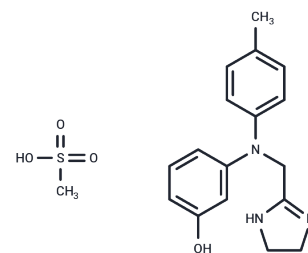


Phentolamine mesylate

Chemical Properties

CAS No. :	65-28-1
Formula:	C ₁₇ H ₁₉ N ₃ O·CH ₄ O ₃ S
Molecular Weight:	377.46
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Phentolamine mesylate (Phentolamine methanesulfonate) 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.
Targets(IC50)	Adrenergic Receptor
In vitro	Phentolamine mesylate displaces binding of the selective alpha 1 receptor antagonists [¹²⁵ I]HEAT and [³ H]prazosin and the alpha 2 receptor antagonists [³ H]rauwolscine and [³ H]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]
In vivo	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]

Solubility Information

Solubility	H ₂ O: 37.8 mg/mL (100 mM), DMSO: 60 mg/mL (158.96 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6493 mL	13.2464 mL	26.4929 mL
5 mM	0.5299 mL	2.6493 mL	5.2986 mL
10 mM	0.2649 mL	1.3246 mL	2.6493 mL
50 mM	0.053 mL	0.2649 mL	0.5299 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Traish A, et al. Int J Impot Res,1998, 10(4), 215-223.
Moore PA, et al. Anesth Prog,2008, 55(2), 40-48.

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