Mavorixafor trihydrochloride

Cat. No.: HY-50101A

CAS No.: 2309699-17-8

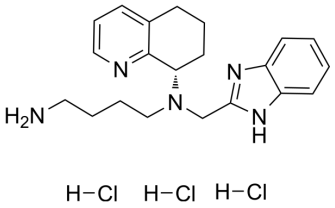
Molecular Formula: $C_{21}H_{30}Cl_3N_5$

Molecular Weight: 458.86

Target: CXCR; HIV

Pathway: GPCR/G Protein; Immunology/Inflammation; Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (326.90 mM; Need ultrasonic)
H_2O : 100 mg/mL (217.93 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1793 mL	10.8966 mL	21.7931 mL
5 mM	0.4359 mL	2.1793 mL	4.3586 mL
10 mM	0.2179 mL	1.0897 mL	2.1793 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (217.93 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.62 mg/mL (5.71 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.62 mg/mL (5.71 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.6 mg/mL (1.31 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.6 mg/mL (1.31 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.6 mg/mL (1.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description Mavorixafor trihydrochloride (AMD-070 trihydrochloride) is a potent, selective and orally available CXCR4 antagonist, with an

	IC_{50} value of 13 nM against CXCR4 ^{125}I-SDF binding, and also inhibits the replication of T-tropic HIV-1 (NL4.3 strain) in MT-4 cells and PBMCs with an IC_{50} of 1 and 9 nM, respectively.
IC_{50} & Target	^{125}I-SDF-CXCR4 13 nM (IC_{50}) HIV-1 (NL4.3 strain) 1 nM (IC_{50}, in MT-4 cells) HIV-1 (NL4.3 strain) 9 nM (IC_{50}, in PBMCs) HIV-1 (NL4.3 strain) 3 nM (IC90, in MT-4 cells) HIV-1 (NL4.3 strain) 26 nM (IC90, in PBMCs)
In Vitro	Mavorixafor (AMD-070) is a potent and orally available CXCR4 antagonist, with an IC_{50} value of 13 nM against CXCR4 ^{125}I-SDF binding, and also inhibits the replication of T-tropic HIV-1 (NL4.3 strain) in MT-4 cells and PBMCs with an IC_{50} of 1 and 9 nM, respectively. Mavorixafor (AMD-070) shows no effect on other chemokine receptors (CCR1, CCR2b, CCR4, CCR5, CXCR1, and CXCR2)[1]. Mavorixafor (AMD-070) (6.6 μM) significantly suppresses the anchorage-dependent growth, the migration and matrigel invasion of the B88-SDF-1 cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mavorixafor (AMD-070) (2 mg/kg, p.o.) significantly reduces the number of metastatic lung nodules in mice, and lowers the expression of human Alu DNA in mice, without body weight loss[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Cells are seeded on a 96-well plate at 5×10^3 cells/well in DMEM containing 10% FCS. Twenty-four hours later, the cells are treated with or without 2 μM Mavorixafor (AMD-070) or 6.6 μM AMD-070. After 24 or 48 h, the number of cells is quantified by an assay using MTT[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] BALB/c nude mice are maintained under pathogen-free conditions. The experiments are initiated when the mice are 8 weeks of age. Briefly, the cells are inoculated into the blood vessels of nude mice (1×10^6). These mice are sacrificed at day 49. The presence or absence of distant metastases is confirmed by hematoxylin and eosin (H&E) staining. For experimental chemotherapy, the mice are treated by the daily oral administration of 0.2 mL of saline for a vehicle or the same volume of Mavorixafor (AMD-070) (2 mg/kg)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Mol Life Sci. 2024 Mar 13;81(1):132.
- Br J Haematol. 2022 Dec 19.
- Oncol Rep. 2022 Apr;47(4):68.
- Biosci Rep. 2023 Dec 22;43(12):BSR20230981.
- PLoS One. 2016 Mar 21;11(3):e0151765.

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REFERENCES

[1]. Skerlj RT, et al. Discovery of novel small molecule orally bioavailable C-X-C chemokine receptor 4 antagonists that are potent inhibitors of T-tropic (X4) HIV-1 replication. J Med Chem. 2010 Apr 22;53(8):3376-88.

[2]. Uchida D, et al. Effect of a novel orally bioavailable CXCR4 inhibitor, AMD070, on the metastasis of oral cancer cells. Oncol Rep. 2018 Jul;40(1):303-308.

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