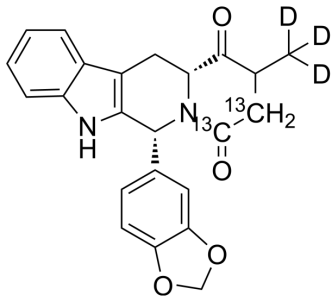
Tadalafil-$^{13}C_2$,d_3

Cat. No.:	HY-90009AS
Molecular Formula:	$C_{21}{}^{13}C_2H_{17}D_3N_2O_4$
Molecular Weight:	393.42
Target:	Apoptosis; Phosphodiesterase (PDE); Isotope-Labeled Compounds
Pathway:	Apoptosis; Metabolic Enzyme/Protease; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Tadalafil-$^{13}C_2$,d_3 is ^{13}C and deuterated labeled Tadalafil (HY-90009A). Tadalafil (IC-351) is a PDE5 inhibitor with an IC_{50} value of 1.8 nM.
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. 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[2]. 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[3]. 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[4]. 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[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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