Upidosin

Cat. No.: HY-106954

CAS No.: 152735-23-4

Molecular Formula: $C_{31}H_{33}N_3O_4$

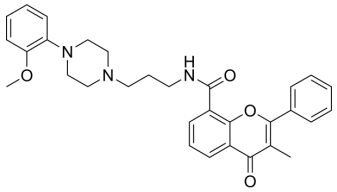
Molecular Weight: 511.61

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (488.65 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.9546 mL	9.7731 mL	19.5461 mL
	5 mM	0.3909 mL	1.9546 mL	3.9092 mL
	10 mM	0.1955 mL	0.9773 mL	1.9546 mL

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

BIOLOGICAL ACTIVITY

Description

Upidosin (Rec 15/2739) is an α-1 adrenoceptor (α-1 AR) antagonist. Upidosin shows moderate selectivity for the α-1A AR subtype. Upidosin shows uroselectivity in urethra and prostate with a K_b value of 2-3 nM higher than in ear artery and aorta with a K_b value of 20-100 nM. Upidosin inhibits [3H]prazosin binding to cloned human α-1A adrenergic receptor. Upidosin can be used for the research of urethral obstruction[1].

In Vitro

Upidosin is one of the most potent compounds action on the prostate with comparing the apparent pKB values, but its potency is slightly lower than that of tamsulosin and is higher than the potencies of prazosin, terazosin and 5-methylurapidil [2].

Upidosin shows binding affinities to cloned human$_{\alpha 1A}$, human$_{\alpha 1B}$, human$_{\alpha 1D}$ adrenoceptors with pK_i value of 9.0, 7.5 and 8.6, respectively[3].

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

In Vivo

Upidosin shows greater selectivity than any other α-1 AR antagonist terazosin and tamsulosin in the anesthetized dog[1].

Upidosin (1-300 μg/kg; i.v.) is a more potent antagonist of phenylephrine mediated increases in prostatic pressure with a pA_2 value of 8.74 compared to blood pressure with a pA_2 value of 7.51[3].

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

REFERENCES

[1]. Leonardi A, et al. Pharmacological characterization of the uroselective alpha-1 antagonist Rec 15/2739 (SB 216469): role of the alpha-1L adrenoceptor in tissue selectivity, part I. J Pharmacol Exp Ther. 1997 Jun;281(3):1272-83.

[2]. Testa R, et al. Functional antagonistic activity of Rec 15/2739, a novel alpha-1 antagonist selective for the lower urinary tract, on noradrenaline-induced contraction of human prostate and mesenteric artery. J Pharmacol Exp Ther. 1996 Jun;277(3):1237-46.

[3]. Kenny BA, et al. Evaluation of the pharmacological selectivity profile of alpha 1 adrenoceptor antagonists at prostatic alpha 1 adrenoceptors: binding, functional and in vivo studies. Br J Pharmacol. 1996 Jun;118(4):871-8.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA