Product Datasheet

GNF4877

Catalog No. **BP-02145** · CAS **2041073-22-5** · Form **free base** · Physical state **other** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-09-03**

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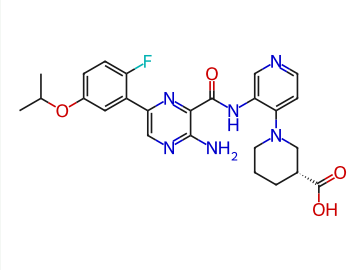
Target	Research area
DYRK; GSK-3	**Metabolic Disease**

Molecular formula	$C_{25}H_{27}FN_6O_4$
Molecular weight	**494.5181**

STRUCTURE



DESCRIPTION

GNF4877 is a potent DYRK1A and GSK3β inhibitor with IC50s of 6 nM and 16 nM, respectively.

BIOLOGICAL ACTIVITY

In Vitro

High glucose concentrations and glucokinase activators (GKAs) increase Ca2+ signalling in β-cells, and increase intracellular Ca2+ leads to activation of calcineurin and nuclear translocation of NFATc proteins. Indeed, concentrations of GNF4877 ((0.1 μM, 0.3 μM) well below the EC50 for β-cell proliferation are able to induce proliferation in the presence of high glucose or pharmacological activators of glucokinase. Finally, increasing intracellular Ca2+ with glibenclamide (a sulfonylurea receptor 1 inhibitor) or Bay K8644 (an L-type Ca2+ channel activator) show additive activity with GNF4877.

In Vivo

GNF4877 (50 mg/kg; oral gavage; twice a day; for 15 days; double transgenic RIP-DTA male mice) treatment induces β-cell proliferation, increases β-cell mass and insulin content, and improves glycaemic control.

SOLUBILITY

Soluble in DMSO

REFERENCES

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