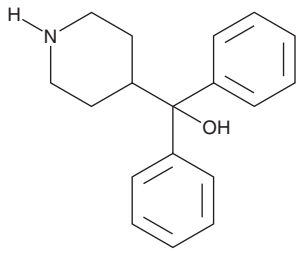
PRODUCT INFORMATION

Azacyclonol

Item No. 27303

CAS Registry No.:	115-46-8
Formal Name:	α,α-diphenyl-4-piperidinemethanol
Synonyms:	MDL 4829, MER 17, γ-Pipradol
MF:	$C_{18}H_{21}NO$
FW:	267.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 262 nm
Supplied as:	A 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

Azacyclonol is supplied as a solid. A stock solution may be made by dissolving the azacyclonol in the solvent of choice, which should be purged with an inert gas. Azacyclonol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of azacyclonol in these solvents is approximately 20, 10, and 30 mg/ml, respectively.

Azacyclonol is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, azacyclonol should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Azacyclonol has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Azacyclonol is a CNS depressant.[1] It reduces transmission through the sympathetic ganglia, decreasing electrically stimulated contractile responses in feline nictitating membrane. It reduces coordinated locomotor activity in mice by greater than 50% when administered at doses of 71, 142, and 213 mg/kg.[2] Azacyclonol (142 mg/kg) decreases hyperactivity induced by pipradol, D-amphetamine, morphine, and cocaine. It also increases the duration of sleeping time induced by hexobarbital. Azacyclonol is also a metabolite of the histamine H_1 receptor antagonist terfenadine (Item No. 20305).[3,4] It is formed from terfenadine by the cytochrome P450 (CYP) isoform CYP3A4.[4]

References

1. Brown, D.A. and Quilliam, J.P. The effects of some centrally acting drugs on ganglionic transmission in the cat. *Br. J. Pharmacol. Chemother.* **23(2)**, 241-256 (1964).
2. Braun, D.L., Brown, B.B., and Feldman, R.G. The pharmacologic activity of α-(4-piperidyl)-benzhydrol hydrochloride (azacyclonol hydrochloride); an ataractive agent. *J. Pharmacol. Exp. Ther.* **118(2)**, 153-161 (1956).
3. Martens, J. Determination of the terfenadine metabolite azacyclonol in human serum using gas chromatography-mass spectrometry. *J. Chromatogr. B Biomed. Appl.* **678(2)**, 349-353 (1996).
4. Yun, C.H., Okerholm, R.A., and Guenggerich, F.P. Oxidation of the antihistaminic drug terfenadine in human liver microsomes. Role of cytochrome P-450 3A(4) in N-dealkylation and C-hydroxylation. *Drug Metab. Dispos.* **21(3)**, 403-409 (1993).

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