



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **Tandospirone**
产品别名: 坦度螺酮; **SM-3997**

生物活性:	
Description	Tandospirone(SM-3997) is a potent and selective 5-HT_{1A} receptor partial agonist ($K_i = 27 \text{ nM}$) that displays selectivity over SR-2, SR-1C, α_1, α_2, D₁ and D₂ receptors (K_i values ranging from 1300-41000 nM). IC₅₀ Value: $27 \pm 5 \text{ nM}$ (K_i) [1] Target: 5-HT_{1A} in vitro: Tandospirone is most potent at the 5-HT_{1A} receptor, displaying a K_i value of $27 \pm 5 \text{ nM}$. The agent is approximately two to three orders of magnitude less potent at 5-HT₂, 5-HT_{1C}, α_1-adrenergic, α_2-adrenergic, and dopamine D₁ and D₂ receptors (K_i values ranging from 1300 to 41000 nM). Tandospirone is essentially inactive at 5-HT_{1B} receptors; 5-HT uptake sites; β-adrenergic, muscarinic cholinergic, and benzodiazepine receptors [1]. 3H-SM-3997 bound rapidly, reversibly and in a saturable manner with high affinity to rat brain hippocampal membranes ($K_d = 9.4 \text{ nM}$, $B_{max} = 213 \text{ fmol/mg protein}$) [2]. in vivo: Chronic treatment with tandospirone, at 0.2 and 1.0mg/kg/day, but not 2.0mg/kg/day, attenuated footshock stress-induced eLAC elevation in the mPFC [3]. Rats were acutely administered tandospirone (0, 0.1, and 1 mg/kg, i.p.). Tandospirone decreased the number of premature responses, an index of impulsive action, in a dose-dependent manner [4]. Toxicity: It is not believed to be addictive but it is known to produce mild withdrawal effects (e.g. anorexia) after abrupt discontinuation.
Solvent&Solubility	In Vitro: H_2O : < 0.1 mg/mL (insoluble)
References	[1]. Hamik A, et al. Analysis of tandospirone (SM-3997) interactions with neurotransmitter receptor binding sites. Biol Psychiatry. 1990 Jul 15;28(2):99-109. [2]. Shimizu H, et al. Characterization of the putative anxiolytic SM-3997 recognition sites in rat brain. Life Sci. 1988;42(24):2419-27. [3]. Uehara T, et al. Chronic treatment with tandospirone, a 5-HT_{1A} receptor partial agonist, suppresses footshock stress-induced lactate production in the prefrontal cortex of rats. Pharmacol Biochem Behav. 2013 Nov 15;113:1-6. [4]. Ohmura Y, et al. Tandospirone suppresses impulsive action by possible blockade of the 5-HT_{1A} receptor. J Pharmacol Sci. 2013;122(2):84-92.