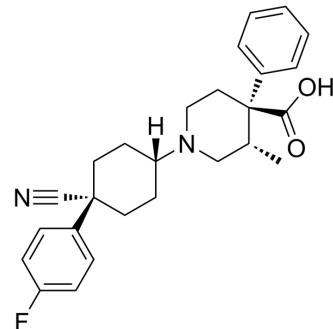


Levocabastine

Cat. No.:	HY-14277
CAS No.:	79516-68-0
Molecular Formula:	C ₂₆ H ₂₉ FN ₂ O ₂
Molecular Weight:	420.52
Target:	Histamine Receptor; Neurotensin Receptor; Integrin
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Cytoskeleton
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Levocabastine (R 50547) 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 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	α4β1	NTR2 17 nM (K _i)															
In Vitro	<p>Levocabastine (0-1000 μM; HEK-293 cells) causes inhibition of ¹²⁵I-FN binding to the SPA bead-associated α4β1 integrin in a concentration-dependent manner with an IC₅₀ of 406.2 μM^[3].</p> <p>Levocabastine (0-1000 μM; 30 min; Jurkat cells and EoL-1 cells) inhibits α4β1 integrin/VCAM-1-mediated cell adhesion in vitro. Levocabastine inhibits α4β1 integrin-dependent adhesion of Jurkat cells to VCAM-1 with an IC₅₀ of 395.6 μM, and the adhesion of EoL-1 cells with an IC₅₀ of 403.6 μM. Moreover, Levocabastine inhibits adhesion of human eosinophils to VCAM-1-coated wells (IC₅₀=443.7 μM)^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																	
In Vivo	<p>Levocabastine (R 50547; 0.25 mg/kg; i.p.; twice a day for five days; guinea-pig with Parainfluenza-3 (PI-3) virus) inhibits the virus-induced airway hyperresponsiveness^[1].</p> <p>Levocabastine (0.05 mg/kg; i.p.; once; male C57BL/6J mice) blocks anti-stress effect of β-LT on mouse behavior^[2].</p> <p>Levocabastine (500 μg/eye; drops eye; once; ovalbumin-sensitized guinea pigs) induces allergic conjunctivitis (AC) and a significant increase of conjunctival VLA-4^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																	
	<table border="1"> <tr> <td>Animal Model:</td> <td colspan="2">Guinea-pig with Parainfluenza-3 (PI-3) virus^[1]</td> </tr> <tr> <td>Dosage:</td> <td colspan="2">0.25 mg/kg</td> </tr> <tr> <td>Administration:</td> <td colspan="2">Intraperitoneal injection; twice a day for five days</td> </tr> <tr> <td>Result:</td> <td colspan="2">Suppressed the influx of broncho-alveolar cells and increased in albumin content.</td> </tr> </table> <table border="1"> <tr> <td>Animal Model:</td> <td colspan="2">Male C57BL/6J mice (8-9 weeks old)^[2]</td> </tr> </table>			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]	
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Dosage:	0.05 mg/kg; 30 mg/kg (β -LT)
Administration:	Intraperitoneal injection; once
Result:	Blocked the anxiolytic effect of β -LT and decreased the number of head-dips.
Animal Model:	Ovalbumin-sensitized guinea pigs ^[3]
Dosage:	500 μ g/eye
Administration:	drops eye, once
Result:	Produced a noteworthy protection from allergic conjunctivitis (AC) and prevented the conjunctival 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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