

PRODUCT INFORMATION

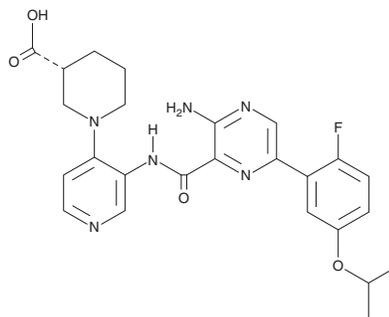


GNF-4877

Item No. 42538

CAS Registry No.: 2041073-22-5
Formal Name: (3R)-1-[3-[[[3-amino-6-[2-fluoro-5-(1-methylethoxy)phenyl]-2-pyrazinyl]carbonyl]amino]-4-pyridinyl]-3-piperidinecarboxylic acid

MF: C₂₅H₂₇FN₆O₄
FW: 494.5
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GNF-4877 is supplied as a solid. A stock solution may be made by dissolving the GNF-4877 in the solvent of choice, which should be purged with an inert gas. GNF-4877 is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

GNF-4877 is an inhibitor of dual-specificity tyrosine phosphorylation-regulated kinase 1a (Dyrk1a) and glycogen synthase kinase 3β (Gsk3β; IC₅₀s = 6 and 16 nM, respectively, for the mouse enzymes).¹ It is selective for Dyrk1a and Gsk3β over a panel of 57 kinases but does inhibit 10 other kinases at 1 μM. GNF-4877 increases the proliferation of R7T1 mouse pancreatic β-cells and primary human pancreatic β-cells (EC₅₀s = 0.66 and 0.54 μM, respectively). It increases the number of islet and β-cells and the levels of total DNA and ATP in primary human islet cells when used at a concentration of 2 μM. GNF-4877 (50 mg/kg twice per day) increases the proliferation of transplanted primary human islet cells and decreases the levels of blood glucose in mice. It reduces the levels of blood glucose in an oral glucose tolerance test (OGTT) in a transgenic RIP-DTA mouse model of type I diabetes when administered at a dose of 50 mg/kg twice per day. GNF-4877 also increases the mass of, and levels of insulin in, pancreatic β-cells in the same mice.

Reference

1. Shen, W., Taylor, B., Jin, Q., *et al.* Inhibition of DYRK1A and GSK3B induces human β-cell proliferation. *Nat. Commun.* **6:8372**, (2015).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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