Phenoxybenzamine (hydrochloride)

Item No. 16211

CAS Registry No.: 63-92-3
Formal Name: N-(2-chloroethyl)-N-(1-methyl-2-phenoxyethyl)-benzenemethanamine, monohydrochloride

Synonym: NClO

MF: C_{18}H_{22}ClNO • HCl

FW: 340.3

Purity: ≥98%

UV/Vis.: λ_{max}: 209, 269 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Phenoxybenzamine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the phenoxybenzamine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Phenoxybenzamine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of phenoxybenzamine (hydrochloride) in ethanol and DMSO is approximately 25 mg/ml and approximately 30 mg/ml in DMF.

Phenoxybenzamine (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, phenoxybenzamine (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Phenoxybenzamine (hydrochloride) has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Phenoxybenzamine is an antagonist of α-adrenergic receptors (α-ARs).\(^1\)\(^2\) It inhibits norepinephrine-induced inositol phosphate formation in HEK293 cells expressing α\(_1\)-ARs (EC\(_{50}\)s = 125.9-316.2 nM), as well as radioligand binding to α\(_2A\), α\(_2B\), and α\(_2C\)-ARs in CHO cell membranes (K\(_i\)s = 60, 10, and 60 nM, respectively). Phenoxybenzamine (0.5-5 µM) decreases norepinephrine-, histamine-, and calcium-induced contractions in isolated rabbit aortic strips.\(^3\) It also inhibits proliferation of nine cancer cell lines, including lymphoma, breast, and lung cancer cells, with IC\(_{50}\) values ranging from 29.5 to 99.8 µM.\(^4\) Phenoxybenzamine (3-1,000 µg/kg) reduces increases in diastolic blood pressure induced by the α-AR agonist cirazoline (Item No. 21791), St-587, Sgd 101/75, and B-HT 920 (Item No. 14177) in pithed rats.\(^5\) It also decreases the time to find the platform in the Morris water maze, indicating restored spatial memory, in a rat model of fluid percussion-induced traumatic brain injury (TBI).\(^6\) Formulations containing phenoxybenzamine have been used in the treatment of hypertension and hyperhidrosis associated with pheochromocytomas, an adrenal medullary neuroendocrine tumor.

References


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