BIOLOGICAL ACTIVITY:
Dasotraline hydrochloride (SEP-225289 hydrochloride) is a triple reuptake inhibitor that blocks dopamine, norepinephrine, and serotonin transporters with IC50 values of 4, 6, and 11 nM, respectively.

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]

References:

Caution: Product has not been fully validated for medical applications. For research use only.