Silodosin

Cat. No.: HY-10122

CAS No.: 160970-54-7

Molecular Formula: $C_{25}H_{32}F_3N_3O_4$

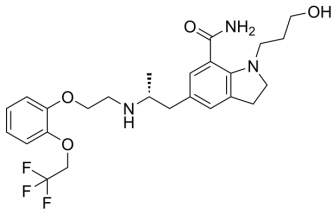
Molecular Weight: 495.53

Target: Adrenergic Receptor; Bacterial

Pathway: GPCR/G Protein; Neuronal Signaling; Anti-infection

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 : ≥ 50 mg/mL (100.90 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0180 mL	10.0902 mL	20.1804 mL
5 mM	0.4036 mL	2.0180 mL	4.0361 mL
10 mM	0.2018 mL	1.0090 mL	2.0180 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 (5.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Silodosin (KAD 3213; KMD 3213) is a potent, selective and orally active α1A-adrenergic receptor (α1A-AR) blocker. Silodosin exhibits high affinity for α1A-AR (K_i=0.036 nM), over 162-fold and 50-fold than for α1B-AR and α1D-AR with K_i values of 21 nM and 2.0 nM, respectively. Silodosin is an effective and well-tolerated agent, it can be used for the investigation of LUTS/BPH [1][3].

IC_{50} & Target

Ki: 0.036 nM (α1A-AR); 21 nM (α1B-AR); 2 nM (α1D-AR)[1]

In Vitro

Silodosin (KAD 3213; KMD 3213) inhibits norepinephrine-induced increases in intracellular Ca^{2+} concentrations in alpha 1a-AR-expressing Chinese hamster ovary cells with an IC_{50} of 0.32 nM but had a much weaker inhibitory effect on the alpha 1b- and alpha 1d-ARs[1].

Silodosin potently inhibits 2-[2-(4-hydroxy-3-[125I]iodophenyl)ethylaminomethyl]-alpha-tetralone binding to the cloned human alpha 1a-AR, with a K_i value of 0.036 nM, but has 583- and 56-fold lower potency at the alpha 1b- and alpha 1d-ARs, respectively[2].

Silodosin (0-10 μM; 24 hours) decreases ELK1 gene expression as a dose-dependent manner in all the bladder cancer cell lines[4].

Silodosin (0-10 μM; 24 hours) decreases ELK1 protein expression as a as a dose-dependent manner[4].

Silodosin (0-10 μM; 96 hours) insignificantly changes cell viability of AR-positive UMUC3 or TCCSUP cultured in an androgen-depleted condition or that of AR-negative 647V. In contrast, silodosin reduced the growth of UMUC3 cells cultured with normal FBS containing androgens (58% decrease at 10 μM)[4].

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

RT-PCR[4]

Cell Line:	TCCSUP; UMUC3 and 647V cells
Concentration:	0.1, 0.5, 3.0, or 10 μM
Incubation Time:	24 hours
Result:	Decreases ELK1 in bladder cancer cells.

Western Blot Analysis[4]

Cell Line:	TCCSUP; UMUC3 and 647V cells
Concentration:	0.1, 0.5, 3.0, or 10 μM
Incubation Time:	24 hours
Result:	Decreases ELK1 in bladder cancer cells.

Cell Proliferation Assay[4]

Cell Line:	UMUC3,TCCSUP or AR-negative 647V cells
Concentration:	0.1, 0.5, 3.0, or 10 μM
Incubation Time:	96 hours
Result:	Decreased cell viability of UMUC3 cells cultured with normal FBS containing androgens (58% decrease).

In Vivo

Silodosin (intravenous injection; 0.1-0.3mg/kg) reduces the obstruction-induced increases in MinP by 27.7 % (0.1 mg/kg) and 20.8 %(0.3 mg/kg). It improves detrusor overactivity and reduces the grade of obstruction, and thus may be effective for both storage and voiding dysfunction for the treatment of LUTS/BPH[2].

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

Animal Model:	Sprague Dawley rats[2]
Dosage:	0.1-0.3mg/kg
Administration:	Intravenous injection
Result:	Effectively reduced contractions of both human and rat isolated ureters.

CUSTOMER VALIDATION

- Eur J Pharmacol. 2018 Nov 15;839:82-88.
- Neuropharmacology. 2023 Oct 13:109757.

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REFERENCES

[1]. Maxime Rossi , Silodosin in the treatment of benign prostatic hyperplasia. Drug Des Devel Ther. 2010; 4: 291–297.

[2]. Villa L, et al. Effects by silodosin on the partially obstructed rat ureter in vivo and on human and rat isolated ureters.Br J Pharmacol. 2013 May;169(1):230-8.

[3]. Osman NI, et al.Silodosin : a new subtype selective alpha-1 antagonist for the treatment of lower urinary tract symptoms in patients with benign prostatic hyperplasia.Expert Opin Pharmacother. 2012 Oct;13(14):2085-96.

[4]. Kawahara T, et al. Silodosin inhibits the growth of bladder cancer cells and enhances the cytotoxic activity of cisplatin via ELK1 inactivation.Am J Cancer Res. 2015 Sep 15;5(10):2959-68. eCollection 2015.

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