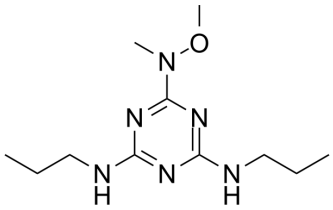
GAL-021

Cat. No.:	HY-101422
CAS No.:	1380341-99-0
Molecular Formula:	$C_{11}H_{22}N_6O$
Molecular Weight:	254.33
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
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 : ≥ 30 mg/mL (117.96 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9319 mL	19.6595 mL	39.3190 mL
	5 mM	0.7864 mL	3.9319 mL	7.8638 mL
	10 mM	0.3932 mL	1.9659 mL	3.9319 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GAL-021 is a potent BK_{Ca}-channel blocker. GAL-021 inhibits $K_{Ca}1.1$ in GH3 cells. GAL-021 is a novel breathing control modulator that is based on selective modification of the almitrine pharmacophore. GAL-021 increases minute ventilation in rats and non-human primates[1][2].

In Vitro

GAL-021 is being developed as a novel breathing control modulator to preserve respiratory drive and protect patients from respiratory impairment due to opioids and other modalities. Using inside-out patches in GH3 cells, GAL-021 exerts

	concentration-dependent inhibition of single-channel KCa1.1 activity. When evaluated against 12 different cardiac ion channels, inhibition is 35% or less at 30 μM. No significant kinase inhibition is observed at 10 μM. At 30 μM in the radioligand binding assays, interactions (defined as >50% radioligand displacement) are detected at adenosine A1 (65% I), A2A (79% I, IC_{50} approximately 5μM), and A3 (93% I; IC_{50} approximately 1 μM) receptors, at 5-HT2B receptors (60% I; IC_{50} approximately 30 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Intravenously administered GAL-021 attenuates opiate-induced respiratory depression in rats and nonhuman primates without affecting morphine analgesia in rats. GAL-021 ventilatory stimulation in rats is attenuated by carotid sinus nerve transection. GAL-021 ventilatory stimulation is attenuated in mice lacking the pore-forming α-subunit of the KCa 1.1 channel [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	GAL-021 is dissolved in DMSO, and final assay concentration of DMSO is 0.1% or less. The effects of GAL-021 (30 μM) on a panel of 55 receptors, transporters, and ion channels are evaluated using radioligand binding analyses. Potential kinase inhibition by GAL-021 (10 μM) is assessed using the Kinase HotSpot Screen where activity of 50 kinases is measured in the presence of adenosine triphosphate (10 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Rats: The effects of GAL-021 on mean arterial pressure (MAP) and heart rate (HR) are evaluated using IV infusions. GAL-021 (0.125 mg /kg/min for 25 min, increasing to 0.20 mg/kg/min for an additional 25 min IV) and vehicle (0.9% saline, for 50 min) are administered at a constant infusion rate (6 mL/kg/h). All rats receive additional fluid support (50:50 mixture of lactated Ringer's solution and 6% hetastarch in 0.9% saline at 4 mL/kg/min)[1]. For rat and Mouse Spirometry section, for rats, tracheal airflow is measured using flow spirometry before and after IV (femoral vein) bolus administration of GAL-021 (0.01, 0.03, 0.1, 0.3, 1.0, and 3.0 mg/kg) and vehicle (0.9% saline)[1]. Mice: The effects of GAL-021 on ventilation are also evaluated in age-matched male and female adult $Slo1^{+/+}$ and $Slo1^{-/-}$ mice. Mice are anesthetized using 2 to 2.5% isoflurane in air[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Oxid Med Cell Longev. 2022 Jun 20;2022:5905374.
- Int J Mol Sci. 2020 Jan 5;21(1):357.
- Biomolecules. 2020 Jan 25;10(2):188.
- Eur J Pharmacol. 2020 Nov 15;887:173482.
- BMC Pharmacol Toxicol. 2021 Jan 13;22(1):6.

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REFERENCES

[1]. Golder FJ, et al. Identification and Characterization of GAL-021 as a Novel Breathing Control Modulator. Anesthesiology. 2015 Nov;123(5):1093-104.

[2]. J F McLeod, et al. GAL-021, a new intravenous BKCa-channel blocker, is well tolerated and stimulates ventilation in healthy volunteers. Br J Anaesth. 2014

Nov;113(5):875-83.

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

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