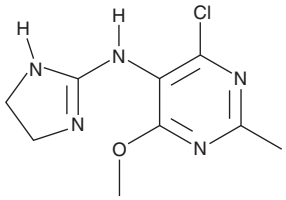
PRODUCT INFORMATION

Moxonidine

Item No. 25639

CAS Registry No.: 75438-57-2
Formal Name: 4-chloro-N-(4,5-dihydro-1H-imidazol-2-yl)-6-methoxy-2-methyl-5-pyrimidinamine
Synonym: LY326869
MF: $C_9H_{12}ClN_5O$
FW: 241.7
Purity: ≥95%
UV/Vis.: λ_{max}: 222, 256 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

Moxonidine is supplied as a crystalline solid. A stock solution may be made by dissolving the moxonidine in the solvent of choice, which should be purged with an inert gas. Moxonidine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of moxonidine in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of moxonidine can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of moxonidine in PBS, pH 7.2, is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Moxonidine is an imidazoline 1 (I_1) receptor agonist (K_i = 56 nM in a radioligand binding assay).[1] It is also an agonist of the α_{2A}-adrenergic receptor (α_{2A}-AR; K_i = 150 nM in a radioligand binding assay). It is selective for I_1 and α_{2A}-AR receptors over α_1-, α_{2B}, and α_{2C}-ARs (K_is = >30,000, 1,000, and 2,000 nM, respectively). Moxonidine decreases mean arterial pressure in spontaneously hypertensive rats when administered at a dose of 0.2 nmol injected into the rostral ventrolateral medulla oblongata (RVLM).[2] It also reduces blood pressure in cynomolgus monkeys when administered at a dose of 167 µg/kg.[1]

References

1. Munk, S.A., Lai, R.K., Burke, J.E., *et al*. Synthesis and pharmacologic evaluation of 2-*endo*-amino-3-*exo*-isopropylbicyclo[2.2.1]heptane: A potent imidazoline$_1$ receptor specific agent. *J. Med. Chem.* **39(6),** 1193-1195 (1996).
2. Ernsberger, P., Haxhiu, M.A., Graff, L.M., *et al.* A novel mechanism of action for hypertension control: Moxonidine as a selective I_1-imidazoline agonist. *Cardiovasc. Drugs Ther.* **8(Suppl 1)**, 27-41 (1994).

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