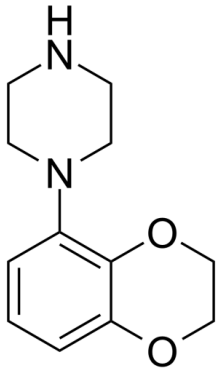
Data Sheet

Product Name:	Eltoprazine
Cat. No.:	CS-3216
CAS No.:	98224-03-4
Molecular Formula:	C12H16N2O2
Molecular Weight:	220.27
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : ≥ 25 mg/mL (113.50 mM)



BIOLOGICAL ACTIVITY:

Eltoprazine(DU28853) is a serenic or antiaggressive agent which as an agonist at the 5-HT1A and 5-HT1B receptors and as an antagonist at the 5-HT2C receptor. IC50 value: Target: 5-HT1A/1B agonist; 5-HT2C antagonist in vitro: The binding of [3H]eltoprazine to whole tissue sections was saturable and revealed an apparent dissociation constant (Kd) of 11 nM. Specific [3H]eltoprazine binding was completely displaced by 5-HT; conversely, unlabelled eltoprazine reduced [3H]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 displayed opposite effects in the elevated plus-maze: mianserin induced anxiolytic-like effects, while eltoprazine showed anxiogenic-like ones [4].

References:

[1]. Sijbesma H, et al. Eltoprazine, a drug which reduces aggressive behaviour, binds selectively to 5-HT1 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-HT2C receptor levels. Eur J Pharmacol. 1994 Sep 1;262(1-2):125-31.

CAIndexNames:

Piperazine, 1-(2,3-dihydro-1,4-benzodioxin-5-yl)-

SMILES:

N1(C2=C3OCCOC3=CC=C2)CCNCC1

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA