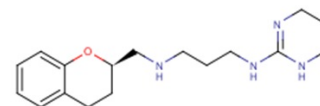


Alniditan

Chemical Properties

CAS No.:	152317-89-0
Formula:	C ₁₇ H ₂₆ N ₄ O
Molecular Weight:	302.41
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Alniditan is a receptors agonist of 5-HT1B/1D in HEK 293 cells (IC ₅₀ : 1.7 and 1.3 nM). For 5-HT1B/1D receptors, the pK _i values are 8.96 and 9.40, respectively.
Targets(IC ₅₀)	5-HT1B Receptor: 1.7 nM (in HEK 293 cell) 5-HT1D Receptor: 1.3 nM (in HEK 293 cell)
In vitro	Alniditan is 10 times more potent than sumatriptan at the h5-HT1B receptor, and twice as potent at the h5-HT1D receptor[3]. In vitro, alniditan exhibits little vasoconstrictive effects on the rat basilar artery, although at a very high concentration 1 mM, alniditan causes intensive constriction, most likely through a mechanism independent from 5-HT receptor activation[1].
In vivo	Alniditan (3, 10, 30 and 100 µg/kg) produces a dose-dependent increase in the arteriovenous oxygen saturation difference, which seems to be attenuated in animals treated with GR127935. Alniditan also produces significant increases in vascular conductance to the skin, ear, bone, salivary gland, fat, tongue, brain and dura mater; no changes are observed in the muscles and eyes[2]. Alniditan dose-dependently decreases total carotid and arteriovenous anastomotic blood flow and concomitant conductance values; nutrient blood flow and conductance increase. The intraperitoneal administration of alniditan ED ₅₀ =9 µg/kg and sumatriptan ED ₅₀ =70 µg/kg dose dependently reduces [¹²⁵ I]-BSA extravasation in the rat meninges when done 30 min before stimulation. The estimated ED values for alniditan are 9 µg/kg in the absence and 190 µg/kg in the presence of GR 127935[1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.307 mL	16.534 mL	33.068 mL
5 mM	0.661 mL	3.307 mL	6.614 mL
10 mM	0.331 mL	1.653 mL	3.307 mL
50 mM	0.066 mL	0.331 mL	0.661 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Limmroth V, et al. Effects of alniditan on neurogenic oedema in the rat dura mater and on contraction of rat basilar artery. Eur J Pharmacol. 1999 Oct 8;382(2):103-9.
2. De Vries P, et al. The antimigraine agent alniditan selectively constricts porcine carotid arteriovenous anastomoses via 5-HT1B/1D receptors. Eur J Pharmacol. 1998 Jun 19;351(2):193-201.
3. Lesage AS, et al. Agonistic properties of alniditan, sumatriptan and dihydroergotamine on human 5-HT1B and 5-HT1D receptors expressed in various mammalian cell lines. Br J Pharmacol. 1998 Apr;123(8):1655-65.

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