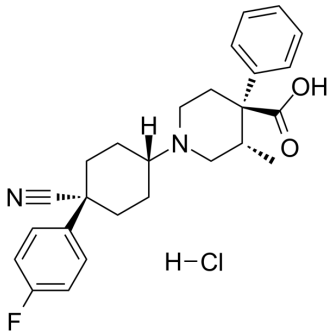
Levocabastine hydrochloride

Cat. No.:	HY-14277A
CAS No.:	79547-78-7
Molecular Formula:	$C_{26}H_{30}ClFN_2O_2$
Molecular Weight:	456.98
Target:	Histamine Receptor; Neurotensin Receptor; Integrin
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Cytoskeleton
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vivo	1. Add each solvent one by one: DMSO Solubility: 4.5 mg/mL (9.85 mM); Clear solution; Need ultrasonic and warming 2. Add each solvent one by one: PBS Solubility: 0.33 mg/mL (0.72 mM); Clear solution; Need ultrasonic and warming

BIOLOGICAL ACTIVITY

Description	Levocabastine (R 50547) hydrochloride is a potent and selective histamine H1-receptor antagonist. Levocabastine hydrochloride is also a selective, high affinity neurotensin receptor subtype 2 (NTR2) antagonist, with a K_i of 17 nM for mNTR2. Levocabastine hydrochloride can act as a VLA-4 antagonist, interferes with conjunctival eosinophil infiltration in allergic conjunctivitis (AC)[1][2][3].	
IC_{50} & Target	H_1 Receptor	NTR2 17 nM (Ki)
In Vitro	Levocabastine (0-1000 μM; HEK-293 cells) hydrochloride causes inhibition of ^{125}I-FN binding to the SPA bead-associated α4β1 integrin in a concentration-dependent manner with an IC_{50} of 406.2μm[3]. Levocabastine (0-1000 μM; 30 min; Jurkat cells and EoL-1 cells) hydrochloride inhibits α4β1 integrin/VCAM-1-mediated cell adhesion in vitro. Levocabastine inhibits α4β1 integrindependent adhesion of Jurkat cells to VCAM-1 with an IC_{50} of 395.6 μM, and the adhesion of EoL-1 cells with an IC_{50} of 403.6 μM. Moreover, Levocabastine inhibits adhesion of human eosinophils to VCAM-1-coated wells (IC_{50}=443.7 μM)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Levocabastine (R 50547; 0.25 mg/kg; i.p.; twice a day for five days; guinea-pig with Parainfluenza-3 (PI-3) virus) hydrochloride inhibits the virus-induced airway hyperresponsiveness[1]. Levocabastine (0.05 mg/kg; i.p.; once; male C57BL/6J mice) hydrochloride blocks anti-stress effect ofβ-LT on mouse behavior[2]. Levocabastine (500 μg/eye; drops eye; once; ovalbumin-sensitized guinea pigs) hydrochloride induces allergic conjunctivitis (AC) and a significant increase of conjunctival VLA-4[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

Animal Model:	Guinea-pig with Parainfluenza-3 (PI-3) virus[1]
Dosage:	0.25 mg/kg
Administration:	Intraperitoneal injection; twice a day for five days
Result:	Suppressed the influx of broncho-alveolar cells and increased in albumin content.

Animal Model:	Male C57BL/6J mice (8-9 weeks old)[2]
Dosage:	0.05 mg/kg; 30 mg/kg (β-LT)
Administration:	Intraperitoneal injection; once
Result:	Blocked the anxiolytic effect ofβ-LT and decreased the number of head-dips.

Animal Model:	Ovalbumin-sensitized guinea pigs[3]
Dosage:	500 μg/eye
Administration:	drops eye, once
Result:	Produced a noteworthy protection from allergic conjunctivitis (AC) and prevented the conjuctival elevation of VLA-4 as well as conjunctival eosinophil infiltration.

REFERENCES

[1]. Folkerts G, et, al. Virus-induced airway hyperresponsiveness in the guinea-pig: possible involvement of histamine and inflammatory cells. Br J Pharmacol. 1993 Apr;108(4):1083-93.

[2]. Yamauchi R, et, al. Effect of beta-lactotensin on acute stress and fear memory. Peptides. 2006 Dec;27(12):3176-82.

[3]. Qasem AR, et, al. Contribution of alpha4beta1 integrin to the antiallergic effect of levocabastine. Biochem Pharmacol. 2008 Sep 15;76(6):751-62.

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