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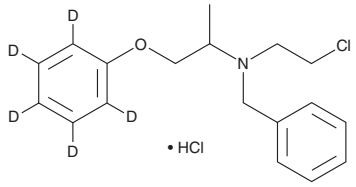
PRODUCT INFORMATION

Phenoxybenzamine-d_5 (hydrochloride)

Item No. 29996

CAS Registry No.: 1329838-45-0
Formal Name: N-benzyl-N-(2-chloroethyl)-1-(phenoxy-d_5) propan-2-amine, monohydrochloride
MF: $C_{18}H_{17}ClD_5NO \bullet HCl$
FW: 345.3
Chemical Purity: ≥98% (Phenoxybenzamine)
Deuterium Incorporation: ≥98% deuterated forms (d_1-d_5); ≤2% d_0
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Phenoxybenzamine-d_5 (hydrochloride) is intended for use as an internal standard for the quantification of phenoxybenzamine (Item No. 16211) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Phenoxybenzamine-d_5 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the phenoxybenzamine-d_5 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Phenoxybenzamine-d_5 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of phenoxybenzamine-d_5 (hydrochloride) in DMF is approximately 30 mg/ml and approximately 25 mg/ml in ethanol and DMSO.

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_is = 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 agonists 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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4. Inchiosa, M.A., Jr. *PLoS One* **13(6)**:e0198514, (2018).
5. Timmermans, P.B., Thoolen, M.J., Mathy, M.J., *et al. Naunyn Schmiedebergs Arch. Pharmacol.* **329(4)**, 404-413 (1985).
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