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# Enzalutamide

Androgen receptor (AR) antagonist

**Catalog** #100-1650 10 mg

## Product Description

Enzalutamide is a selective antagonist of the androgen receptor (AR; $IC_{50}$ = 36 nM in LNCaP cells). AR signaling has diverse biological functions and is thought to be involved in tumor development, particularly in the prostate (Davey & Grossmann). Enzalutamide has strong binding affinity for ARs, which prevents AR translocation to the nucleus and inhibits its binding to chromosomal DNA and recruitment of its co-activators (Saad; Tran et al.).

**Alternative Names**: ASP-9785, MDV3100

**CAS Number**: 915087-33-1

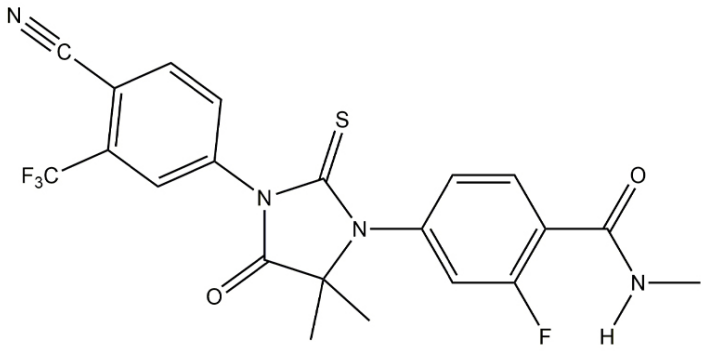
**Chemical Formula**: $C_{21}H_{16}F_4N_4O_2S$

**Molecular Weight**: 464.4 g/mol

**Purity**: ≥ 99%

**Chemical Name:** 4-(3-(4-Cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl)-2-fluoro-N-methylbenzamide

**Structure**:



## Properties

**Product Format**: A white powder

**Stability and Storage**: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

**Preparation**:

- DMSO ≤ 50 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.15 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

## Published Applications

CANCER RESEARCH

- Causes tumor regression in mouse xenograft models of castration-resistant prostate cancer (Guerrero et al.; Tran et al.).
- Causes cell apoptosis and reduces cell migration and invasion in AR-positive bladder cancer cell lines (Deng et al.; Kawahara et al.).
- Inhibits growth of HER2+ breast cancer cells in vitro and reduces tumor growth in a mouse xenograft model (He et al.).

## References

Davey RA & Grossmann M. (2016) Androgen receptor structure, function, and biology: from bench to bedside. Clin Biochem Rev 37(1): 3.
Deng G et al. (2021) Targeting androgen receptor (AR) with antiandrogen enzalutamide increases prostate cancer cell invasion yet decreases bladder cancer cell invasion via differentially altering the AR/circRNA-ARC1/miR-125b-2-3p or miR-4736/PPARγ/MMP-9 signals. Cell Death Differ 28(7): 2145.
Guerrero J et al. (2013) Enzalutamide, an androgen receptor signaling inhibitor, induces tumor regression in a mouse model of castration-resistant prostate cancer. Prostate 73(12): 1291–305.
He L et al. (2017) Targeting androgen receptor in treating HER2 positive breast cancer. Sci Reports 2017 71 7(1): 1–10.
Kawahara T et al. (2016) Enzalutamide inhibits androgen receptor-positive bladder cancer cell growth. Urol Oncol 34(10): 432.e15–23.
Saad F. (2013) Evidence for the efficacy of enzalutamide in post chemotherapy metastatic castrate-resistant prostate cancer. Ther Adv Urol 5(4): 201–10.
Tran C et al. (2009) Development of a second-generation antiandrogen for treatment of advanced prostate cancer. Science 324(5928): 787–90.

## Related Products

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

## Warning

This product is hazardous. Please refer to the Safety Data Sheet (SDS).