Product Data Sheet

Product Name: Carvedilol phosphate hemihydrate
Cat. No.: GC35613

Chemical Properties

Cas. No.	610309-89-2		
SMILES	O=P(OO)=O.OC(CNCCOC1=CC=CC=C1OC)COC2=CC=CC(N3)=C2C4=C3C=CC=C4.[HH].[0.5H2O]		
Formula	$C_{24}H_{26}N_2O_4$. H_3PO_4 .$_1/_2H_2O$	M.Wt	513.48
Solubility	DMSO : 100mg/mL	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			

Background

Carvedilol is an 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 selectively binds to α_1- over α_2-ARs (K_is = 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).[3] It prevents epinephrine-induced premature ventricular beats in a rat model of arrhythmia with an ED_{50} value of 0.25 mg/kg.[2] Carvedilol 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 DOCA-salt hypertensive rats when administered at doses ranging from 3 to 30 mg/kg, as well as activates cardioprotective signaling through β-arrestin and ERK1/2 activation.[4,5,6,7] Carvedilol also inhibits severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) main protease (M^{pro}), also known as 3C-like protease ($3CL^{pro}$; IC_{50} = 204.6 ?g/ml) and reduces viral infectivity in SARS-CoV-2-infected Vero E6 cells (IC_{50} = 0.350 ?g/ml).[8] Formulations containing carvedilol have been used in the treatment of congestive heart failure and hypertension.

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1.Baker, J.G.The selectivity of β-adrenoceptor antagonists at the human β1, β2 and β3 adrenoceptorsBr. 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-methoxy phenoxy)ethylamino)propan-2-ol analogs and its enantiomers. Part 2Eur. 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, carvedilolPharmacology39(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 effectsJ. 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 signalingProc. Natl. Acad. Sci. USA104(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 transactivationProc. Natl. Acad. Sci. USA105(38)14555-14560(2008) 7.Ibrahim, I.A.A.E.H., and Kurose, H.β-Arrestin-mediated signaling improves the efficacy of therapeuticsJ. Pharmacol. Sci.118(4)408-412(2012) 8.Hamed, M.I.A., Darwish, K.M., Soltane, R., et al.β-Blockers bearing hydroxyethylamine and hydroxyethylene as potential SARS-CoV-2 Mpro inhibitors: Rational based design, in silico, in vitro, and SAR studies for lead optimizationRSC Adv.11(56)35536-35558(2021)

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