Naluzotan

**Cat. No.:** HY-14848  
**CAS No.:** 740873-06-7  
**Molecular Formula:** \( \text{C}_{23}\text{H}_{38}\text{N}_{4}\text{O}_{3}\text{S} \)  
**Molecular Weight:** 450.64  
**Target:** 5-HT Receptor; Potassium Channel  
**Pathway:** GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel  
**Storage:** Please store the product under the recommended conditions in the COA.

### BIOLOGICAL ACTIVITY

**Description**  
Naluzotan is a novel, potent, and selective amidosulfonamide 5-HT1A agonist with IC\(_{50}\) and K\(_i\) of appr 20 nM and 5.1 nM, used for the treatment of anxiety and depression; Also a weak hERG K\(^+\) channel blocker, with IC\(_{50}\) of 3800 nM.

**IC\(_{50}\) & Target**  
IC\(_{50}\): appr 20 nM (5-HT1A)\(^{[2]}\), 3800 nM (hERG K\(^+\) channel)\(^{[1]}\)  
K\(_i\): 5.1 nM (5-HT1A)\(^{[1]}\)

**In Vitro**  
Naluzotan behaves as a full agonist in an in vitro cell-based functional assay with an EC\(_{50}\) of 20 nM. Naluzotan has significant affinity is the guinea pig sigma receptor (K\(_i\) = 100 nM), but does not inhibit cytochrome P450 isoforms (CYP) 1A2, 2C9, 2C19, 2D6, and 3A4\(^{[1]}\).

**In Vivo**  
In rats Naluzotan shows 11% oral bioavailability with a serum t\(_{1/2}\) of 2−3.5 h when administrated po, attaining a C\(_{\text{max}}\) level of 24 ± 13 ng/mL (3 mg/kg, po). Naluzotan shows 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 dogs the pharmacokinetic profile of naluzotan shows 16% oral bioavailability, a serum t\(_{1/2}\) of 1.1 h po, and a C\(_{\text{max}}\) level of 174 ± 141 ng/mL (3 mg/kg, po)\(^{[1]}\). PRX-00023 (0.01-0.05 mg/kg, i.p.) significantly reduces USV rates, but done of these doses produce sedation in rats\(^{[2]}\).

### PROTOCOL

**Animal Administration**\(^{[2]}\)

PRX-00023 and buspirone are used in the assay. Drugs are dissolved in saline vehicle prior to injections. Each pup is injected in the intraperitoneal space (i.p.) with one of several doses of PRX-00023 (0.01, 0.03, 0.05, 0.1, 0.3, 1.0, and 3.0 mg/kg in saline, for a total volume of 0.1 mg/kg). Within each litter two littermates, though occasionally one, receive the same dose of a compound. Because of the distribution of pups in litters used, no Random line pups are tested with PRX-00023 at 3.0 mg/kg for comparison to vehicle.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### REFERENCES

Product Data Sheet

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