Trandolapril

Cat. No.: HY-B0592

CAS No.: 87679-37-6

Molecular Formula: $C_{24}H_{34}N_2O_5$

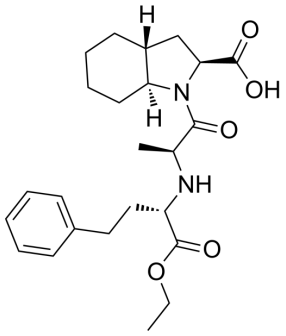
Molecular Weight: 430.54

Target: Angiotensin-converting Enzyme (ACE)

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (232.27 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3227 mL	11.6133 mL	23.2266 mL
5 mM	0.4645 mL	2.3227 mL	4.6453 mL
10 mM	0.2323 mL	1.1613 mL	2.3227 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: ≥ 2.08 mg/mL (4.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Trandolapril (RU44570) is a nonsulfhydryl proagent that is hydrolysed to the active diacid Trandolaprilat. Trandolapril is an orally administered angiotensin converting enzyme (ACE) inhibitor that has been used in the treatment of hypertension and congestive heart failure (CHF), and after myocardial infarction (MI)[1].

IC_{50} & Target

Target: Angiotensin-converting Enzyme (ACE)[1]

In Vitro

Trandolapril (0.02 mM, 1 mM; 3 d) inhibits cell growth and induces cell apoptosis, increases the percentage of apoptotic cells

in K562 cell line[2].

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

Apoptosis Analysis[2]

Cell Line:	K562, KU812, U937 and HL60
Concentration:	0-2 mM
Incubation Time:	0, 1, 2, 3 days
Result:	Inhibited K562, KU812, U937 at 1 mM and inhibited HL60 at 0.02 mM.

In Vivo

Trandolapril (3 mg/kg/day; p.o.; 7 d) reduces renal fibrosis in obstructive nephropathy in mice, by inhibiting renal interstitial matrix expression and myofibroblast activation, decreasing renal proinflammatory cytokine RANTES and TNF-α level[2].

Trandolapril (0.3 mg/kg/day; p.o.; 4 weeks) improves arterial mechanics in rats, prevents arterial hypertrophy, collagen and cellular fibronectin accumulation[3].

randolapril (0.3 mg/kg/day; p.o.; 4 months) exhibits a chronic anti-hypertension effects in rats, results in blood pressure decreasing[3].

Trandolapril (0.25 mg/kg; p.o.; twice a day; 4 months) inhibits Atherosclerosis in the Watanabe Heritable Hyperlipidemic Rabbit[4].

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

Animal Model:	UUD (unilateral ureteral obstruction) model in Male CD-1 mice (18-22 g)[2]
Dosage:	3 mg/kg
Administration:	Oral gavage; daily, for 7 days
Result:	Resulted in renal interstitial matrix expression (including fibronectin, type I, and type III collagen) decreasing, and inhibited myofibroblast activation by surprising a-smooth muscle actin (a-SMA) expression, decreased the RANTES (regulated on activation, normal T cell expressed and secreted) and TNF-α level.

Animal Model:	SHR model (spontaneously hypertensive rats, 4-week-old)[3]
Dosage:	0.3 mg/kg
Administration:	Oral gavage; daily for 4 weeks
Result:	Reduced collagen content in the aortic media and increased ariterial distensibility up to about 80%.

Animal Model:	Watanabe heritable hyperlipidemic rabbit (3 months old)[4]
Dosage:	0.25 mg/kg
Administration:	Oral gavage; twice a day; 9 months
Result:	Decreased in atherosclerotic involvement of the intimal surface, and also decreased cholesterol content in descending thoracic aorta.

REFERENCES

[1]. Tan X, et al. Combination therapy with paricalcitol and trandolapril reduces renal fibrosis in obstructive nephropathy. Kidney Int. 2009 Dec;76(12):1248-57.

[2]. Koffi I, et al. Prevention of arterial structural alterations with verapamil and trandolapril and consequences for mechanical properties in spontaneously hypertensive rats. Eur J Pharmacol. 1998 Nov 13;361(1):51-60.

[3]. Chobanian AV, et al. Trandolapril inhibits atherosclerosis in the Watanabe heritable hyperlipidemic rabbit. Hypertension. 1992 Oct;20(4):473-7.

[4]. Peters DC, et al. Trandolapril. An update of its pharmacology and therapeutic use in cardiovascular disorders. Drugs. 1998 Nov;56(5):871-93.

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

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