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	≥ 14.8mg/mL in DMSO	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	Carazolol		

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-adrenergic receptors have been identified, β1-, β2- and β3-adrenergic receptors [3].

In CHO cells expressing the human β3-adrenoceptor, the Ki value of carazolol was 2.0 ± 0.2 and the IC50 was 11.3 ± 1.2 nM. Carazolol exhibited an ECs0 of 25 nM and behaved as a full agonist (intrinsic activity = 0.97) towards the murine β3-adrenoceptor. In murine 3T3-F442A-derived adipocytes express the β3-adrenoceptor, carazolol stimulated lipolysis [1]. Carazolol bound to cortical β-receptors with a Kd value of 0.15 nM. Carazolol

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showed equal displacements constants when binding with calf cerebral cortex (which contained mainly β1 receptors) and calf cerebellum (which contained mainly β2 receptors), indicating that carazolol bound with equal affinity to β1 and β2 receptors [2].

References:

[1] Méjean A, Guillaume J L, Strosberg A D. Carazolol: a potent, selective β3-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.