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GNF4877

产品编号: D50951

CAS: 2041073-22-5

分子式: C25H27FN6O4

纯度: ≥98%

InChi: InChI=1S/C25H27FN6O4/c1-14(2)36-16-5-6-18(26)17(10-16)19-12-29-23(27)22(30-19)24(33)31-20-11-28-8-7-21(20)32-9-3-4-15(13-32)25(34)35/h5-8,10-12,14-15H,3-4,9,13H2,1-2H3,(H2,27,29)(H,31,33)(H,34,35)/t15-/m1/s1

InChi Key: UZIATSFXNVOVFE-OAHLLOKOSA-N

Smiles: CC(C)OC1C=CC(F)=C(C=1)C1=CN=C(N)C(=N1)C(=O)NC1C=NC=CC=1N1C[C@@H](CCC1)C(O)=O

外观: 固体粉末

作用通路: DYRK

溶解性: DMSO up to 100 mM

保存条件: Store in dry, dark place for one year.

产品介绍: GNF4877 is a highly potent and selective small molecule that promotes pancreatic β cell proliferation in rodent （EC50 ~0.66 μM）and human primary islets (EC50 ~0.54 μM), better than its analog GNF7156. It acts most likely as a result of combined inhibition of DYRK1A and GSK3B. GNF4877-treated human islets retain functionality in vitro and after transplantation into diabetic mice. Oral dosing of GNF4877 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. GNF4877 and its analog GNF7156 are the good chemical tools 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.