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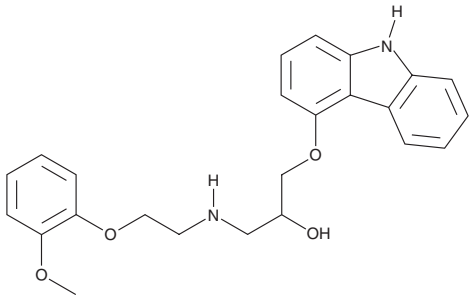
PRODUCT INFORMATION

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: ≥2 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. Carvedilol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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 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_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) 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 ED_{50} value of 0.25 mg/kg.[2] 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.[5-7] Formulations containing carvedilol have been used in the treatment of congestive heart failure and hypertension.

References

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