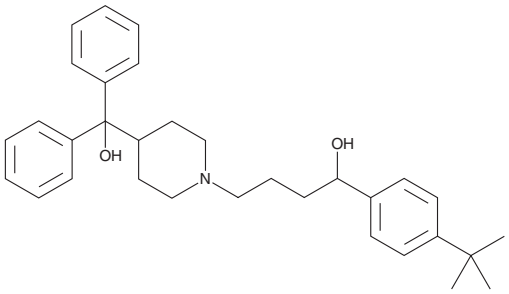
PRODUCT INFORMATION

Terfenadine

Item No. 20305

CAS Registry No.:	50679-08-8
Formal Name:	α-[4-(1,1-dimethylethyl)phenyl]-4-(hydroxydiphenylmethyl)-1-piperidinebutanol
Synonyms:	MDL 9918, NSC 665802, (±)-Terfenadine, DL-Terfenadine
MF:	$C_{32}H_{41}NO_2$
FW:	471.7
Purity:	≥98%
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

Terfenadine is supplied as a crystalline solid. A stock solution may be made by dissolving the terfenadine in the solvent of choice, which should be purged with an inert gas. Terfenadine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of terfenadine in ethanol is approximately 0.25 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Terfenadine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, terfenadine should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Terfenadine has a solubility of approximately 0.33 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Terfenadine is a selective histamine H_1-receptor antagonist with anti-allergic effects that lacks central nervous system depressant activity.[1] It is a prodrug that undergoes extensive first-pass hepatic metabolism by the cytochrome P450 enzyme CYP3A4 to its pharmacologically active metabolite fexofenadine (Item No. 18191).[2] Terfenadine is reported to be cardiotoxic, inducing QT interval prolongation by blocking cardiac potassium channels.[3]

References

1. Baroody, F.M. and Naclerio, R.M. Antiallergic effects of H_1-receptor antagonists. *Allergy* **55(Suppl 64)**, 17-27 (2000).
2. Amichai, B., Grunwald, M.H., and Brenner, L. Fexofenadine hydrochloride: A new anti-histaminic drug. *Isr. Med. Assoc. J.* **3(3)**, 207-209 (2001).
3. Zünkler, B.J., Kühne, S., Rustenbeck, I., *et al.* Mechanism of terfenadine block of ATP-sensitive K^+ channels. *Br. J. Pharmacol.* **130(7)**, 1571-1574 (2000).

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