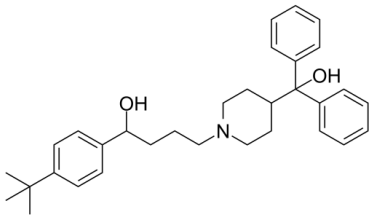
Data Sheet

Product Name:	Terfenadine
Cat. No.:	CS-4800
CAS No.:	50679-08-8
Molecular Formula:	C32H41NO2
Molecular Weight:	471.67
Target:	Apoptosis; Caspase; Histamine Receptor; Na+/Ca2+ Exchanger; Potassium Channel
Pathway:	Apoptosis; GPCR/G Protein; Immunology/Inflammation; Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : ≥ 50 mg/mL (106.01 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

Terfenadine ((±)-Terfenadine) is a potent open-channel blocker of **hERG** with an **IC_{50}** of 204 nM[1]. Terfenadine, an **H1 histamine receptor** antagonist, acts as a potent apoptosis inducer in melanoma cells through modulation of **Ca^{2+}** homeostasis. Terfenadine induces ROS-dependent **apoptosis**, simultaneously activates **Caspase-4, -2, -9**[2]. IC50 & Target: IC50: 204 nM (hERG)[1] **In Vitro:** Terfenadine ((±)-Terfenadine) (4-20 μM; 24 hours) induces dose and time-dependent apoptosis on A375 melanoma cells. The IC_{50} after 24 h of TEF treatment in complete medium was 10.4 μM for A375 cells, 9.9 μM for Hs294T cells and 9.6 for HT144 cells[2].
Terfenadine (2-10 μM; 8 hours) induces dose-dependent cytotoxicity[2].
Terfenadine (10 μM; 8 hours) causes a massive vacuolization of the cytoplasm and autophagic vacuoles of both double and multiple membranes and at various stages. Terfenadine induces autophagy by ROS-dependent and -independent mechanisms[2].
In Vivo: Terfenadine (p.o.; 40 mg/kg; for 16 days) produces a significant inhibition of tumour growth rate and enhances the anti-cancer effect of EPI in chemo-resistant NSCLC xenograft models[3].

References:

[1]. Kamiya K, et al. Molecular determinants of hERG channel block by terfenadine and cisapride. J Pharmacol Sci. 2008 Nov;108(3):301-307.

[2]. Nicolau-Galmés F, et al. Terfenadine induces apoptosis and autophagy in melanoma cells through ROS-dependent and -independent mechanisms. Apoptosis. 2011 Dec;16(12):1253-67.

[3]. An L, et al. Terfenadine combined with epirubicin impedes the chemo-resistant human non-small cell lung cancer both in vitro and in vivo through EMT and Notch reversal. Pharmacol Res. 2017 Oct;124:105-115.

CAIndexNames:

1-Piperidinebutanol, α-[4-(1,1-dimethylethyl)phenyl]-4-(hydroxydiphenylmethyl)-

SMILES:

OC(C1=CC=C(C(C)(C)C)C=C1)CCCN2CCC(C(C3=CC=CC=C3)(O)C4=CC=CC=C4)CC2

Caution: Product has not been fully validated for medical applications. For research use only.

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