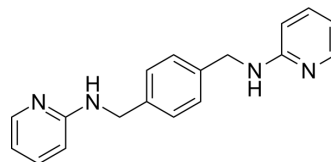


WZ811

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)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 1 mg/mL (3.44 mM); Clear solution 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] .
IC₅₀ & Target	CXCR4 0.3 nM (EC ₅₀)
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 Matrigel infiltration of MDA-MB-231 cells (EC ₅₀ =5.2 nM) ^[1] .

WZ811 (1-40 μ M) 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 μ M) 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 μ L annexin V-APC is added to 100 μ L 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 μ L 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 mm³. 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 (mm³) = length \times width² \times 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.

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

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