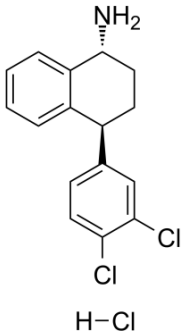
Dasotraline hydrochloride

Cat. No.:	HY-12850A
CAS No.:	675126-08-6
Molecular Formula:	$C_{16}H_{16}Cl_3N$
Molecular Weight:	328.66
Target:	Serotonin Transporter; Dopamine Transporter
Pathway:	Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (94.32 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0427 mL	15.2133 mL	30.4266 mL
	5 mM	0.6085 mL	3.0427 mL	6.0853 mL
	10 mM	0.3043 mL	1.5213 mL	3.0427 mL

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

BIOLOGICAL ACTIVITY

Description

Dasotraline hydrochloride (SEP-225289 hydrochloride) 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), 6 nM (norepinephrine), 11 nM (serotonin)[1]

In Vivo

Acute administration of dasotraline dose-dependently decreases the spontaneous firing rate of LC NE, VTA DA and DR 5-HT neurons through the activation of α2, D2 and 5-HT1A 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. SEP-225289 is equipotent at inhibiting 5-HT and NE transporters since it prolongs to the same extent the time required for a 50% recovery of the firing activity of dorsal hippocampus CA3 pyramidal neurons from the inhibition induced by microiontophoretic application of 5-HT and NE[1]. Average dopamine and serotonin transporter occupancies increase with increasing doses of SEP-225289. Mean dopamine and serotonin transporter occupancies are 33%±11% and 2%±13%, respectively, for 8 mg; 44%±4% and 9%±10%, respectively, for 12 mg; and 49%±7% and 14%±15%, respectively, for 16 mg[2].

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.

[2]. DeLorenzo C, et al. SEP-225289 serotonin and dopamine transporter occupancy: a PET study.

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