Product Data Sheet

Product Name: Pimozide-d4-1
Cat. No.: GC67752

Chemical Properties

Cas. No.			
Formula	$C_{28}H_{25}D_4F_2N_3O$	M.Wt	465.57
Solubility	DMF : ≥ 30 mg/mL (64.44 mM); DMSO : ≥ 30 mg/mL (64.44 mM); Ethanol : ≥ 3 mg/mL (6.44 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Pimozide-d4-1		

Background

Pimozide-d4-1 is the deuterium labeled Pimozide. Pimozide is a dopamine receptor antagonist, with Kis 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 Ki of 39 nM; Pimozide also inhibits STAT3 and STAT5[1][2][3][4].

Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[4].

[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.

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[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.
[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-223.

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