Product Data Sheet

Product Name: Alniditan (Alnitidan)
Cat. No.: GC31054

Chemical Properties

Cas. No.	152317-89-0		
SMILES	C1(NCCCNC[C@H]2CCC3=CC=CC=C3O2)=NCCCN1		
Formula	$C_{17}H_{26}N_4O$	M.Wt	302.41
Solubility	Soluble in DMSO	Storage	Store at -20°C
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	Alniditan (Alnitidan)		

Protocol

Animal experiment:

After a stabilisation period of about 1 h, the animals are divided into three groups. In the first group (n=4), values of heart rate, mean arterial blood pressure, carotid blood flow and its distribution, as well as arterial and jugular venous blood gases are measured at baseline, and after four consecutive injections of physiological saline (0.5 mL, every 20 min). The second and third groups of animals (n=6 each) are pre-treated with saline (i.v.) or GR127935 (0.5 mg/kg, i.v.), respectively, given over a period of 5 min at a rate of 1 mL/min. After a waiting period of 15 min, baseline values of heart rate, mean arterial blood pressure, carotid blood flow and its distribution, as well as arterial and jugular venous blood gases are measured. Subsequently, these groups of animals receive sequential i.v. doses of alniditan (3, 10, 30 and 100 μg/kg) every 20 min. Fifteen minutes after each dose of alniditan, all haemodynamic variables are assessed again.

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References:

[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.

Background

Alniditan is a potent 5-HT1B/1D receptors agonist, with IC50 of 1.7 and 1.3 nM in HEK 293 cells, and pKi value of 8.96 and 9.40 for 5-HT1B/1D receptors, respectively.

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]. Alniditan is 10 times more potent than sumatriptan at the h5-HT1B receptor, and twice as potent at the h5-HT1D receptor[3].

The intraperitoneal administration of alniditan ED50=9 μg/kg and sumatriptan ED50=70 μg kg dose dependly reduces [125I]-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]. 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 dose-dependently decreases total carotid and arteriovenous anastomotic blood flow and concomitant conductance values; nutrient blood flow and conductance increase. 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].

[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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