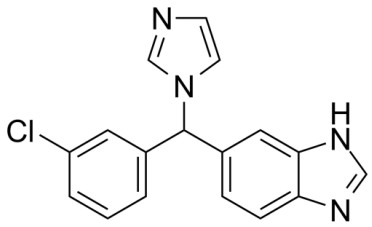
Data Sheet

Product Name:	Liarozole
Cat. No.:	CS-0024635
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
Solubility:	DMSO : 100 mg/mL (323.88 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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 ($\mathbf{IC_{50}}$=7 μM), resulting in increased tissue levels of retinoic acid. Liarozole shows antitumoral properties[1][2][3]. IC50 & Target: IC50: 7 μM (P450)[1] **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]. **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].

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] benzoic acid. Toxicol Appl Pharmacol. 2002; 178(3):186-194.

[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.

CAIndexNames:

1H-Benzimidazole, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-

SMILES:

ClC1=CC(C(C2=CC=C3N=CNC3=C2)N4C=CN=C4)=CC=C1

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

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