

产品名称: (1R,4S)-N-Desmethyl Sertraline Hydrochloride

产品别名: 达索曲林盐酸盐; Dasotraline hydrochloride

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| 生物活性: | | | | |
| 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 | IC ₅₀ : 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 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. 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]. | | | |
| Solvent&Solubility | In Vitro: DMSO : ≥ 31 mg/mL (94.32 mM) * "≥" means soluble, but saturation unknown. | | | |
| | <div>Preparing Stock Solutions</div> | <div>Solvent Mass Concentration</div> | 1 mg | 5 mg |
| | | 1 mM | 3.0427 mL | 15.2133 mL |
| | | 5 mM | 0.6085 mL | 3.0427 mL |
| | | 10 mM | 0.3043 mL | 1.5213 mL |
| | *请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用， -20℃ 储存时，请在 1 个月内使用。 | | | |
| 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. | | | |