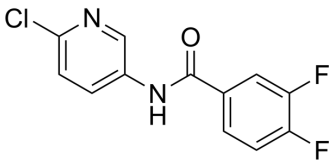
ICA-27243

Cat. No.:	HY-122114
CAS No.:	325457-89-4
Molecular Formula:	$C_{12}H_7ClF_2N_2O$
Molecular Weight:	268.65
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (930.58 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7223 mL	18.6116 mL	37.2232 mL
	5 mM	0.7445 mL	3.7223 mL	7.4446 mL
	10 mM	0.3722 mL	1.8612 mL	3.7223 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ICA-27243 is a selective, potent and orally active KCNQ2/Q3 potassium channel opener with an EC_{50} of 0.38 μM. ICA-27243 is less effective at activating KCNQ4 and KCNQ3/Q5. ICA-27243 has antiepileptic and anticonvulsant effects[1][2].

IC_{50} & Target

EC50: 0.38 μM (KCNQ2/Q3 potassium channel)[2]

In Vitro

In SH-SY5Y human neuroblastoma cells, ICA-27243 produces membrane potential hyperpolarization that could be prevented by coadministration with the M-current inhibitors XE-991 and Linopirdine. ICA-27243 enhances both $^{86}Rb^+$ efflux (EC_{50} = 0.2 μM) and whole-cell currents in Chinese hamster ovary cells stably expressing heteromultimeric KCNQ2/Q3 channels (EC_{50} = 0.4 μM). Activation of KCNQ2/Q3 channels is associated with a hyperpolarizing shift of the voltage dependence of channel activation ($V_{1/2}$ shift of -19 mV at 10 μM)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	ICA-27243 (1-100 mg/kg; oral administration; male CD-1 mice) has anticonvulsant activity (ED_{50} of 8.4 mg/kg) in the mouse maximal electroshock epilepsy model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male CD-1 mice with electroshock assay[1]
Dosage:	1-100 mg/kg
Administration:	Oral administration
Result:	Produced a dose-dependent increase in the latency to hind limb extension, exhibiting an ED_{50} value of 8.4 mg/kg.

CUSTOMER VALIDATION

- Cell Death Discov. 2022 Sep 20;8(1):391.

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REFERENCES

[1]. Wickenden AD, et al. N-(6-chloro-pyridin-3-yl)-3,4-difluoro-benzamide (ICA-27243): a novel, selective KCNQ2/Q3 potassium channel activator. Mol Pharmacol. 2008 Mar;73(3):977-86.

[2]. Amato G, et al. N-Pyridyl and Pyrimidine Benzamides as KCNQ2/Q3 Potassium Channel Openers for the Treatment of Epilepsy. ACS Med Chem Lett. 2011 Mar 31;2(6):481-4.

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