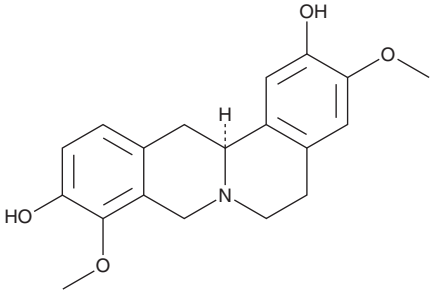
PRODUCT INFORMATION

(–)-Stepholidine

Item No. 26612

CAS Registry No.:	16562-13-3
Formal Name:	(13aS)-5,8,13,13a-tetrahydro-3,9-dimethoxy-6H-dibenzo[a,g]quinolizine-2,10-diol
MF:	$C_{19}H_{21}NO_4$
FW:	327.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 242, 279 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(–)-Stepholidine is supplied as a crystalline solid. A stock solution may be made by dissolving the (–)-stepholidine in the solvent of choice, which should be purged with an inert gas. (–)-Stepholidine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (–)-stepholidine in ethanol is approximately 1.1 mg/ml and approximately 5 mg/ml in DMSO and DMF.

(–)-Stepholidine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (–)-stepholidine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. (–)-Stepholidine has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

(–)-Stepholidine is a dopamine receptor antagonist and a partial agonist of the serotonin (5-HT) receptor subtype 5-HT_{1A}.[1-3] (–)-Stepholidine binds to dopamine D_1, D_2, D_3, D_4, and D_5 receptors (K_is = 5.1, 11.6, 24, 1,450, and 5.8 nM, respectively) as well as 5-HT_{1A}, 5-HT_{2B}, α_{2C}-adrenergic receptors (α_{2C}-ARs), and sigma-2 (σ_2) receptors in a radioligand binding assay (K_is = 143, 226, 215, and 53 nM, respectively).[1] It inhibits dopamine-induced cAMP accumulation in HEK293 cells expressing dopamine D_1, D_2, and D_5 receptors with IC_{50} values of 20.5, 128, and 27 nM, respectively. (–)-Stepholidine inhibits forskolin-induced cAMP production in CHO cells expressing rat 5-HT_{1A} receptors (EC_{50} = 1.2 µM).[2] *In vivo*, (–)-stepholidine (1 mg/kg, i.v.) increases dopamine neuron firing rates, the number of spikes in bursts, and the amplitude of slow oscillations by 20, 155, and 126%, respectively, in the rat ventral tegmental area (VTA), effects that can be blocked by the 5-HT_{1A} antagonist WAY-100635 (Item No. 14599).[3] Pretreatment with (–)-stepholidine inhibits amphetamine- and phencyclidine-induced locomotor activity in rats (ED_{50}s = 2.4 and 6.5 mg/kg, respectively).[4]

References

1. Meade, J.A., Free, R.B., Miller, N.R., *et al. Psychopharmacology* **232(5)**, 917-630 (2015).
2. Mo, J., Zhang, H., Yu, L.-P., *et al. Neurobiol. Aging* **31(6)**, 926-936 (2010).
3. Gao, M., Chu, H.-Y., Jin, G.-Z., *et al. Synapse* **65(5)**, 379-387 (2011).
4. Natesan, S., Reckless, G.E., Barlow, K.B.L., *et al. Psychopharmacology* **199(2)**, 275-289 (2008).

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