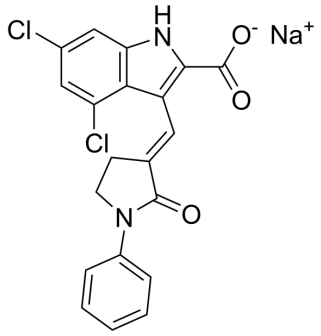
GV-196771A

Cat. No.:	HY-19243
CAS No.:	166974-23-8
Molecular Formula:	$C_{20}H_{13}Cl_2N_2NaO_3$
Molecular Weight:	423.22
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GV-196771A is the sodium salt form of GV196771, is an NMDA receptor antagonist.
IC_{50} & Target	NMDA Receptor
In Vivo	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	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 CO_2 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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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

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