Product Data Sheet

Product Name: Upidosin
Cat. No.: GC67715

Chemical Properties

Cas. No.	152735-23-4		
Formula	$C_{31}H_{33}N_3O_4$	M.Wt	511.61
Solubility		Storage	4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Upidosin		

Background

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 $\mathbf{K_b}$ value of 2-3 nM higher than in ear artery and aorta with a $\mathbf{K_b}$ 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].

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].

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].

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[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.

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