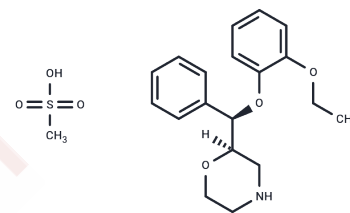


Reboxetine mesylate

Chemical Properties

CAS No. :	98769-84-7
Formula:	C ₁₉ H ₂₃ NO ₃ ·CH ₄ O ₃ S
Molecular Weight:	409.5
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Reboxetine mesylate (FCE20124 mesylate) is a norepinephrine reuptake inhibitor with a Ki of 8.2 nM.
Targets(IC50)	Adrenergic Receptor, Norepinephrine
In vitro	Reboxetine dose-dependently and completely inhibits [3H]-dopamine uptake to the human norepinephrine transporters (hNET) with Ki value of 11 nM in Madin-Darby canine kidney (MDCK) cells. [1]
In vivo	Reboxetine dose-dependently and potently inhibits locus coeruleus neuronal firing in rats with ED50 of 191 µg/kg. Reboxetine inhibition of the locus coeruleus neurons is reversible by the α2 antagonist piperoxan (1.5 mg/kg, IV). Reboxetine dose-dependently reverses reserpine-induced blepharospasm and hypothermia in the mouse. Reboxetine is also found to antagonize clonidine-induced hypothermia dose-dependently in mice. Reboxetine reverses reserpine-induced blepharospasm and hypothermia in rats with ED50 of 10 mg/kg and 3 mg/kg (p.o.), respectively. [1] Reboxetine results in a significant reduction in the mean number of panic attacks and phobic symptoms in patients with DSM-III-R panic disorder. Reboxetine also results in improvement in Hamilton Rating Scale for Depression, Hopkins Symptom Checklist-90, and Sheehan Disability Scale scores. [2] Reboxetine is associated with a markedly lower relapse rate than placebo (22% vs. 56%) and a greater cumulative probability of a maintained response during long-term treatment in patients with recurrent DSM-III-R major depression. Reboxetine effectively prevents recurrence of depressive symptoms following episode resolution. [3] Acute systemic administration of Reboxetine (0.3 mg/kg-20 mg/kg) dose-dependently increases extracellular norepinephrine in the rat frontal cortex while having no effect on extracellular serotonin. Reboxetine (20 mg/kg) also increases extracellular dopamine in the rat frontal cortex. Chronic administration of Reboxetine for 14 days results in elevated basal concentrations of extracellular norepinephrine and dopamine and a greater net increase of extracellular norepinephrine and dopamine, but not serotonin in the rat frontal cortex. [4] Reboxetine dose dependently decreases nicotine self-administration by ~60%. Repeated administration of Reboxetine (5.6 mg/kg) decreases nicotine self-administration and sucrose-maintained responding across the 14 sessions. [5]

Solubility Information

Solubility	H2O: 20.5 mg/mL (50.06 mM),Sonication is recommended. DMSO: 250 mg/mL (610.5 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (12.21 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.442 mL	12.210 mL	24.420 mL
5 mM	0.4884 mL	2.442 mL	4.884 mL
10 mM	0.2442 mL	1.221 mL	2.442 mL
50 mM	0.0488 mL	0.2442 mL	0.4884 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Wong EH, et al. Biol Psychiatry, 2000, 47(9), 818-829.
Versiani M, et al. J Clin Psychiatry, 2002, 63(1), 31-37.
Versiani M, et al. J Clin Psychiatry, 1999, 60(6), 400-406.
Page ME, et al. Neuropsychopharmacology, 2002, 27(2), 237-247.
Rauhut AS, et al. J Pharmacol Exp Ther, 2002, 303(2), 664-672.

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