# Phentolamine mesylate

Cat. No.: HY-B0362A CAS No.: 65-28-1 Molecular Formula:  $C_{18}H_{23}N_3O_4S$ 

Molecular Weight: 377.46

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture

and light)

**Product** Data Sheet

## **SOLVENT & SOLUBILITY**

In Vitro

 $H_2O : \ge 50 \text{ mg/mL} (132.46 \text{ mM})$ 

\* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: PBS
  - Solubility: 100 mg/mL (264.93 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.62 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description	Phentolamine mesylate (Phentolamine methanesulfonate) is a reversible, non-selective, and orally active blocker of $\alpha 1$ and $\alpha 2$ adrenergic receptor that expands blood vessels to reduce peripheral vascular resistance. Phentolamine mesylate can be used for the research of pheochromocytoma-related hypertension, heart failure and erectile dysfunction <sup>[1][2][3]</sup> .
IC <sub>50</sub> & Target	α adrenergic receptor
In Vitro	Phentolamine (0.1-1 $\mu$ M) inhibits the response to Clonidine in rat ileum, with the pA <sub>2</sub> and pK <sub>B</sub> of 7.92 and 8.07, respectively <sup>[3]</sup> . ?Phentolamine (10-70 $\mu$ g/mL; 48 h) inhibits proliferation of HDMECs and HBMECs in a dose-dependent manner, with IC <sub>50</sub> s of 50 $\mu$ g/mL and 30 $\mu$ g/mL without toxicity, respectively <sup>[4]</sup> .

	?Phentolamine (10-20 or 20-40 μg/mL; 12-48 h) signi?cantly delays scratch wound closure of HBMECs and HDMECs in a dose-dependent manner <sup>[4]</sup> . ?Phentolamine (30 or 50 μg/mL; 4-12 h) inhibits tube formation of HBMECs and HDMECs <sup>[4]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Phentolamine (1 mg/kg; i.v.) produces hypotension and tachycardia in rats <sup>[4]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### **CUSTOMER VALIDATION**

- Neurosci Bull. 2023 Jun 19.
- J Endocrinol. 2020 Mar;244(3):459-471.

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#### **REFERENCES**

- [1]. Gould L, et, al. Phentolamine. Am Heart J. 1976 Sep;92(3):397-402.
- [2]. Goldstein I, et, al. Oral phentolamine: an alpha-1, alpha-2 adrenergic antagonist for the treatment of erectile dysfunction. Int J Impot Res. 2000 Mar;12 Suppl 1:S75-80.
- [3]. Liu L, et, al. Evidence for functional alpha 2D-adrenoceptors in the rat intestine. Br J Pharmacol. 1996 Mar;117(5):787-92.
- [4]. Pan L, et, al. Phentolamine inhibits angiogenesis in vitro: Suppression of proliferation migration and differentiation of human endothelial cells. Clin Hemorheol Microcirc. 2017;65(1):31-41.
- [5]. Fioretti AC, et, al. Renal and femoral venous blood flows are regulated by different mechanisms dependent on  $\alpha$ -adrenergic receptor subtypes and nitric oxide in anesthetized rats. Vascul Pharmacol. 2017 Dec;99:53-64.

Caution: Product has not been fully validated for medical applications. For research use only.

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