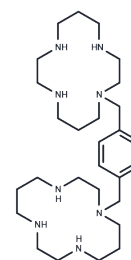


## Plerixafor

## Chemical Properties

CAS No. :	110078-46-1
Formula:	C <sub>28</sub> H <sub>54</sub> N <sub>8</sub>
Molecular Weight:	502.78
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Plerixafor (AMD-3329), a chemokine receptor antagonist, blocks the binding of stromal cell-derived factor (SDF-1alpha) to the cellular receptor CXCR4.
Targets(IC50)	HIV Protease,CXCR,Virus Protease
In vitro	Plerixafor inhibits CXCL12-mediated chemotaxis with a potency slightly better than its affinity for CXCR4. [1] Plerixafor also antagonizes SDF-1/CXCL12 ligand binding with an IC50 of 651 nM. Plerixafor inhibits SDF-1 mediated GTP-binding, SDF-1 mediated calcium flux and SDF-1 stimulated chemotaxis with IC50 of 27 nM, 572 nM and 51 nM, respectively. Plerixafor does not inhibit calcium flux against cells expressing CXCR3, CCR1, CCR2b, CCR4, CCR5 or CCR7 when stimulated with their cognate ligands, nor does Plerixafor inhibit receptor binding of LTB4. Plerixafor does not, on its own, induce a calcium flux in the CCRF-CEM cells, which express multiple GPCRs including CXCR4, CCR4 and CCR7. [2]
In vivo	A single topical application of Plerixafor promotes wound healing in diabetic mice by increasing cytokine production, mobilizing bone marrow EPCs, and enhancing the activity of fibroblasts and monocytes/macrophages, thereby increasing both angiogenesis and vasculogenesis. [3] Cohorts of mice are administered with PBS, IGF1, PDGF, SCF, or VEGF for five consecutive days and Plerixafor on the 5th day. The number and size of the colonies are highest in IGF1 plus Plerixafor injected mice compared to PDGF, SCF and VEGF treated groups, in combination with Plerixafor. [4]
Kinase Assay	In vitro biochemical assays against histone acetylases: GSK503 is profiled to assess inhibition against a panel of histone acetylases. GSK503 is dissolved in DMSO and tested in 10-dose IC50 mode with 3-fold serial dilution starting at 100 µM, with a final DMSO concentration of 2%. Anacardic Acid is used as positive control for CBP, GCN5, and pCAF and tested in 10-dose IC50 mode with 3-fold serial dilution starting at 100 µM. Curcumin is used as positive control for KAT5, MYST2/KAT7, MYST4/KAT6B, and p300, and tested in 10-dose IC50 mode with 3-fold serial dilution starting at 100 µM. Reactions are carried out at 3.08 µM Acetyl-CoA. For CBP, GCN5, MYST2/KAT7, pCAF, and p300, the substrate used is histone H3. For KAT5 and MYST4/KAT6B the substrates used are histone H2A and histone H4, respectively.
Cell Research	Plerixafor is dissolved in DMSO and then diluted with appropriate medium[2]. U87 mg cells are seeded in 96-well plates at the density of 6×10 <sup>3</sup> cells in 200 µL/well and treated with CXCL12, Plerixafor or with peptide R, as described in the previous "Treatments"

Cell Research	section. MTT (5 µg/mL) is added at each time point (24, 48, 72 h) during the final 2 h of treatment. After removing cell medium, 100 µL DMSO are added and optical densities measured at 595 nm with a LT-4000MS Microplate Reader. Measurements are made in triplicates from three independent experiments[2].
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### Solubility Information

Solubility	Ethanol: 242.5 mg/mL (482.32 mM), Sonication is recommended. DMSO: < 1 mg/mL (insoluble or slightly soluble), Sonication is recommended. H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	PBS: 1 mg/mL (1.99 mM), Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9889 mL	9.9447 mL	19.8894 mL
5 mM	0.3978 mL	1.9889 mL	3.9779 mL
10 mM	0.1989 mL	0.9945 mL	1.9889 mL
50 mM	0.0398 mL	0.1989 mL	0.3978 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

- Zabel BA, et al. J Immunol. 2009, 183(5), 3204-3211.
- Dong L, Shen S, Chen W, et al. Discovery of Novel Inhibitors Targeting Human O-GlcNAcase: Docking-Based Virtual Screening, Biological Evaluation, Structural Modification, and Molecular Dynamics Simulation. Journal of chemical information and modeling. 2019, 59(10): 4374-4382.
- Fricker SP, et al. Biochem Pharmacol. 2006, 72(5), 588-596.
- Nishimura Y, et al. J Invest Dermatol. 2012, 132(3 Pt 1), 711-720.
- Kumar S, et al. Bone. 2012, 50(4), 12012-12018.
- Wei He, et al. Targeting CXC motif chemokine receptor 4 inhibits the proliferation, migration and angiogenesis of lung cancer cells. Oncol Lett. 2018 Sep;16(3):3976-3982.
- Mercurio L, et al. Targeting CXCR4 by a selective peptide antagonist modulates tumor microenvironment and microglia reactivity in a human glioblastoma model. J Exp Clin Cancer Res. 2016 Mar 25;35:55.
- Yang J, et al. Continuous AMD3100 Treatment Worsens Renal Fibrosis through Regulation of Bone Marrow Derived Pro-Angiogenic Cells Homing and T-Cell-Related Inflammation. PLoS One. 2016 Feb 22;11(2):e0149926.
- He G, et al. SDF-1 in Mammary Fibroblasts of Bovine with Mastitis Induces EMT and Inflammatory Response of Epithelial Cells. Int J Biol Sci. 2017 May 5;13(5):604-614.
- Dong L, Shen S, Chen W, et al. Discovery of Novel Inhibitors Targeting Human O-GlcNAcase: Docking-Based Virtual Screening, Biological Evaluation, Structural Modification, and Molecular Dynamics Simulation[J]. Journal of chemical information and modeling. 2019, 59(10): 4374-4382.

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