NAS-181 dimesylate

Cat. No.: HY-103156

CAS No.: 1217474-40-2

Molecular Formula: $C_{21}H_{34}N_2O_{10}S_2$

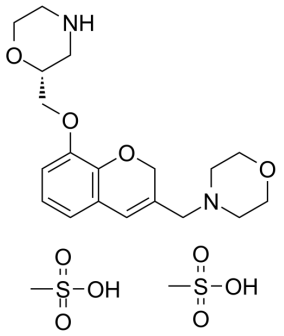
Molecular Weight: 538.63

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (116.04 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8566 mL	9.2828 mL	18.5656 mL
	5 mM	0.3713 mL	1.8566 mL	3.7131 mL
	10 mM	0.1857 mL	0.9283 mL	1.8566 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

NAS181 is a potent and selective antagonist of rat 5-HT_{1B} receptor, with a K_i of 47 nM. NAS181 shows 13-fold selectivity for r5-HT_{1B} over bovine 5-HT_{1B} receptor (K_i=630 nM). NAS181 increases the 5-HT turnover and the synaptic concentration of 5-HT by inhibiting terminal r5-HT_{1B} autoreceptors[1][2].

IC_{50} & Target

Rat 5-HT_{1B} Receptor

47 nM (IC_{50})

In Vitro

NAS181 has very low affinities (K_i>3000 nM) for all other receptors examined, including 5-HT2A, 5-HT2C, 5-HT6, and 5-HT7, α1-, α2-, and β-adrenoceptors, and dopamine D1 and D2[1].

NAS181 (10-1000 nM) dose-dependently potentiates the K^+-stimulated [^{3}H]-5-HT release in preloaded rat occipital cortical slices[1].

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

In Vivo

NAS181 (1-10 mg/kg; s.c.) dose-dependently increases acetylcholine (ACh) release in the frontal, ventral hippocampus cortex and VHipp[1].

NAS181 (20 mg/kg; s.c.) enhances the 5-HT turnover in four rat brain regions (hypothalamus, hippocampus, striatum, and frontal cortex) with about 40%[1].

NAS181 (3 mg/kg; s.c.) produces a significant increase in the number of wet dog shakes in rats[1].

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

Animal Model:	Adult male Sprague-Dawley rats (250-300 g)
Dosage:	1, 5, 10 mg/kg
Administration:	S.c. in the scruff of the neck
Result:	Increased the ACh release in the frontal cortex, reaching the maximal value of 500% of the control group within 80 min after the injection of the highest dose. Increased the ACh releases in VHipp with a maximum of 230% of the control values at 80 min after the injection of the highest dose.

REFERENCES

[1]. Berg S, et, al. (R)-(+)-2-[[[3-(Morpholinomethyl)-2H-chromen-8-yl]oxy]methyl] morpholine methanesulfonate: a new selective rat 5-hydroxytryptamine1B receptor antagonist. J Med Chem. 1998 May 21;41(11):1934-42.

[2]. Hu XJ, et, al. Effects of the 5-HT1B receptor antagonist NAS-181 on extracellular levels of acetylcholine, glutamate and GABA in the frontal cortex and ventral hippocampus of awake rats: a microdialysis study. Eur Neuropsychopharmacol. 2007 Sep;17(9):580-

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