

GNF4877

## Chemical Properties

CAS No. : 2041073-22-5

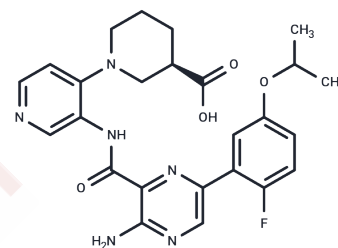
Formula: C<sub>25</sub>H<sub>27</sub>FN<sub>6</sub>O<sub>4</sub>

Molecular Weight: 494.52

Keep away from moisture

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	GNF4877 is a potent inhibitor of DYRK1A and GSK3 $\beta$ (IC <sub>50</sub> s: 6 nM and 16 nM, respectively), resulting in the blockade of nuclear export of nuclear factor of activated T-cells (NFATc) and increased $\beta$ -cell proliferation.
Targets(IC <sub>50</sub> )	DYRK,GSK-3
In vitro	Concentrations of GNF4877 (0.1 $\mu$ M, 0.3 $\mu$ M) well below the EC <sub>50</sub> for $\beta$ -cell proliferation are able to induce proliferation in the presence of high glucose or pharmacological activators of glucokinase. High glucose concentrations and glucokinase activators (GKAs) increase Ca <sup>2+</sup> signaling in $\beta$ -cells, and increase intracellular Ca <sup>2+</sup> leads to activation of calcineurin and nuclear translocation of NFATc proteins. Finally, increasing intracellular Ca <sup>2+</sup> with glibenclamide or Bay K8644 shows additive activity with GNF4877.
In vivo	In double transgenic RIP-DTA male mice, GNF4877 (50 mg/kg; oral gavage; twice a day; for 15 days) treatment induces $\beta$ -cell proliferation, increases $\beta$ -cell mass and insulin content, and improves glycaemic control.

## 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)
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### Preparing Stock Solutions

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	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

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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 GSK3 $\beta$  induces human  $\beta$ -cell proliferation. Nat Commun. 2015 Oct 26;6:8372.

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