产品名称：盐酸酚苄明

产品别名：**Phenoxybenzamine hydrochloride**

生物活性：

Description	Phenoxybenzamine hydrochloride is a selective antagonist of both α-adrenoceptor and calmodulin that is commonly used for the treatment of hypertension, specifically caused by pheochromocytoma.
In Vitro	The IC_{50} (100 nM) derived from the blockade of [^{3}H]yohimbine binding by Phenoxybenzamine hydrochloride is significantly less than the IC_{50} (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 hydrochloridetolamine (1000 nM) and 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 hydrochloride enhances Phenoxybenzamine hydrochlorideylephrine-induced contraction compared with combined treatment with low concentrations of dexmedetomidine (300 nM) and Phenoxybenzamine hydrochloride[2]. Phenoxybenzamine hydrochloride (0.1-100 μM) inhibits glioma proliferation, migration, and invasion and suppresses the tumorigenesis capacity. Phenoxybenzamine hydrochloride also inhibits self-renewal of glioma stem-like cells. Phenoxybenzamine hydrochloride activates LINGO-1 and inhibits the TrkB-Akt pathway[3]. Phenoxybenzamine hydrochloride (0.1 μM-1 mM) preserves primary neurons within the CA1, CA3 and dentate gyrus and produces a robust neuroprotective effect, and prevents neuronal death from OGD in all regions of the hippocampus when delivered at 2, 4, and 8 h post-OGD at 100 μM[4].
In Vivo	Phenoxybenzamine hydrochloride (20 nM, s.c.) effectively suppresses the tumorigenesis of glioma cells in mice and the cell density in Phenoxybenzamine hydrochloride-U87MG xenografts decreases significantly[3]. Phenoxybenzamine hydrochloride (1 mg/kg, i.v.) treated rats shows significant improvements in NSS and foot fault scoring[4].

In Vitro:

DMSO : 100 mg/mL (**293.87 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9387 mL	14.6933 mL	29.3867 mL
5 mM	0.5877 mL	2.9387 mL	5.8773 mL
10 mM	0.2939 mL	1.4693 mL	2.9387 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

Solvent&Solubility	***In Vivo:*** 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (7.35 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.35 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.35 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.35 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.35 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.35 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. 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. [2]. 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. [3]. Lin XB, et al. Anti-tumor activity of phenoxybenzamine hydrochloride on malignant glioma cells. Tumour Biol. 2016 Mar;37(3):2901-8. [4]. 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.

实验参考：

Cell Assay	After cytometry, 1×³ cells are implanted in a 96-well plate in 100 μL 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. [3]
Animal Administration	U87MG cells are injected into both flanks of the nude mice subcutaneously at a dose of 2.0×³/200 μL per side. Eight days after injection, neoplasm growth is observed macroscopically on both sides of the mice. Then, 20 nM phenoxybenzamine hydrochloride is injected into the right side subcutaneously at a 2-day interval, and the dissolvent DMSO is used as control. The tumor volume (V) is determined by measuring the length (a) and the width (b) and calculated using the equation:

	$V=(ab)^2/2$. [3]
References	[1]. 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. [2]. 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. [3]. Lin XB, et al. Anti-tumor activity of phenoxybenzamine hydrochloride on malignant glioma cells. Tumour Biol. 2016 Mar;37(3):2901-8. [4]. 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.