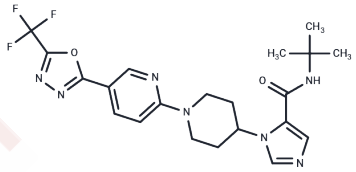
Data Sheet (Cat.No.T7017)

TargetMol

WNK463

Chemical Properties



CAS No. : 2012607-27-9

Formula: C21H24F3N7O2

Molecular Weight: 463.46

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	WNK463 is a pan-WNK-kinase inhibitor. It effectively inhibits the in vitro kinase activity of all four WNK family members (WNK1/2/3/4).
Targets(IC50)	Serine Protease,Serine/threonin kinase
In vitro	WNK463 potently inhibited the in vitro kinase activity of all four WNK family members (WNK1, WNK2, WNK3, and WNK4). WNK463 also inhibited WNK1-catalyzed phosphorylation of the native WNK substrate, oxidative stress response 1 (OSR1) in a biochemical assay and in human embryonic kidney 293 (HEK293) cells that express exogenous OSR1 and that are activated by sorbitol-mediated osmotic stress[1].
In vivo	In rodent models of hypertension, WNK463 affects blood pressure and body fluid and electrolyte homeostasis. WNK463 is orally bioavailable in C57BL/6 mice (100%) and Sprague Dawley rats (74%), with a half-life of 3.6 and 2.1 h, respectively. In spontaneously hypertensive rats (SHRs), WNK463 administered orally (p.o.) at 1, 3, or 10 mg per kg body weight (mg/kg) p.o. achieved maximum plasma concentration (Cmax) values of 88, 441, and 1,170 nM, respectively. These exposures produced dose-dependent decreases in blood pressure and simultaneous increases in heart rate in conscious SHRs. Moreover, WNK463 produced significant and dose-dependent increases in urine output as well as urinary sodium and potassium excretion rates. Orally administered WNK463 also significantly decreased blood pressure in these hypertensive mice. WNK463 elicited in vivo cardiovascular and renal effects through WNK kinase inhibition[1].

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 85 mg/mL (183.4 mM),Sonication is recommended. DMSO: 85 mg/mL (183.4 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: 3.3 mg/mL (7.12 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1577 mL	10.7884 mL	21.5768 mL
5 mM	0.4315 mL	2.1577 mL	4.3154 mL
10 mM	0.2158 mL	1.0788 mL	2.1577 mL
50 mM	0.0432 mL	0.2158 mL	0.4315 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

Yamada K, et al. Nat Chem Biol. 2016, 12(11):896-898.