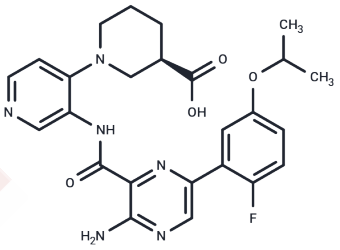
Data Sheet (Cat.No.T11447)

TargetMol

GNF4877

Chemical Properties



CAS No. : 2041073-22-5

Formula: C25H27FN6O4

Molecular Weight: 494.52

Storage: Keep away from moisture
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	GNF4877 is a small molecule inhibitor, a potent dual-specificity kinase inhibitor targeting DYRK1A (IC50=6 nM) and GSK3β (IC50=16 nM). This compound promotes β-cell proliferation by blocking NFATc nuclear export (EC50=0.66 μM for mouse R7T1 cells) and is primarily used for research on β-cell regeneration related to diabetes.
Targets(IC50)	DYRK,GSK-3
In vitro	**Methods**: Mouse β-cells (such as R7T1 cells) were treated with low concentrations of GNF4877 (0.1, 0.3 μM) in combination with high glucose, glucokinase activators (GKAs), glibenclamide, or Bay K8644 to detect cell proliferation, Ca^{2+} signaling, and NFATc nuclear translocation. **Results**: Low concentrations of GNF4877 promoted β-cell proliferation under high glucose or GKA stimulation; high glucose and GKAs enhanced Ca^{2+} signaling, activated calcineurin, and promoted NFATc nuclear translocation; glibenclamide or Bay K8644 increased intracellular Ca^{2+} and showed additive effects with GNF4877. [1]
In vivo	**Methods**: A mouse β-cell model was used, and low concentrations of GNF4877 (0.1, 0.3 μM) combined with high glucose or glucokinase activators (GKAs) were applied to detect cell proliferation; the effects of high glucose and GKAs on Ca^{2+} signaling, calcineurin activation, and NFATc nuclear translocation were also evaluated; additionally, glibenclamide or Bay K8644 combined with GNF4877 were used to detect synergistic effects. **Results**: Low concentrations of GNF4877 promoted β-cell proliferation under high glucose or GKA stimulation; high glucose and GKAs enhanced Ca^{2+} signaling, activated calcineurin, and promoted NFATc nuclear translocation; glibenclamide or Bay K8644 increased intracellular Ca^{2+} and showed additive effects with GNF4877. [1]

Solubility Information

Solubility	DMSO: 6.94 mg/mL (14.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0222 mL	10.1108 mL	20.2216 mL
5 mM	0.4044 mL	2.0222 mL	4.0443 mL
10 mM	0.2022 mL	1.0111 mL	2.0222 mL
50 mM	0.0404 mL	0.2022 mL	0.4044 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

Shen W, et al. Inhibition of DYRK1A and GSK3β induces human β-cell proliferation. Nat Commun. 2015 Oct 26;6: 8372.