

Eltoprazine (hydrochloride)

Catalog No: tcsc3217



Available Sizes

Size: 10mg

Size: 50mg



Specifications

CAS No:

98206-09-8

Formula:

$C_{12}H_{17}ClN_2O_2$

Pathway:

Neuronal Signaling;GPCR/G Protein

Target:

5-HT Receptor;5-HT Receptor

Purity / Grade:

>98%

Solubility:

H₂O : ≥ 28 mg/mL (109.06 mM); DMSO : ≥ 31 mg/mL (120.75 mM)

Alternative Names:

DU 28853 hydrochloride

Observed Molecular Weight:

256.73

Product Description

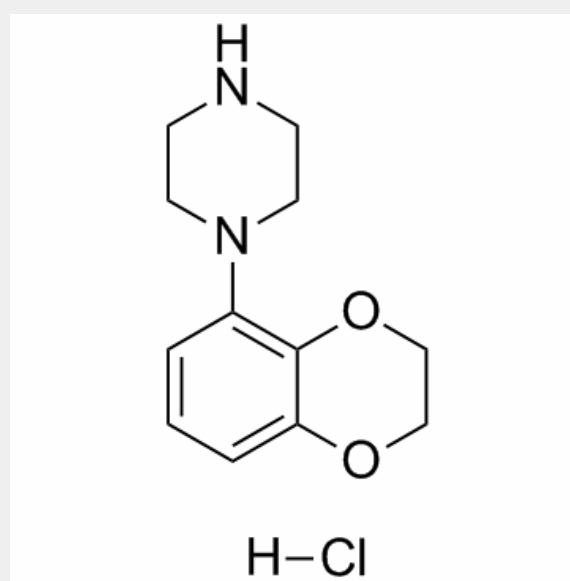
Eltoprazine(DU28853) is a serenic or antiaggressive agent which as an agonist at the 5-HT_{1A} and 5-HT_{1B} receptors and as an antagonist at the 5-HT_{2C} receptor.

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 (K_d) 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].



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