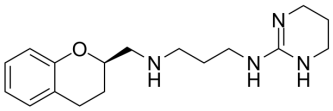
Alniditan

Cat. No.:	HY-101698
CAS No.:	152317-89-0
Molecular Formula:	$C_{17}H_{26}N_4O$
Molecular Weight:	302.41
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Alniditan (Alnitidan) is a potent 5-HT_{1B} and 5-HT_{1D} receptors agonist, with IC_{50}s of 1.7 nM and 1.3 nM for h5-HT_{1B} and h5-HT_{1D} receptors in HEK 293 cells, respectively. Alniditan has migraine-preventive effects[1][2].			
IC_{50} & Target	human 5-HT_{1B} Receptor 1.7 nM (IC_{50}, in HEK 293 cell)	human 5-HT_{1D} Receptor 1.3 nM (IC_{50}, in HEK 293 cell)	5-HT_{1B} Receptor 0.9 nM (Kd)	5-HT_{1D} Receptor 1.2 nM (Kd)
In Vitro	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	The intraperitoneal administration of Alniditan ED_{50}=9 μg/kg dose dependly reduces [^{125}I]-BSA extravasation in the rat meninges when done 30 min before stimulation. Alniditan dose dependently attenuateds the neurogenic inflammation in vivo model of neurogenic inflammation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

PROTOCOL

Animal Administration [2]	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. 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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