LY393615

Cat. No.: HY-135478

CAS No.: 325819-97-4

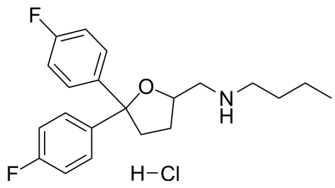
Molecular Formula: $C_{21}H_{26}ClF_2NO$

Molecular Weight: 381.89

Target: Calcium Channel; Sodium Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

LY393615 (NCC1048) is a novel neuronal Ca^{2+} (calcium channel) and Na^+ channel (sodium channel) blocker with IC_{50}s of 1.9 μM and 5.2 μM for α1A and α1B calcium channel subunits. LY393615 has good brain penetration and neuroprotective effects in models of in cerebral ischemia that can be used for neurological disease research[1].

IC_{50} & Target

P/Q-type calcium channel
4 μM (IC_{50})

In Vitro

LY393615 (0-10 μM) inhibits calcium flux in HEK 293 cells with α1A and α1B calcium channel subunits with IC_{50}s of 1.9 μM and 5.2 μM, and inhibits P-type calcium channels in isolated Purkinje cells with IC_{50} of 4.0 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

LY393615 (10 or 12.5 or 15 mg/kg; i.p., single dose) protects against hypoxiahypoglycaemic insults in brain slices and also provided significant protection against ischaemia-induced hippocampal damage in gerbil global cerebral ischaemiasup[1] .LY393615 (15 mg/kg; i.p., 1 mg/kg; i.v.; single dose) has good brain penetration with $T_{1/2}$s of 2.04 hours (i.v.) and 2.5 hours (i.p.)[1]. Pharmacokinetic parameters for LY393615 in Gerbils[1]
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Route	Dose (mg/kg)	$T_{1/2}$ (h)
i.v.	1	2.04
i.p.	15	2.5

Animal Model:	Gerbils global cerebral ischaemia[1]
Dosage:	10, 12.5 or 15 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Protected against hypoxiahypoglycaemic insults in brain slices and also provided significant protection against ischaemia-induced hippocampal damage in gerbil global

	cerebral ischaemia.
Animal Model:	Gerbils (Pharmacokinetic assay)[1]
Dosage:	1.0 or 15 mg/kg
Administration:	Intraperitoneal injection (i.p.) ; Intravenous injection (i.v.)
Result:	Had good brain penetration with $T_{1/2}$s of 2.04 hours (i.v.) and 2.5 hours (i.p.)[1].

REFERENCES

[1]. O'Neill MJ, et.al. LY393615, a novel neuronal Ca(2+) and Na(+) channel blocker with neuroprotective effects in models of in vitro and in vivo cerebral ischemia. Brain Res. 2001 Jan 5;888(1):138-149.

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