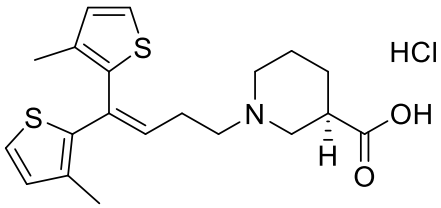
Product data sheet

MedKoo Cat#: 318867 Name: Tiagabine hydrochloride CAS#: 145821-59-6 (HCl) Chemical Formula: $C_{20}H_{26}ClNO_2S_2$ Molecular Weight: 412.003	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Tiagabine is an anti-convulsive medication. The medication is also utilized in the treatment of panic disorder, as are a few other anticonvulsants. Tiagabine increases the level of gamma aminobutyric acid (GABA), the major inhibitory neurotransmitter in the central nervous system by blocking the GABA transporter and hence is classified as a GABA reuptake inhibitor.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	53	128.64
Ethanol	82	199.02
Water	11	26.70

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.43 mL	12.14 mL	24.27 mL
5 mM	0.49 mL	2.43 mL	4.85 mL
10 mM	0.24 mL	1.21 mL	2.43 mL
50 mM	0.05 mL	0.24 mL	0.49 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Cardile V, Palumbo M, Renis M, Pavone A, Maci T, Perciavalle V. Tiagabine treatment and DNA damage in rat astrocytes: an in vitro study by comet assay. Neurosci Lett. 2001 Jun 22;306(1-2):17-20. doi: 10.1016/s0304-3940(01)01836-5. PMID: 11403947.

In vivo study

1. Iqbal S, Baziany A, Gordon S, Wright S, Hussain M, Miyashita H, Shuaib A, Hasan Rajput A. Neuroprotective effect of tiagabine in transient forebrain global ischemia: an in vivo microdialysis, behavioral, and histological study. Brain Res. 2002 Aug 16;946(2):162-70. doi: 10.1016/s0006-8993(02)02871-8. PMID: 12137918.

7. Bioactivity

Biological target:

Tiagabine hydrochloride is a potent and selective GABA reuptake inhibitor, used as an anticonvulsant agent, with IC50s of 67, 446 and 182 nM for GABA uptake in Synaptosomes, Neurons and Glia, respectively.

In vitro activity

Product data sheet

The effects of Tiagabine on genomic DNA of cortical rat astrocytes was studied in vitro. To evaluate DNA damage, a relatively simple technique called Single Cell Gel Electrophoresis or Comet assay was used. Tiagabine was dissolved in culture medium and added at concentration of 1, 10, 20 and 50 microg/ml on 12-day old cultured astrocytes. In presence of 1 and 10 microg/ml of Tiagabine, no DNA damage was observed after 48 h of treatment. A moderate DNA damage was instead observed for cells exposed to 20 microg/ml of antiepileptic drug. Finally, DNA fragmentation was more evident after treatment with 50 microg/ml of Tiagabine Tiagabine, at the usual recommended doses, does not appear to influence negatively the cortical rat astrocytes, inducing DNA fragmentation only at very high concentrations.

Reference: Neurosci Lett. 2001 Jun 22;306(1-2):17-20. https://linkinghub.elsevier.com/retrieve/pii/S0304-3940(01)01836-5

In vivo activity

The neuroprotective effect of tiagabine was investigated in global ischemia in gerbils. Two groups of the animals received 15 mg/kg of tiagabine 30 min before ischemia. In the first group, the temperature was controlled at 37 degrees C from time of injection to 1 h after ischemia. In the second group, the temperature was left uncontrolled to see the hypothermic effect of tiagabine. Microdialysis was performed in CA1 region of hippocampus in half of the animals in each group to assess the levels of glutamate and gamma-amino-butyric acid (GABA). Animal behavior was also tested in 28-day groups in a radial-arm maze. Histology was done 7 and 28 days after ischemia in CA1 region of hippocampus to assess early and delayed effect of drug. A significant suppression of glutamate was noted in both groups ($P<0.01$). Behavioral results showed that in the temperature-uncontrolled treatment group, animals significantly reduced their working memory errors as compared to the temperature-controlled treatment group. Histology revealed a significant neuroprotection ($P<0.001$) in the temperature-uncontrolled treatment group. In the temperature-controlled treatment group, however, neuroprotection was insignificant ($P>0.05$). A third group of animals received the same dose of tiagabine 3 h after ischemia. Temperature was not controlled in this group. The animals were sacrificed after 7 days so no behavior testing was carried out. Histology showed no neuroprotection in this group ($P>0.05$). These results show that tiagabine offers a significant neuroprotection in global ischemia in gerbils when given 30 min before ischemia but not when given 3 h after ischemia.

Reference: Brain Res. 2002 Aug 16;946(2):162-70. https://linkinghub.elsevier.com/retrieve/pii/S0006899302028718