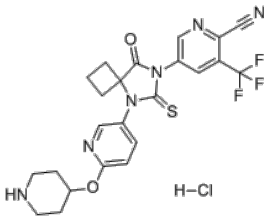
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	JNJ-63576253
Cat. No.	:	PC-72511
CAS No.	:	2110428-64-1
Molecular Formula	:	$C_{23}H_{22}ClF_3N_6O_2S$
Molecular Weight	:	538.974
Target	:	Androgen Receptor (AR)
Solubility	:	10 mM in DMSO



Biological Activity

JNJ-63576253 (TRC-253, JNJ63576253) is a next-generation, potent, selective **androgen receptor (AR)** antagonist (inhibitor) against wild-type AR (IC50=6.9 nM), AR F877L and other clinically detected ligand binding domain (LBD) point mutations.
JNJ-63576253 inhibits transcriptional activity in reporter assays, cellular proliferation, and AR downstream target gene expression.
JNJ-63576253 causes tumor growth inhibition (TGI) in an enzalutamide-resistant LNCaP F877 L xenograft model.
JNJ-63576253 displayed an approximately 1,000-fold selectivity versus other nuclear hormone receptors (GR IC50>30 uM).
JNJ-63576253 completely inhibited transiently transfected VP16-AR F877 L with IC50 of 15 nM in HepG2 transcriptional reporter models, JNJ-63576253 completely inhibited AR-mediated transactivation in the presence of 100 pmol/L R1881 (IC50=99 nM).
JNJ-63576253 abrogates cellular proliferation, nuclear translocation, and AR target gene expression in models of human prostate adenocarcinoma.
JNJ-63576253 causes tumor growth inhibition (TGI) in an enzalutamide-resistant LNCaP F877 L xenograft model.

References

Zhang Z, et al. ***J Med Chem.*** 2021 Jan 28;64(2):909-924.

Branch JR, et al. ***Mol Cancer Ther.*** 2021 May;20(5):763-774.

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