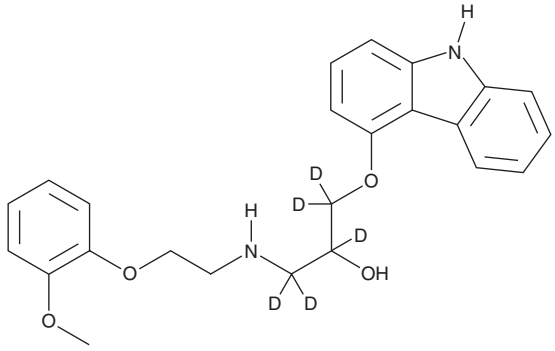
PRODUCT INFORMATION

Carvedilol-d_5

Item No. 25218

CAS Registry No.: 929106-58-1
Formal Name: 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propan-1,1,2,3,3-d_5-ol
MF: $C_{24}H_{21}D_5N_2O_4$
FW: 411.5
Chemical Purity: ≥98% (Carvedilol)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as: A 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-d_5 is intended for use as an internal standard for the quantification of carvedilol (Item No. 15418) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Carvedilol-d_5 is supplied as a solid. A stock solution may be made by dissolving the carvedilol-d_5 in the solvent of choice, which should be purged with an inert gas. Carvedilol-d_5 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of carvedilol-d_5 in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF

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] It 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

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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).
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