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## Product Data Sheet

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Product Name: Eltoprazine (DU 28853)

Cat. No.: GC30982

### Chemical Properties

Cas. No. 98224-03-4

SMILES N1(C2=C3OCCOC3=CC=C2)CCNCC1

Formula  $C_{12}H_{16}N_2O_2$  M.Wt 220.27

Solubility DMSO :  $\geq 25$  mg/mL (113.50 mM) Storage Store at  $-20^{\circ}C$

General tips For obtaining a higher solubility , please warm the tube at  $37^{\circ}C$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}C$  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

### Background

Eltoprazine(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. IC<sub>50</sub> value: Target: 5-HT<sub>1A/1B</sub> agonist; 5-HT<sub>2C</sub> antagonist in vitro: The binding of [<sup>3</sup>H]eltoprazine to whole tissue sections was saturable and revealed an apparent dissociation constant (K<sub>d</sub>) of 11 nM. Specific [<sup>3</sup>H]eltoprazine binding was completely displaced by 5-HT; conversely, unlabelled eltoprazine reduced [<sup>3</sup>H]5-HT binding to the levels of non-specific binding [1]. Eltoprazine evoked membrane changes that were similar to but much weaker than those induced by 5HT. Both the 5HT- and eltoprazine-evoked membrane hyperpolarizations were largely suppressed in the presence of spiperone [2]. in vivo: eltoprazine 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, eltoprazine was found to (synergistically) potentiate the antidyskinetic effect of amantadine. The current data indicated that eltoprazine is highly effective in counteracting dyskinesia in preclinical models [3]. Rats were chronically treated with mianserin (10 mg/kg i.p.) or eltoprazine (1 mg/kg i.p.) and were tested in the elevated plus-maze test for anxiety. Mianserin and eltoprazine

**Caution: Product has not been fully validated for medical applications. For research use only.**

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displayed opposite effects in the elevated plus-maze: mianserin induced anxiolytic-like effects, while eltoprazine showed anxiogenic-like ones [4].

[1]. 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. [2]. Joels M, et al. Eltoprazine suppresses hyperpolarizing responses to serotonin in rat hippocampus. *J Pharmacol Exp Ther.* 1990 Apr;253(1):284-9. [3]. 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. [4]. 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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