Product Data Sheet

Product Name: Tiagabine hydrochloride hydrate
Cat. No.: GC37788

Chemical Properties

Cas. No.	145821-57-4		
SMILES	O=C([C@H]1CN(CC/C=C(C2=C(C)C=CS2)/C3=C(C)C=CS3)CCC1)O.[H]Cl.O		
Formula	$C_{20}H_{28}ClNO_3S_2$	M.Wt	430.02
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Background

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 ?mol/kg, and it acts synergistically with gabapentin 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.

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 transportsBioorg. Med. Chem.21(17)4938-4950(2013) 2.Andersen, K.E., Braestrup, C., Gr?nwald, 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 candidateJ. 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 painDrug Dev. Res.44(2-3)106-113(1998)

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

4.?uszczki, J.J., Ko?acz, A., Wojda, E., et al.Synergistic interaction of gabapentin with tiagabine in the hot-plate test in mice: An isobolographic analysisPharmacol. Rep.61(3)459-467(2009)

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA