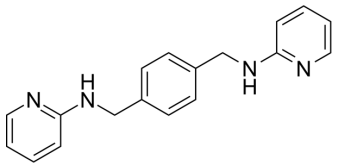
WZ811

Cat. No.:	HY-15478
CAS No.:	55778-02-4
Molecular Formula:	$C_{18}H_{18}N_4$
Molecular Weight:	290.36
Target:	CXCR
Pathway:	GPCR/G Protein; Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (34.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4440 mL	17.2200 mL	34.4400 mL
	5 mM	0.6888 mL	3.4440 mL	6.8880 mL
	10 mM	0.3444 mL	1.7220 mL	3.4440 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (3.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (3.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WZ811 is an orally active, highly potent competitive antagonist of CXCR4. WZ811 efficiently inhibits CXCR4/SDF-1 (or CXCL12)-mediated modulation of cAMP levels (EC_{50}=1.2 nM) and SDF-1 induced Matrigel invasion in cells (EC_{50}=5.2 nM)[1].

IC_{50} & Target

CXCR4
0.3 nM (EC50)

In Vitro

WZ811 (Compound 32) is a potent CXCR4 antagonist, effectively inhibits TN14003 binding to CXCR4, with an EC_{50} of 0.3 nM[1].

WZ811 blocks SDF-1 mediated modulation cAMP levels in U87 glioma cells (EC_{50}=1.2 nM) and Matirgel infiltration of MDA-MB-231 cells (EC_{50}=5.2 nM)[1].

	WZ811 (1-40 μM) inhibits TF-1 and UT-7 cells proliferation in a dose dependent manner both after treatment for 24 h and 48 h. Moreover, WZ811 (5 μM) induces cell apoptosis and enhances the sensitivity of cells to docetaxel. In addition, WZ811 inhibits aggressiveness markers and induces apoptosis in chronic lymphocytic leukemia cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	WZ811 (40 mg/kg, p.o.) blocks the lymphocytic leukemia cells growth on mouse xenograft models, and inhibits CXCR4/PI3K/AKT signaling pathway in mouse xenograft model of lymphocytic leukemia[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	In brief, cells are treated with WZ811 at 37°C for 24 h. After collection and washing with phosphate-buffered saline (PBS) buffer, cells are resuspended with staining buffer at a final density of 1×10^6/mL. Then, 5 μL annexin V-APC is added to 100 μL cell suspensions and incubated at room temperature in the dark for 10 min. Finally, cells are analyzed with FACS Calibur to determine cell apoptosis profiles[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] A total of 1×10^6 TF-1 cells in 100 μL of PBS are injected subcutaneously into dorsal flanks of an immunodeficient nude mouse. The animals are treated with WZ811 (40 mg/kg), or WZ811 once daily by oral gavage once the tumors have reached 100 mm^3. Tumor growth and body weight is measured every three days during the treatment. The tumor volume (TV) is calculated every 3 days according to the following standard formula: TV (mm^3) = length × $width^2$ × 0.5[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Br J Haematol. 2022 Dec 19.
- Dis Markers. 21 Jun 2022.

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REFERENCES

[1]. WZ811, et al. Discovery of small molecule CXCR4 antagonists. J Med Chem. 2007 Nov 15;50(23):5655-64.

[2]. Li SH, et al. Suppression of chronic lymphocytic leukemia progression by CXCR4 inhibitor WZ811. Am J Transl Res. 2016 Sep 15;8(9):3812-3821.

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