Avanafil

Cat. No.: HY-18252

CAS No.: 330784-47-9

Molecular Formula: $C_{23}H_{26}ClN_7O_3$

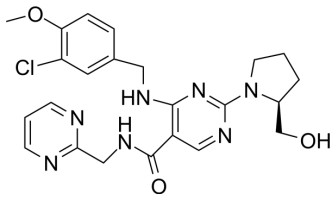
Molecular Weight: 483.95

Target: Phosphodiesterase (PDE); NO Synthase; Endogenous Metabolite

Pathway: Metabolic Enzyme/Protease; Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (29.53 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0663 mL	10.3316 mL	20.6633 mL
5 mM	0.4133 mL	2.0663 mL	4.1327 mL
10 mM	0.2066 mL	1.0332 mL	2.0663 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 (5.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Avanafil (TA-1790) is a potent and selective phosphodiesterase-5 (PDE-5) inhibitor with IC_{50} values of 5.2 nM, 630 nM, 5700 nM, 6200 nM, 12000 nM, 27000 nM, 51000 nM and 53000 nM for PDE-5, PDE-6, PDE-4, PDE-10, PDE-8, PDE-7, PDE-2 and PDE-1, respectively. Avanafil activates NO/cGMP/PKG signaling-pathway to decrease loss in BMD, bone atrophy, and oxidative stress. Avanafil inhibits cyclic guanosine monophosphate (cGMP) hydrolysis and thus increases cGMP levels. Avanafil can be used for the research of erectile dysfunction and osteoporosis[1][2][3].

IC_{50} & Target				
	PDE5	PDE6	PDE4	PDE10

	5.2 nM (IC_{50})	630 nM (IC_{50})	5700 nM (IC_{50})	6200 nM (IC_{50})
	PDE7 27000 nM (IC_{50})	PDE2 51000 nM (IC_{50})	PDE1 53000 nM (IC_{50})	

In Vitro

Avanafil (TA-1790) (0.01-1000 μM) enhances by 45% for electrical field stimulation (1-20 Hz)-induced relaxation responses in corpus cavernosum strips from the diabetic group[2].

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

In Vivo

Avanafil (TA-1790) (10 mg/kg; p.o.; daily, for 30 d; male rat) increases angiogenesis in bone tissue via the activation of NO, cGMP and PKG (NO/cGMP/PKG) signaling-pathway and significantly decreases dexamethasone-induced loss in BMD, bone atrophy, and oxidative stress[1].

Avanafil (TA-1790) (10 μM; ICI; once, for 10 weeks) improves erectile responses in T2DM rats[2].

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

Animal Model:	Male rat model of glucocorticoid-induced osteoporosis (GIOP)[1]
Dosage:	10 mg/kg
Administration:	Oral administration; daily, for 30 days
Result:	Decreased the level of eNOS, NO, PDE-5, PICP, MDA, CoQ10/CoQ10H and 8-OHdG/10^8dG. Increased the level of cGMP, PKG, Cortisol and CTCP.

Animal Model:	Male rat model of glucocorticoid-induced osteoporosis (GIOP)[1]
Dosage:	10 mg/kg
Administration:	Oral administration; daily, for 30 days
Result:	Increased right femur trabecular bone thickness and epiphyseal bone width.

Animal Model:	Male T2DM Sprague Dawley rats[2]
Dosage:	10 μM
Administration:	Intracavernous injection; once, for 10 weeks
Result:	Increased in ICP/MAP in response to nerve stimulation and increased total ICP values.

CUSTOMER VALIDATION

- Chemrxiv. 2021, Jun 10.

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REFERENCES

[1]. Huyut Z, et, al. Effects of the Phosphodiesterase-5 (PDE-5) Inhibitors, Avanafil and Zaprinast, on Bone Remodeling and Oxidative Damage in a Rat Model of Glucocorticoid-Induced Osteoporosis. Med Sci Monit Basic Res. 2018 Mar 13;24:47-58.

[2]. Yilmaz D, et, al. The effect of intracavernosal avanafil, a newer phosphodiesterase-5 inhibitor, on neonatal type 2 diabetic rats with erectile dysfunction. Urology. 2014 Feb;83(2):508.e7-12.

[3]. Kotera J, et, al. Avanafil, a potent and highly selective phosphodiesterase-5 inhibitor for erectile dysfunction. J Urol. 2012 Aug;188(2):668-74.

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