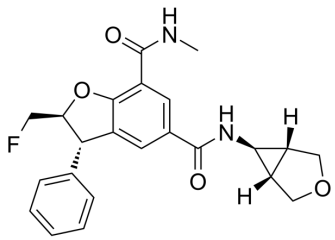
GSK973

Cat. No.:	HY-138563
CAS No.:	2138473-38-6
Molecular Formula:	$C_{23}H_{23}FN_2O_4$
Molecular Weight:	410.44
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (304.55 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4364 mL	12.1820 mL	24.3641 mL
	5 mM	0.4873 mL	2.4364 mL	4.8728 mL
	10 mM	0.2436 mL	1.2182 mL	2.4364 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

GSK973 is a highly selective, orally bioavailable inhibitor of the BD2s (second bromodomains) of the BET family, with a pIC_{50} of 7.8 and a pK_d of 8.7 for BRD4 BD2. GSK973 displays a 1600-fold selectivity for BRD4 BD2 over BRD4 BD1. GSK973 shows good potency against BRD2 BD2, BRD3 BD2, and BRDT BD2 (pIC_{50}=7.4~7.8; pK_d=8.3~8.5)[1].

IC_{50} & Target

BRD4 BD2 7.8 (pIC_{50})	BRD2 BD2 7.5 (pIC_{50})	BRD3 BD2 7.8 (pIC_{50})	BRDT BD2 7.4 (pIC_{50})
BRD4 BD2 8.7 (pKd)	BRD2 BD2 8.3 (pKd)	BRD3 BD2 8.5 (pKd)	BRDT BD2 8.3 (pKd)

In Vivo

GSK973 (1 mg/kg; i.v.) treatment shows the CL, Cl_{renal}, V_{ss}, and $T_{1/2}$ are 73 mL/min/kg, 4 mL/min/kg, 2.1L/kg, and 0.6 hours, respectively[1].

GSK973 (3 mg/kg; p.o) treatment shows the F_{po} of 48%[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar Han Rats[1]
Dosage:	1 mg/kg (Pharmacokinetic Analysis)
Administration:	I.v.
Result:	The CL, CI_{renal}, V_{ss}, and $T_{1/2}$ were 73 mL/min/kg, 4 mL/min/kg, 2.1L/kg, and 0.6 hours, respectively.

REFERENCES

[1]. 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.

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