Liarozole dihydrochloride

Cat. No.: HY-106019C

CAS No.: 1883548-96-6

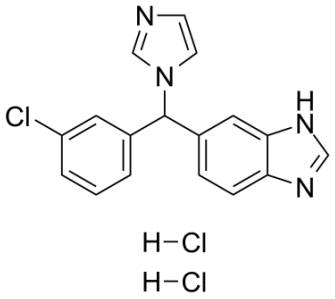
Molecular Formula: $C_{17}H_{15}Cl_3N_4$

Molecular Weight: 381.69

Target: Cytochrome P450

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the COA.



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 50 mg/mL (131.00 mM)

DMSO : 50 mg/mL (131.00 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6199 mL	13.0996 mL	26.1993 mL
5 mM	0.5240 mL	2.6199 mL	5.2399 mL
10 mM	0.2620 mL	1.3100 mL	2.6199 mL

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

BIOLOGICAL ACTIVITY

Description

Liarozole dihydrochloride (R75251; R85246) is a cytochrome P450 (**CYP450**) dependent inhibitor, orally active, it also a potent inhibitor of **estrogen** (via inhibition of aromatase) and testicular androgen synthesis (inhibition of 17 ,20-lyase). Liarozole dihydrochloride prevents the catabolism of retinoic acid via inhibition of **4-hydroxylase** and exhibits retinoid sparing and retinoid-mimetic effects in vivo. Liarozole dihydrochloride is an imidazole derivative; it is being investigated as a non-hormonal agent in prostate cancer and in the treatment of various other cancers and skin disorders[1].

In Vitro

Liarozole inhibits the metabolism of retinoic acid to more polar metabolites in hamster liver microsomes (IC_{50}=2.2 μM), rat liver homogenate (IC_{50}=0.14 μM), dunning prostate cancer homogenate (IC_{50}=0.26 μM) and MCF-7 human breast cancer cells (almost complete block at 10μM) [1].

Liarozole inhibits 17a-hydroxylase activity in bovine adrenal microsomes (IC_{50}=0.15 μM) [1].

Liarozole has modest inhibitory activity on cholesterol synthesis in human hepatoma cells(IC_{50}=5 μM)[1].

REFERENCES

[1]. Bryson HM, et al. Liarozole. Drugs Aging. 1996 Dec;9(6):478-84; discussion 485.

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