Product Specification Sheet

Product Name: MDV3100 (Enzalutamide)

Catalog Number: C6310

Technical information:

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

CAS #: 915087-33-1

Molecular Weight: 464.44

Purity: > 99%

Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

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

methylbenzamide

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.215mL of DMSO for each mg of MDV3100 (Enzalutamide)

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

MDV3100 (Enzalutamide) is an orally-available thioxoimidazoline androgen receptor inhibitor approved in August, 2012, for the treatment of castration-resistant prostate cancer (CRPC). In LNCaP/AR cells, MDV3100 has a potency of 36 nM. [1] MDV3100 blocks testosterone binding to AR and has approximately 5-fold higher binding affinity for AR than bicalutamide. In contrast to bicalutamide, MDV3100 does not promote translocation of AR to the nucleus and also prevents AR binding to DNA and other coactivator proteins. In contrast to bicalutamide in LNCaP cell lines, MDV3100 downregulates expression of PSA and TMPRSS2 genes and is an antagonist of the W741C mutant androgen receptor.

Early preclinical studies also indicate that MDV3100 may be a potential treatment for breast cancer; enrollment for Phase I trials are underway.

Reference: 1. Tran et al., Development of a second-generation antiandrogen for treatment of advanced prostate cancer. Science 2009, 324 (5928), 787-790 Pubmed ID: 19359544

2. http://en.wikipedia.org/wiki/Enzalutamide

To reorder: http://www.cellagentech.com/MDV3100-Enzalutamide/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.