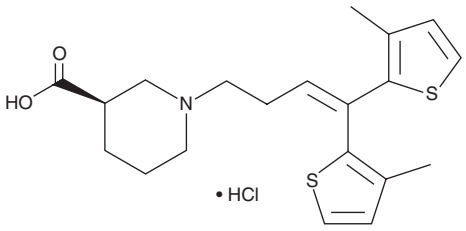
PRODUCT INFORMATION

Tiagabine (hydrochloride)

Item No. 22926

CAS Registry No.:	145821-59-6
Formal Name:	(3R)-1-[4,4-*bis*(3-methyl-2-thienyl)-3-buten-1-yl]-3-piperidinecarboxylic acid, monohydrochloride
Synonym:	ABT-569
MF:	$C_{20}H_{25}NO_2S_2$ • HCl
FW:	412.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 256 nm
Supplied as:	A crystalline 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 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the tiagabine (hydrochloride) in the solvent of choice. Tiagabine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of tiagabine (hydrochloride) in these solvents is approximately 30 mg/ml.

Description

Tiagabine is an inhibitor of GABA transporter 1 (GAT-1; IC_{50} = 49 nM for GAT-1 expressed in CHO cells).[1] It inhibits seizures induced by DMCM in mice (ED_{50} = 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., Kołacz, 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).

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