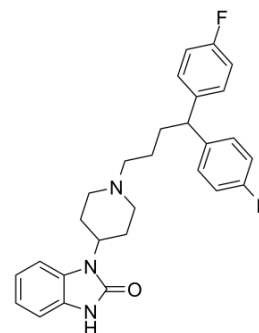


Pimozide

Cat. No.:	HY-12987		
CAS No.:	2062-78-4		
Molecular Formula:	C ₂₈ H ₂₉ F ₂ N ₃ O		
Molecular Weight:	461.55		
Target:	Dopamine Receptor; Adrenergic Receptor; STAT		
Pathway:	GPCR/G Protein; Neuronal Signaling; JAK/STAT Signaling; Stem Cell/Wnt		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (36.12 mM; Need ultrasonic)
 H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.1666 mL	10.8331 mL	21.6661 mL
	5 mM	0.4333 mL	2.1666 mL	4.3332 mL
	10 mM	0.2167 mL	1.0833 mL	2.1666 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 1.67 mg/mL (3.62 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 1.67 mg/mL (3.62 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 1.67 mg/mL (3.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pimozide is a dopamine receptor antagonist, with K_is of 1.4 nM, 2.5 nM and 588 nM for dopamine D₂, D₃ and D₁ receptors, respectively, and also has affinity at α₁-adrenoceptor, with a K_i of 39 nM; Pimozide also inhibits STAT3 and STAT5.

IC₅₀ & Target

Dopamine D ₂ receptor 1.4 nM (K _i)	Dopamine D ₃ receptor 2.5 nM (K _i)	Dopamine D ₁ receptor 588 nM (K _i)	α ₁ -adrenoceptor 39 nM (K _i)
STAT3	STAT5		

In Vitro

Pimozide is a dopamine receptor antagonist, with K_{iS} of 1.4 nM, 2.5 nM and 588 nM for dopamine D2, D3 and D1 receptors, respectively; also has affinity at $\alpha 1$ -adrenoceptor and 5-HT1A, with K_{iS} of 39 nM and 310 nM, respectively^[1]. Pimozide acts as an inhibitor of STAT3. Pimozide (0-15 μ M) shows inhibitory of the proliferation of U2OS cells, with IC_{50} value at 24, 48, and 72 h of 22.16 ± 2.54 , 17.49 ± 1.14 and $13.78 \pm 0.34 \mu$ M, respectively. Pimozide (10 μ M) inhibits the colony- and sphere-forming abilities of osteosarcoma cells. Pimozide (15 μ M) induces G0/G1 phase cell cycle arrest, suppresses the extracellular signal-regulated kinase (Erk) signaling to inhibit cell viability, and produces ROS generation through inhibiting antioxidant enzyme gene catalase expression in osteosarcoma cells^[2]. Pimozide acts as an inhibitor of STAT5. Pimozide reduces the expression of endogenous STAT5 target genes, and decreases STAT5 tyrosine phosphorylation^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[2]

Cell proliferation is assessed by WST-8 colorimetric assay. Human osteosarcoma cells are plated in 96-well plates with 2,500 cells per well and exposed to the treatment of different concentrations of pimozide for various time intervals (24 h, 48 h, and 72 h). The WST-8 solution is added to each well after indicated time. After incubated at 37°C for another 4 hours, the absorbance is measured at 450 nm using a multi-well plate reader^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int Immunopharmacol. 2020 Jul;84:106500.

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REFERENCES

[1]. Ybema CE, et al. Adrenoceptors and dopamine receptors are not involved in the discriminative stimulus effect of the 5-HT1A receptor agonist flesinoxan. Eur J Pharmacol. 1994 Apr 21;256(2):141-7.

[2]. Cai N, et al. The STAT3 inhibitor pimozide impedes cell proliferation and induces ROS generation in human osteosarcoma by suppressing catalase expression. Am J Transl Res. 2017 Aug 15;9(8):3853-3866. eCollection 2017.

[3]. Erik A. Nelson, et al. The STAT5 inhibitor pimozide decreases survival of chronic myelogenous leukemia cells resistant to kinase inhibitors. Blood. 2011 Mar 24; 117(12): 3421-3429.

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