Tadalafil

Cat. No.: HY-90009A

CAS No.: 171596-29-5

Molecular Formula: $C_{22}H_{19}N_3O_4$

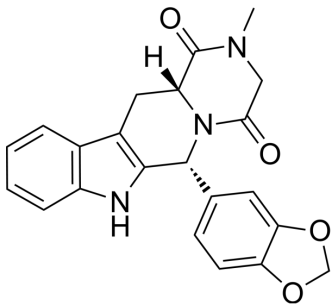
Molecular Weight: 389.4

Target: Phosphodiesterase (PDE); Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 52 mg/mL (133.54 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5681 mL	12.8403 mL	25.6805 mL
5 mM	0.5136 mL	2.5681 mL	5.1361 mL
10 mM	0.2568 mL	1.2840 mL	2.5681 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tadalafil (IC-351) is a PDE5 inhibitor with an IC_{50} value of 1.8 nM.

IC_{50} & Target

PDE5

In Vitro

Biochemical potencies (affinities) of these compounds for PDE5 determined by IC(50), K(D) (isotherm), K(D) (dissociation rate), and K(D) ((1/2) EC(50)), respectively, were the following: sildenafil (3.7 +/- 1.4, 4.8 +/- 0.80, 3.7 +/- 0.29, and 11.7 +/- 0.70 nM), tadalafil (1.8 +/- 0.40, 2.4 +/- 0.60, 1.9 +/- 0.37, and 2.7 +/- 0.25 nM); and vardenafil (0.091 +/- 0.031, 0.38 +/- 0.07, 0.27 +/- 0.01, and 0.42 +/- 0.10 nM). Thus, absolute potency values were similar for each inhibitor, and relative potencies were vardenafil >> tadalafil > sildenafil[1].?

0.5 ml tadalafil solutions with different concentrations were added (0.2, 0.1, 0.05 and 0.025 μg ml-1, respectively) into semen samples. In both groups, samples treated with 0.2 μg ml-1 tadalafil had significant increase in sperm motility after 2 h

	incubation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The Tadalafil-treated group showed enhanced erectile function (intracavernosal pressure/mean arterial pressure) at 0.3, 0.5, 1, 3, and 5 Hz compared with diabetic group values at the respective frequencies that approached control values[3]. Oral administration of tadalafil (20 mg) or sildenafil (100 mg) was given. In both groups, computer-assisted semen analysis parameters showed no significant difference. After the administration of tadalafil (2 h) and sildenafil (1 h), there was no significant difference observed in premature acrosome reaction incidence rate[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Metab. 2022 Dec 6;10(1):22.
- Int J Mol Sci. 2022 Apr 27;23(9):4806.
- Biochem Biophys Res Commun. 2021 Feb 12;547:9-14.
- Patent. US20210052581A1.

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REFERENCES

[1]. Blount MA, et al. Binding of tritiated sildenafil, tadalafil, or vardenafil to the phosphodiesterase-5 catalytic site displays potency,specificity, heterogeneity, and cGMP stimulation. Mol Pharmacol. 2004 Jul;66(1):144-52.

[2]. Yang Y, et al. Effect of acute tadalafil on sperm motility and acrosome reaction: in vitro and in vivo studies. Andrologia. 2013 Apr 14. [Epub ahead of print]

[3]. Mostafa ME, et al. Effect of Chronic Low-dose Tadalafil on Penile Cavernous Tissues in Diabetic Rats. Urology. 2013 Jun;81(6):1253-60.

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