Inhibitors, Agonists, Screening Libraries
www.MedChemExpress.com

Data Sheet

Product Name: Plerixafor (octahydrochloride)
Cat. No.: HY-50912
CAS No.: 155148-31-5
Molecular Formula: C_{28}H_{32}Cl_{8}N_{8}
Molecular Weight: 794.47
Target: CXCR
Pathway: GPCR/G Protein; Immunology/Inflammation
Solubility: H_{2}O: ≥ 42 mg/mL

**BIOLOGICAL ACTIVITY:**

Plerixafor octahydrochloride is a selective **CXCR4** antagonist with **IC\_50** of 44 nM. IC\_50 & Target: IC50: 44 nM (CXCR4)\[1\]

**In Vitro:** The CXCR4 inhibitor Plerixafor (AMD3100) is a potent inhibitor of CXCL12–mediated chemotaxis (IC\_50, 5.7 nM) with a potency slightly better than its affinity for CXCR4. Treating the cells with CCX771 or CXCL11 has no effect on CXCL12–mediated MOLT–4 or U937 TEM. In contrast, 10 μM Plerixafor inhibits CXCL12–mediated TEM in both cell lines\[1\]. Plerixafor (10 μM)–treated cells show a moderate reduction in cell proliferation compared to CXCL12–stimulated cells, which do not reach statistical significance\[2\].

**In Vivo:** Plerixafor (2 mg/kg) administration to UUO mice exacerbates renal interstitial T cell infiltration, resulting in increased production of the pro–inflammatory cytokines IL–6 and IFN–γ and decreased expression of the anti–inflammatory cytokine IL–10\[3\]. Both perivascular and interstitial fibrosis are significantly reduced by the CXCR4 antagonist, Plerixafor (AMD3100) at 8 weeks\[4\]. LD50, mouse, SC: 16.3 mg/kg; LD50, rat, SC: >50 mg/kg; LD50, mouse and rat, IV injection: 5.2 mg/kg.

**PROTOCOL (Extracted from published papers and Only for reference)**

**Cell Assay:** Plerixafor is dissolved in DMSO and then diluted with appropriate medium\[2\]. U87MG cells are seeded in 96–well plates at the density of 6×10\(^{3}\) 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\[2\].

**Animal Administration:** Plerixafor is prepared in PBS (Mice)\[3\]. Plerixafor (AMD3100) is prepared in H\(_2\)O (Rat)\[4\]. Male C57bl/6 mice (6–7 weeks old, weighing 20 g) are used. The animals are acclimated to the housing environment, which is SPF and had a temperature of 22°C and a 12h/12h light/dark cycle for a week. Then, they are randomly divided into following experimental groups, with 8 mice in each group: normal (no specific intervention), UUO+AMD3100 (mice received UUO surgery and 2 mg/kg AMD3100), and UUO+PBS (mice received UUO surgery and the same volume of PBS). AMD3100 and PBS are administered via intraperitoneal injection every day until sacrifice.

The CXCR4 antagonist, AMD3100 dissolved in H\(_2\)O, is delivered in the type 2 diabetic sand rat model at a dose of 6 mg/kg per day for 8 weeks. In complementary studies, the effect of CXCR4 antagonism (AMD3100 6mg/kg/d) on regulatory T cell numbers is examined. For these studies, AMD3100 or vehicle is delivered via minipump for a period of one week.
References:


Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898  Fax: 609-228-5909  E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA