LY2510924

Cat. No.: HY-12488

CAS No.: 1088715-84-7

Molecular Formula: $C_{62}H_{88}N_{14}O_{10}$

Molecular Weight: 1189.45

Target: CXCR

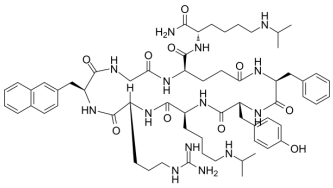
Pathway: GPCR/G Protein; Immunology/Inflammation

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (105.09 mM)

H_2O : ≥ 100 mg/mL (84.07 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8407 mL	4.2036 mL	8.4072 mL
	5 mM	0.1681 mL	0.8407 mL	1.6814 mL
	10 mM	0.0841 mL	0.4204 mL	0.8407 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (1.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (1.75 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (1.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description LY2510924 is a potent and selective CXCR4 antagonist that blocks SDF-1 binding to CXCR4 with an IC_{50} of 0.079 nM.

IC_{50} & Target		
	^{125}I-SDF-1α-CXCR4	^{125}I-SDF-1α-CXCR4
	79.7 pM (IC_{50})	49.5 pM (Ki)

In Vitro	LY2510924 specifically blocks SDF-1 binding to CXCR4 with IC_{50} value of 0.079 nM, and inhibits SDF-1–induced GTP binding with K_b value of 0.38 nM. In human lymphoma U937 cells expressing endogenous CXCR4, LY2510924 inhibits SDF-1–induced cell migration with IC_{50} value of 0.26 nM and inhibits SDF-1/CXCR4-mediated intracellular signaling. LY2510924 exhibits a concentration-dependent inhibition of SDF-1–stimulated phospho-ERK and phospho-Akt in tumor cells. Biochemical and cellular analyses reveals that LY2510924 has no apparent agonist activity[1]. LY2510924 chiefly inhibits the proliferation of AML cells with little induction of cell death and reduces protection against chemotherapy by stromal cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	LY2510924 specifically blocks SDF-1 binding to CXCR4 with IC_{50} value of 0.079 nM, and inhibits SDF-1–induced GTP binding with K_b value of 0.38 nM. In human lymphoma U937 cells expressing endogenous CXCR4, LY2510924 inhibits SDF-1–induced cell migration with IC_{50} value of 0.26 nM and inhibits SDF-1/CXCR4-mediated intracellular signaling. LY2510924 exhibits a concentration-dependent inhibition of SDF-1–stimulated phospho-ERK and phospho-Akt in tumor cells. Biochemical and cellular analyses reveals that LY2510924 has no apparent agonist activity[1]. LY2510924 chiefly inhibits the proliferation of AML cells with little induction of cell death and reduces protection against chemotherapy by stromal cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay	LY2510924 specifically blocks SDF-1 binding to CXCR4 with IC_{50} value of 0.079 nM, and inhibits SDF-1–induced GTP binding with K_b value of 0.38 nM. In human lymphoma U937 cells expressing endogenous CXCR4, LY2510924 inhibits SDF-1–induced cell migration with IC_{50} value of 0.26 nM and inhibits SDF-1/CXCR4-mediated intracellular signaling. LY2510924 exhibits a concentration-dependent inhibition of SDF-1–stimulated phospho-ERK and phospho-Akt in tumor cells. Biochemical and cellular analyses reveals that LY2510924 has no apparent agonist activity[1]. LY2510924 chiefly inhibits the proliferation of AML cells with little induction of cell death and reduces protection against chemotherapy by stromal cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: SCID female mice are injected intravenously with MDA-MB-231 cells, and are treated subcutaneously with vehicle (1×PBS) or 3 mg/kg of LY2510924 formulated in 1×PBS. Group 1 and 2 animals receive vehicle or 3 mg/kg of LY2510924 twice daily for days with treatment beginning on one day before tumor cell injection. Group 3 animals receive 3 mg/kg of LY2510924 15 twice daily for 13 days with treatment beginning one day after tumor cell injection. After treatment, lung tissues are fixed in 10% neutral-buffered formalin for at least 24 hours and lung lobes are present in histologic sections[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2018 Jul 4;9(1):2612.
- Proc Natl Acad Sci U S A. 2020 Nov 17;117(46):29144-29154.
- J Control Release. 2021 Jan 10;329:524-537.
- J Lipid Res. 2019 Dec;60(12):2020-2033.
- Cancer Gene Ther. 2020 Feb;27(1-2):45-55.

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REFERENCES

[1]. Peng SB, et al. Identification of LY2510924, a novel cyclic peptide CXCR4 antagonist that exhibits antitumor activities in solid tumor and breast cancer metastatic models. Mol Cancer Ther. 2015 Feb;14(2):480-90.

[2]. Cho BS, et al. Antileukemia activity of the novel peptidic CXCR4 antagonist LY2510924 as monotherapy and in combination with chemotherapy. Blood. 2015 Jul 9;126(2):222-32.

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