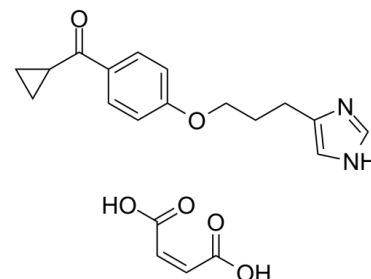


## Data Sheet

<b>Product Name:</b>	Ciproxifan (maleate)
<b>Cat. No.:</b>	CS-0924
<b>CAS No.:</b>	184025-19-2
<b>Molecular Formula:</b>	C <sub>20</sub> H <sub>22</sub> N <sub>2</sub> O <sub>6</sub>
<b>Molecular Weight:</b>	386.40
<b>Target:</b>	Histamine Receptor
<b>Pathway:</b>	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
<b>Solubility:</b>	DMSO : ≥ 100 mg/mL (258.80 mM)



### BIOLOGICAL ACTIVITY:

Ciproxifan maleate(FUB-359 maleate) is a highly potent and selective histamin H<sub>3</sub>-receptor antagonist with IC<sub>50</sub> of 9.2 nM, with low apparent affinity at other receptor subtypes. IC<sub>50</sub> value: Target: H<sub>3</sub> receptor In vitro, Ciproxifan behaved as a competitive antagonist at the H<sub>3</sub> autoreceptor controlling 3H histamine release from synaptosomes and displayed similar K<sub>i</sub> values (0.5-1.9 nM) at the H<sub>3</sub> receptor controlling the electrically-induced contraction of guinea pig ileum or at the brain H<sub>3</sub> receptor labeled with 125I-iodoproxyfan. This appears to be an orally bioavailable, extremely selective and potent H<sub>3</sub>-receptor antagonist whose vigilance- and attention-promoting effects are promising for therapeutic applications in aging disorders.

### References:

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- [2]. Bardgett ME, Davis NN, Schultheis PJ, Griffith MS. Ciproxifan, an H<sub>3</sub> receptor antagonist, alleviates hyperactivity and cognitive deficits in the APP Tg2576 mouse model of Alzheimer's disease. *Neurobiol Learn Mem.* 2011 Jan;95(1):64-72.
- [3]. Bardgett ME, Points M, Kleier J, Blankenship M, Griffith MS. The H<sub>3</sub> antagonist, ciproxifan, alleviates the memory impairment but enhances the motor effects of MK-801 (dizocilpine) in rats. *Neuropharmacology.* 2010 Nov;59(6):492-502.
- [4]. Day M, et al . Differential effects of ciproxifan and nicotine on impulsivity and attention measures in the 5-choice serial reaction time test. *Biochem Pharmacol.* 2007 Apr 15;73(8):1123-34.
- [5]. Pillot C, Héron A, Schwartz JC, Arrang JM. Ciproxifan, a histamine H<sub>3</sub>-receptor antagonist/inverse agonist, modulates the effects of methamphetamine on neuropeptide mRNA expression in rat striatum. *Eur J Neurosci.* 2003 Jan;17(2):307-14.

### CAIndexNames:

Methanone, cyclopropyl[4-[3-(1H-imidazol-4-yl)propoxy]phenyl]-, (2Z)-2-butenedioate (1:1) (9CI)

### SMILES:

O=C(C1CC1)C2=CC=C(C(OCCCC3=CNC=N3)C=C2)O=C(O)/C=C\C(O)=O

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

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