Data Sheet (Cat.No.T1158)



Phenoxybenzamine hydrochloride

Chemical Properties

CAS No.: 63-92-3

Formula: C18H23Cl2NO

Molecular Weight: 340.3

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Phenoxybenzamine hydrochloride (NCI-c01661) is the hydrochloride salt form of phenoxybenzamine, a synthetic, dibenzamine alpha-adrenergic antagonist with antihypertensive and vasodilatory properties. Phenoxybenzamine non-selectively and irreversibly blocks the postsynaptic alpha-adrenergic receptor in smooth muscle, thereby preventing vasoconstriction, relieving vasospasms, and decreasing peripheral resistance. Reflex tachycardia may occur and may be enhanced by blockade of alpha-2 receptors which enhances norepinephrine release. Phenoxybenzamine is reasonably anticipated to be a human carcinogen.
Targets(IC50)	CaMK,Adrenergic Receptor
In vitro	The IC50 (100 nM) derived from the blockade of [3H]yohimbine binding by Phenoxybenzamine hydrochloride is significantly less than the IC50 (550 nM) for the corresponding reversal by Phenoxybenzamine hydrochloride of the effects of norepinephrine on cyclic AMP accumulation[1]. Phenoxybenzamine hydrochloride (50 nM) in conbination with Phenoxybenzamine hydrochloridetolamine (1000 nM) enhances Phenoxybenzamine hydrochlorideylephrine-induced contraction compared with pretreatment with Phenoxybenzamine hydrochloride (50 nM) alone in endothelium-intact aortae. Combined treatment with either dexmedetomidine (300 or 1000 nM) and Phenoxybenzamine hydrochloride (50 nM) or Phenoxybenzamine hydrochloride (50 nM) enhance Phenoxybenzamine hydrochlorideylephrine-induced contraction compared with Phenoxybenzamine hydrochloride alone (50 nM). In addition, combined treatment with Phenoxybenzamine hydrochloridetolamine and Phenoxybenzamine hydrochloride enhances Phenoxybenzamine hydrochlorideylephrine-induced contraction compared with dexmedetomidine (1000 nM) and Phenoxybenzamine hydrochloride combined treatment. Combined treatment with high concentrations of dexmedetomidine (1000 nM) and Phenoxybenzamine hydrochlorideylephrine-induced contraction compared with combined treatment with low concentrations of dexmedetomidine (300 nM) and Phenoxybenzamine hydrochloride[2].
In vivo	Phenoxybenzamine hydrochloride (20 nM, s.c.) effectively suppresses the tumorigenesis of glioma cells in mice and the cell density in Phenoxybenzamine hydrochloride-U87 mg xenografts decreases significantly[3]. Phenoxybenzamine hydrochloride (1 mg/kg, i.v.) treated rats shows significant improvements in NSS and foot fault scoring[4].

Page 1 of 2 www.targetmol.com

Cell Research

Phenoxybenzamine hydrochloride is dissolved in DMSO. After cytometry, 1×3 cells are implanted in a 96-well plate in $100~\mu$ L DMEM supplemented with 10~% FBS. Ten microliter (10~% of the total volume) WST-1 (Water Soluble Tetrazolium) is added to cells and incubated at 37° C for 30~min before colorimetric assay with 450~nm excitation and 630~nm emission at 24~h intervals up to 96~h. The mean fluorescence value is counted, and the cell number is determined using the standard curve.

Solubility Information

Solubility

Ethanol: 63 mg/mL (185.13 mM), Sonication is recommended.

H2O: 14 mg/mL (41.14 mM), Sonication is recommended.

DMSO: 55 mg/mL (161.62 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9386 mL	14.6929 mL	29.3858 mL
5 mM	0.5877 mL	2.9386 mL	5.8772 mL
10 mM	0.2939 mL	1.4693 mL	2.9386 mL
50 mM	0.0588 mL	0.2939 mL	0.5877 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

Lenox, R.H., et al, Alpha 2-adrenergic receptor-mediated regulation of adenylate cyclase in the intact human platelet. Evidence for a receptor reserve. Mol Pharmacol, 1985. 27(1): p. 1-9.

Byon HJ, et al. Dexmedetomidine Inhibits Phenylephrine-induced Contractions via Alpha-1 Adrenoceptor Blockade and Nitric Oxide Release in Isolated Rat Aortae. Int J Med Sci. 2017 Feb 7;14(2):143-149.

Lin XB, et al. Anti-tumor activity of phenoxybenzamine hydrochloride on malignant glioma cells. Tumour Biol. 2016 Mar; 37(3):2901-8.

Rau TF, et al. Phenoxybenzamine is neuroprotective in a rat model of severe traumatic brain injury. Int J Mol Sci. 2014 Jan 20;15(1):1402-17.

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Page 2 of 2 www.targetmol.com