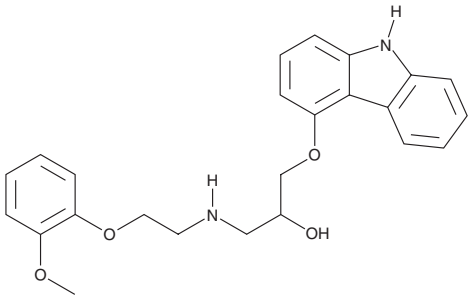
PRODUCT INFORMATION

Carvedilol

Item No. 15418

CAS Registry No.:	72956-09-3
Formal Name:	1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol
Synonym:	BM 14190
MF:	$C_{24}H_{26}N_2O_4$
FW:	406.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 243, 286, 321, 333 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Carvedilol is supplied as a crystalline solid. A stock solution may be made by dissolving the carvedilol in the solvent of choice, which should be purged with an inert gas. Carvedilol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of carvedilol in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Carvedilol is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, carvedilol should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Carvedilol has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

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 (Item No. 15592) 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.[4-7] 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 µg/ml) and reduces viral infectivity in SARS-CoV-2-infected Vero E6 cells (IC_{50} = 0.350 µg/ml).[8] Formulations containing carvedilol have been used in the treatment of congestive heart failure and hypertension.

References

1. Baker, J.G. *Br. J. Pharmacol.* **144(3)**, 317-322 (2005).
2. Groszek, G., Nowak-Król, A., Wdowik, T., *et al. Eur. J. Med. Chem.* **44(12)**, 5103-5111 (2009).
3. Nichols, A.J., Sulpizio, A.C., Ashton, D.J., *et al. Pharmacology* **39(5)**, 327-336 (1989).
4. Tanaka, M., Masumura, H., Tanaka, S., *et al. J. Cardiovasc. Pharmacol.* **10(Suppl 11)**, S52-S57 (1987)
5. Wisler, J.W., DeWire, S.M., Whalen, E.J., *et al. Proc. Natl. Acad. Sci. USA* **104(42)**, 16657-16662 (2007).
6. Kim, I.M., Tilley, D.G., Chen, J., *et al. Proc. Natl. Acad. Sci. USA* **105(38)**, 14555-14560 (2008).
7. Ibrahim, I.A.A.E.H. and Kurose, H. *J. Pharmacol. Sci.* **118(4)**, 408-412 (2012).
8. Hamed, M.I.A., Darwish, K.M., Soltane, R., *et al. RSC Adv.* **11(56)**, 35536-35558 (2021).

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