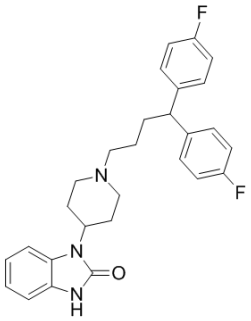
Pimozide

Cat. No.:	HY-12987
CAS No.:	2062-78-4
Molecular Formula:	$C_{28}H_{29}F_2N_3O$
Molecular Weight:	461.55
Target:	Dopamine Receptor; Adrenergic Receptor; STAT
Pathway:	GPCR/G Protein; Neuronal Signaling; JAK/STAT SignalingStem 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 : 33.33 mg/mL (72.21 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	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

1. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pimozide is a **dopamine receptor** antagonist, with **K_i**s 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**.

IC_{50} & Target

Ki: 1.4 nM (Dopamine D2 receptor), 2.5 nM (Dopamine D3 receptor), 588 nM (Dopamine D1 receptor), 39 nM (α1-adrenoceptor), 310 nM (5-HT1A)[1]
STAT3[2], STAT5[3]

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 α1-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 μM) 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 ± 0.34 μM, respectively. Pimozide (10 μM) inhibits the colony- and sphere-forming abilities of osteosarcoma cells. Pimozide (15 μM) 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].

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 ismeasured 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.

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.

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