

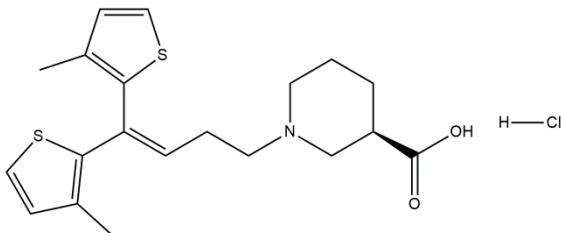
Tiagabine hydrochloride

02/21

ALTERNATE NAMES: Gabitril; (3R)-1-[4,4-bis(3-methylthiophen-2-yl)but-3-enyl]piperidine-3-carboxylic acid;hydrochloride; (r)-1-(4,4-bis(3-methylthiophen-2-yl)but-3-en-1-yl)piperidine-3-carboxylic acid hydrochloride; (3R)-1-[4,4-bis(3-methyl-2-thienyl)but-3-en-1-yl]piperidine-3-carboxylic acid hydrochloride

CATALOG #: B3114-5 5 mg
B3114-25 25 mg

STRUCTURE:



MOLECULAR FORMULA: C₂₀H₂₆ClNO₂S₂

MOLECULAR WEIGHT: 412.01

CAS NUMBER: 145821-59-6

APPEARANCE: White to Beige Powder

PURITY: ≥ 98%

SOLUBILITY: ~20 mg/ml in DMSO
~10 mg/ml in water

DESCRIPTION: Tiagabine is a selective inhibitor of GABA transporter 1 (GAT-1) and a GABA uptake inhibitor. It shows an IC₅₀ of 49 nM for GAT-1 expressed in CHO cells. It reduces allodynia in a rodent model of neuropathic pain at a dose of 72.8 μmol/kg, ip. It shows anticonvulsant effects and is used in the treatment of epilepsy.

STORAGE TEMPERATURE: -20 °C. Store in the dark. Product is light sensitive. Protect from air. Store under desiccating conditions.

HANDLING: Do not take internally. Wear gloves and mask when handling the product! Avoid contact by all modes of exposure.

REFERENCES:

- Andersen, K.E., Braestrup, C., Grønwald, F.C., et al. The synthesis of novel GABA uptake inhibitors. 1. Elucidation of the structure-activity studies leading to the choice of (R)-1-[4,4-bis(3-methyl-2-thienyl)-3-butenyl]-3-piperidinecarboxylic acid (tiagabine) as an anticonvulsant drug candidate. *J. Med. Chem.* 36(12), 1716-1725 (1993).
- Nakada, K., Yoshikawa, M., Ide, S., et al. Cyclopropane-based conformational restriction of GABA by a stereochemical diversity-oriented strategy: Identification of an efficient lead for potent inhibitors of GABA transports. *Bioorg. Med. Chem.* 21(17), 4938-4950 (2013).
- Giardina, W.J., Decker, M.W., Porsolt, R.D., et al. An evaluation of the GABA uptake blocker tiagabine in animal models of neuropathic and nociceptive pain. *Drug Dev. Res.* 44(2-3), 106-113 (1998).

RELATED PRODUCTS:

Topiramate (Cat. No. B3280)
 Riluzole (Cat. No. B3068)
 Pentylenetetrazole (Cat. No. B3090)
 U-93631 (Cat. No. B1851)
 Vigabatrin (Cat. No. B2983)

DISCLAIMER: **FOR RESEARCH USE ONLY! Not to be used on humans.**