

Catalog Number: CM02562

产品信息

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CM02562

CAS号:
65-28-1

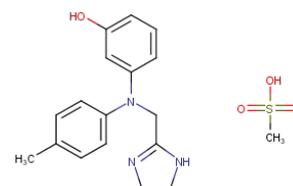
分子式:
C₁₇H₁₉N₃O·CH₄O₃S

主要靶点:
Adrenergic Receptor

主要通路:
G蛋白偶联受体|神经科学

分子量:
377.46

溶解度:

DMSO:37.8 mg/mL (100
mM),H₂O:37.8 mg/mL (100 mM)


靶点活性

α -adrenoceptor:5 - 30 nM

体外活性

Phentolamine mesylate displaces binding of the selective alpha 1 receptor antagonists [¹²⁵I]HEAT and [³H]prazosin and the alpha 2 receptor antagonists [³H]rauwolscine and [³H]RX 821002 with relatively high affinity in corpus cavernosum membranes. Phentolamine mesylate causes concentration dependent relaxation in erectile tissue strips pre-contracted with adrenergic agonists phenylephrine, norepinephrine, oxymetazoline and UK 14,304, as well as with non-adrenergic contractile agents Endothelin and KCl. Phentolamine mesylate induces relaxation of corpus cavernosum erectile tissue by direct antagonism of alpha 1 and 2 adrenergic receptors and by indirect functional antagonism via a non-adrenergic, endothelium-mediated mechanism suggesting nitric oxide synthase activation. [1] Phentolamine, an alpha-adrenergic antagonist, blocks the vasoconstriction associated with the epinephrine used in dental anesthetic formulations, thus enhancing the systemic absorption of the local anesthetic from the injection site. [2]

体内活性

Phentolamine is a reversible competitive alpha-adrenergic antagonist with similar affinities for alpha1 and alpha2 receptors. Phentolamine mesylate causes vasodilatation and thus hypotension by decreasing peripheral vascular resistance. [4] Phentolamine mesylate (30 and 100 nM) dose-dependently enhances electrical field stimulation-induced relaxation of the rabbit corpus cavernosum. Phentolamine relaxes rabbit corpus cavernosum independent of alpha-adrenergic receptor blockade. Phentolamine mesylate relaxes nonadrenergic noncholinergic neurons of the rabbit corpus cavernosum by activating NO synthase and is independent of alpha-adrenergic receptor blockade. [5]

描述

Phentolamine mesylate is a nonselective alpha-adrenergic antagonist. It is used in the treatment of hypertension and hypertensive emergencies, pheochromocytoma, vasospasm of RAYNAUD DISEASE and frostbite, clonidine withdrawal syndrome, impotence, and peripheral vascular disease.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years