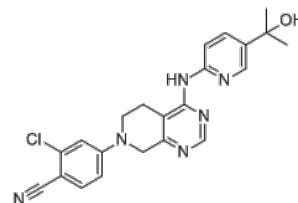


**Product Name** : Gumelutamide  
**Cat. No.** : PC-20039  
**CAS No.** : 1831085-48-3  
**Molecular Formula** : C<sub>22</sub>H<sub>21</sub>ClN<sub>6</sub>O  
**Molecular Weight** : 420.90  
**Target** : Androgen Receptor (AR)  
**Solubility** : 10 mM in DMSO



## Biological Activity

Gumelutamide (TAS-3681) is a potent, selective **androgen receptor** (AR) pure antagonist with K<sub>i</sub> 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 IC<sub>50</sub> 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 IC<sub>50</sub> 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.
- 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!**

E-mail: tech@probechem.com