
Product Data Sheet

Product Name: GNF2133 hydrochloride

Cat. No.: GC64725

Chemical Properties

Cas. No. 2561414-57-9

Formula C₂₄H₃₁CIN₆O₂

M.Wt

470.99

Solubility

Storage

Store at -20°C

General tips

For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

GNF2133 hydrochloride is a potent, selective and orally active DYRK1A inhibitor with IC₅₀s of 0.0062, >50 μM for DYRK1A and GSK3β, respectively. GNF2133 hydrochloride shows good proliferation potency and efficacy on rat and human primary β-cell. GNF2133 hydrochloride significantly improves glucose disposal capacity and increases insulin secretion. GNF2133 hydrochloride has the potential for the research of type 1 diabetes[1].

GNF2133 hydrochloride (30 mg/kg; p.o.) shows good oral absorption with oral bioavailability of 22.3%[1]. GNF2133 hydrochloride (30 mg/kg; p.o.; once a day for 5 days) shows the ability to proliferate β-cells in vivo[1]. GNF2133 hydrochloride (3, 10, 30 mg/kg) significantly improves glucose disposal capacity and increased insulin secretion in RIP-DTA mice[1]. Pharmacokinetic Parameters of GNF2133 hydrochloride in CD-1 mice[1]. plasma (iv) plasma (po) pancreas (po) CL (mL/min/kg) 23.5 V_{ss} (L/kg) 11 AUC (h.nM) 326810974144420 C_{max}(nM) 1977167513319 t_{max}<(h) 0.033.03.0 C_{last}(nM) 36.6191324 t_{1/2}<(h) 6.63.46.6 F (%) 22.3 CD-1 mice; 30 mg/kg; p.o. sup>[1].

[1]. Liu YA, et al. Selective DYRK1A Inhibitor for the Treatment of Type 1 Diabetes: Discovery of 6-Azaindole Derivative GNF2133. J Med Chem. 2020 Mar 26;63(6):2958-

Caution: Product has not been fully validated for medical applications. For research use only.

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