Product Data Sheet

Product Name: (-)-Stepholidine
Cat. No.: GC14252

Chemical Properties

Cas. No.	16562-13-3		
Chemical Name	3,9-dimethoxy-6,8,13,13a-tetrahydro-5H-isoquinolino[3,2-a]isoquinoline-2,10-diol		
SMILES	COC1=C(O)C=C2C3CC4=C(C(OC)=C(O)C=C4)CN3CCC2=C1		
Formula	$C_{19}H_{21}NO_4$	M.Wt	327.37
Solubility	1.1mg/mL in ethanol, 5mg/mL in DMSO, or in DMF	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	(-)-Stepholidine		

Background

(–)-Stepholidine is a dopamine receptor antagonist and a partial agonist of the serotonin (5-HT) receptor subtype 5-HT1A.[1],[2],[3] (–)-Stepholidine binds to dopamine D1, D2, D3, D4, and D5 receptors (Kis = 5.1, 11.6, 24, 1,450, and 5.8 nM, respectively) as well as 5-HT1A, 5-HT2B, α2C-adrenergic receptors (α2C-ARs), and sigma-2 (σ2) receptors in a radioligand binding assay (Kis = 143, 226, 215, and 53 nM, respectively).[1] It inhibits dopamine-induced cAMP accumulation in HEK293 cells expressing dopamine D1, D2, and D5 receptors with IC50 values of 20.5, 128, and 27 nM, respectively. (–)-Stepholidine inhibits forskolin-induced cAMP production in CHO cells expressing rat 5-HT1A receptors (EC50 = 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-HT1A antagonist WAY-100635. [3] Pretreatment with (–)-stepholidine inhibits amphetamine- and phencyclidine-induced locomotor activity in rats (ED50s = 2.4 and 6.5 mg/kg, respectively).[4]

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Reference:
[1]. Meade, J.A., Free, R.B., Miller, N.R., et al. (−)-Stepholidine is a potent pan-dopamine receptor antagonist of both G protein- and β-arrestin-mediated signaling. Psychopharmacology 232(5), 917-630 (2015).
[2]. Mo, J., Zhang, H., Yu, L.-P., et al. L-stepholidine reduced L-DOPA-induced dyskinesia in 6-OHDA-lesioned rat model of Parkinson’s disease. Neurobiol. Aging 31(6), 926-936 (2010).
[3]. Gao, M., Chu, H.-Y., Jin, G.-Z., et al. l-Stepholidine-induced excitation of dopamine neurons in rat ventral tegmental area is associated with its 5-HT1A receptor partial agonistic activity. Synapse 65(5), 379-387 (2011).
[4]. Natesan, S., Reckless, G.E., Barlow, K.B.L., et al. The antipsychotic potential of l-stepholidine--a naturally occurring dopamine receptor D1 agonist and D2 antagonist. Psychopharmacology 199(2), 275-289 (2008).

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