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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

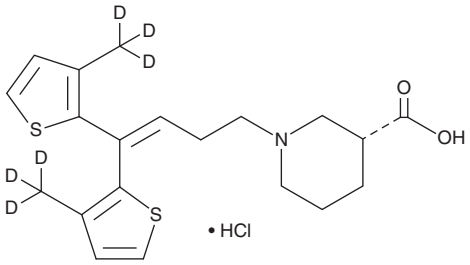
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

Tiagabine-d_6 (hydrochloride)

Item No. 30766

CAS Registry No.:	1217808-68-8
Formal Name:	(3R)-1-[4,4-*bis*(3-methyl-d_3-2-thienyl)-3-buten-1-yl]-3-piperidinecarboxylic acid, monohydrochloride
MF:	$C_{20}H_{19}D_6NO_2S_2$ • HCl
FW:	418.0
Chemical Purity:	≥98% (Tiagabine)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_6); ≤1% d_0
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Tiagabine-d_6 (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-d_6 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the tiagabine-d_6 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Tiagabine-d_6 (hydrochloride) is soluble in methanol, DMSO, and water.

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

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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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM