Product Data Sheet

Product Name: ABT-639 hydrochloride
Cat. No.: GC33720

Chemical Properties

Cas. No.	1235560-31-2		
SMILES	O=S(C1=CC(C(N2C[C@](CCC3)([H])N3CC2)=O)=C(Cl)C=C1F)(NC4=CC=CC=C4F)=O.Cl		
Formula	$C_{20}H_{21}Cl_2F_2N_3O_3S$	M.Wt	492.37
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	ABT-639 hydrochloride		

Protocol

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Animal experiment:

Rats[1] The pharmacokinetic properties are determined in Sprague Dawley rats dosed intravenously with 5 μmol/kg ABT-639 prepared in 10% DMSO/90% poly ethylene glycol 400 (PEG400). The plasma levels of ABT-639 are determined using HPLC and mass spectrometry. Following oral administration (p.o.) of the ABT-639 (3, 10 and 30 mg/kg) prepared in 10% PEG400/10% Cremophor EL/80% Oleic Acid the levels of ABT-639 in plasma and brain are determined. Briefly, the brains are immediately removed and freed from blood vessels as much as possible. The resulting brain tissues are frozen at -20°C, followed by weighing and homogenization before analysis. The heparinized blood samples are also frozen (-20°C) until analysis. ABT-639 is separated from the blood and brain samples using protein precipitation with acetonitrile followed by quantification with liquid chromatography/mass spectroscopy. Plasma samples for concentration determinations from in vivo efficacy experiments are collected from each animal within 15 min following behavioral testing.

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References:

[1]. Jarvis MF, et al. A peripherally acting, selective T-type calcium channel blocker, ABT-639, effectively reduces nociceptive and neuropathic pain in rats. Biochem Pharmacol. 2014 Jun 15;89(4):536-44.

Background

ABT-639 is a T-type calcium channel blocker.[1] It inhibits inactivated-state $Ca_V3.2$ channels with an IC_{50} value of 2.3 μM and inactivated-state $Ca_V3.1$ and $Ca_V3.3$ channels by 50 and 39%, respectively, when used at a concentration of 10 μM. ABT-639 selectively inhibits inactivated-state T-type calcium currents over resting-state currents in rat dorsal root ganglion (DRG) neurons (IC_{50}s = 7.6 and >30 μM, respectively). It reverses the hind limb grip force deficit in a rat model of osteoarthritic pain induced by monoiodoacetic acid (MIA; ED_{50} = 2 mg/kg). ABT-639 also increases the paw withdrawal threshold in rat spinal nerve ligation (SNL) and chronic constriction injury (CCI) models of neuropathic pain in a dose-dependent manner and attenuates cold allodynia in the

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CCI rat model when administered at doses greater than or equal to 3 mg/kg.

1.Jarvis, M.F., Scott, V.E., McGaraughty, S., et al.A peripherally acting, selective T-type calcium channel blocker, ABT-639, effectively reduces nociceptive and neuropathic pain in ratsBiochem. Pharmacol.89(4)536-544(2014)

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