Dasotraline

Cat. No.: HY-12850

CAS No.: 675126-05-3

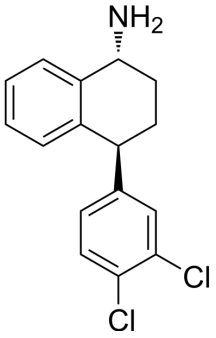
Molecular Formula: $C_{16}H_{15}Cl_2N$

Molecular Weight: 292.2

Target: Dopamine Transporter; Serotonin Transporter

Pathway: Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (106.09 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	3.4223 mL	17.1116 mL	34.2231 mL
5 mM	0.6845 mL	3.4223 mL	6.8446 mL
10 mM	0.3422 mL	1.7112 mL	3.4223 mL

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

BIOLOGICAL ACTIVITY

Description

Dasotraline is a triple reuptake inhibitor that blocks dopamine, norepinephrine, and serotonin transporters with IC_{50} values of 4, 6, and 11 nM, respectively.

IC_{50} & Target

IC50: 4 nM (dopamine transporter), 6 nM (norepinephrine transporter),11 nM (5-HT transporter)[1]

In Vivo

The present in-vivoelectrophysiological study is undertaken to determine the effects of the triple reuptake inhibitor Dasotraline (SEP-225289) on the neuronal activities of locus coeruleus (LC) NE, ventral tegmental area (VTA) DA and dorsal raphe (DR) 5-HT neurons. Administered acutely, Dasotraline dose-dependently decreases the spontaneous firing rate of LC NE, VTA DA and DR 5-HT neurons through the activation of α_2, D_2 and 5-HT_{1A} autoreceptors, respectively. Dasotraline predominantly inhibits the firing rate of LC NE neurons while producing only a partial decrease in VTA DA and DR 5-HT neuronal discharge. Dasotraline is equipotent at inhibiting 5-HT and NE transporters since it prolongs to the same extent the time required for a 50% recovery (RT_{50}) of the firing activity of dorsal hippocampus CA3 pyramidal neurons from the inhibition induced by microiontophoretic application of 5-HT and NE. The recovery time (RT), from the suppression of hippocampus pyramidal neuron firing activity following microiontophoresis application of 5-HT and NE, is assessed by determining the RT_{50} values before and after the acute intravenous administration of cumulative doses of Dasotraline (1–8

mg/kg). Although Dasotraline (1 and 2 mg/kg) does not modify the firing activity of CA3 pyramidal neurons, a significant reduction (-50%) is detected with the highest dose (8 mg/kg). In rats pre-treated with WAY100635, Dasotraline (0.5-2 mg/kg i.v.) elicits a significant increase in DR 5-HT firing rate. In rats pre-treated with WAY100635, Dasotralinesignificantly increases the number of single spikes and bursts[1].

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

REFERENCES

[1]. Guiard BP, et al. Characterization of the electrophysiological properties of triple reuptake inhibitors on monoaminergic neurons. Int J Neuropsychopharmacol. 2011 Mar;14(2):211-23.

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