Phenoxybenzamine

Cat. No.: HY-B0431

CAS No.: 59-96-1

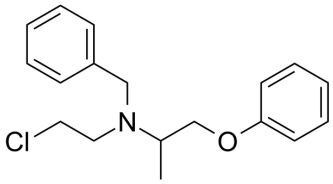
Molecular Formula: $C_{18}H_{22}ClNO$

Molecular Weight: 303.83

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Phenoxybenzamine is a nonselective, irreversible, orally active α-adrenoceptor antagonist that is commonly used for the research of hypertension, specifically caused by pheochromocytoma. Phenoxybenzamine also shows antitumor activity[1][2].

IC_{50} & Target

α-adrenoceptor[1]

In Vitro

Phenoxybenzamine hydrochloride (0-100 μM; 96 h) markedly inhibits U251 and U87MG cells proliferation[2].
Phenoxybenzamine hydrochloride (10 μM; 24 h or 72 h) inhibits migration and invasion of U251 and U87MG cells[2].
Phenoxybenzamine hydrochloride (10 μM; 12 h) activates LINGO-1 and inhibits the TrkB-Akt pathway[2].
Phenoxybenzamine (0.1 μM-1 mM; 0-16 h) prevents hippocampal cell death after oxygen glucose deprivation[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	U251 and U87MG cells
Concentration:	0.1, 1, 10, 50 and 100 μM
Incubation Time:	96 h
Result:	Cell proliferation was inhibited markedly, the inhibition rate being 26.5 % for U251 cells and 27.3 % for U87MG cells at 10 μM.

Cell Migration Assay [2]

Cell Line:	U251 and U87MG cells
Concentration:	10 μM
Incubation Time:	24 h
Result:	Apparent inhibition on migration was observed, and the inhibition rate was 28.6 and 39.8 % for U251 and U87MG, respectively.

Cell Invasion Assay[2]

Cell Line:	U251 and U87MG cells
Concentration:	10 μM
Incubation Time:	72 h
Result:	Attenuated the invasion properties of both U251 and U87MG markedly, as represented by the number of invaded cells per field declining from 365/field to 132/field (36.2 %) for U251 and 444/field to 298/field (67.1 %) for U87MG.

Western Blot Analysis[2]

Cell Line:	U251
Concentration:	10 μM
Incubation Time:	12 h
Result:	Decreased the protein level of TrkB, p-TrkB, and p-Akt, but Akt remained unchanged significantly.

In Vivo

Phenoxybenzamine hydrochloride (20 nM; s.c.; 2-day interval for 26 days) shows anti-tumorigenic effect in mice[2]. Phenoxybenzamine (1.0 mg/kg; i.v.; daily for 30 days) is neuroprotective in a rat model of severe traumatic brain injury[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice, U87MG tumor model[2]
Dosage:	20 nM
Administration:	Subcutaneous injection, 2-day interval for 26 days
Result:	Reduced the tumor cells.

Animal Model:	Male Wistar rats (350–500 g), traumatic brain injury (TBI) model[3]
Dosage:	1.0 mg/kg
Administration:	Intravenous injection, daily for 30 days
Result:	Showed significant improvements in neurological severity score (NSS) and foot fault scoring on days 14, 21, and 30. Reduced cognitive impairment associated with severe TBI and reduced the expression of pro-inflammatory genes.

CUSTOMER VALIDATION

- Protein Cell. 2019 Mar;10(3):178-195.

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REFERENCES

[1]. Habbe N, et al. Urapidil in the preoperative treatment of pheochromocytomas: a safe and cost-effective method. World J Surg. 2013 May;37(5):1141-6.

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

[3]. 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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