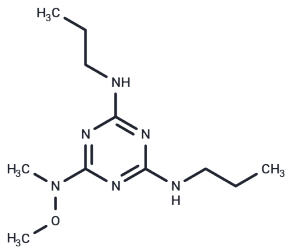
Data Sheet (Cat.No.T3557)

TargetMol

GAL-021

Chemical Properties



CAS No. : 1380341-99-0

Formula: C11H22N6O

Molecular Weight: 254.33

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GAL-021 an intravenous BKCa-channel blocker.
Targets(IC50)	Potassium Channel
In vitro	Using inside-out patches in GH3 cells, GAL-021 concentration-dependently inhibits 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, IC50: 5 μM), and A3 (93% I; IC50: 1 μM) receptors, at 5-HT2B receptors (60% I; IC50: 30 μM).
In vivo	GAL-021 administered intravenously (i.v.) mitigates opiate-induced respiratory depression in both rats and nonhuman primates, preserving morphine's analgesic effects in rats. Furthermore, its capacity to stimulate ventilation in rats is diminished following carotid sinus nerve transection. This ventilatory stimulation by GAL-021 is also reduced in mice devoid of the pore-forming α-subunit of the KCa 1.1 channel.
Kinase Assay	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].

Solubility Information

Solubility	DMSO: 50 mg/mL (196.59 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.86 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.9319 mL	19.6595 mL	39.319 mL
5 mM	0.7864 mL	3.9319 mL	7.8638 mL
10 mM	0.3932 mL	1.9659 mL	3.9319 mL
50 mM	0.0786 mL	0.3932 mL	0.7864 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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