

GSK973

Chemical Properties

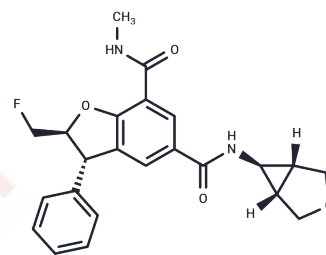
CAS No. : 2138473-38-6

Formula: C₂₃H₂₃FN₂O₄

Molecular Weight: 410.445

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	GSK973 is a highly selective and orally bioavailable inhibitor that targets the second bromodomains (BD2s) of the BET family. It exhibits a pIC ₅₀ of 7.8 and a pK _d of 8.7 specifically for BRD4 BD2. Additionally, GSK973 demonstrates a remarkable selectivity of 1600-fold for BRD4 BD2 over BRD4 BD1. Moreover, it displays good potency against BRD2 BD2, BRD3 BD2, and BRDT BD2, with pIC ₅₀ ranging from 7.4 to 7.8 and pK _d ranging from 8.3 to 8.5.
Targets(IC ₅₀)	Others,Epigenetic Reader Domain
In vivo	Treatment with GSK973 at a dosage of 1 mg/kg administered intravenously (i.v.) demonstrated pharmacokinetic properties in male Wistar Han rats, including a clearance (CL) of 73 mL/min/kg, a renal clearance (CL _{renal}) of 4 mL/min/kg, a steady-state volume of distribution (V _{ss}) of 2.1L/kg, and a half-life (T _{1/2}) of 0.6 hours. Additionally, when administered orally (p.o) at a dose of 3 mg/kg, GSK973 exhibited an oral bioavailability (F _{po}) of 48%. These findings provide insights into the drug's absorption, distribution, metabolism, and excretion characteristics following different routes of administration[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4364 mL	12.1818 mL	24.3635 mL
5 mM	0.4873 mL	2.4364 mL	4.8727 mL
10 mM	0.2436 mL	1.2182 mL	2.4364 mL
50 mM	0.0487 mL	0.2436 mL	0.4873 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Preston A, et al. GSK973 Is an Inhibitor of the Second Bromodomains (BD2s) of the Bromodomain and Extra-Terminal (BET) Family. ACS Med Chem Lett. 2020;11(8):1581-1587. Published 2020 Jul 6.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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