

Product Data Sheet

Product Name: Carazolol

Cat. No.: GC13180

Chemical Properties

Cas. No. 57775-29-8

Chemical Name 1-(9H-carbazol-4-yloxy)-3-[(1-methylethyl)amino]-2-propanol

SMILES OC(CNC(C)C)COC1=C(C(C=CC=C2)=C2N3)C3=CC=C1

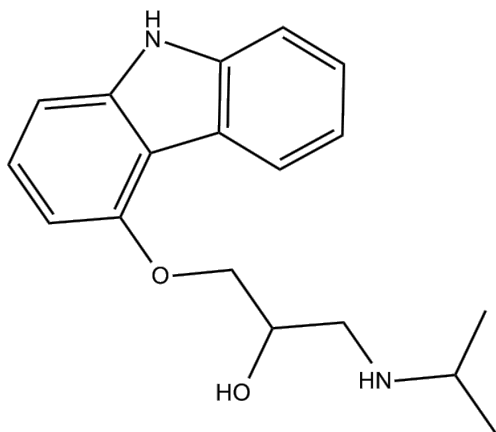
Formula $C_{18}H_{22}N_2O_2$ M.Wt 298.4

Solubility $\geq 14.8\text{mg/mL}$ in DMSO 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

Carazolol is a high-affinity, lipophilic, and non-selective ligand of the β -adrenergic receptors [1,2].

β -adrenergic receptors have been involved in mediating the physiological responses of the catecholamines, epinephrine and norepinephrine and modulating a myriad of physiological functions, such as relaxation of smooth muscle, chronotropic and inotropic cardiac responses, and lipolysis in adipose tissue. The β -adrenergic receptors exist in nearly all mammalian tissues. Until now, three β -

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adrenergic receptors have been identified, β 1-, β 2- and β 3-adrenergic receptors [3].

In CHO cells expressing the human β 3-adrenoceptor, the K_i value of carazolol was 2.0 ± 0.2 and the IC_{50} was 11.3 ± 1.2 nM. Carazolol exhibited an EC_{50} of 25 nM and behaved as a full agonist (intrinsic activity = 0.97) towards the murine β 3-adrenoceptor. In murine 3T3-F442A-derived adipocytes express the β 3-adrenoceptor, carazolol stimulated lipolysis [1]. Carazolol bound to cortical β -receptors with a K_d value of 0.15 nM. Carazolol showed equal displacements constants when binding with calf cerebral cortex (which contained mainly β 1 receptors) and calf cerebellum (which contained mainly β 2 receptors), indicating that carazolol bound with equal affinity to β 1 and β 2 receptors [2].

References:

- [1] Méjean A, Guillaume J L, Strosberg A D. Carazolol: a potent, selective β 3-adrenoceptor agonist[J]. European Journal of Pharmacology: Molecular Pharmacology, 1995, 291(3): 359-366.
- [2] Innis R B, Corrêa F M A, Snyder S H. Carazolol, an extremely potent β -adrenergic blocker: Binding to β -receptors in brain membranes [J]. Life sciences, 1979, 24(24): 2255-2264.
- [3] Pellegrino S M, Lee N H, Fraser C M. β -Adrenergic receptors[J]. Biomembranes: A Multi-Volume Treatise, 1996, 2: 245-279.

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