

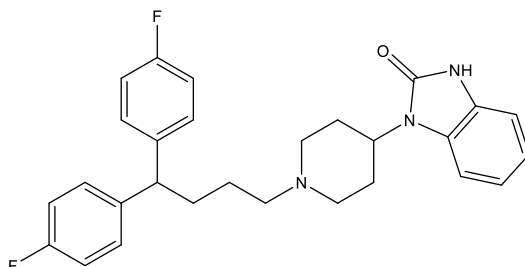
Catalog #10-2780

Pimozide

CAS# 2062-78-4

1-[1-[4,4-bis(4-Fluorophenyl)butyl]-4-piperidinyl]-1,3-dihydro-2H-benzimidazol-2-one; R6238

Lot # E107491



Potent antagonist of dopamine receptors D_2 , D_3 , and D_4 receptors ($K_i = 2.4$, 0.3 , and 1.8 nM, respectively), as well as the $5-HT_7$ receptor ($K_i = 0.5$ nM).^{1,2} At higher concentrations it blocks additional receptors ($K_i = 18 - >10,000$ nM) and ion channels ($IC_{50} = 42$ nM and higher).¹⁻³ Pimozide has also been shown to be a novel tool for inhibiting STAT5, reducing the expression of STAT5 target genes and inhibiting STAT5 tyrosine phosphorylation (however it does not inhibit tyrosine kinases).⁴ It has become a useful and reliable tool for studying STAT5 signaling.⁵

- 1) Burstein *et al.* (2005) *Intrinsic efficacy of antipsychotics at human D_2 , D_3 , and D_4 dopamine receptors: Identification of the clozapine metabolite N-desmethylozapine as a D_2/D_3 partial agonist*; J. Pharmacol. Exp. Ther. **315** 1278
- 2) Fukuyama *et al.* (2023) *Therapeutic Potential and Limitation of Serotonin Type 7 Receptor Modulation*; Int. J. Mol. Sci. **24** 2070
- 3) Roufos *et al.* (1996) *A structure-activity relationship study of novel phenylacetamides which are sodium channel blockers*; J. Med. Chem. **39** 1514
- 4) Nelson *et al.* (2011) *The STAT5 inhibitor pimozide decreases survival of chronic myelogenous leukemia cells resistant to kinase inhibitors*; Blood **117** 3421
- 5) Liu *et al.* (2022) *Targeting STAT5 Signaling Overcomes Resistance to IDH Inhibitors in Acute Myeloid Leukemia through Suppression of Stemness*; Cancer Res. **82** 4325

PHYSICAL DATA

Molecular Weight:	461.56
Molecular Formula:	C ₂₈ H ₂₉ F ₂ N ₃ O
Purity:	>98% (HPLC)
	NMR: (Conforms)
Solubility:	DMSO (30 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.

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