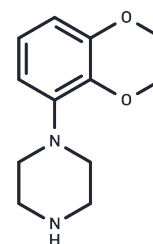


## Etoprazine

## Chemical Properties

CAS No. :	98224-03-4
Formula:	C <sub>12</sub> H <sub>16</sub> N <sub>2</sub> O <sub>2</sub>
Molecular Weight:	220.27
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	Etoprazine(DU28853) is a serenic or antiaggressive agent which as an agonist at the 5-HT <sub>1A</sub> and 5-HT <sub>1B</sub> receptors and as an antagonist at the 5-HT <sub>2C</sub> receptor.
Targets(IC <sub>50</sub> )	Others,5-HT Receptor
In vitro	In vitro, The binding of [ <sup>3</sup> H]etoprazine to whole tissue sections was saturable and revealed an apparent dissociation constant (K <sub>d</sub> ) of 11 nM. Specific [ <sup>3</sup> H]etoprazine binding was completely displaced by 5-HT; conversely, unlabelled etoprazine reduced [ <sup>3</sup> H]5-HT binding to the levels of non-specific binding [1]. Etoprazine evoked membrane changes that were similar to but much weaker than those induced by 5HT. Both the 5HT- and etoprazine-evoked membrane hyperpolarizations were largely suppressed in the presence of spiperone [2].
In vivo	In vivo, etoprazine is extremely effective in suppressing dyskinesia in experimental models, although this effect was accompanied by a partial worsening of the therapeutic effect of l-dopa. Interestingly, etoprazine was found to (synergistically) potentiate the antidyskinetic effect of amantadine. The current data indicated that etoprazine is highly effective in counteracting dyskinesia in preclinical models [3]. Rats were chronically treated with mianserin (10 mg/kg i.p.) or etoprazine (1 mg/kg i.p.) and were tested in the elevated plus-maze test for anxiety. Mianserin and etoprazine displayed opposite effects in the elevated plus-maze: mianserin induced anxiolytic-like effects, while etoprazine showed anxiogenic-like ones [4].

## Solubility Information

Solubility	DMSO: ≥ 25 mg/mL (113.50 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: 2 mg/mL (9.08 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	4.5399 mL	22.6994 mL	45.3988 mL
5 mM	0.908 mL	4.5399 mL	9.0798 mL
10 mM	0.454 mL	2.2699 mL	4.5399 mL
50 mM	0.0908 mL	0.454 mL	0.908 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

Sijbesma H, et al. Eltoprazine, a drug which reduces aggressive behaviour, binds selectively to 5-HT<sub>1</sub> receptor sites in the rat brain: an autoradiographic study. *Eur J Pharmacol.* 1990 Feb 20;177(1-2):55-66.

Joels M, et al. Eltoprazine suppresses hyperpolarizing responses to serotonin in rat hippocampus. *J Pharmacol Exp Ther.* 1990 Apr;253(1):284-9.

Bezard E, et al. Study of the antidyskinetic effect of eltoprazine in animal models of levodopa-induced dyskinesia. *Mov Disord.* 2013 Jul;28(8):1088-96.

Rocha B, et al. Chronic mianserin or eltoprazine treatment in rats: effects on the elevated plus-maze test and on limbic 5-HT<sub>2C</sub> receptor levels. *Eur J Pharmacol.* 1994 Sep 1;262(1-2):125-31.

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