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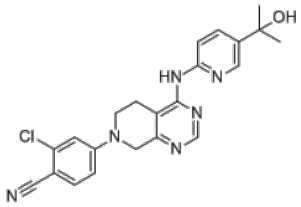
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Gumelutamide
Cat. No.	:	PC-20039
CAS No.	:	1831085-48-3
Molecular Formula	:	$C_{22}H_{21}ClN_6O$
Molecular Weight	:	420.90
Target	:	Androgen Receptor (AR)
Solubility	:	10 mM in DMSO



Biological Activity

Gumelutamide (TAS3681) is a potent, selective **androgen receptor** (AR) pure antagonist with Ki of 7.39 and 23.8 nM for WT AR and AR T878A mutant respectively, inhibits AR transcriptional activity and downregulates AR-full length (AR-FL) and AR-Vs.
TAS3681 is a potent antagonist for wild-type AR with IC50 values of 52.7 and 60.9 nM, respectively, for COS-7 cells and VCaP cells that express wild-type AR in AR transactivation assays.
TAS3681 dose-dependently suppresses LNCaP cell proliferation with IC50 of 18 nM, inhibits DHT-induced cell proliferation more potently than bicalutamide, and with activity similar to enzalutamide.
TAS3681 impairs nuclear translocation and DNA binding of AR in prostate cancer cells.
TAS3681 is a pure antagonist for AR-LBD mutants (mutated ARs L702H, V716M, W742L, H875Q, H875Y, D891Y, Q903H, H875Y/T878A, T878A/S889G, and T878A/D891H).
TAS3681 reduces AR protein levels and suppresses AR transactivation and growth of AR-overexpressing enzalutamide-resistant cells, downregulates both AR-FL and AR-V7 protein levels at the translational level.
TAS3681 (7.5, 15, or 22.5 mg/kg, oral, twice daily) suppresses tumor growth in enzalutamide-resistant PCa xenograft.

References

Patent WO2022212631 A1.
2. Yoshida S, et al. ***Mol Oncol.*** 2024 Apr 10. doi: 10.1002/1878-0261.13641.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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