Product Data Sheet

Product Name: GV-196771A
Cat. No.: GC31019

Chemical Properties

Cas. No.	166974-23-8		
SMILES	O=C(C(N1)=C(/C=C2C(N(C3=CC=CC=C3)CC/2)=O)C4=C1C=C(Cl)C=C4Cl)[O-].[Na+]		
Formula	$C_{20}H_{13}Cl_2N_2NaO_3$	M.Wt	423.22
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	GV-196771A		

Protocol

Animal experiment:

Mice[1] Male wild-type FVB mdr1a/1b+/+ and Pgp-deficient knockout FVBmdr1a/1b-/- mice (20-30 g) are used. Dose solutions of 0.2 mg/mL for GV196771 and 5.0 mg/mL for GF120918 are prepared fresh using 0.5% hydroxypropylmethylcellulose and 1% Tween 80 as a vehicle. Two hours before the administration of GV196771, the animals are divided into two groups. One group receive a single oral dose (10 mL/kg) of vehicle and the second group receive a single 50 mg/kg oral dose (10 mL/kg) of GF120918. Two hours later, all animals receive a single 2 mg/kg oral dose (10 mL/kg) of GV196771. At scheduled time points, mice are anesthetized with CO2 and blood samples are obtained by cardiac puncture. Blood is centrifuged to yield plasma. The MDR genotype of each animal is confirmed by a polymerase chain reaction assay after study completion.

Caution: Product has not been fully validated for medical applications. For research use only.
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References:

[1]. Polli JW, et al. The systemic exposure of an N-methyl-D-aspartate receptor antagonist is limited in mice by the P-glycoprotein and breast cancer resistance protein efflux transporters. Drug Metab Dispos. 2004 Jul;32(7):722-6.

Background

GV-196771A is the sodium salt form of GV196771, is an NMDA receptor antagonist.

GV196771 is a potent antagonist of the modulatory glycine site of the N-methyl-D-aspartate receptor. GV196771 is a potent antagonist of the modulatory glycine site of the NMDA receptor developed for treatment of neuropathic pain. GV196771 is an NMDA receptor antagonist with low oral bioavailability in rats and mice. GV196771 has low oral bioavailability (<10%) and plasma clearance (~2 mL/min/kg) in rats[1].

[1]. Polli JW, et al. The systemic exposure of an N-methyl-D-aspartate receptor antagonist is limited in mice by the P-glycoprotein and breast cancer resistance protein efflux transporters. Drug Metab Dispos. 2004 Jul;32(7):722-6.

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