

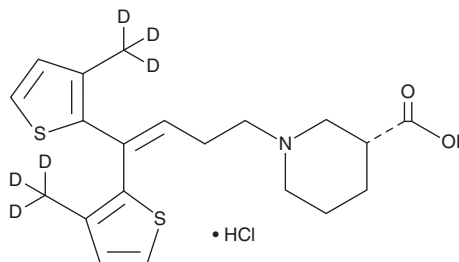
PRODUCT INFORMATION



Tiagabine-d₆ (hydrochloride)

Item No. 30766

CAS Registry No.: 1217808-68-8
Formal Name: (3R)-1-[4,4-bis(3-methyl-d₃-2-thienyl)-3-buten-1-yl]-3-piperidinecarboxylic acid, monohydrochloride
MF: C₂₀H₁₉D₆NO₂S₂ • HCl
FW: 418.0
Chemical Purity: ≥98% (Tiagabine)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₆); ≤1% d₀
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tiagabine-d₆ (hydrochloride) is intended for use as an internal standard for the quantification of tiagabine (Item No. 22926) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Tiagabine-d₆ (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the tiagabine-d₆ (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Tiagabine-d₆ (hydrochloride) is soluble in methanol, DMSO, and water. We do not recommend storing the aqueous solution for more than one day.

Description

Tiagabine is an inhibitor of GABA transporter 1 (GAT-1; IC₅₀ = 49 nM for GAT-1 expressed in CHO cells).¹ It inhibits seizures induced by DMCM in mice (ED₅₀ = 1.2 mg/kg, i.p.).² Tiagabine reduces allodynia in a rodent model of neuropathic pain when used at a dose of 72.8 μmol/kg, and it acts synergistically with gabapentin (Item No. 10008346) to delay pain responses in mice in the hot plate test.^{3,4} Formulations containing tiagabine have been used as adjunctive therapies in the treatment of partial seizures.

References

1. 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).
2. 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).
3. 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).
4. Łuszczki, J.J., Kończak, A., Wojda, E., *et al.* Synergistic interaction of gabapentin with tiagabine in the hot-plate test in mice: An isobolographic analysis. *Pharmacol. Rep.* **61**(3), 459-467 (2009).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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