Liarozole

Cat. No.: HY-106019

CAS No.: 115575-11-6

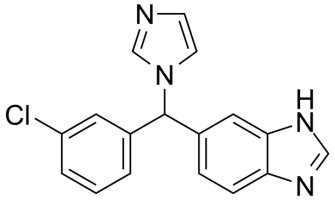
Molecular Formula: $C_{17}H_{13}ClN_4$

Molecular Weight: 308.76

Target: Cytochrome P450; RAR/RXR

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (323.88 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2388 mL	16.1938 mL	32.3876 mL
	5 mM	0.6478 mL	3.2388 mL	6.4775 mL
	10 mM	0.3239 mL	1.6194 mL	3.2388 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Liarozole (R75251; R85246) is an imidazole derivative and orally active retinoic acid (RA) metabolism-blocking agent (RAMBA). Liarozole inhibits the cytochrome P450 (CYP26)-dependent 4-hydroxylation of retinoic acid (IC_{50}=7 μM), resulting in increased tissue levels of retinoic acid. Liarozole shows antitumoral properties[1][2][3].

IC_{50} & Target

CYP26
7 μM (IC_{50})

In Vitro

Liarozole (0.01~10 μM; 9 days; MCF-7 cells) inhibits cells proliferation[3].
Liarozole (1 μM; 4 days; mesenchymal cells) completely inhibits chondrogenesis[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[3]

Cell Line:	MCF-7 cells
Concentration:	0.01~10 μM
Incubation Time:	9 days
Result:	Had an effect of 35% inhibition at 10 μM on cell proliferation.

Cell Differentiation Assay[4]

Cell Line:	Mesenchymal cells
Concentration:	1 μM
Incubation Time:	4 days
Result:	Completely inhibited chondrogenesis.

In Vivo

Liarozole (5-20 mg/kg; p.o.; 3 days) reverses the vaginal keratosis caused by estrogen stimulation[5].
Liarozole (40 mg/kg; p.o.; 21 days) reduces tumor burden substantially[6].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Ovariectomized rats[5]
Dosage:	5~20 mg/kg
Administration:	P.o.; 3 days
Result:	Reversed the vaginal keratosis caused by estrogen stimulation.

Animal Model:	SCID mice[6]
Dosage:	40 mg/kg
Administration:	P.o.; 21 days
Result:	Inhibited tumor growth and survival.

REFERENCES

[1]. Kuijpers AL, et al. The effects of oral liarozole on epidermal proliferation and differentiation in severe plaque psoriasis are comparable with those of acitretin. Br J Dermatol. 1998;139(3):380-389.

[2]. Lucker GP, et al. Oral treatment of ichthyosis by the cytochrome P-450 inhibitor liarozole. Br J Dermatol. 1997;136(1):71-75.

[3]. Wouters W, et al. Effects of liarozole, a new antitumoral compound, on retinoic acid-induced inhibition of cell growth and on retinoic acid metabolism in MCF-7 human breast cancer cells. Cancer Res. 1992;52(10):2841-2846.

[4]. Pignatello MA, et al. Liarozole markedly increases all trans-retinoic acid toxicity in mouse limb bud cell cultures: a model to explain the potency of the aromatic retinoid (E)-4-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthylenyl)-1-propenyl] benzo

[5]. Van Wauwe J, et al. Liarozole, an inhibitor of retinoic acid metabolism, exerts retinoid-mimetic effects in vivo. J Pharmacol Exp Ther. 1992;261(2):773-779.

[6]. Stearns ME, et al. Liarozole and 13-cis-retinoic acid anti-prostatic tumor activity [published correction appears in Cancer Res 1993 Dec 1;53(23):5831]. Cancer Res. 1993;53(13):3073-3077.

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