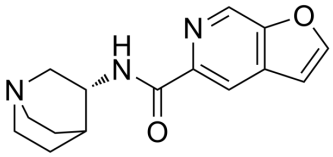
PHA-543613

Cat. No.:	HY-105670
CAS No.:	478149-53-0
Molecular Formula:	$C_{15}H_{17}N_3O_2$
Molecular Weight:	271.31
Target:	nAChR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (921.46 mM; Need ultrasonic)
H_2O : 100 mg/mL (368.58 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6858 mL	18.4291 mL	36.8582 mL
5 mM	0.7372 mL	3.6858 mL	7.3716 mL
10 mM	0.3686 mL	1.8429 mL	3.6858 mL

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

BIOLOGICAL ACTIVITY

Description

PHA-543613 is a potent, orally active, brain-penetrant and selective α7 nAChR agonist with a K_i of 8.8 nM. PHA-543613 displays selectivity for α7-nAChR over α3β4, α1β1γδ, α4β2 and 5-HT3 receptors[1]. PHA-543613 can be used for the cognitive deficits of Alzheimer's disease and schizophrenia research[2].

IC_{50} & Target

Ki: 8.8 nM (α7 nAChR)[1]

In Vivo

PHA-543613 (0.3 mg/kg) successfully reverses Scopolamine-induced short-term memory deficits in rats[2].
PHA-543613 (4 and 12 mg/kg; i.p. once) reduces behavioral deficits and brain edema is dependent on the PI3K-Akt signaling pathway[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male CD-1 mice with (intracerebral hemorrhage) ICH-induction or sham surgery[3]

Dosage:	4 and 12 mg/kg
Administration:	Intraperitoneal injection; 4 and 12 mg/kg; 1 hour after surgery
Result:	Increased p-Akt and decreased p-GSK-3 and CC3 expressions in the ipsilateral hemisphere and reduced the neuronal cell death in the perihematomal area. Attenuated behavioral deficits andbrain edema at 72 hours after ICH.

REFERENCES

[1]. Nóra Bruszt, et al. Potentiation of cognitive enhancer effects of Alzheimer's disease medication memantine by alpha7 nicotinic acetylcholine receptor agonist PHA-543613 in the Morris water maze task. Psychopharmacology (Berl). 2021 Nov;238(11):3273-3281.

[2]. Donn G Wishka, et al. Discovery of N-[(3R)-1-azabicyclo[2.2.2]oct-3-yl]furo[2,3-c]pyridine-5-carboxamide, an agonist of the alpha7 nicotinic acetylcholine receptor, for the potential treatment of cognitive deficits in schizophrenia: synthesis and structure--activity relationship. J Med Chem. 2006 Jul 13;49(14):4425-36.

[3]. Krafft PR, et al. α7 nicotinic acetylcholine receptor agonism confers neuroprotection through GSK-3β inhibition in a mouse model of intracerebral hemorrhage. Stroke. 2012 Mar;43(3):844-50.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA