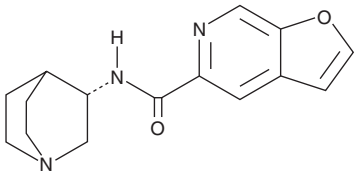
PRODUCT INFORMATION

PHA-543613

Item No. 42222

CAS Registry No.:	478149-53-0
Formal Name:	N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-furo[2,3-c]pyridine-5-carboxamide
MF:	$C_{15}H_{17}N_3O_2$
FW:	271.3
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PHA-543613 is supplied as a solid. A stock solution may be made by dissolving the PHA-543613 in the solvent of choice, which should be purged with an inert gas. PHA-543613 is soluble (≥10 mg/ml) in DMSO.

Description

PHA-543613 is an agonist of α7 nicotinic acetylcholine receptors (nAChRs).[1,2] It is selective for α7 nAChRs over α4β2-, α4β4-, α3β2-, or α3β4 subunit-containing nAChRs and the serotonin (5-HT) receptor subtype 5-HT3 (EC_{50}s = 0.03, >100, >50, >100, >100, and 11 µM, respectively, in calcium mobilization assays).[1] PHA-543613 prevents decreases in the number of retinal ganglion cells in a rat model of glaucoma when applied topically.[3] It improves sensorimotor deficits and reduces brain edema in a mouse model of intracerebral hemorrhage, effects that can be reversed by methyllycaconitine (Item No. 21398) or wortmannin (Item No. 10010591).[4] PHA-543613 (1 mg/kg) increases the time spent with the novel object in the novel object recognition test and reverses amphetamine-induced auditory gating deficits in rats.[2] Intracerebroventricular administration of PHA-543613 (0.1 mg/animal) reduces food intake and body weight in rats.[5]

References

1. Gao, B., Hierl, M., Clarkin, K., *et al.* Pharmacological effects of nonselective and subtype-selective nicotinic acetylcholine receptor agonists in animal models of persistent pain. *Pain* **149(1)**, 33-49 (2010).
2. Wishka, D.G., Walker, D.P., Yates, K.M., *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.* **49(14)**, 4425-4436 (2006).
3. Birkholz, P.J., Gossman, C.A., Webster, M.K., *et al.* Prevention of glaucoma-induced retinal ganglion cell loss using alpha7 nAChR agonists. *J. Ophthalmol. Vis. Sci.* **1(1)**, 1003 (2016).
4. Krafft, P.R., Caner, B., Klebe, D., *et al.* PHA-543613 preserves blood-brain barrier integrity after intracerebral hemorrhage in mice. *Stroke* **44(6)**, 1743-1747 (2013).
5. DiBrog, A.M., Kern, K.A., Demieri, E., *et al.* The alpha-7 nicotinic acetylcholine receptor agonist PHA-543613 reduces food intake in male rats. *Pharmacol. Biochem. Behav.* **237**, 173723 (2024).

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