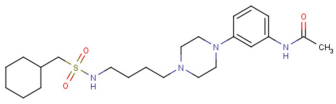
Data Sheet (Cat.No.T16265)

TargetMol
A DRUG SCREENING EXPERT

Naluzotan

Chemical Properties



CAS No.:	740873-06-7
Formula:	C23H38N4O3S
Molecular Weight:	450.64
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Naluzotan is an effective and selective amidosulfonamide 5-HT1A agonist with IC50 and Ki of appr 20 nM and 5.1 nM. Naluzotan is used for the treatment of anxiety and depression and is also a weak hERG K+ channel blocker (IC50: 3800 nM).
Targets(IC_{50})	5-HT1A: appr 20 nM
In vitro	Naluzotan behaves as a full agonist in an in vitro cell-based functional assay (EC50: 20 nM). Naluzotan has obviously affinity is the guinea pig sigma receptor (Ki = 100 nM). However, it does not inhibit cytochrome P450 isoforms (CYP) 1A2, 2C9, 2C19, 2D6, and 3A4[1].
In vivo	Naluzotan displays significant brain penetration, achieving a brain: serum concentration ratio of approximately 0.5 in the rat at 1 h following either intravenous or oral administration and reaching brain concentration approximately equivalent to that of buspirone. In rats, Naluzotan (3 mg/kg, p.o.) treatment, displays 11% oral bioavailability with a serum t1/2 of 2−3.5 h when administrated, attaining a Cmax level of 24 ± 13 ng/mL. In dogs, the pharmacokinetic profile of Naluzotan (3 mg/kg, p.o.) treatment, shows 16% oral bioavailability, a serum t1/2 of 1.1 h, and a Cmax level of 174 ± 141 ng/mL [1]. PRX-00023 (0.01-0.05 mg/kg, i.p.) significantly reduces USV rates but done of these doses produce sedation in rats[2].

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.219 mL	11.095 mL	22.191 mL
5 mM	0.444 mL	2.219 mL	4.438 mL
10 mM	0.222 mL	1.11 mL	2.219 mL
50 mM	0.044 mL	0.222 mL	0.444 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 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Becker OM, et al. An integrated in silico 3D model-driven discovery of a novel, potent, and selective amidosulfonamide 5-HT1A agonist (PRX-00023) for the treatment of anxiety and depression. J Med Chem. 2006 Jun 1;49(11):3116-35.

2. Brunelli SA, et al. PRX-00023, a selective serotonin 1A receptor agonist, reduces ultrasonic vocalizations in infant rats bred for high infantile anxiety. Pharmacol Biochem Behav. 2009 Nov;94(1):8-15.

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