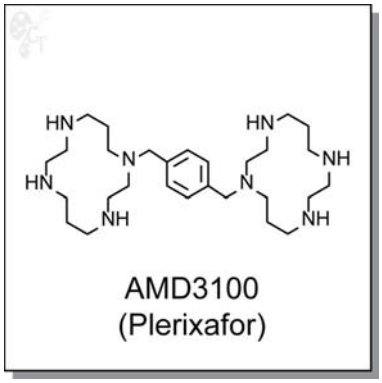
Product Specification Sheet

Product Name: AMD3100 (Plerixafor)

Catalog Number: C2310



Technical information:

Chemical Formula:	$C_{28}H_{54}N_8$
CAS #:	110078-46-1, 155148-31-5
Molecular Weight:	502.78
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 100 mM in water
Chemical Name:	1,1'-[1,4-Phenylenebis(methylene)]bis [1,4,8,11-tetraazacyclotetradecane]
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 0.199mL of DMSO for each mg of AMD3100 (Plerixafor)
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

Plerixafor (AMD3100) is a bis-tetraazadecane-based, selective inhibitor of human immunodeficiency virus. It is inhibitory to the replication of various HIV-1 and HIV-2 strains in various cell lines at an EC50 of 1-10 ng/mL, about 100,000-fold lower than cytotoxic concentrations (>500 uM/mL). [1] Plerixafor shows inhibition to HIV-1(IIIB) and several clinical HIV-1 isolates at an EC50 of less than 1 ng/mL.

Plerixafor has been shown to be active in HIV strains resistant to reverse transcriptase inhibitors AZT, DDI, 3TC, aAPA, and TIBO. [2]

Plerixafor blocks HIV-1 entry and membrane fusion via the CXCR4 co-receptor, but not via CCR5. It also prevents monoclonal antibody 12G5 from binding to CXCR4. Entry into CXCR-expressing cells was strongly inhibited by Plerixafor at IC50 values of 0.01-0.1 nM. [3] Plerixafor demonstrates a specific antagonism of the interaction between chemokine SDF-1 and CXCR4, reducing severity of inflammation in CIA models. [4]

Reference:

1. De Clercq et al., Highly potent and selective inhibition of human immunodeficiency virus by the bicyclam derivative JM3100. Antimicrob. Agents Chemother. 1994, 38(4), 668-674. Pubmed ID: 7913308
2. Este et al., Antiviral activity of the bicyclam derivative JM3100 against drug-resistant strains of human immunodeficiency virus type 1. Antiviral Res. 1996, 29, 297-307. Pubmed ID: 8739608
3. Donzella et al., AMD3100, a small molecule inhibitor of HIV-1 entry via the CXCR4 co-receptor. Nature Med. 1998, 4(1), 72-77. Pubmed ID: 9427609
4. Matthys et al., AMD3100, a potent and specific antagonist of the stromal cell-derived factor-1 chemokine receptor CXCR4, inhibits autoimmune joint inflammation in IFN-gamma receptor-deficient mice. J. Immunol. 2001, 167(8), 4686-4692. Pubmed ID: 11591799

To reorder: http://www.cellagentech.com/AMD3100-Plerixafor/

For Technical Support: technical@cellagentech.com

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