

Product Data Sheet

Product Name: Carvedilol-d5

Cat. No.: GC47045

Chemical Properties

Cas. No. 929106-58-1

SMILES COC1=C(OCCNC([2H])([2H])C(C([2H])([2H])OC2=C(C(C=CC=C3)=C3N4)C4=CC=C2)([2H])O)C=CC=C1

Formula C₂₄H₂₁D₅N₂O₄

M.Wt 411.5

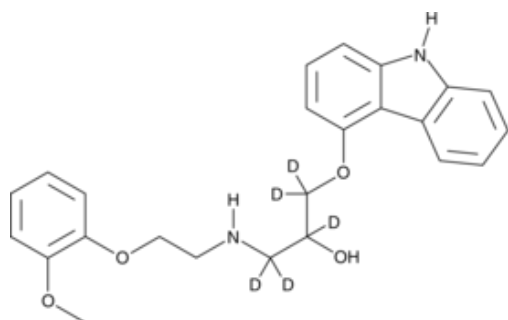
Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml, Ethanol: 5 mg/ml

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

Carvedilol-d₅ is intended for use as an internal standard for the quantification of carvedilol by GC- or LC-MS. Carvedilol is a non-selective antagonist of the β -adrenergic receptor (β -AR; K_{ds} = 1.78, 0.4, and 5.01 nM for β_1 -, β_2 -, and β_3 -ARs, respectively).¹ It also binds to α_1 -, but not α_2 -, adrenergic receptors (K_i s = 0.81 and 3,400 nM, respectively).² Carvedilol reverses increases in heart rate induced by the β_1 -AR agonist isoproterenol in isolated guinea pig atria (K_b = 0.8 nM) and induces relaxation of isolated precontracted guinea pig trachea (K_b = 1.3 nM).³ It prevents epinephrine-induced premature ventricular beats in a rat model of arrhythmia with an ED₅₀ value of 0.25 mg/kg.²

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Carvedilol also inhibits the contractile response to the α_1 -AR agonist norepinephrine in isolated rabbit aorta ($K_b = 11$ nM).³ It decreases systolic blood pressure and heart rate in rat models of hypertension, including spontaneously hypertensive, renal hypertensive, and deoxycorticosterone acetate-treated rats when administered at doses ranging from 3 to 30 mg/kg.⁴ Carvedilol also activates cardioprotective signaling through β -arrestin and ERK1/2 activation.^{5,6,7} Formulations containing carvedilol have been used in the treatment of congestive heart failure and hypertension.

1. Baker, J.G. The selectivity of β -adrenoceptor antagonists at the human β_1 , β_2 and β_3 adrenoceptors Br. J. Pharmacol. 144(3)317-322(2005) 2. Groszek, G., Nowak-Król, A., Wdowik, T., et al. Synthesis and adrenolytic activity of 1-(1H-indol-4-yloxy)-3-(2-(2-methoxyphenoxy)ethylamino)propan-2-ol analogs and its enantiomers. Part 2 Eur. J. Med. Chem. 44(12)5103-5111(2009) 3. Nichols, A.J., Sulpizio, A.C., Ashton, D.J., et al. In vitro pharmacologic profile of the novel beta-adrenoceptor antagonist and vasodilator, carvedilol Pharmacology 39(5)327-336(1989) 4. Tanaka, M., Masumura, H., Tanaka, S., et al. Studies on the antihypertensive properties of carvedilol, a compound with beta-blocking and vasodilating effects J. Cardiovasc. Pharmacol. 10(Suppl 11)S52-S57(1987) 5. Wisler, J.W., DeWire, S.M., Whalen, E.J., et al. A unique mechanism of β -blocker action: Carvedilol stimulates β -arrestin signaling Proc. Natl. Acad. Sci. U.S.A. 104(42)16657-16662(2007) 6. Kim, I.M., Tilley, D.G., Chen, J., et al. β -blockers alprenolol and carvedilol stimulate β -arrestin-mediated EGFR transactivation Proc. Natl. Acad. Sci. U.S.A. 105(38)14555-14560(2008) 7. Ibrahim, I.A.A.E.H., and Kurose, H. β -Arrestin-mediated signaling improves the efficacy of therapeutics J. Pharmacol. Sci. 118(4)408-412(2012)

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA