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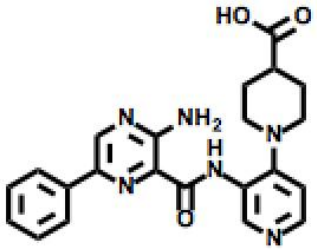
β Cell Proliferation Inducer – GNF7156

Chemical Name: 1-(3-(3-amino-6-phenylpyrazine-2-carboxamido)pyridin-4-yl)piperidine-4-carboxylic acid



Molecular Weight:	418.46
Formula:	$C_{22}H_{22}N_6O_3$
Purity:	≥ 98%
CAS#:	n/a
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

GNF7156 is a highly potent and selective small molecule that promotes pancreatic β cell proliferation in rodent （EC_{50} ~2.2 μM）and human primary islets (EC_{50} ~0.76 μM). It acts most likely as a result of combined inhibition of DYRK1A and GSK3B. GNF7156-treated human islets retain functionality in vitro and after transplantation into diabetic mice. Oral dosing of GNF7156 in diabetic mice induces β-cell proliferation, increases β-cell mass and insulin content, and improves glycaemic control. Biochemical, genetic and cell biology data point to Dyrk1a as the key molecular target. GNF7156 is a good chemical tool to support the feasibility of treating diabetes with an oral therapy to restore β-cell mass, and highlights a tractable pathway for future drug discovery efforts.

How to Use:

In vitro: GNF7156 was used at 6.7 μM concentration in beta cell proliferation assays.

In vivo: GNF7156 was orally dosed to mice at 50 mg/kg twice a day for 14 days.

Reference:

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