

Catalog Number: CM03609

产品信息

Catalog Number:
CM03609

CAS号:
110078-46-1

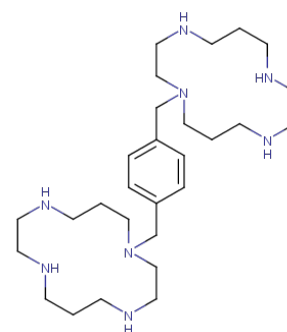
分子式:
C₂₈H₅₄N₈

主要靶点:
CXCR|HIV Protease|Virus
Protease

主要通路:
蛋白酶体|自噬|G蛋白偶联受体|微
生物学|免疫与炎症

分子量:
502.78

溶解度:
Ethanol:50 mg/mL, Sonification is
recommended, DMSO:2
mM, Sonification is
recommended, PBS:1mg/mL
(1.98 mM), Need
ultrasound, H₂O: Insoluble



靶点活性

CXCR4:44 nM|CXCL12:5.7 nM

体外活性

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]

体内活性

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]

细胞实验

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 6×10³ cells in 200 μL/well and treated with CXCL12, Plerixafor or with peptide R, as described in the previous "Treatments" 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].

描述

Plerixafor, a chemokine receptor antagonist, blocks the binding of stromal cell-derived factor (SDF-1α) to the cellular receptor CXCR4.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years